(12) **Translation of European patent specification**

(11) **NO/EP 2448582 B1**

NORWAY

(19) NO

(51) Int Cl.

A61K 31/497 (2006.01)
A61K 31/5513 (2006.01)
A61P 35/00 (2006.01)
C07D 215/36 (2006.01)
C07D 215/40 (2006.01)
C07D 239/42 (2006.01)
C07D 241/20 (2006.01)
C07D 243/08 (2006.01)
C07D 271/12 (2006.01)
C07D 277/64 (2006.01)
C07D 277/68 (2006.01)
C07D 295/192 (2006.01)
C07D 319/18 (2006.01)
C07D 401/12 (2006.01)
C07D 401/14 (2006.01)
C07D 403/12 (2006.01)
C07D 407/12 (2006.01)
C07D 409/12 (2006.01)
C07D 417/12 (2006.01)
C07D 417/14 (2006.01)

Norwegian Industrial Property Office

(21)	Translation Published	2017.09.04
(80)	Date of The European Patent Office Publication of the Granted Patent	2017.04.19
(86)	European Application Nr.	10794668.3
(86)	European Filing Date	2010.06.29
(87)	The European Application's Publication Date	2012.05.09
(30)	Priority	2009.06.29, US, 221430 P 2010.01.05, US, 292360 P
(84)	Designated Contracting States:	AL AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HR HU IE IS IT LI LT LU LV MC MK MT NL NO PL PT RO SE SI SK SM TR
(73)	Proprietor	Agios Pharmaceuticals, Inc., 88 Sidney Street, Cambridge, MA 02139, US-USA
(72)	Inventor	SAUNDERS, Jeffrey, O., 188 Tower Road, Lincoln, MA 01773, US-USA SALITURO, Francesco, G., 25 Baker Drive, Marlborough, MA 01752, US-USA YAN, Shunqi, 55 Stepping Stone, Irvine, CA 92603, US-USA
(74)	Agent or Attorney	Bryn Aarflot AS, Postboks 449 Sentrum, 0104 OSLO, Norge

(54) Title **QUINOLINE-8-SULFONAMIDE DERIVATIVES HAVING AN ANTICANCER ACTIVITY**

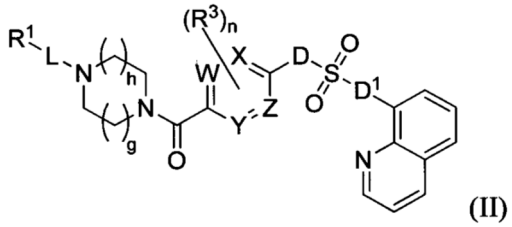
(56) References Cited:

WO-A1-97/28129, WO-A1-2006/038594, WO-A1-2007/023186, WO-A1-2008/050168
US-A- 5 834 485, US-A- 5 965 559, US-A1- 2003 095 958, US-B1- 6 313 127

Enclosed is a translation of the patent claims in Norwegian. Please note that as per the Norwegian Patents Acts, section 66i the patent will receive protection in Norway only as far as there is agreement between the translation and the language of the application/patent granted at the EPO. In matters concerning the validity of the patent, language of the application/patent granted at the EPO will be used as the basis for the decision. The patent documents published by the EPO are available through Espacenet (http://worldwide.espacenet.com) or via the search engine on our website here: https://search.patentstyret.no/

P a t e n t k r a v

1. Forbindelse med formel (II), eller et farmasøytisk akseptabelt salt derav, hvor:



(II)

W, X, Y og Z hver uavhengig er valgt fra CH eller N;

D og D^1 uavhengig er valgt fra en binding eller NR^b;

L er en binding, -C(O)-, $-(CR^cR^c)_m$-, -OC(O)-, $-(CR^cR^c)_m$-OC(O)-, $-(CR^cR^c)_m$-C(O)-, $-NR^bC(S)$- eller $-NR^bC(O)$-;

R^1 er valgt fra alkyl, sykloalkyl, aryl, heteroaryl, og heterosyklyl; som hver er substituert med 0-5 forekomster av R^d;

hver R^3 uavhengig er valgt fra halo, haloalkyl, alkyl, hydroksyl og $-OR^a$;

hver R^a uavhengig er valgt fra alkyl, asyl, hydroksyalkyl og haloalkyl;

hver R^b uavhengig er valgt fra hydrogen og alkyl;

hver R^c uavhengig er valgt fra hydrogen, halo, alkyl, alkoksy og haloalkoksy, eller to R^c tatt sammen med karbonatomene de er knyttet til danner en eventuelt substituert sykloalkyl;

hver R^d uavhengig er valgt fra halo, haloalkyl, haloalkoksy, alkyl, alkynyl, nitro, cyano, hydroksyl, $-C(O)R^a$, $-OC(O)R^a$, $-C(O)OR^a$, $-SR^a$, $-NR^aR^b$ og $-OR^a$, eller to R^d tatt sammen med karbonatomene de er knyttet til danner en eventuelt substituert heterosyklyl;

n er 0, 1, eller 2;

m er 1, 2 eller 3;

h er 1; og

g er 1.

2. Forbindelse ifølge krav 1, hvor W, X, Y og Z er CH.

3. Forbindelse ifølge krav 2, hvor D er NR^b og D^1 er en binding.

4. Forbindelse ifølge krav 3, hvor R^b er H, metyl eller etyl.

5. Forbindelse ifølge et hvilket som helst av kravene 1-4, hvor L er en binding, -$(CR^cR^c)_m$-, -$NR^bC(O)$-,-$(CR^cR^c)_m$-C(O)-, -C(O)-, -$(CR^cR^c)_m$-OC(O)- eller -O(CO)-.

6. Forbindelse ifølge krav 5, hvor L er en -$(CR^cR^c)_m$-.

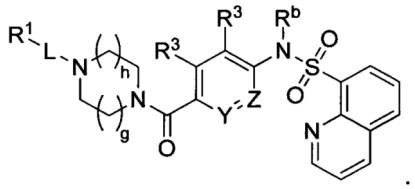
7. Forbindelse ifølge krav 6, hvor R^1 er sykloalkyl, aryl, heteroaryl, heterosyklyl substituert med 0-5 forekomster av R^d.

8. Forbindelse ifølge krav 6, hvor R^b er hydrogen.

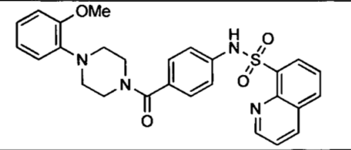
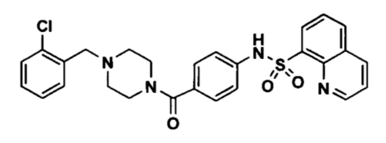
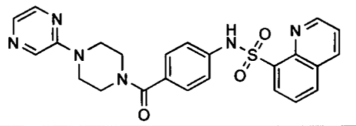
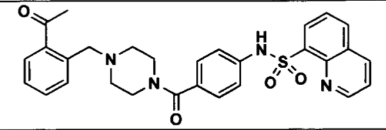
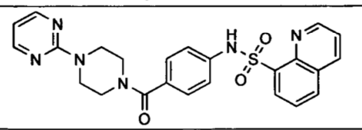
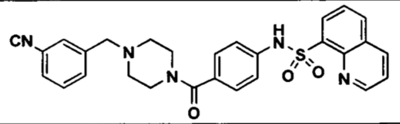
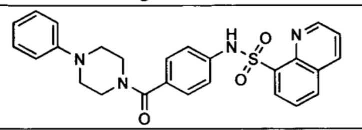
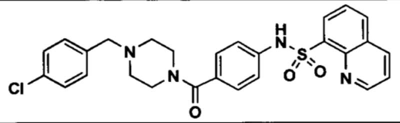
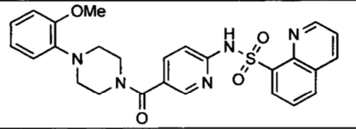
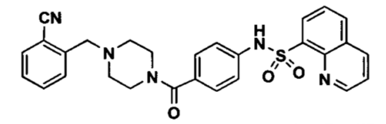
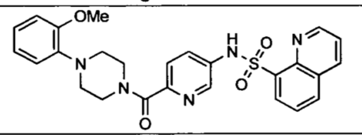
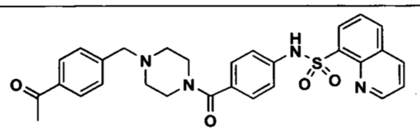
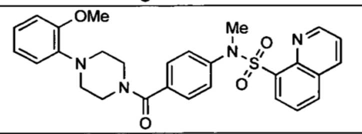
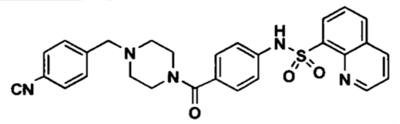
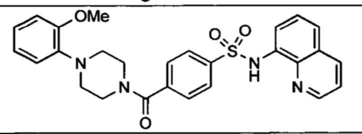
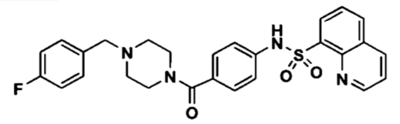
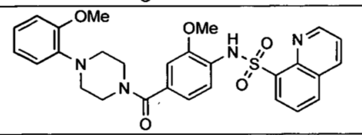
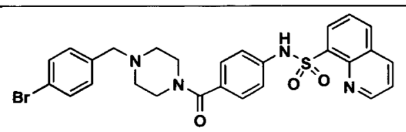
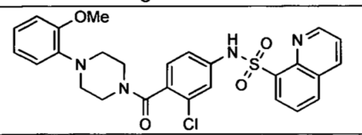
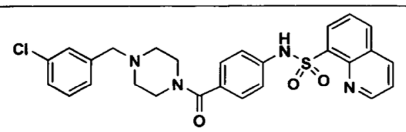
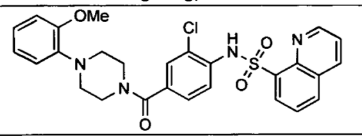
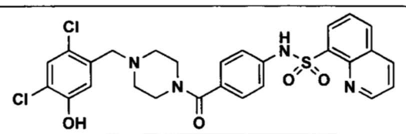
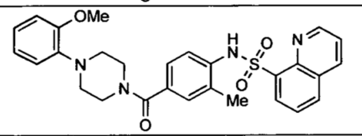
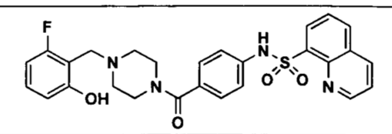
9. Forbindelse ifølge et hvilket som helst av kravene 6-8, hvor n er 0.

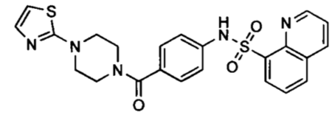
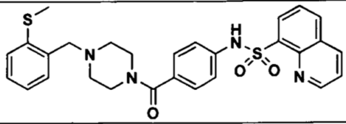
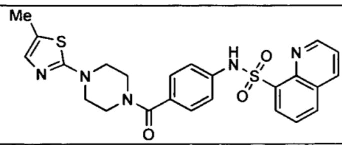
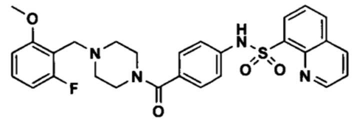
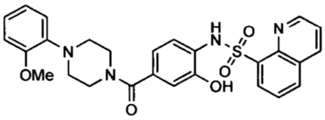
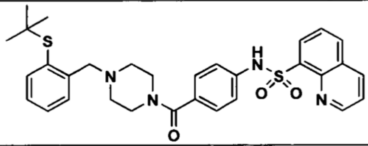
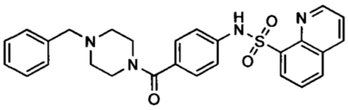
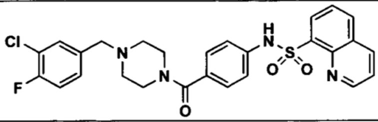
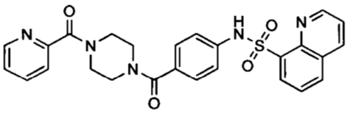
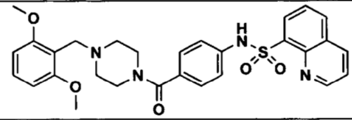
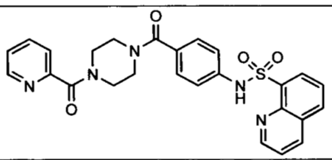
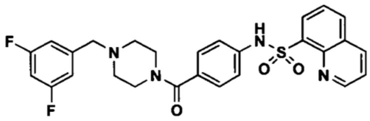
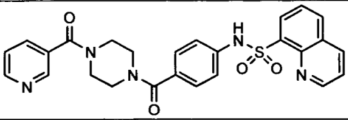
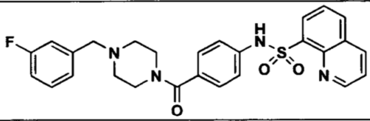
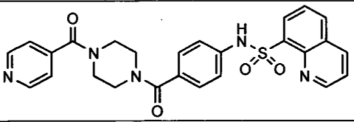
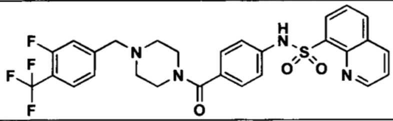
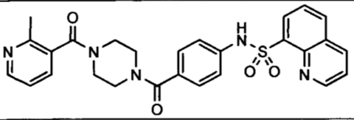
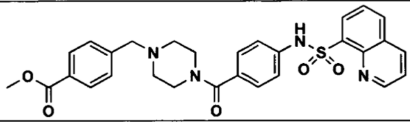
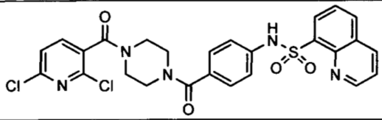
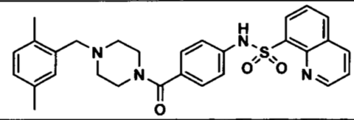
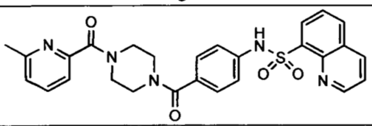
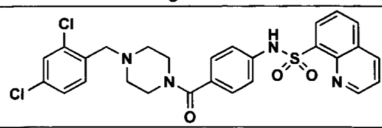
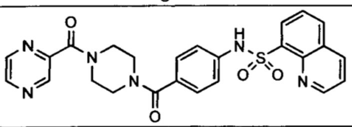
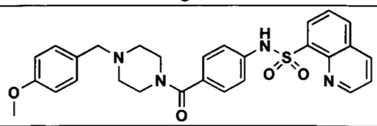
10. Forbindelse ifølge et hvilket som helst av kravene 6-8, hvor n er 1 og R^3 er CH_3, CH_2CH_3, OCH_3, OCH_2CH_3, OH, F, Cl, eller CF_3.

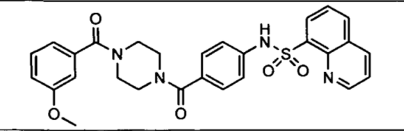
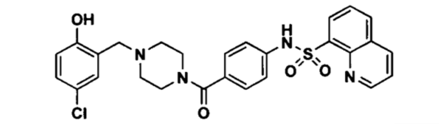
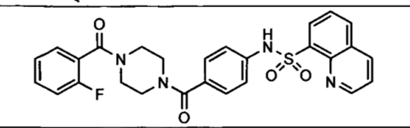
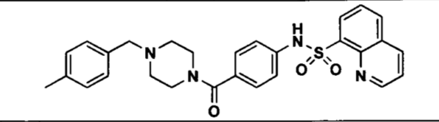
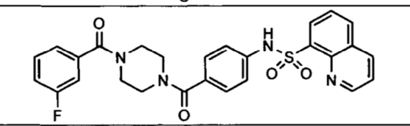
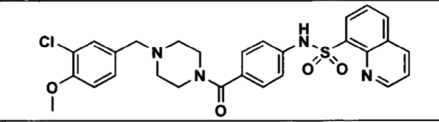
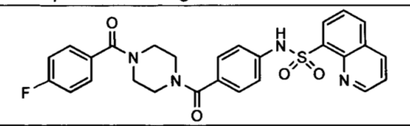
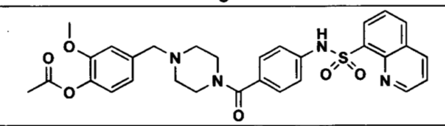
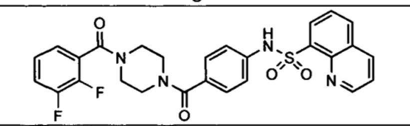
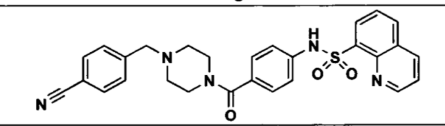
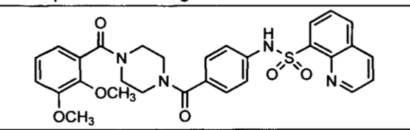
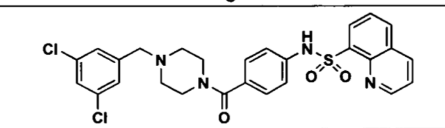
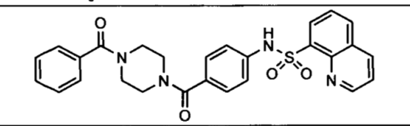
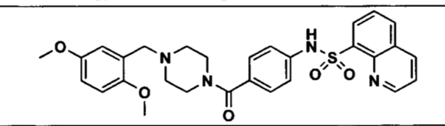
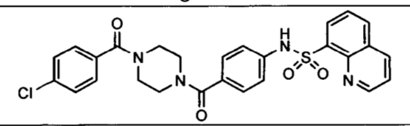
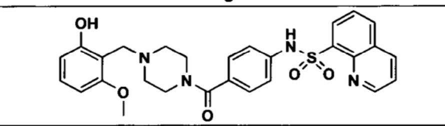
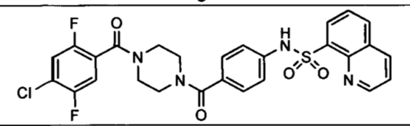
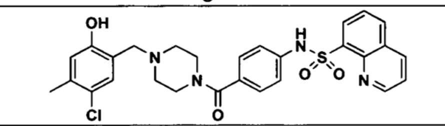
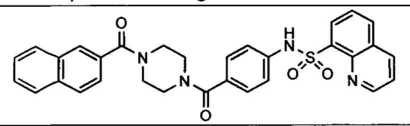
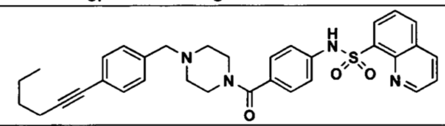
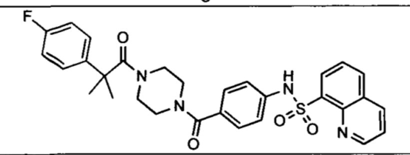
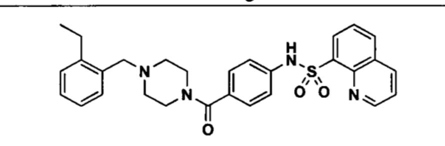
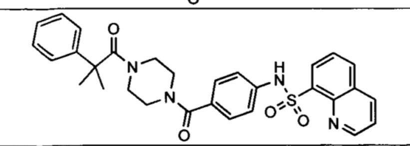
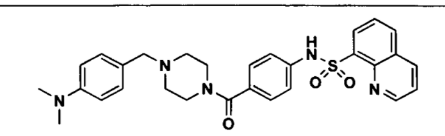
11. Forbindelse ifølge krav 1, eller et farmasøytisk akseptabelt salt derav, hvor forbindelsen er en forbindelse med formel:

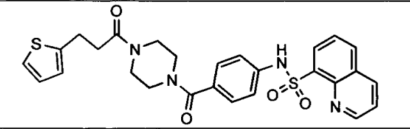
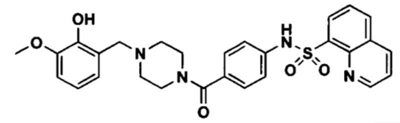
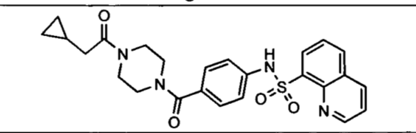
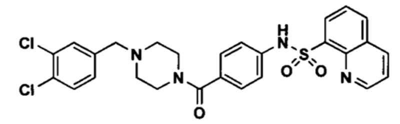
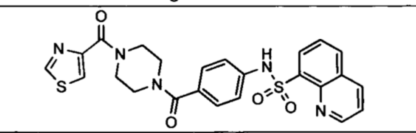
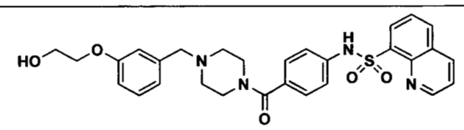
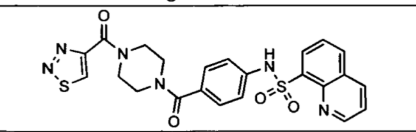
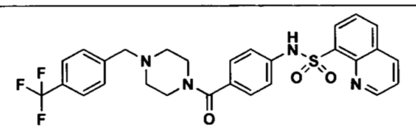
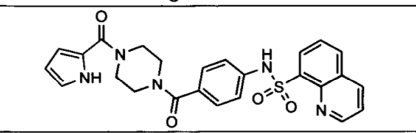
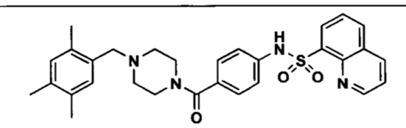
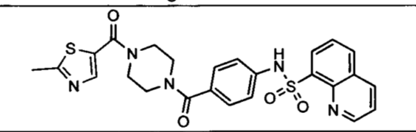
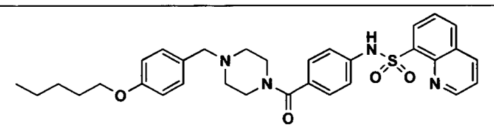
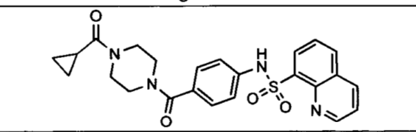
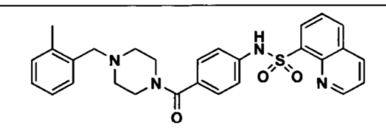
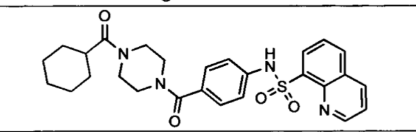
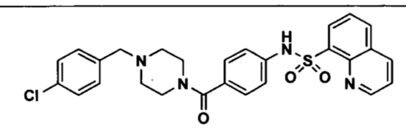
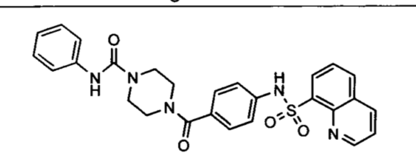
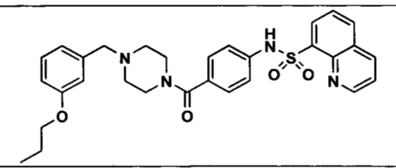
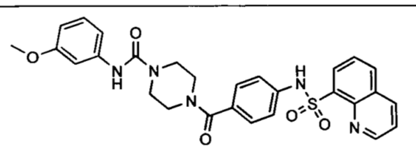
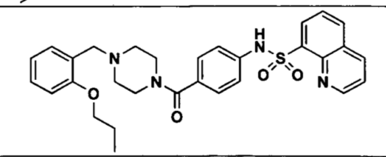
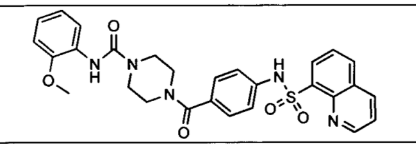
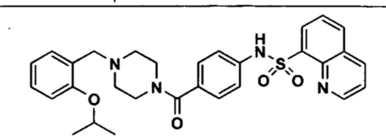


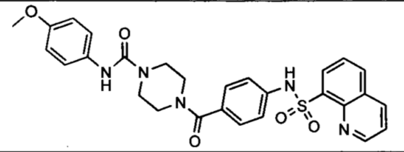
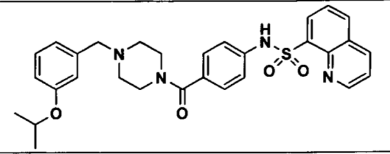
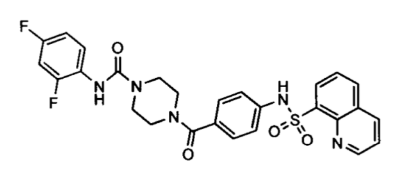
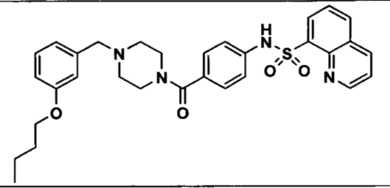
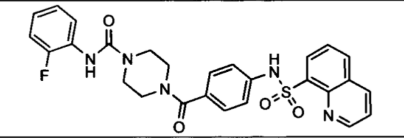
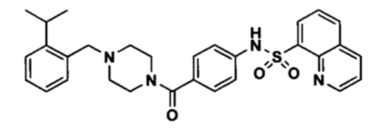
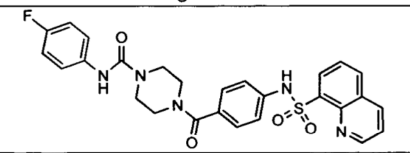
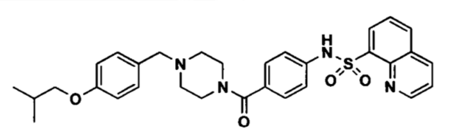
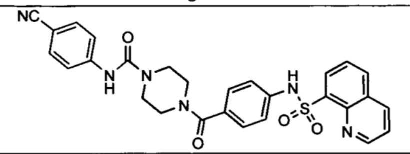
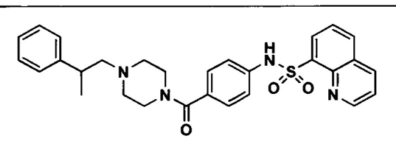
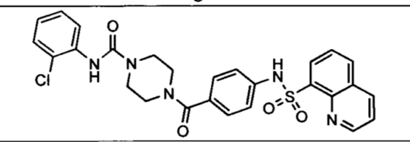
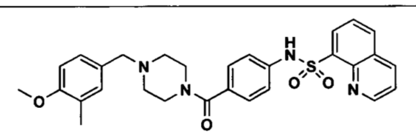
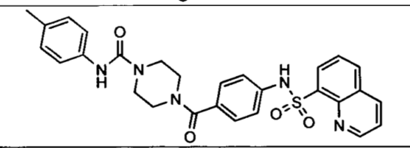
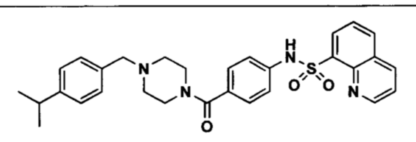
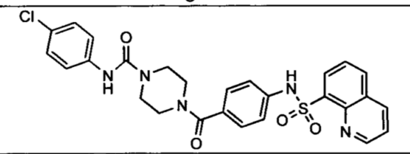
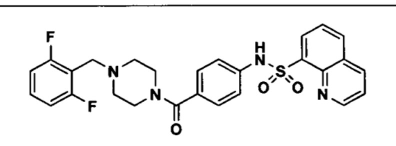
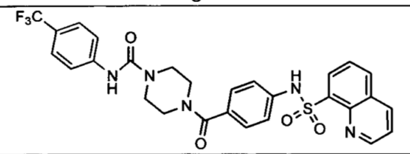
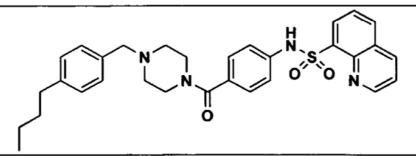
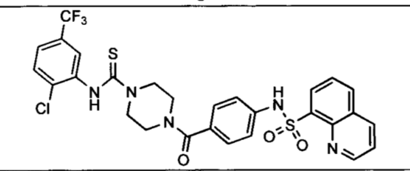
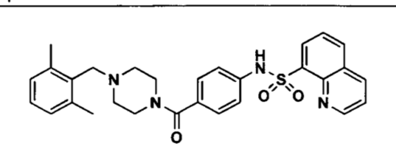
12. Forbindelse ifølge krav 1, hvor forbindelsen er valgt fra gruppen bestående av:

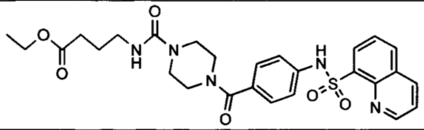
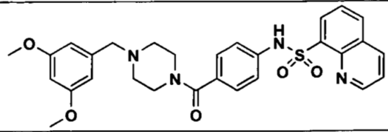
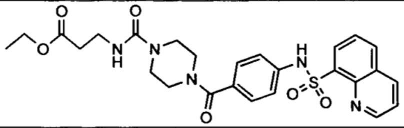
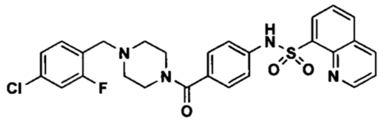
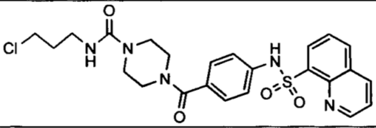
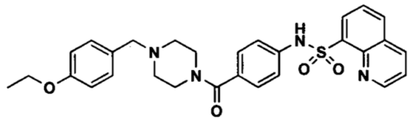
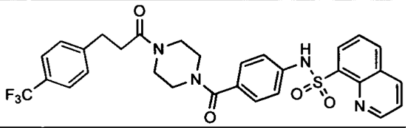
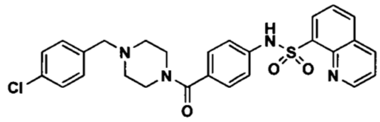
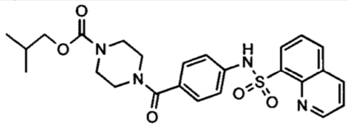
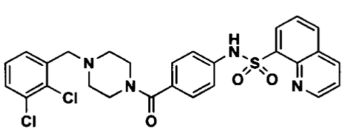
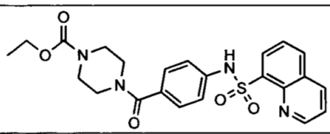
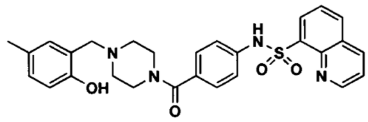
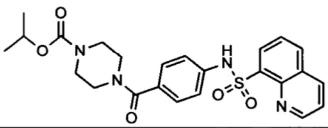
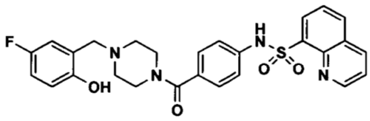
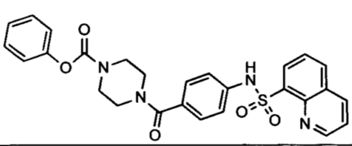
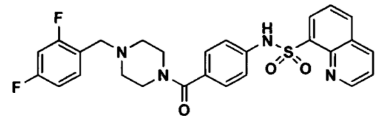
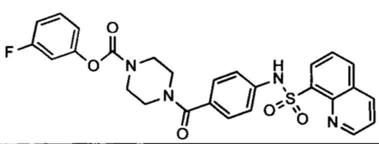
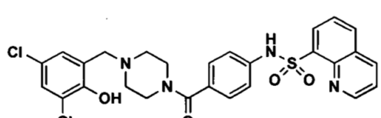
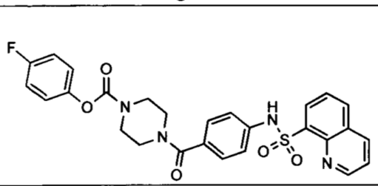
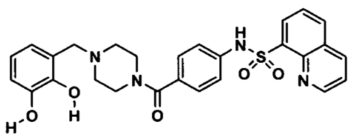
	
	
	
	
	
	
	
	
	
	
	
	

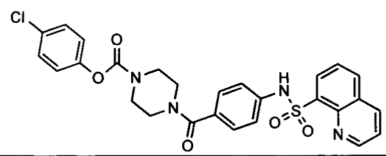
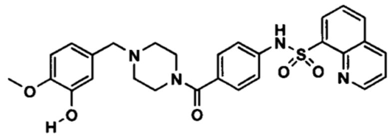
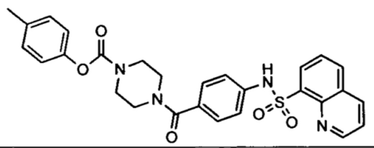
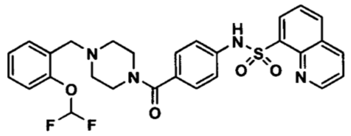
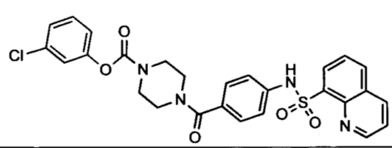
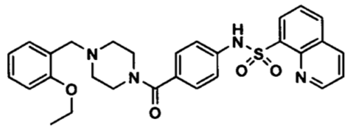
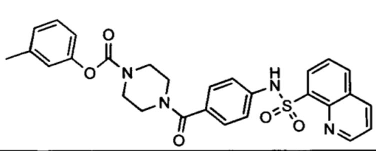
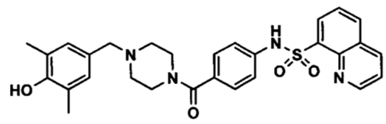
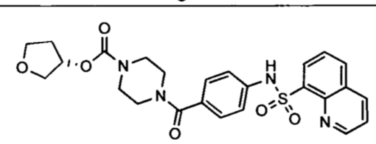
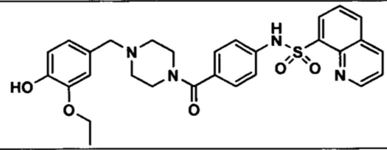
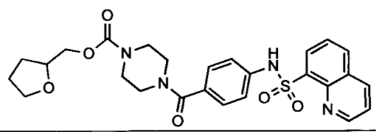
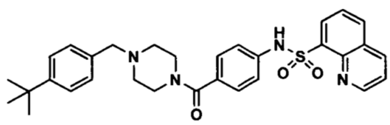
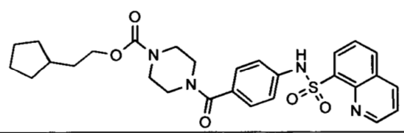
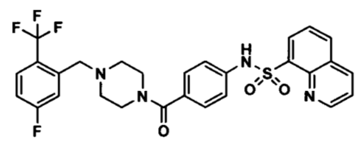
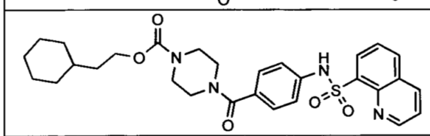
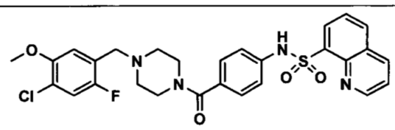
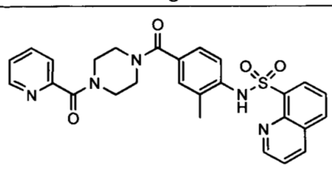
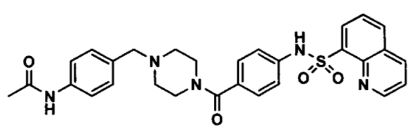
	
	
	
	
	
	
	
	
	
	
	
	

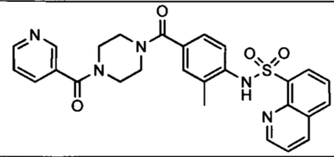
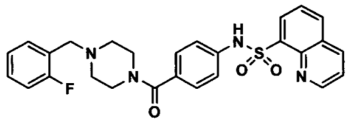
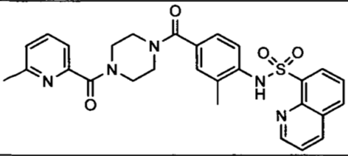
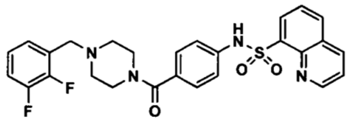
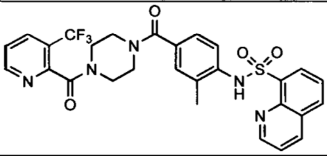
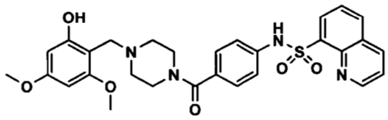
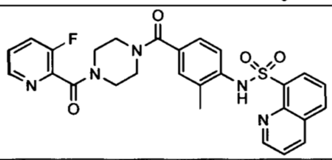
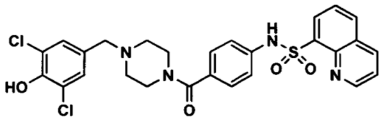
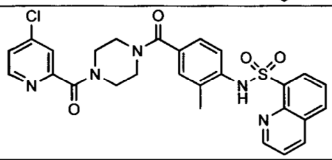
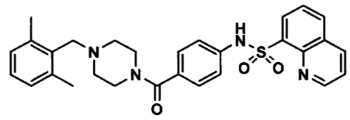
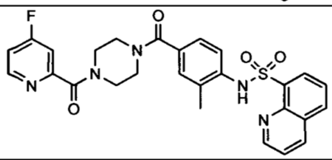
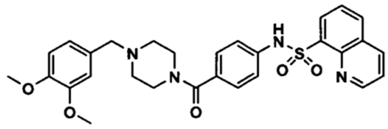
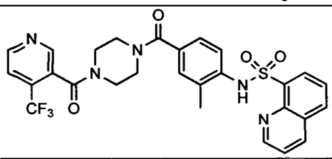
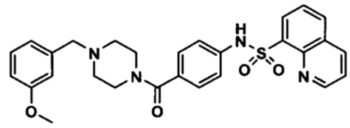
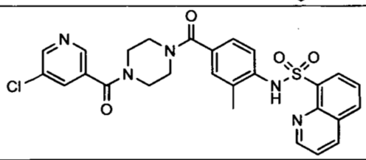
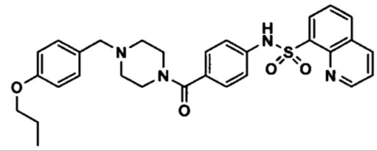
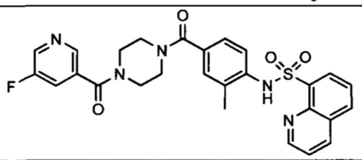
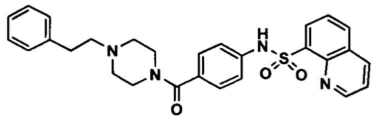
	
	
	
	
	
	
	
	
	
	
	
	

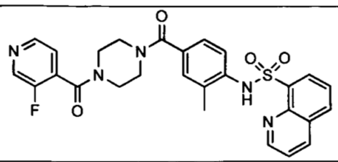
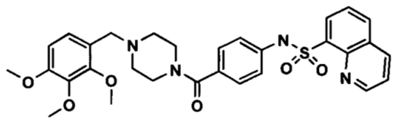
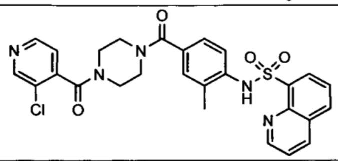
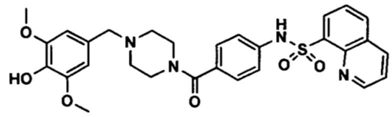
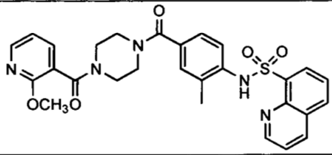
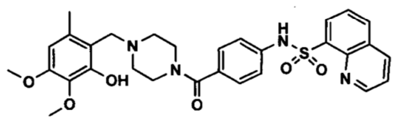
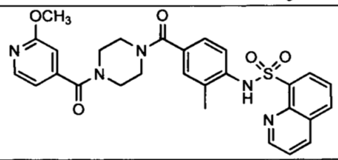
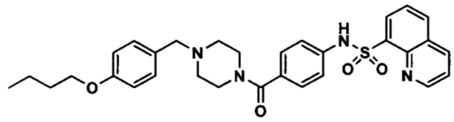
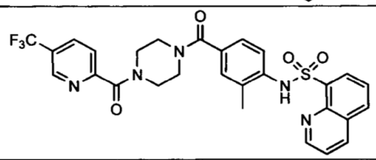
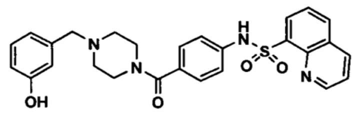
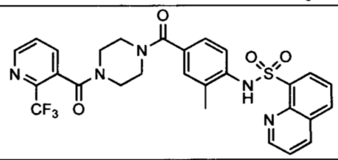
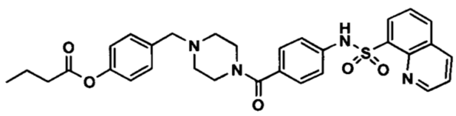
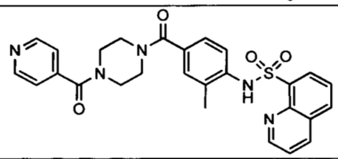
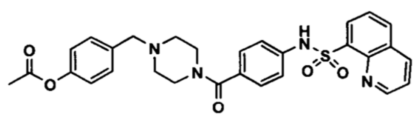
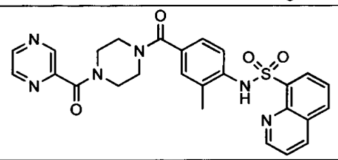
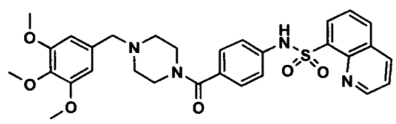
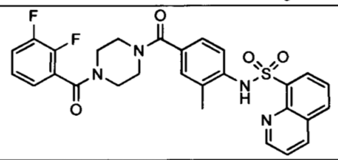
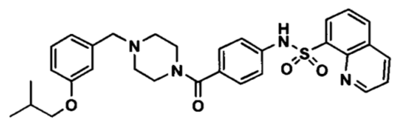
	
	
	
	
	
	
	
	
	
	
	

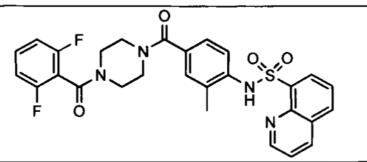
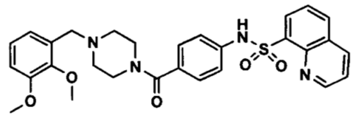
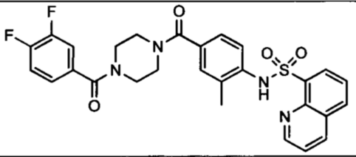
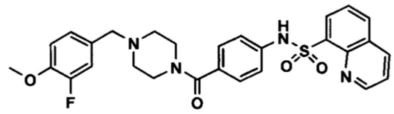
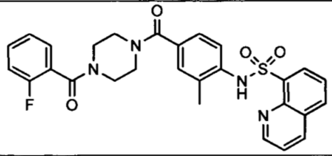
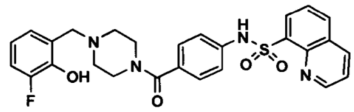
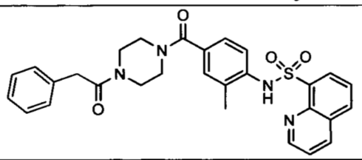
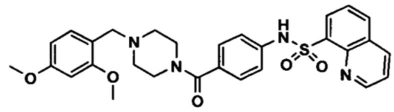
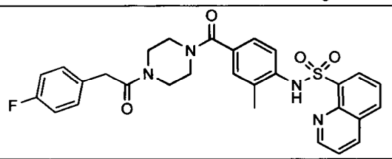
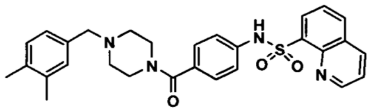
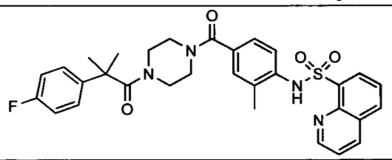
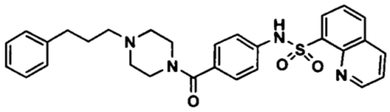
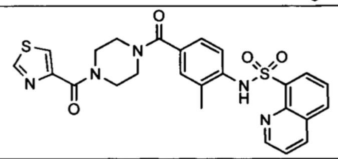
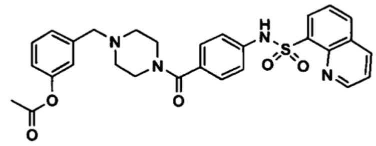
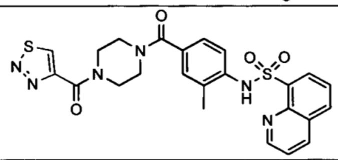
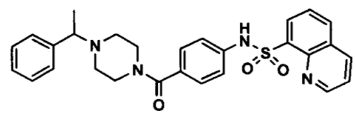
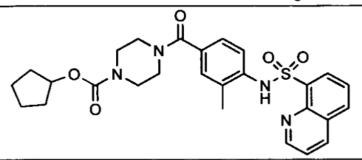
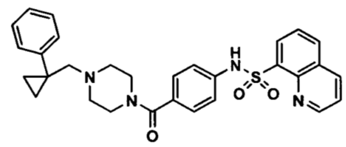
	
	
	
	
	
	
	
	
	
	

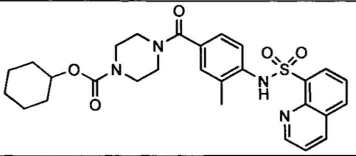
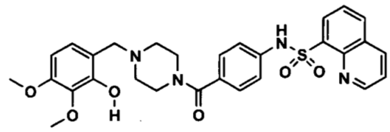
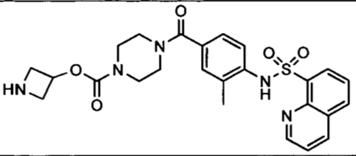
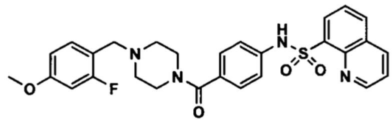
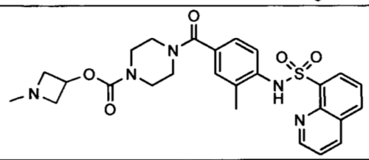
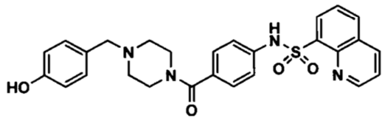
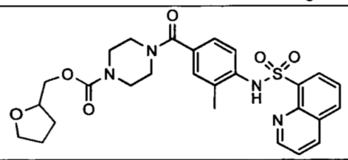
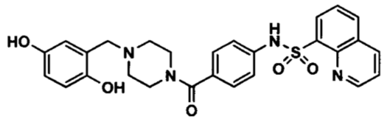
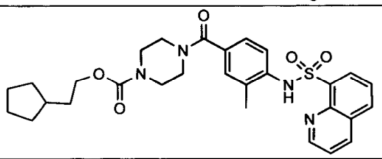
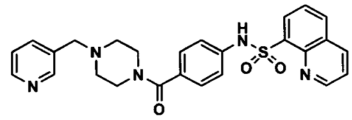
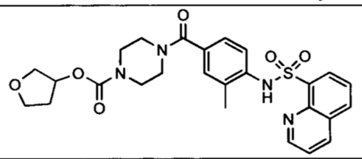
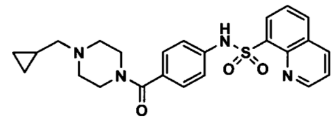
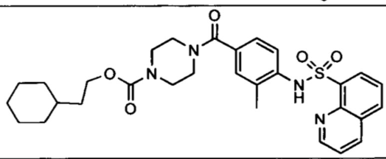
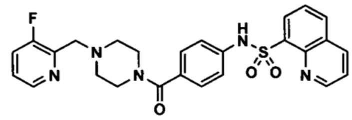
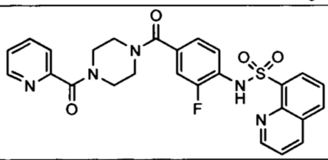
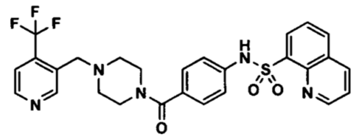
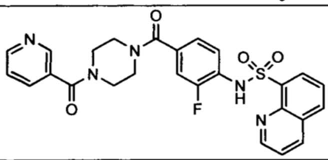
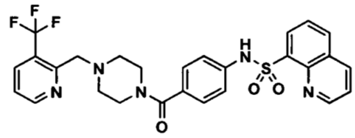
	
	
	
	
	
	
	
	
	
	

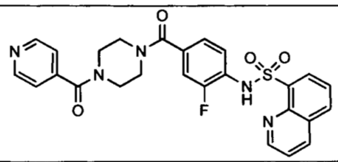
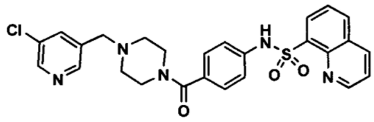
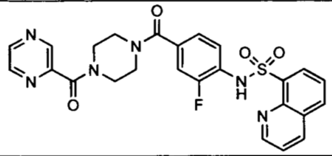
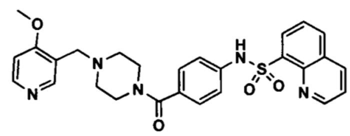
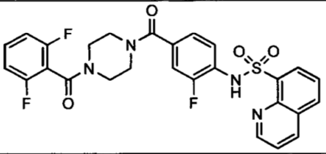
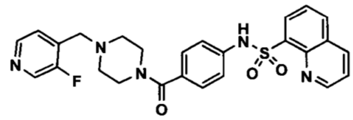
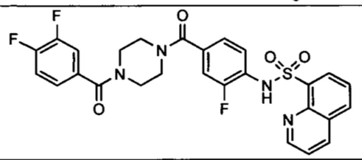
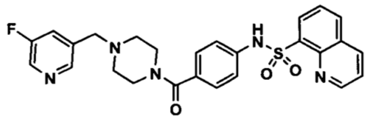
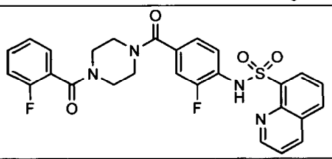
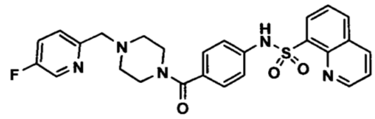
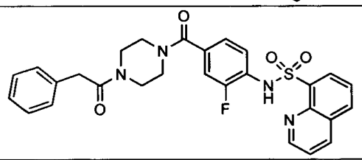
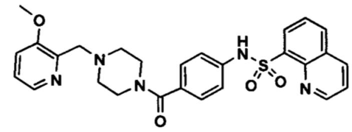
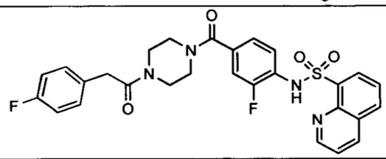
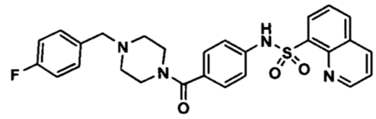
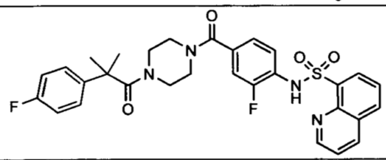
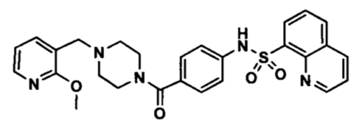
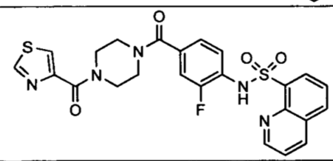
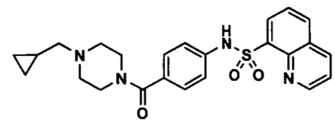
	
	
	
	
	
	
	
	
	

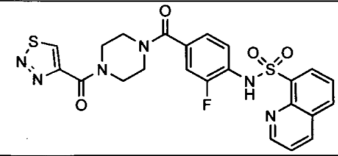
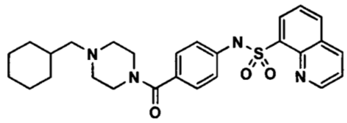
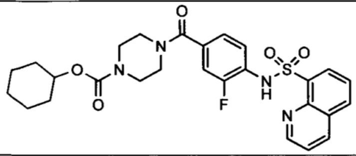
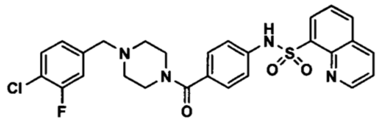
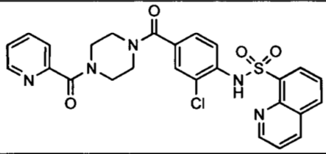
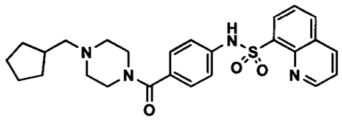
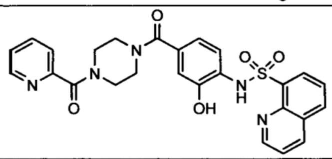
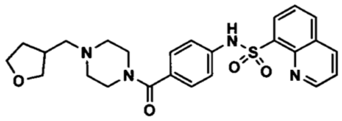
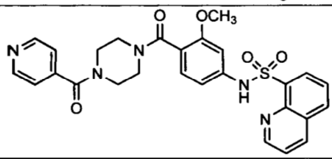
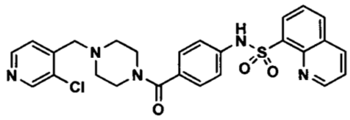
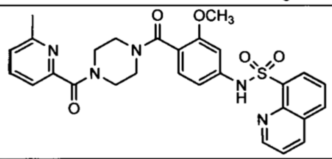
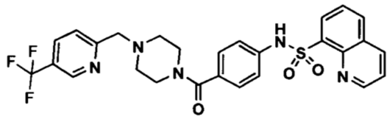
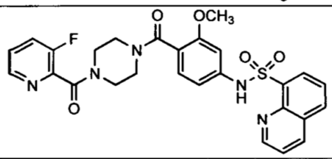
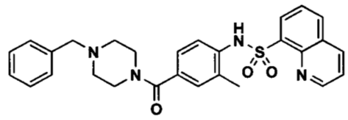
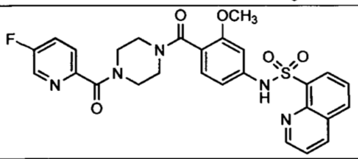
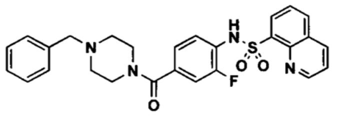
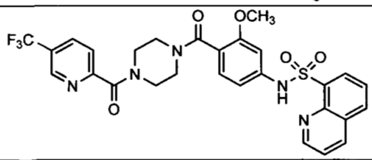
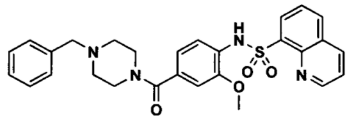
	
	
	
	
	
	
	
	
	

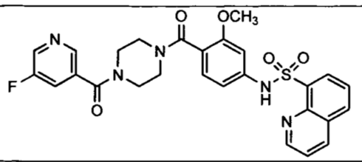
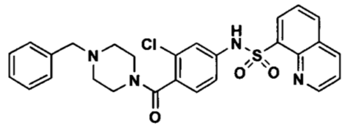
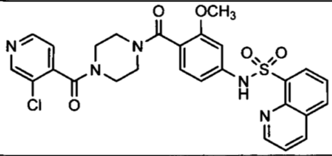
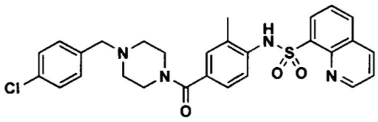
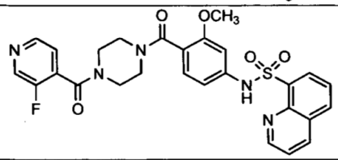
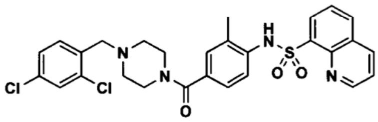
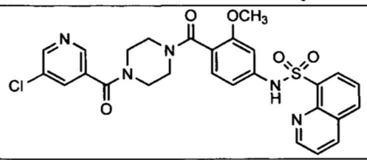
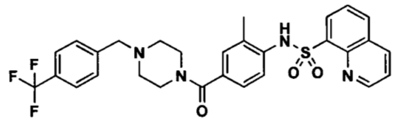
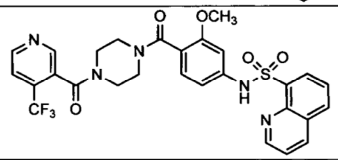
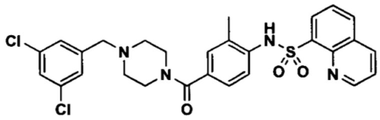
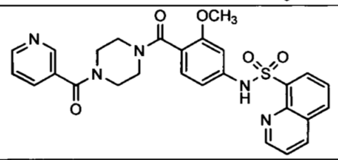
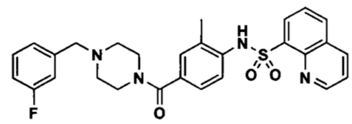
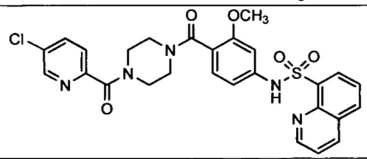
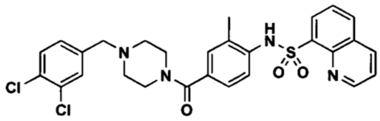
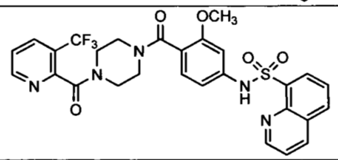
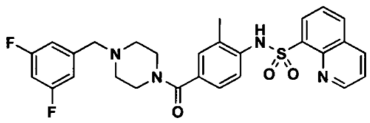
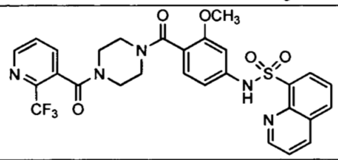
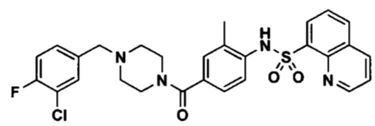
	
	
	
	
	
	
	
	
	

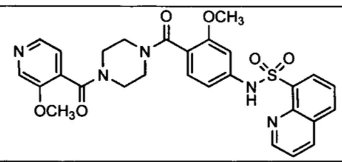
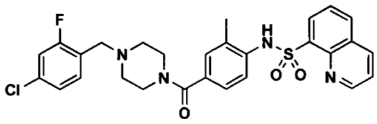
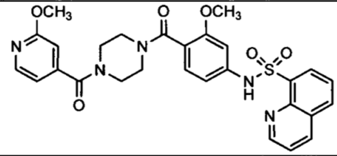
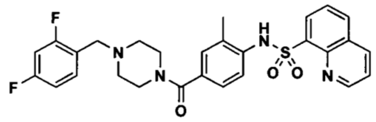
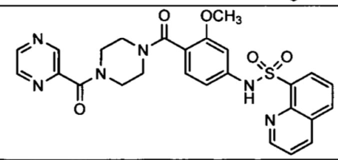
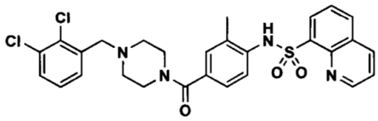
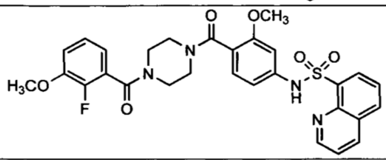
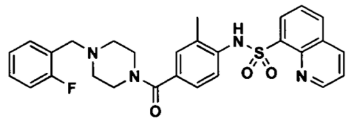
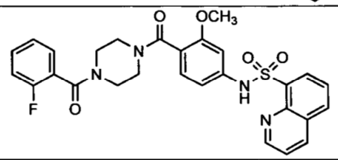
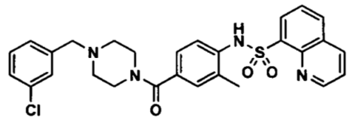
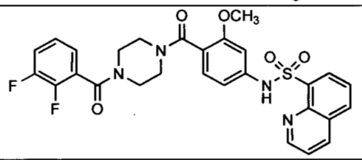
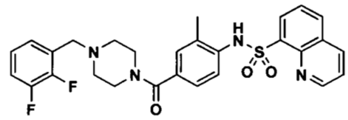
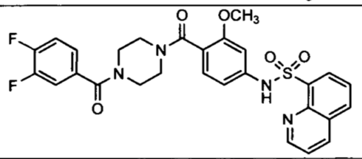
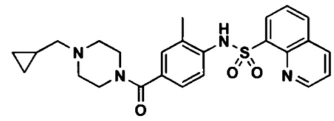
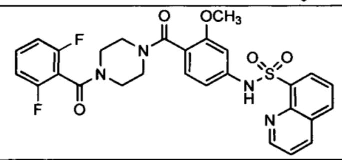
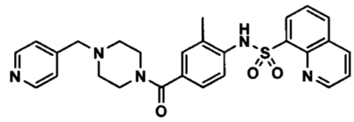
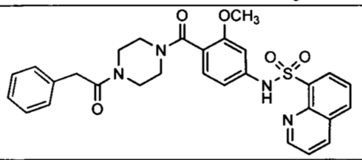
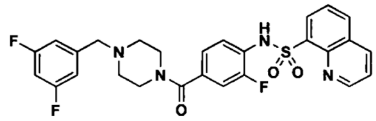
	
	
	
	
	
	
	
	
	

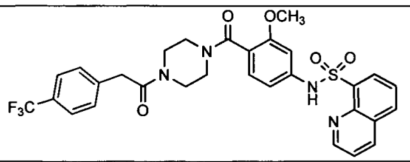
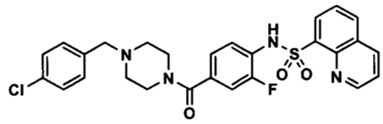
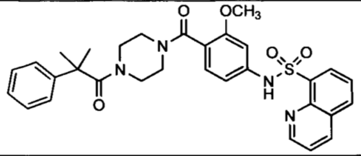
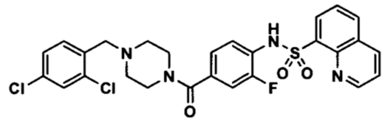
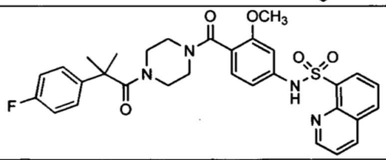
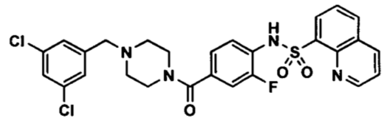
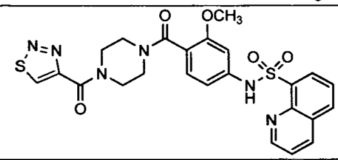
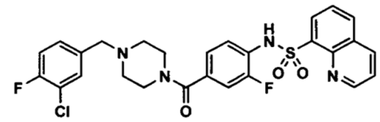
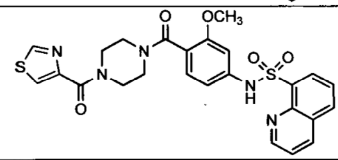
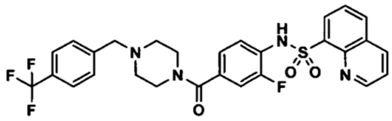
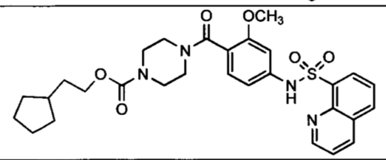
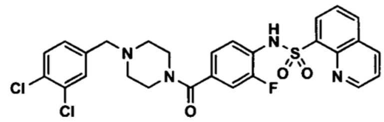
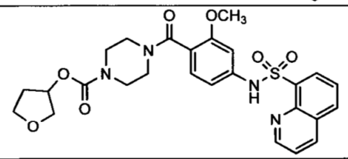
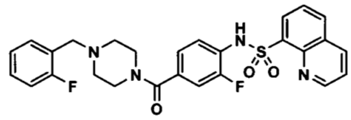
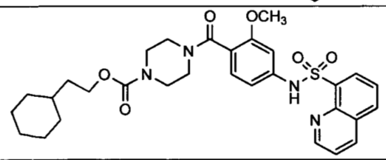
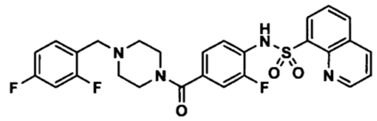
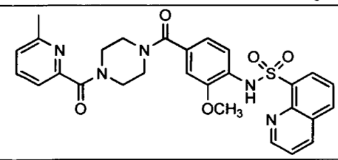
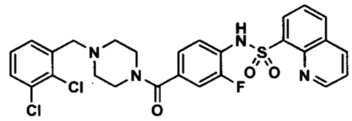
	
	
	
	
	
	
	
	
	

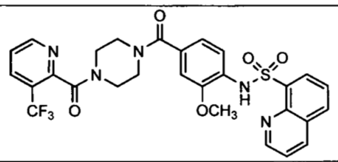
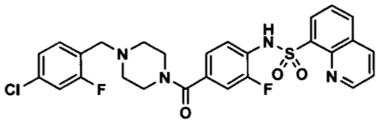
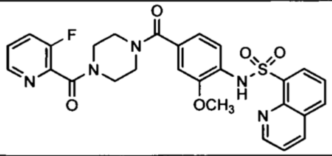
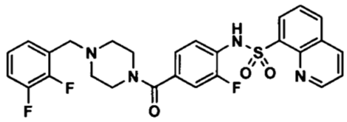
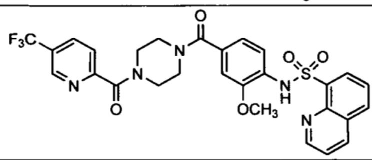
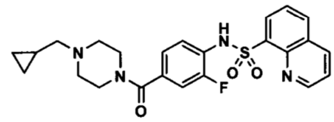
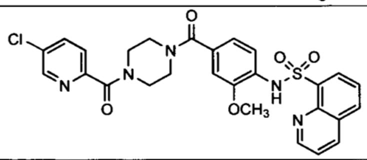
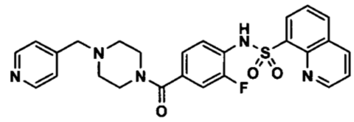
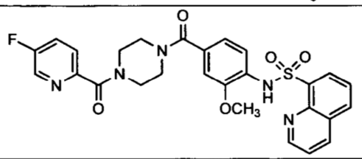
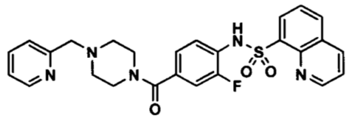
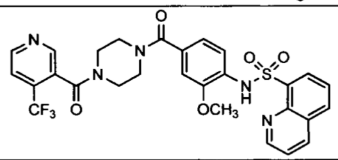
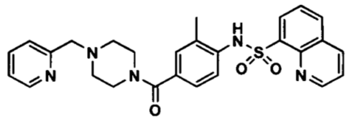
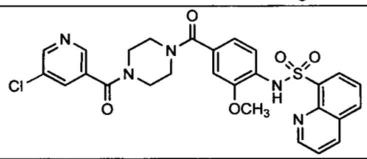
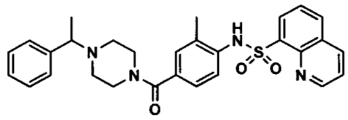
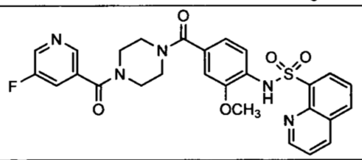
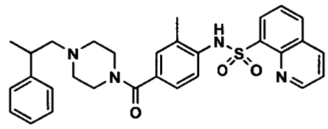
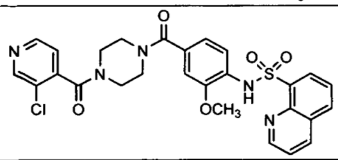
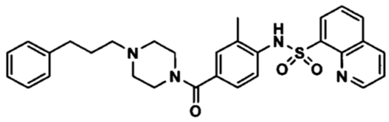
	
	
	
	
	
	
	
	
	

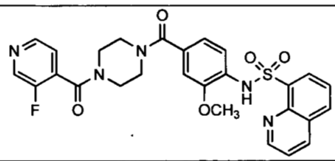
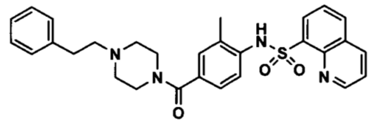
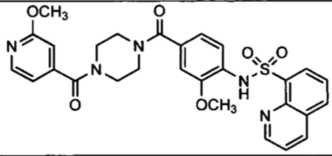
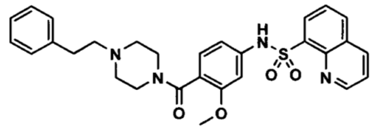
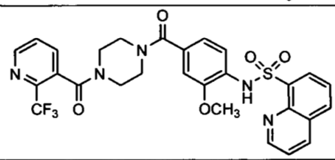
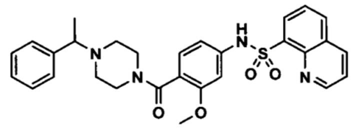
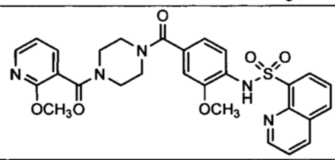
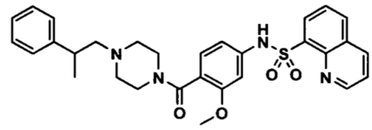
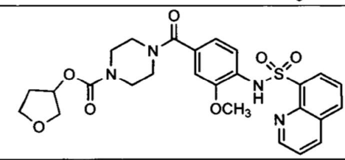
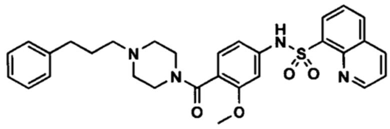
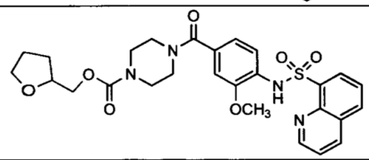
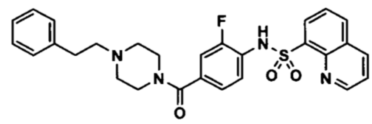
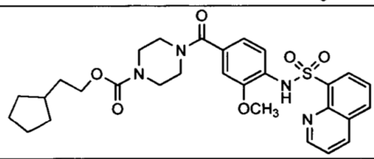
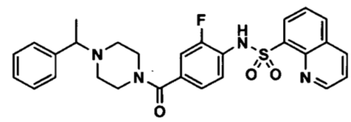
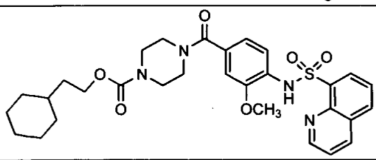
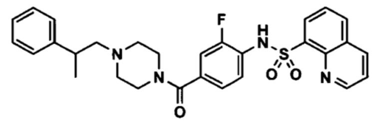
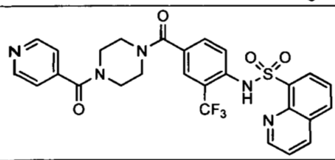
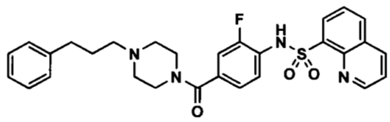
	
	
	
	
	
	
	
	
	

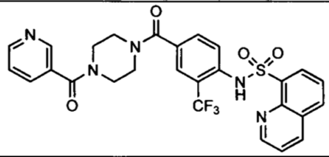
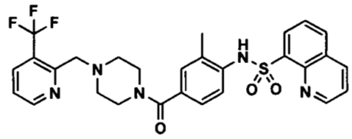
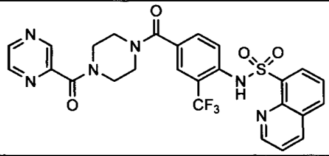
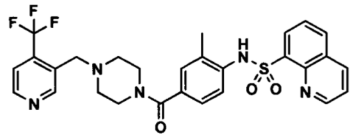
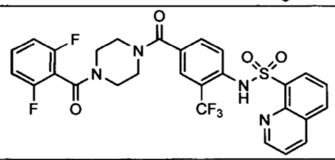
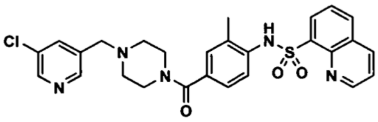
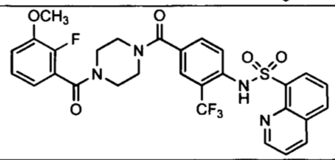
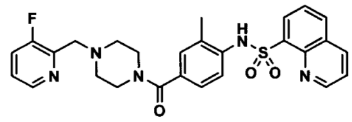
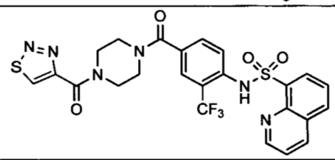
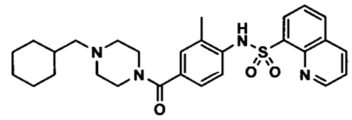
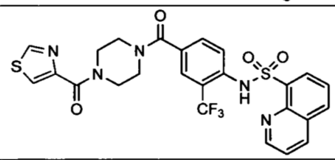
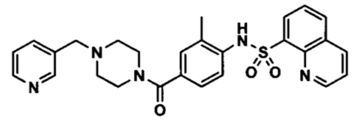
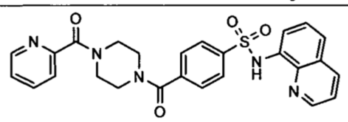
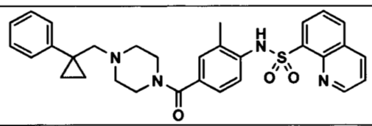
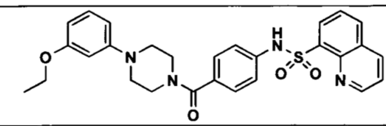
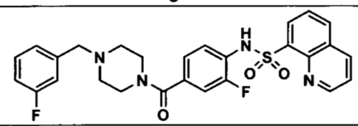
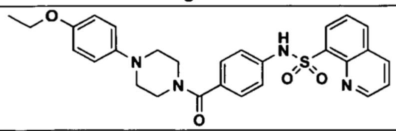
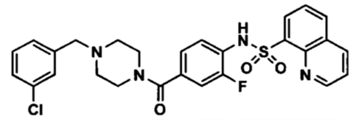
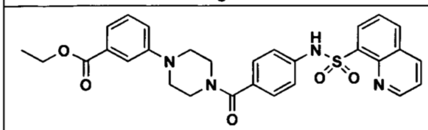
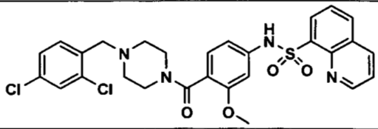
	
	
	
	
	
	
	
	
	

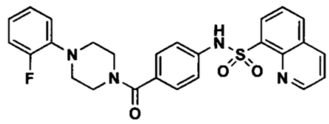
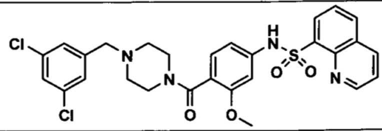
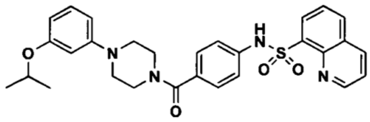
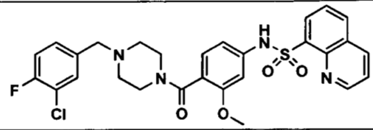
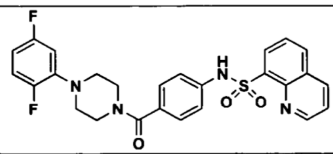
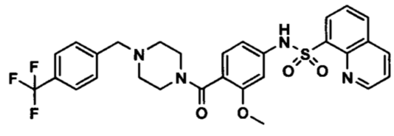
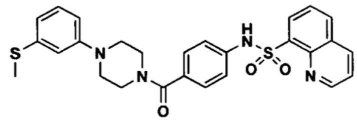
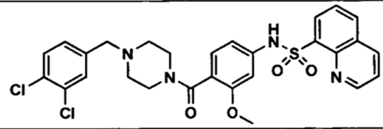
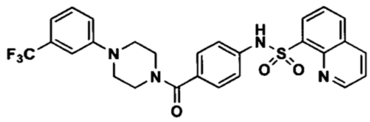
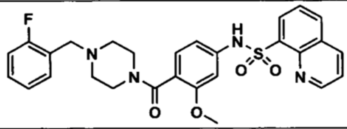
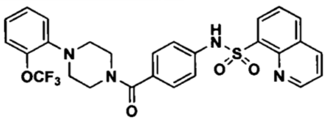
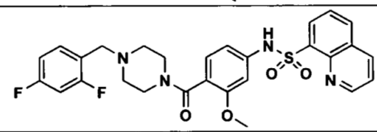
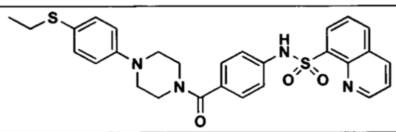
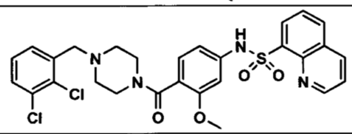
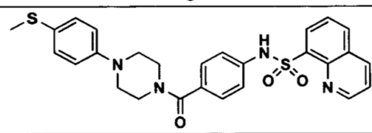
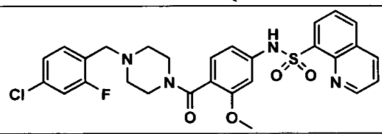
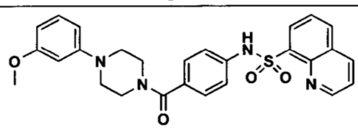
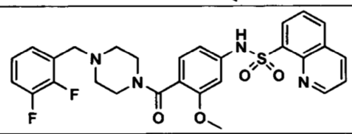
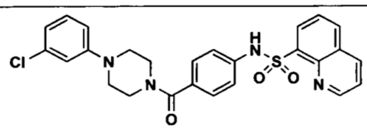
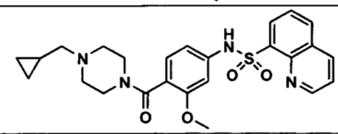
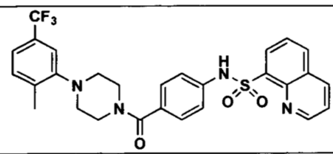
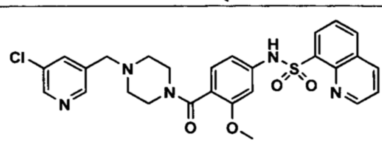
	
	
	
	
	
	
	
	
	

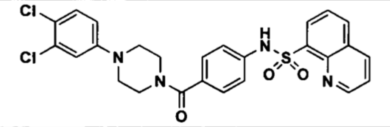
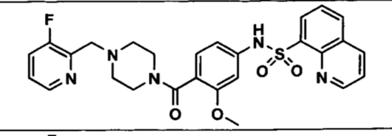
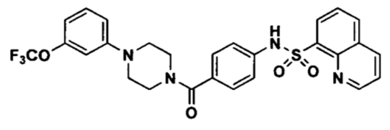
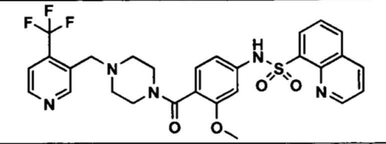
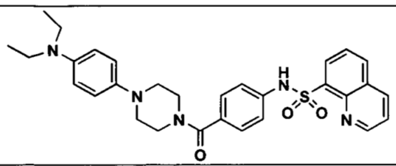
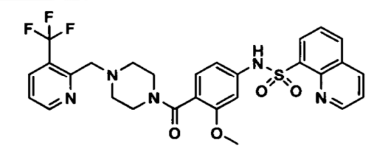
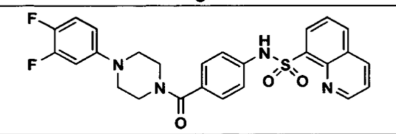
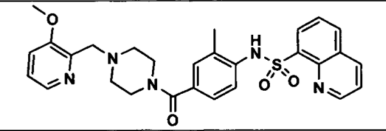
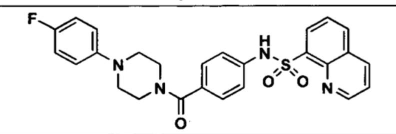
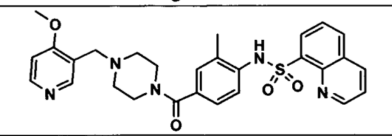
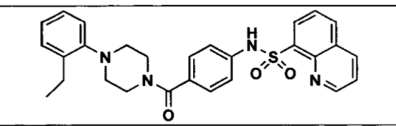
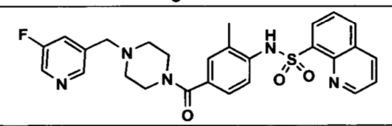
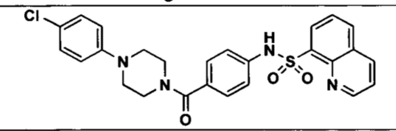
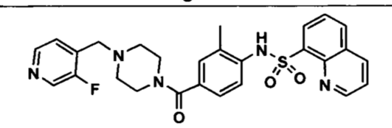
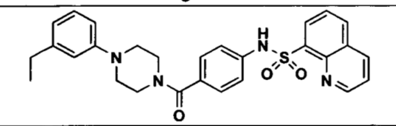
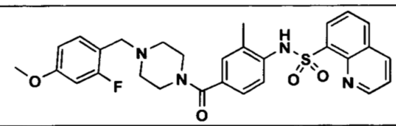
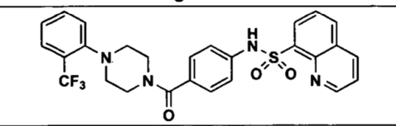
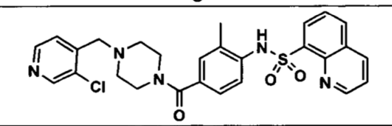
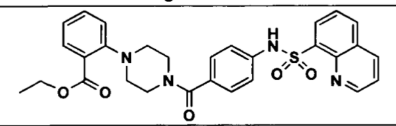
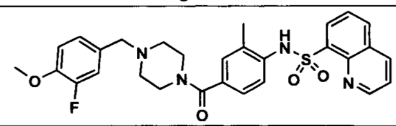
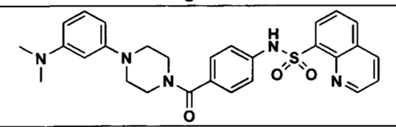
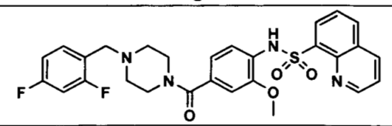
	
	
	
	
	
	
	
	
	

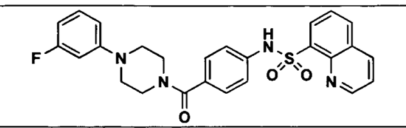
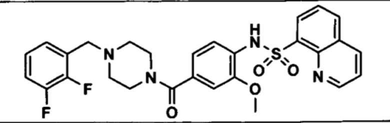
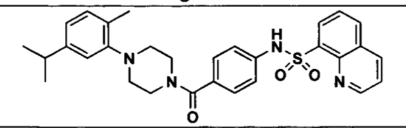
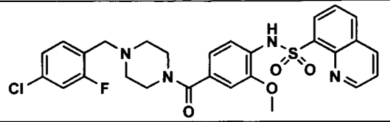
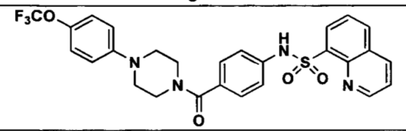
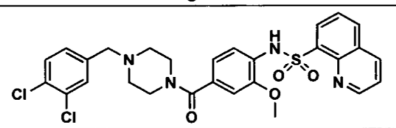
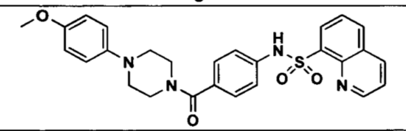
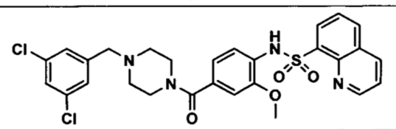
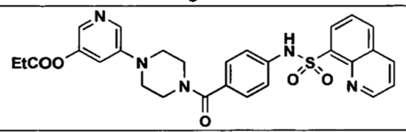
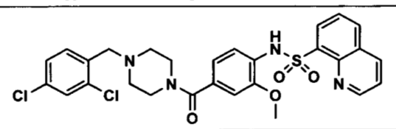
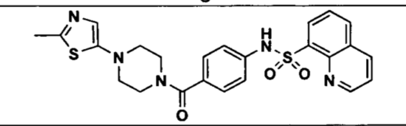
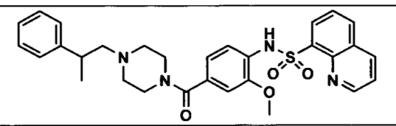
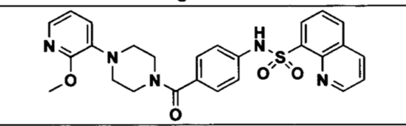
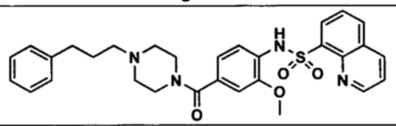
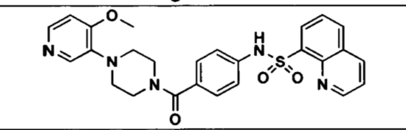
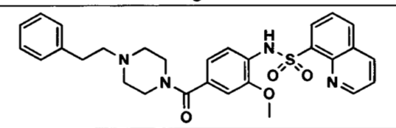
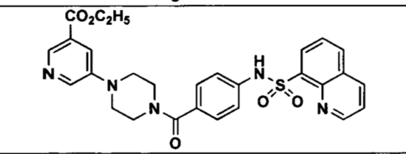
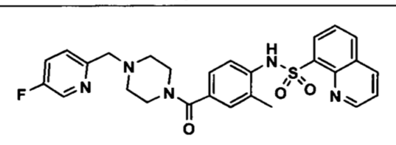
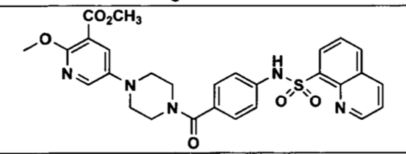
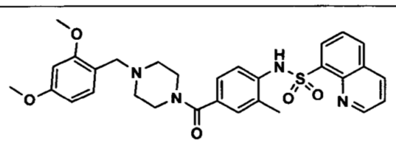
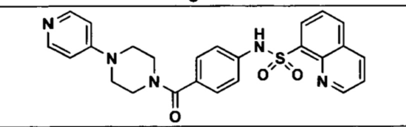
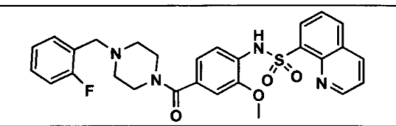
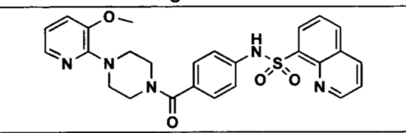
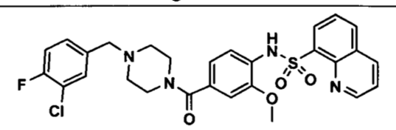
	
	
	
	
	
	
	
	
	

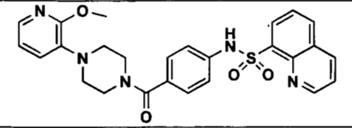
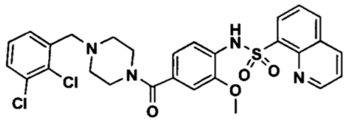
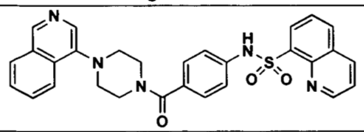
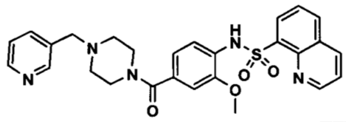
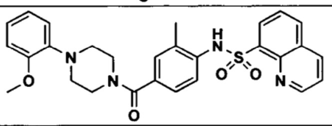
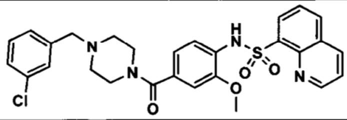
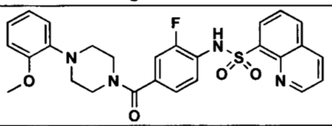
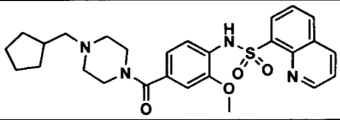
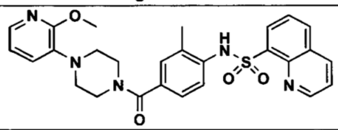
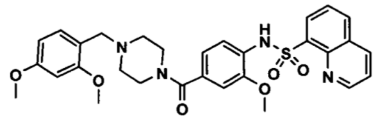
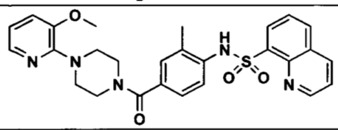
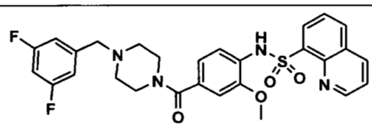
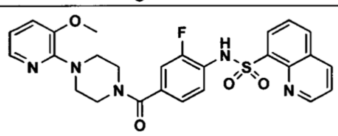
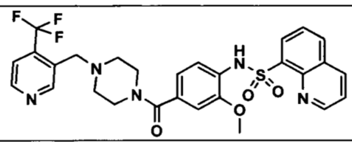
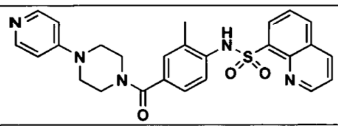
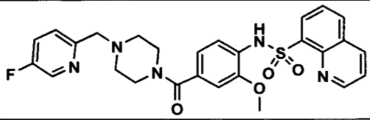
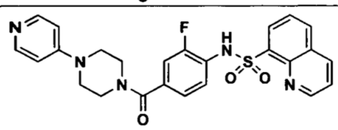
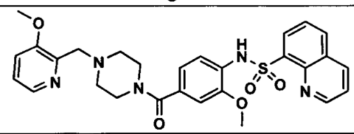
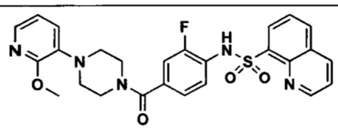
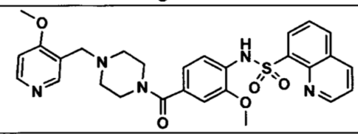
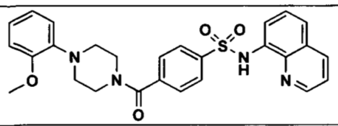
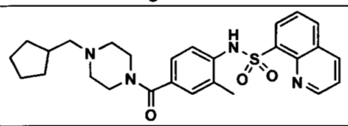
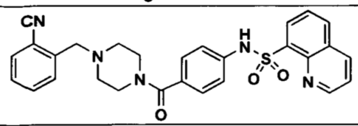
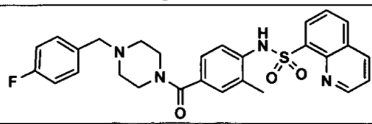
	
	
	
	
	
	
	
	
	

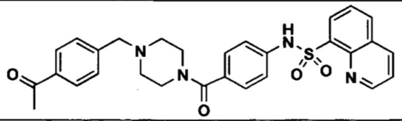
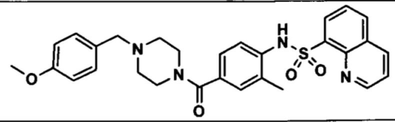
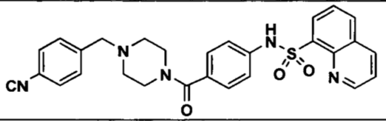
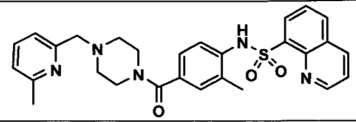
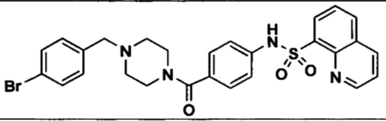
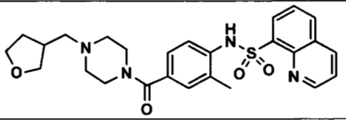
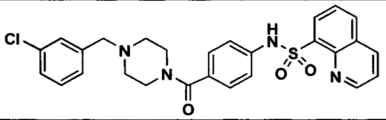
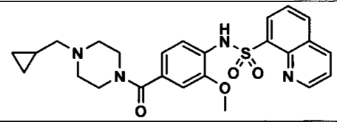
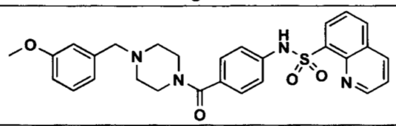
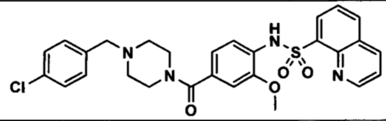
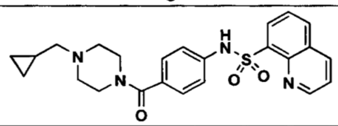
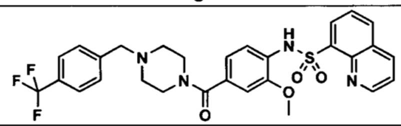
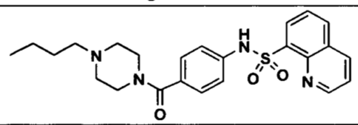
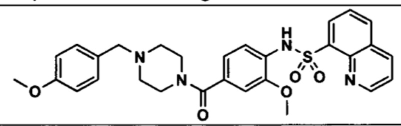
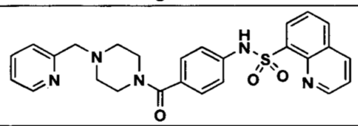
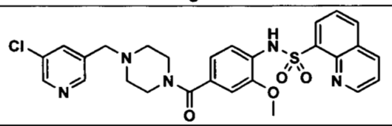
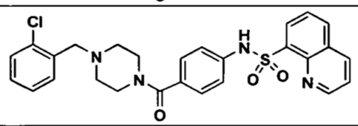
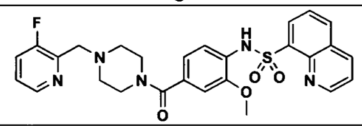
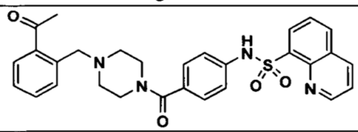
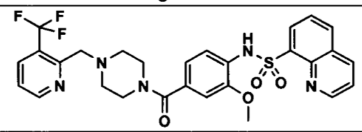
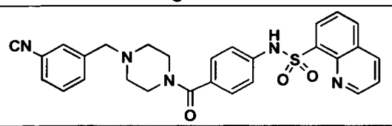
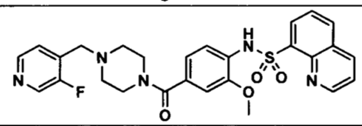
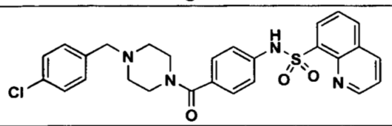
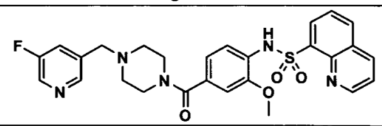
	
	
	
	
	
	
	
	
	
	

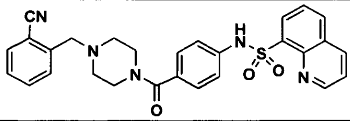
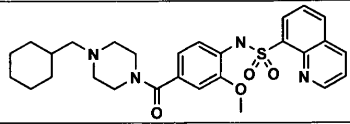
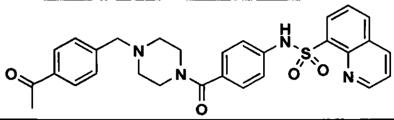
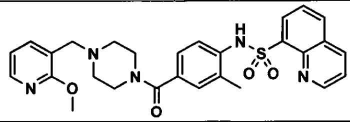
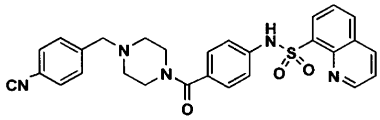
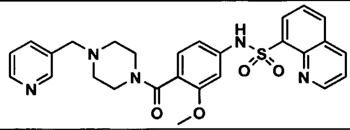
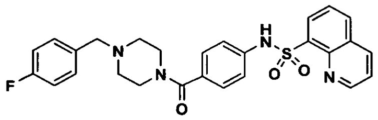
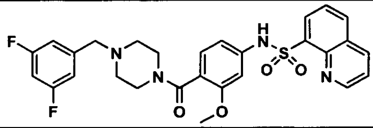
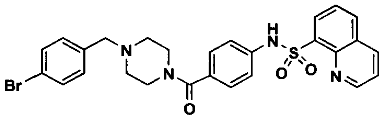
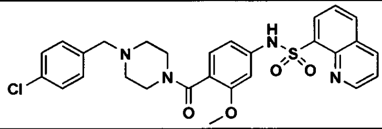
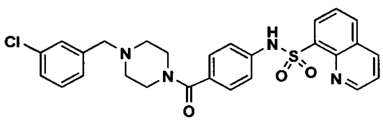
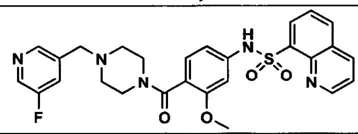
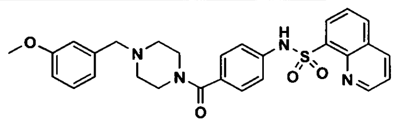
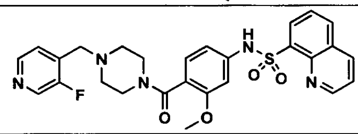
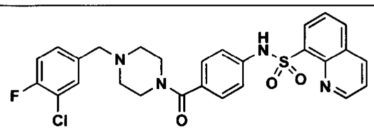
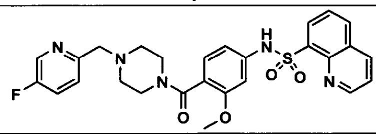
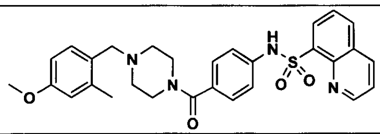
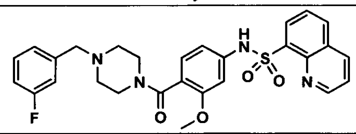
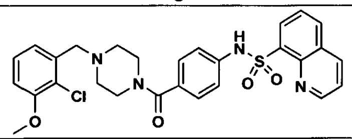
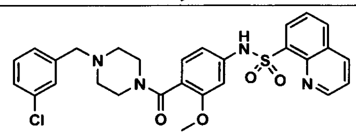
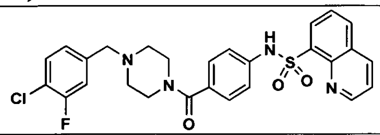
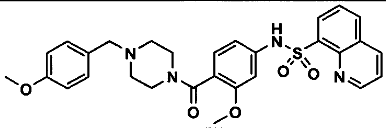
	
	
	
	
	
	
	
	
	
	
	

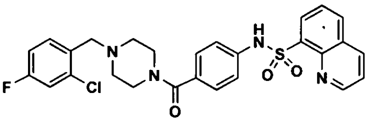
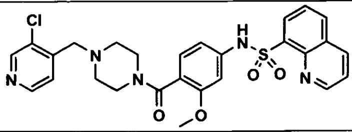
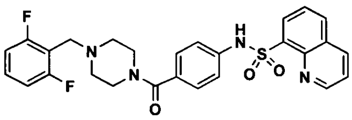
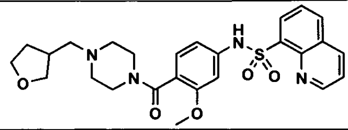
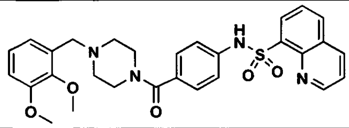
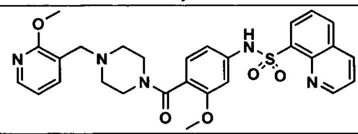
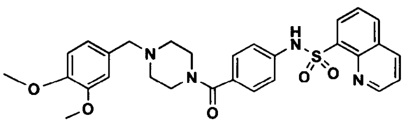
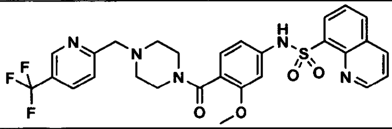
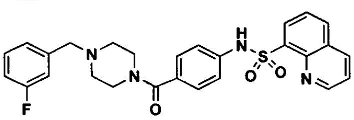
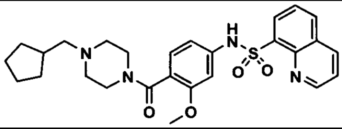
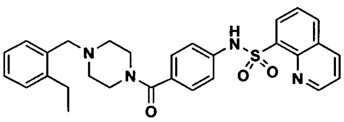
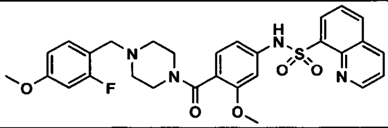
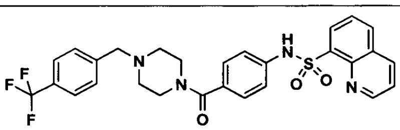
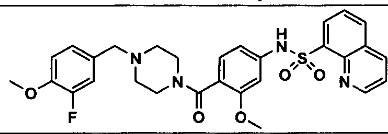
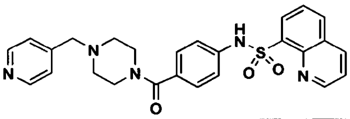
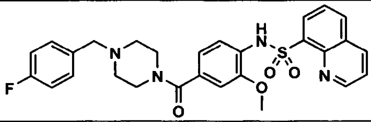
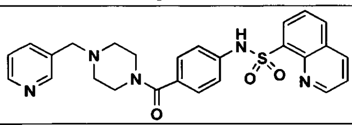
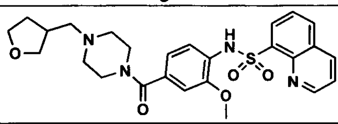
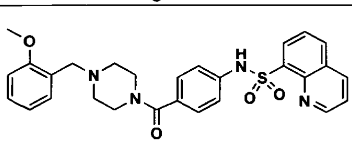
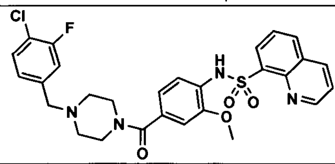
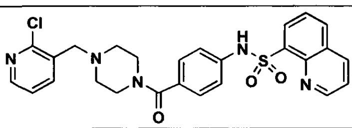
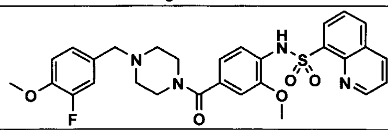
	
	
	
	
	
	
	
	
	
	
	

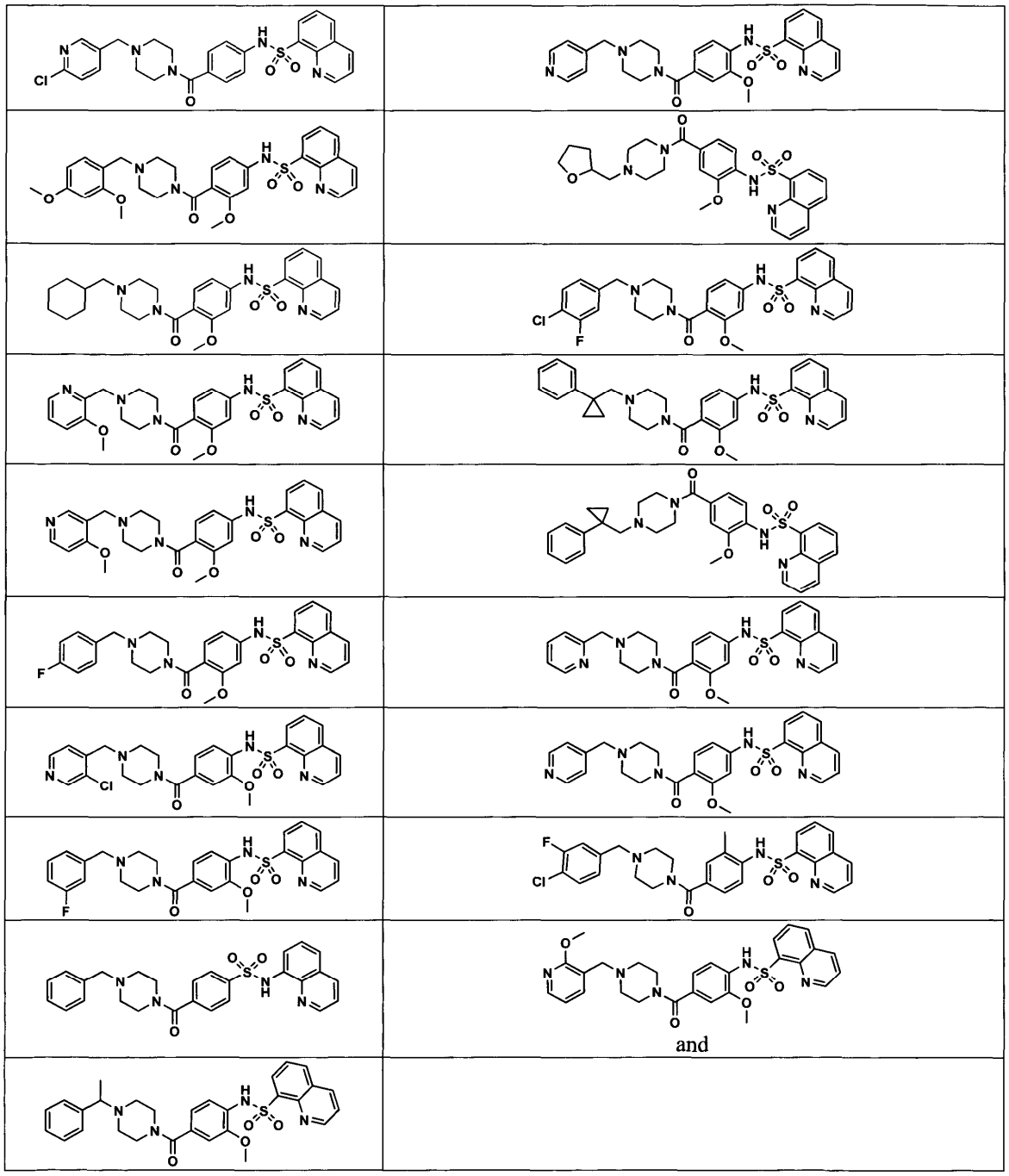
	
	
	
	
	
	
	
	
	
	
	
	

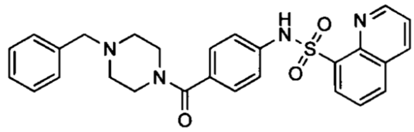
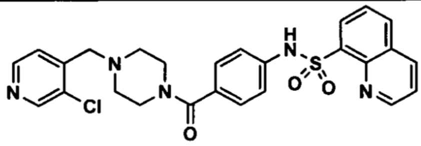
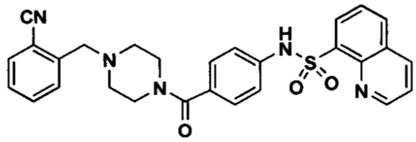
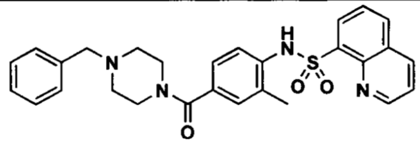
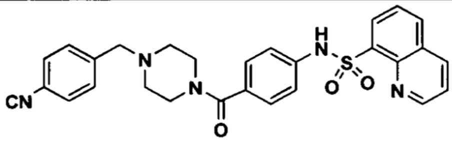
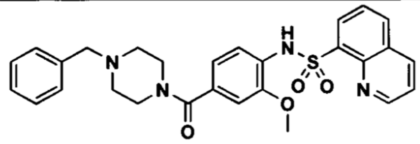
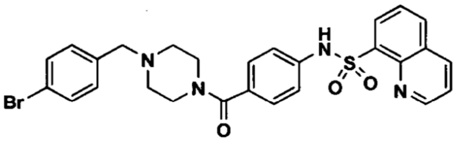
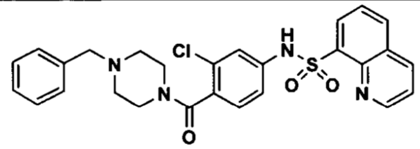
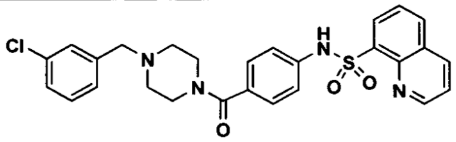
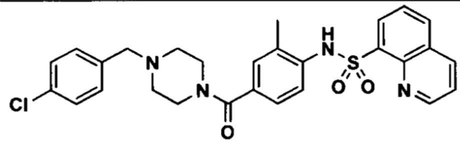
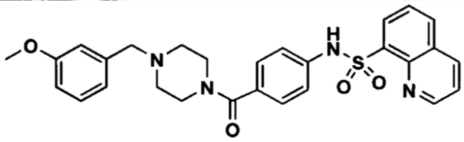
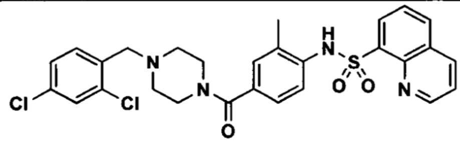
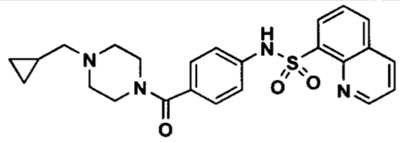
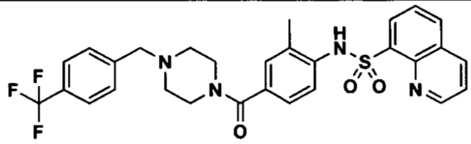
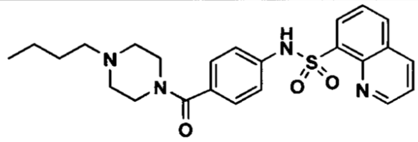
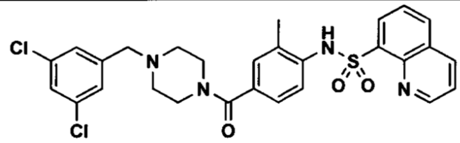
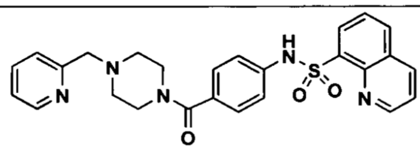
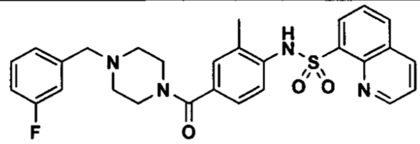
	
	
	
	
	
	
	
	
	
	
	

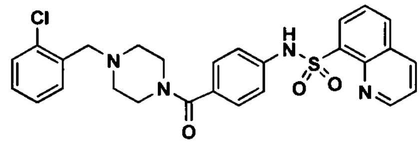
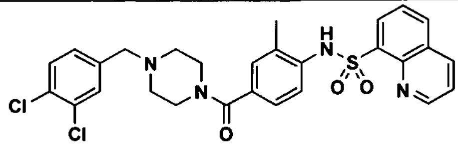
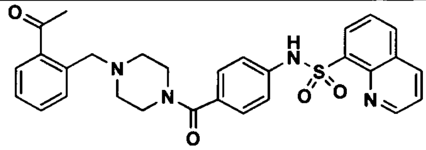
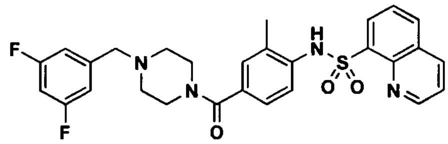
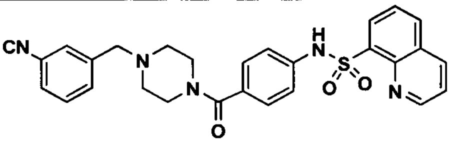
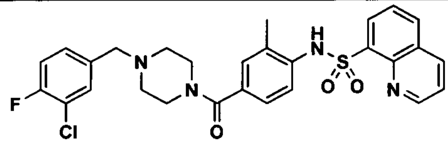
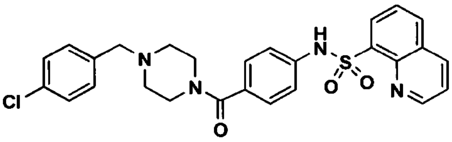
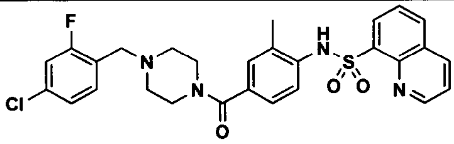
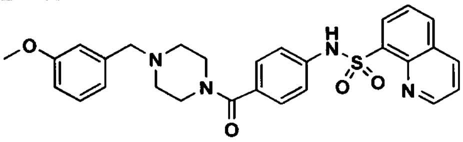
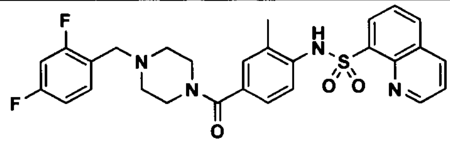
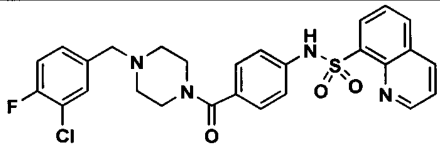
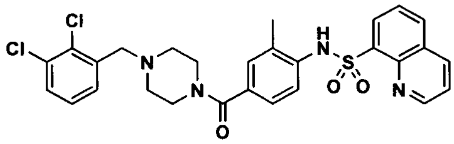
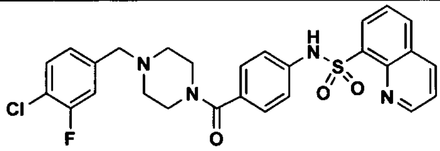
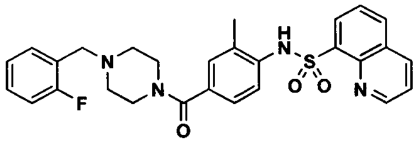
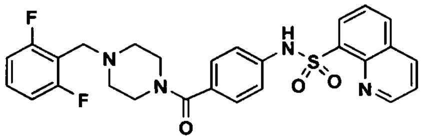
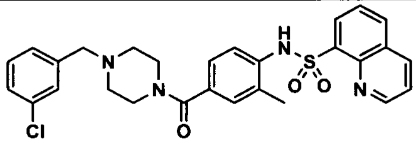
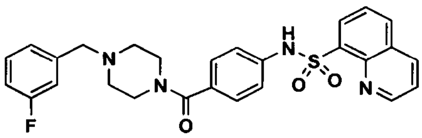
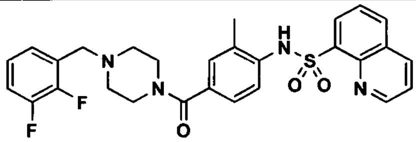
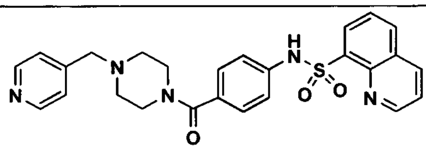
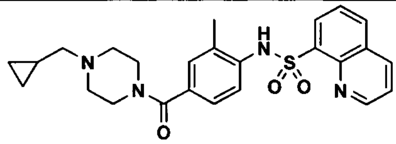


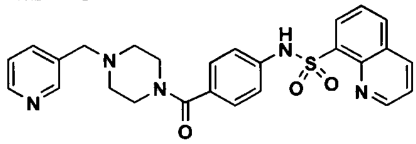
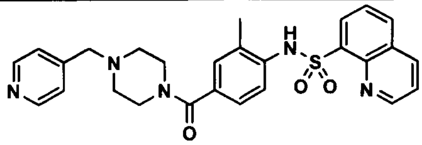
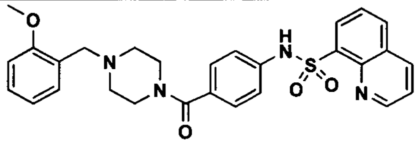
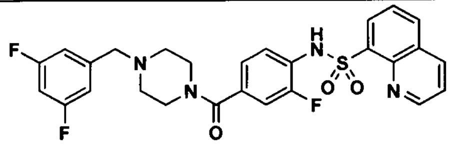
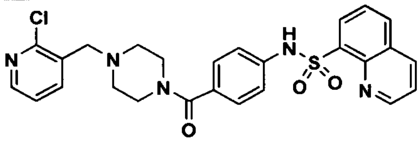
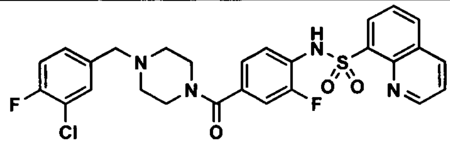
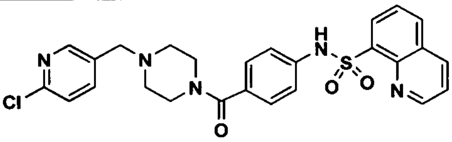
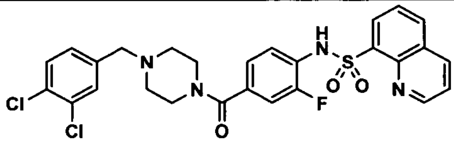
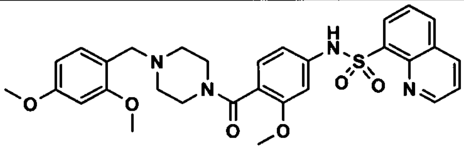
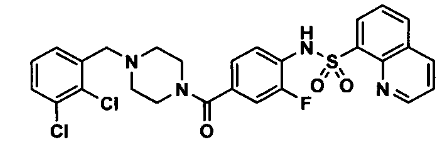
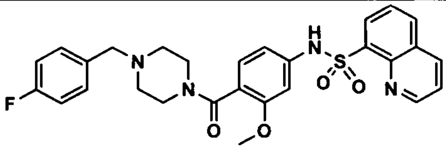
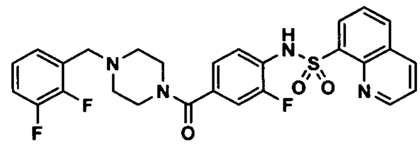
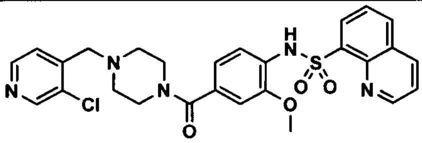
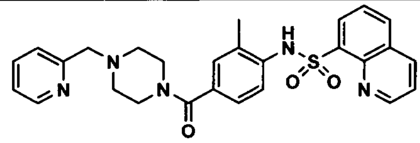
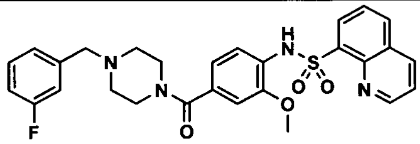
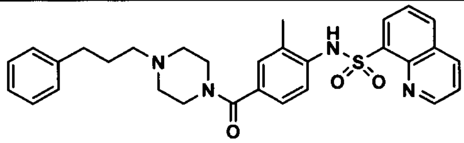
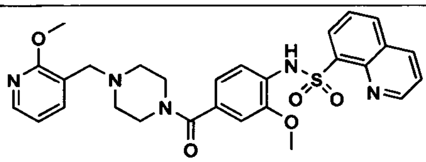
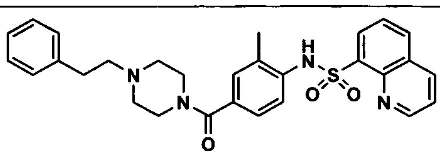
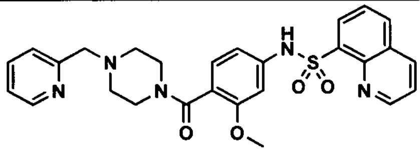
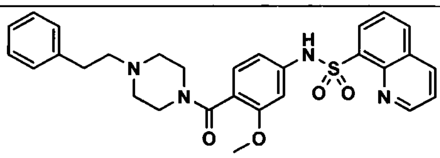
and

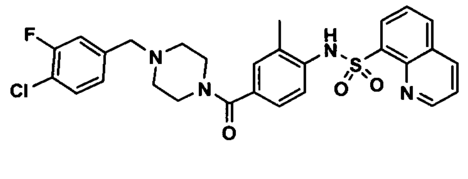
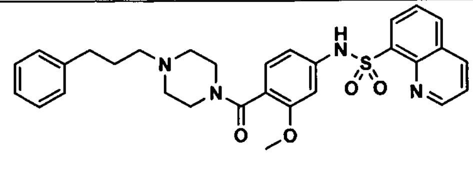
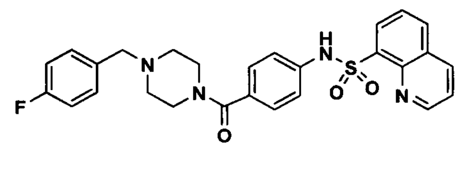
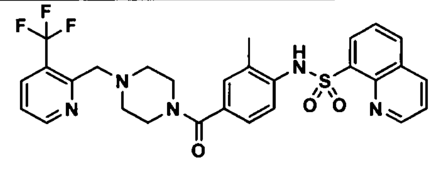
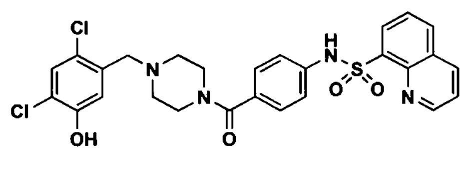
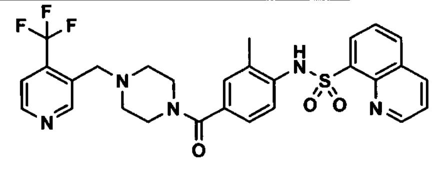
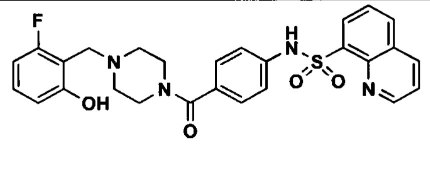
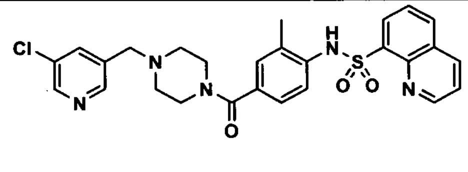
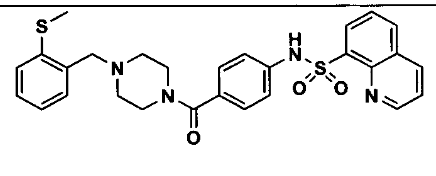
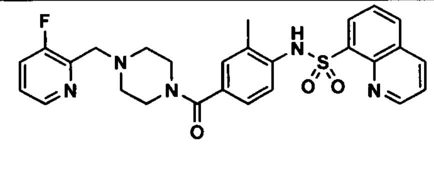
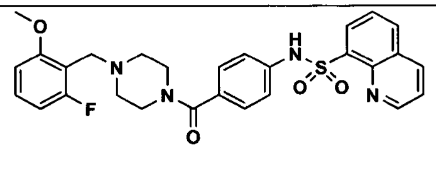
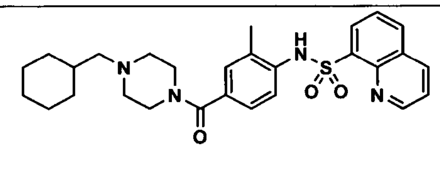
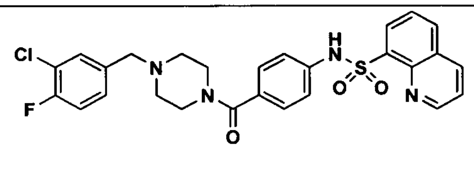
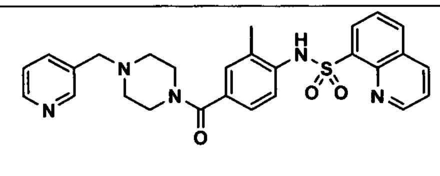
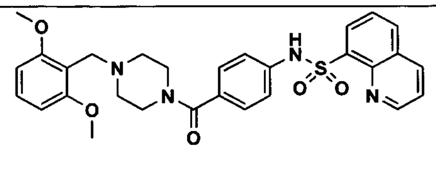
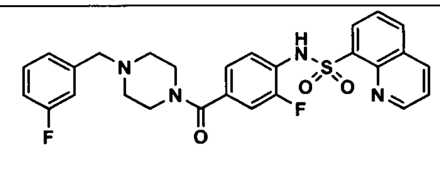
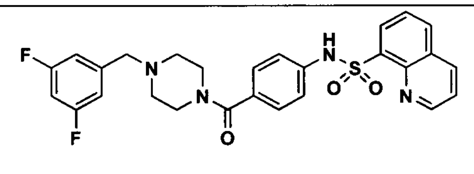
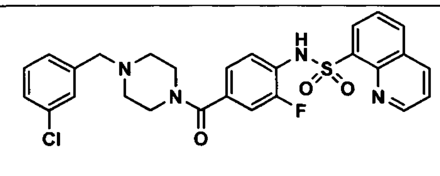
eller et farmasøytisk akseptabelt salt derav.

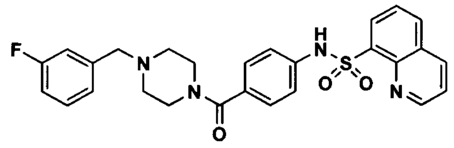
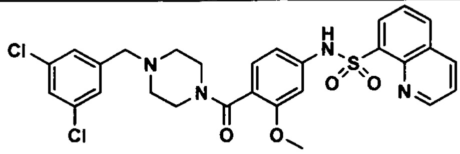
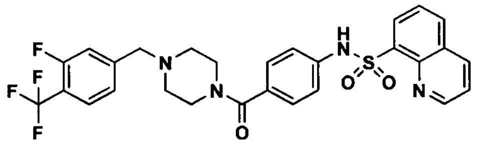
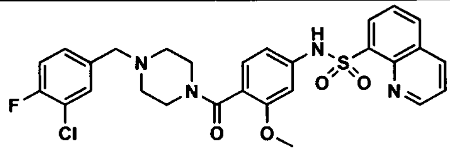
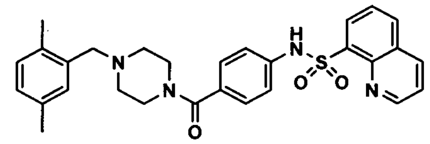
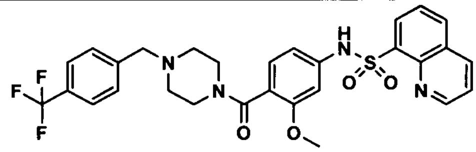
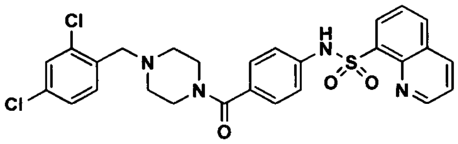
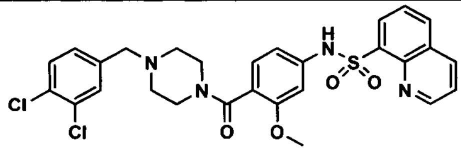
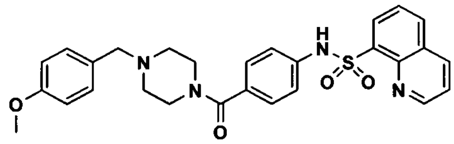
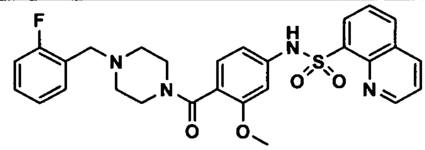
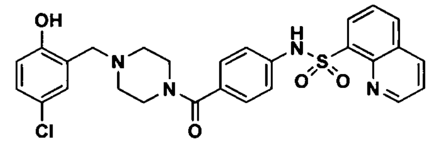
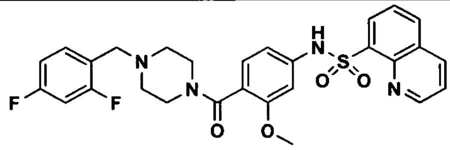
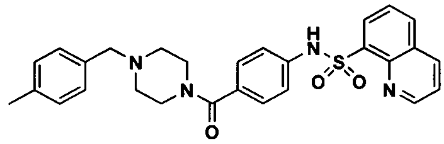
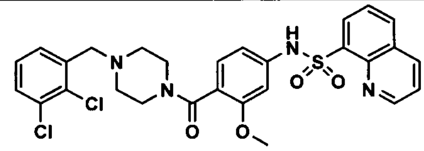
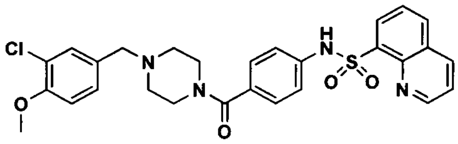
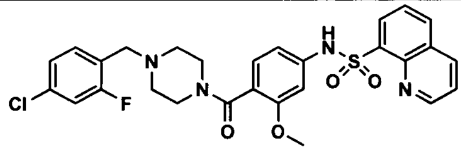
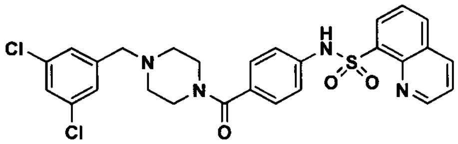
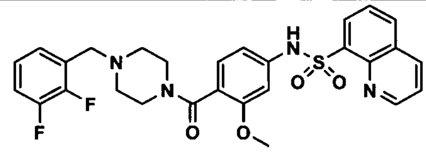
13. Forbindelse ifølge krav 1, hvor forbindelsen er valgt fra gruppen bestående av:

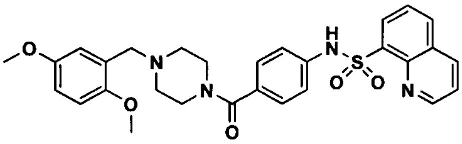
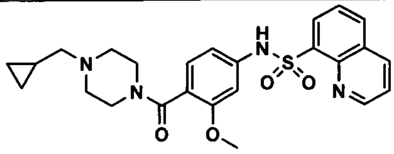
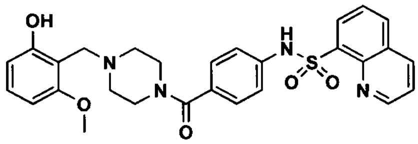
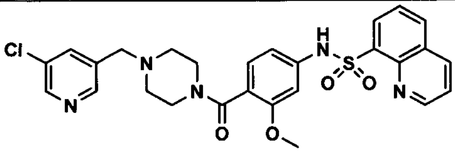
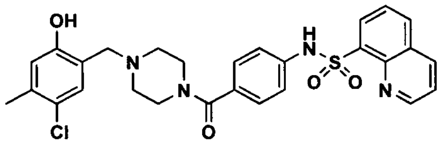
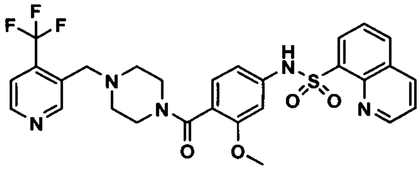
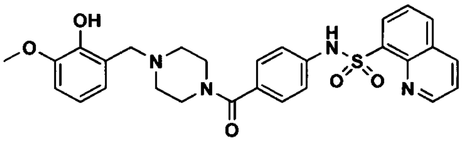
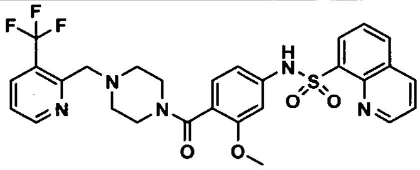
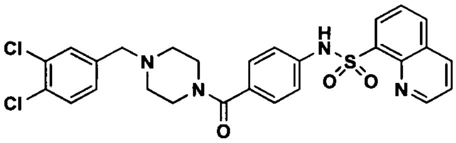
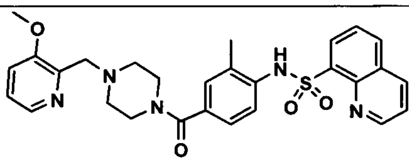
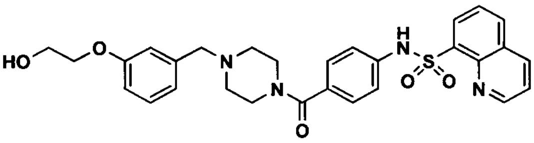
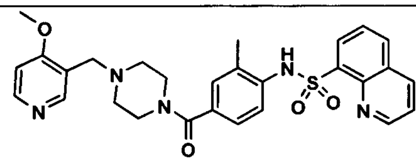
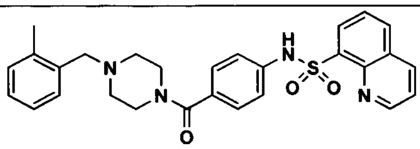
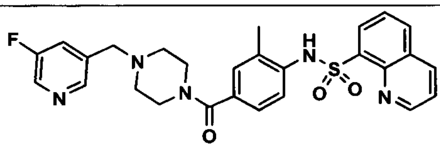
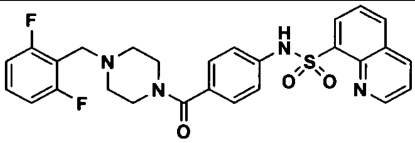
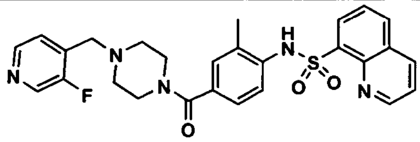
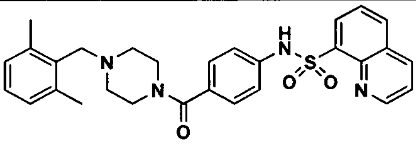
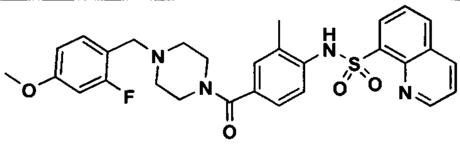
 H N S O O N N N O	 H N S O O N N N Cl N O
 CN H N S O O N N N O	 H N S O O N N N O
 CN H N S O O N N N O	 H N S O O N N N O O
 Br H N S O O N N N O	 Cl H N S O O N N N O
 Cl H N S O O N N N O	 Cl H N S O O N N N O
 O H N S O O N N N O	 Cl Cl H N S O O N N N O
 H N S O O N N N O	 F F F H N S O O N N N O
 H N S O O N N N O	 Cl Cl H N S O O N N N O
 N H N S O O N N N O	 F H N S O O N N N O

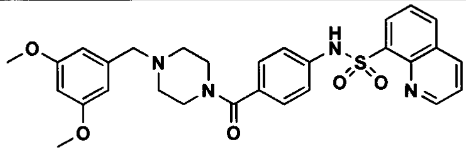
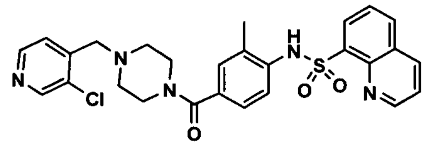
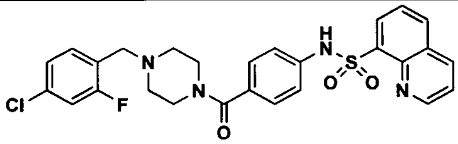
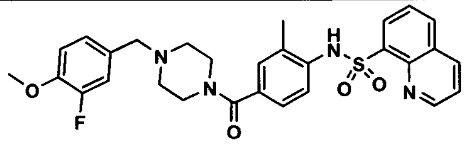
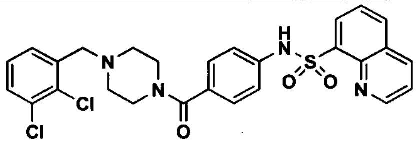
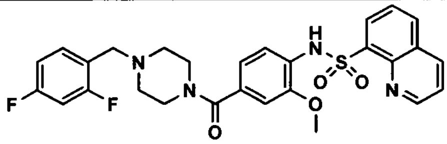
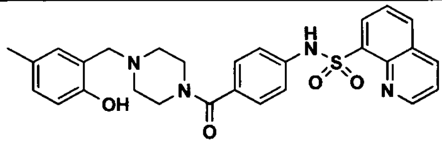
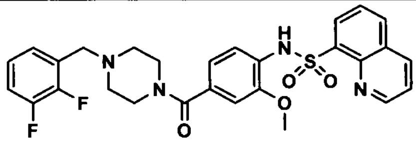
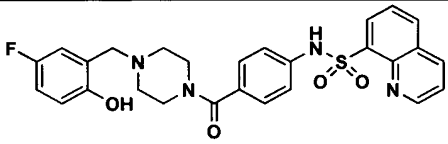
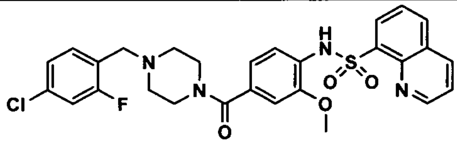
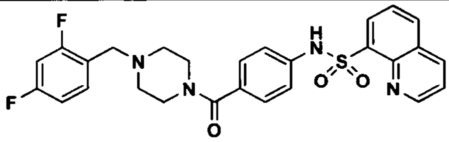
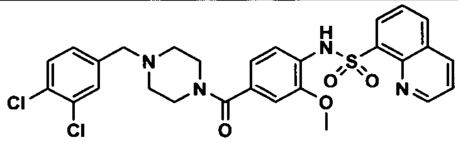
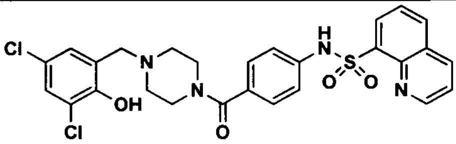
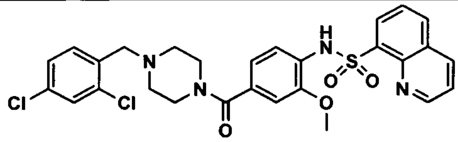
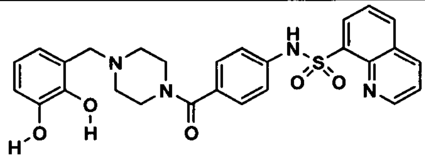
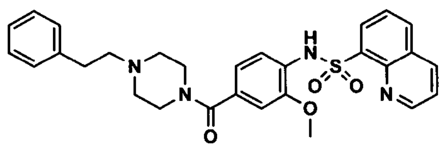
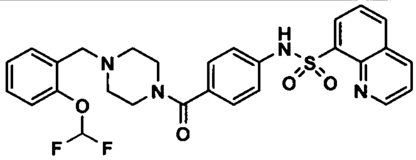
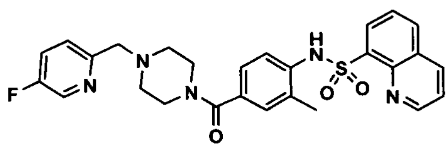
	
	
	
	
	
	
	
	
	
	

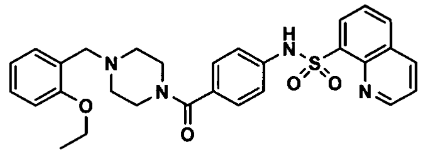
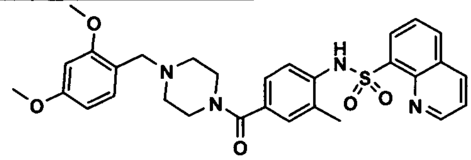
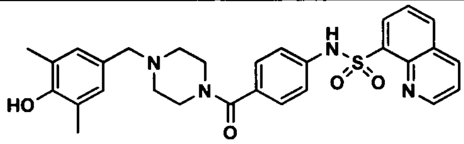
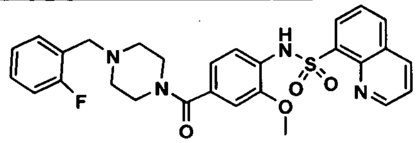
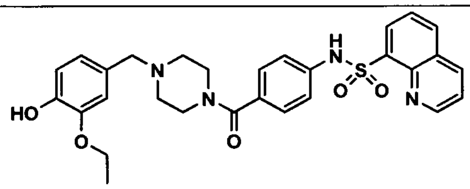
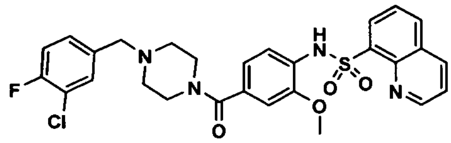
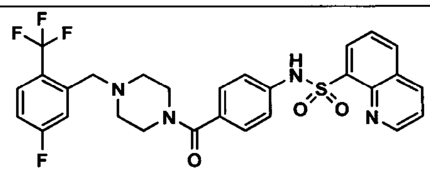
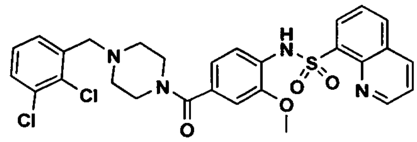
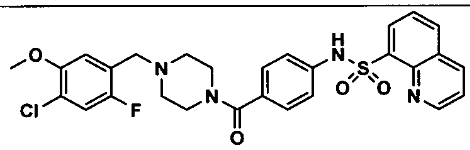
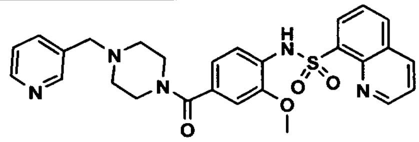
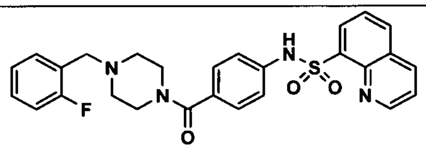
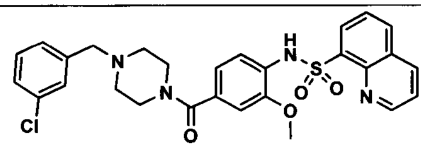
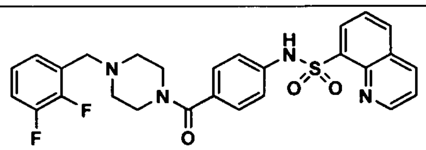
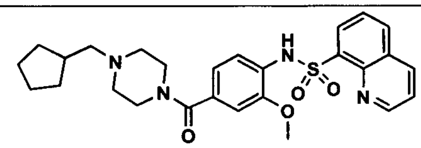
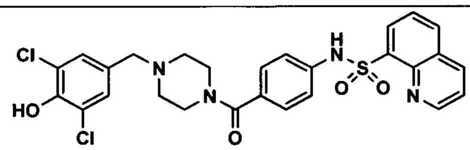
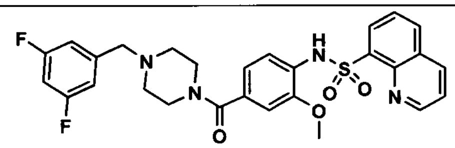
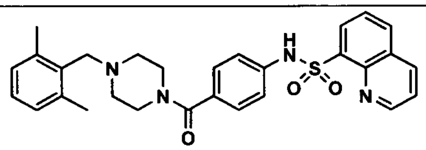
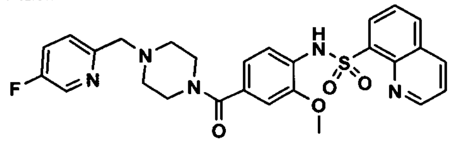
	
	
	
	
	
	
	
	
	
	

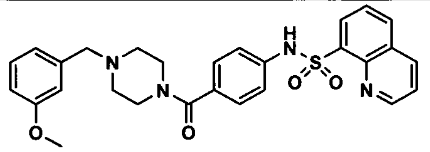
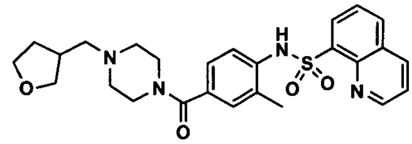
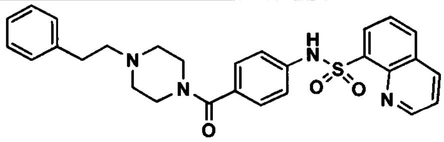
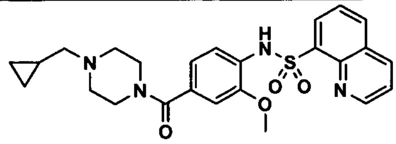
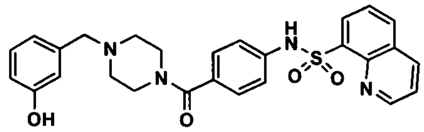
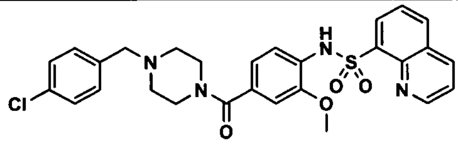
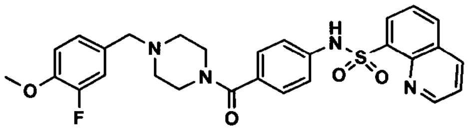
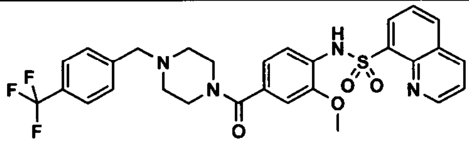
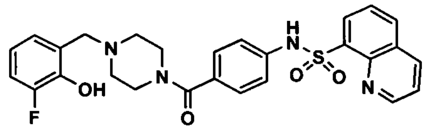
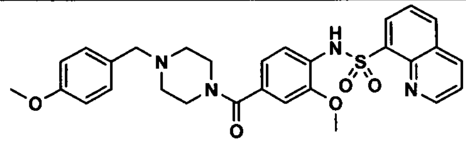
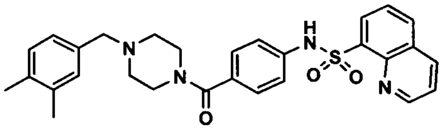
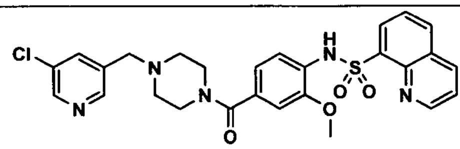
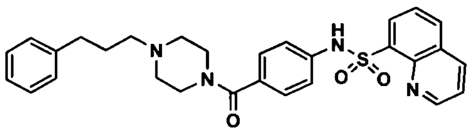
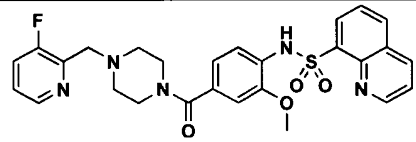
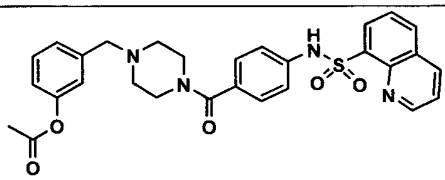
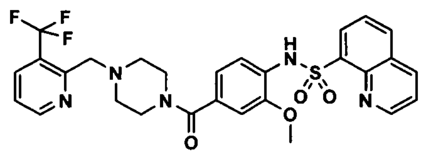
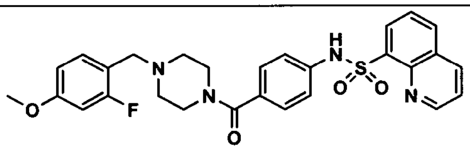
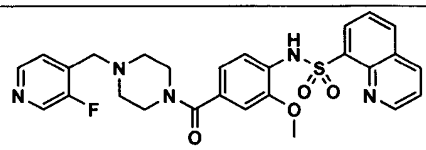
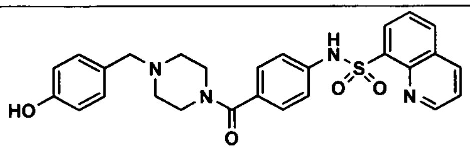
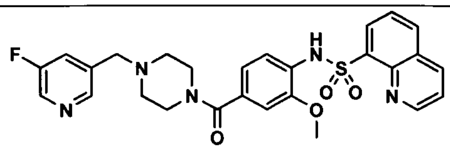
	
	
	
	
	
	
	
	
	

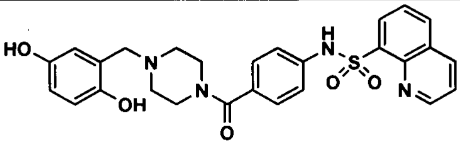
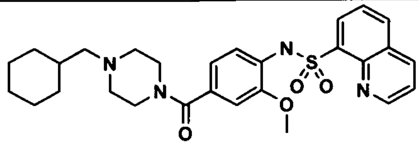
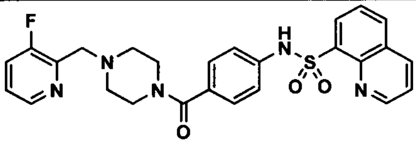
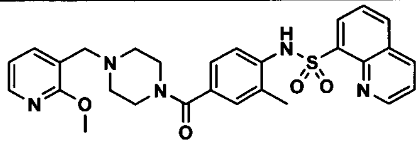
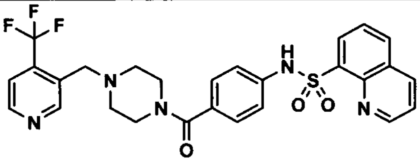
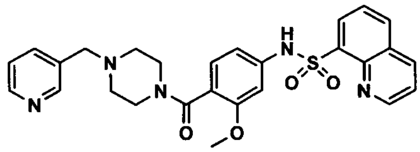
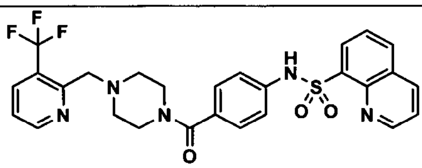
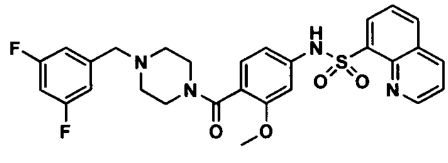
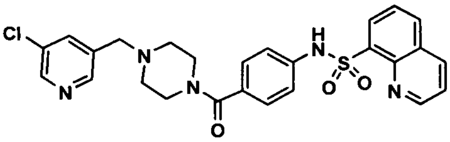
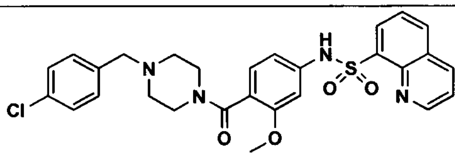
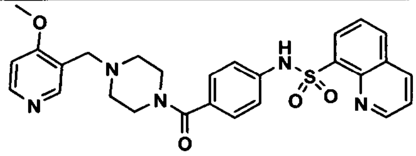
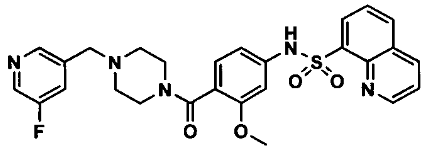
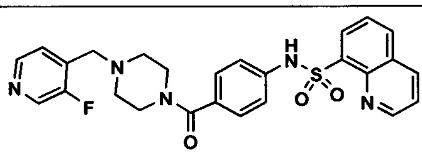
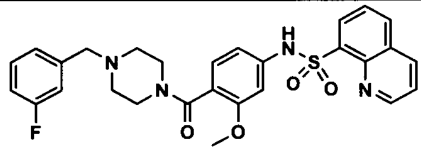
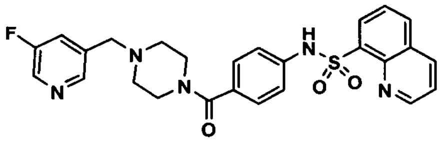
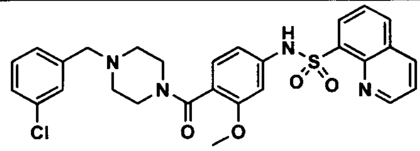
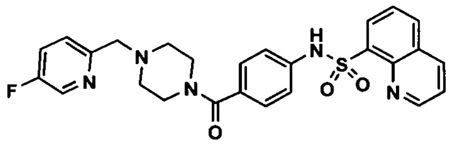
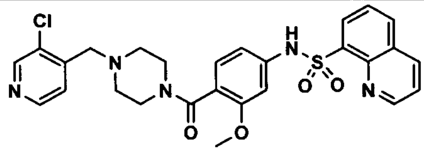
	
	
	
	
	
	
	
	
	

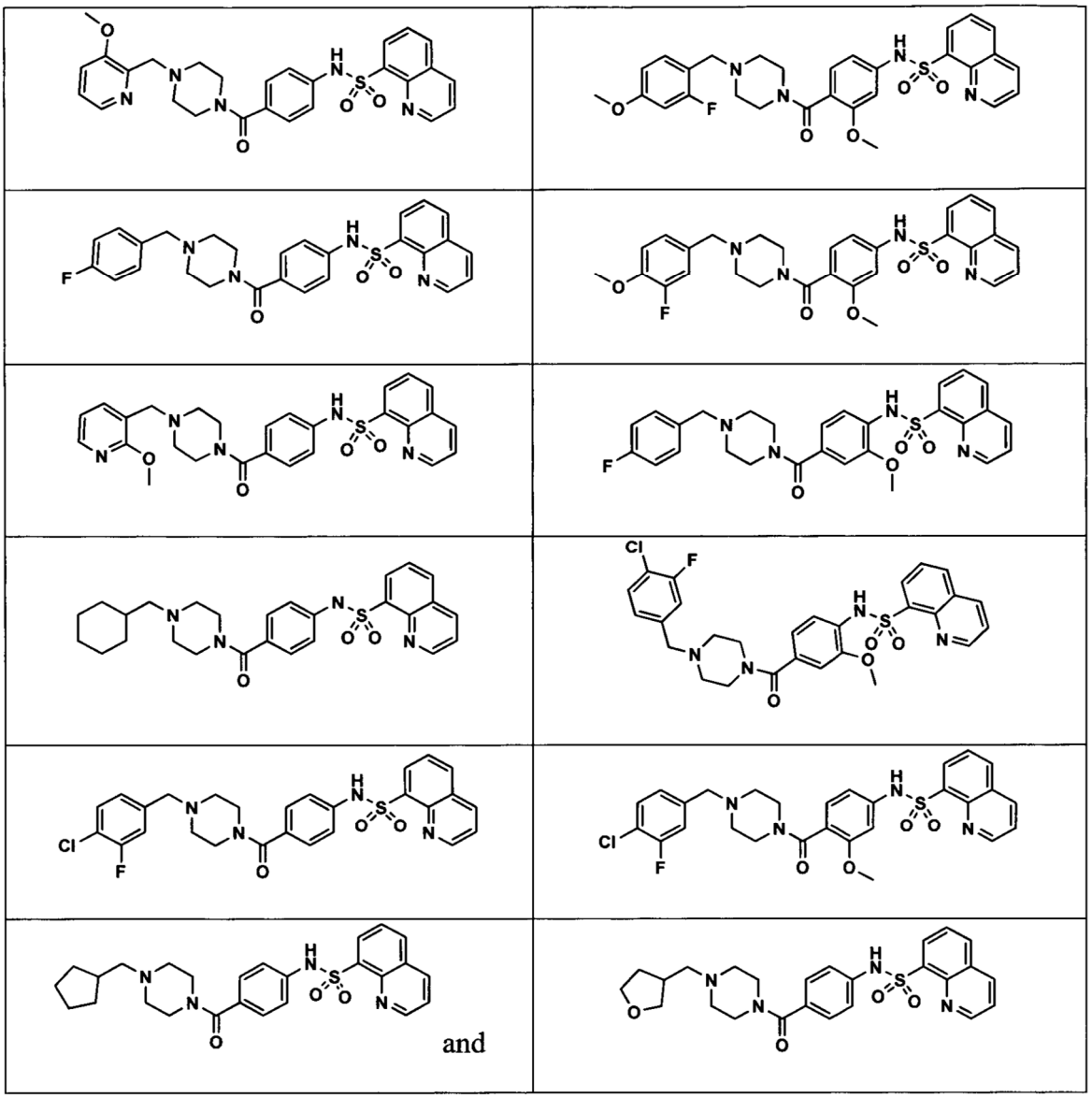
	
	
	
	
	
	
	
	
	

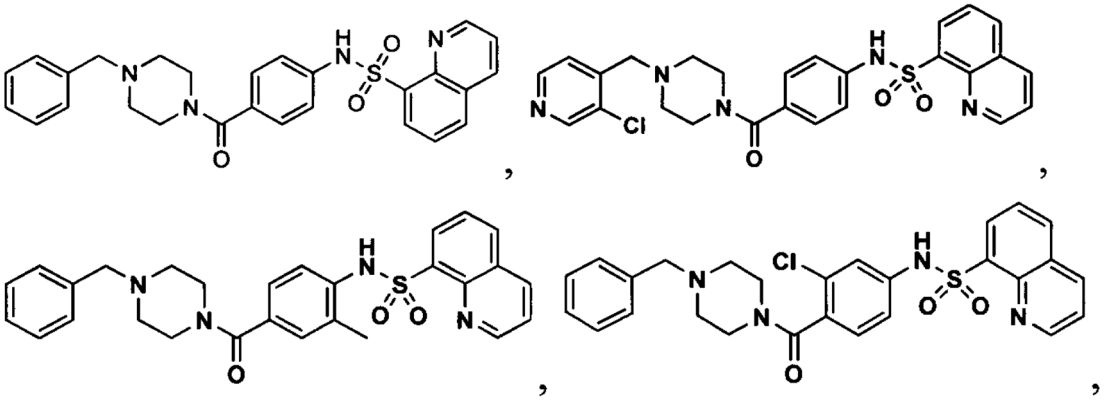
	
	
	
	
	
	
	
	
	
	

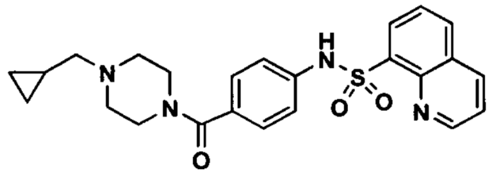
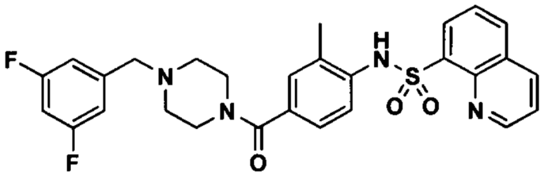
	
	
	
	
	
	
	
	
	

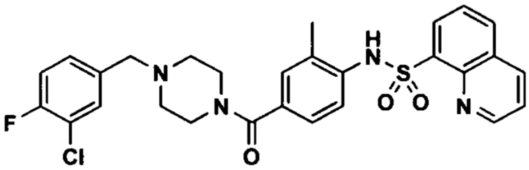
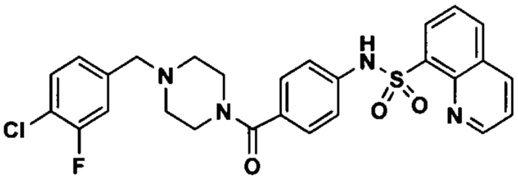


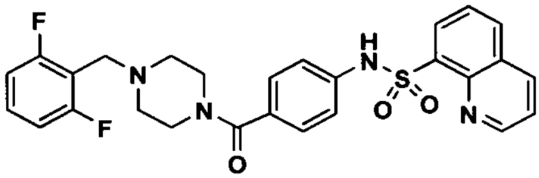
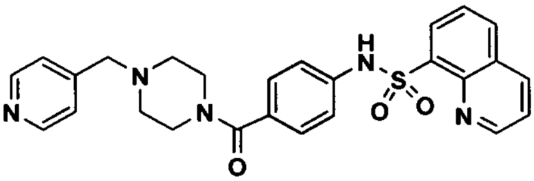
eller farmasøytisk akseptabelt salt derav.

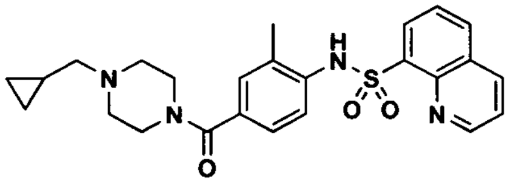
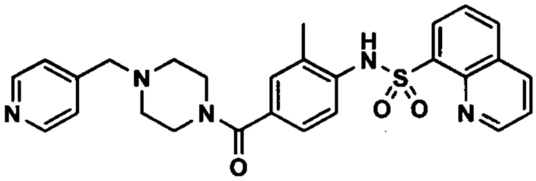
14. Forbindelse ifølge krav 1, eller et farmasøytisk akseptabelt salt derav, valgt fra:

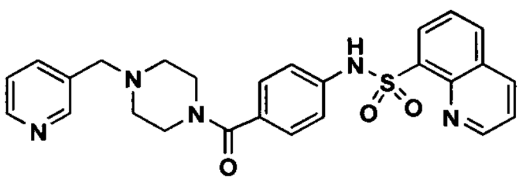
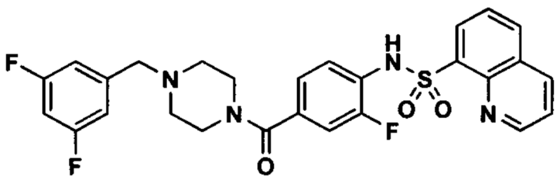


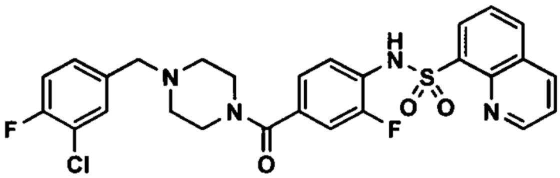
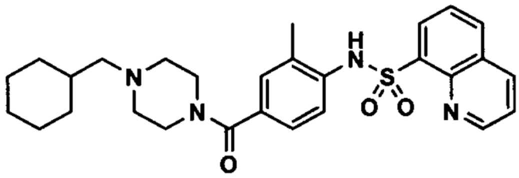
 ,
 ,

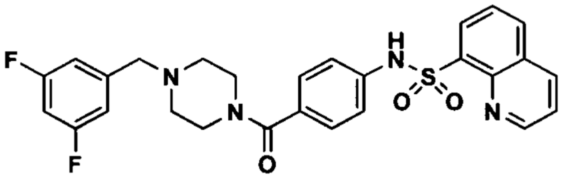
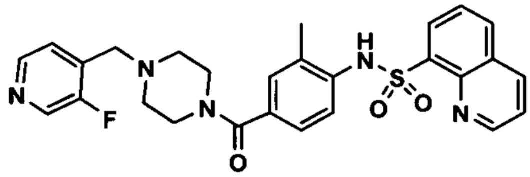
 ,
 ,

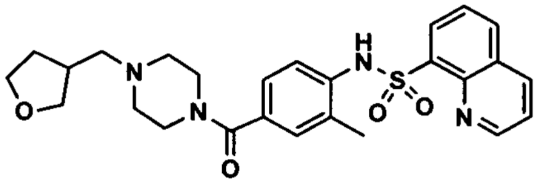
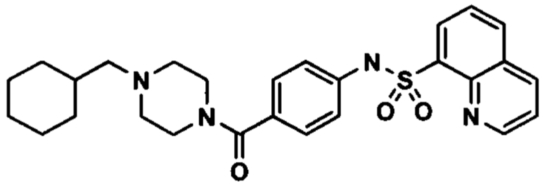
 ,
 ,

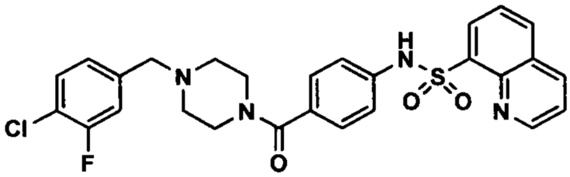
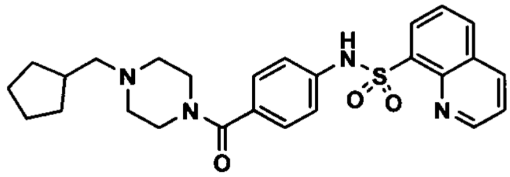
 ,
 ,

 ,
 ,

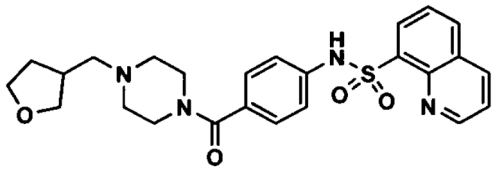
 ,
 ,

 ,
 ,

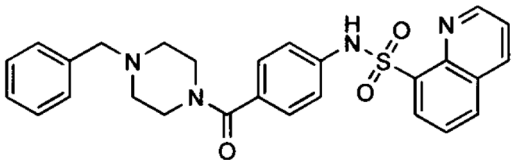
 ,
 ,

 ,
 ,

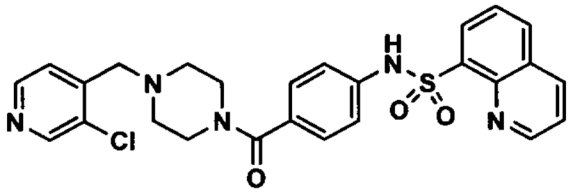
og



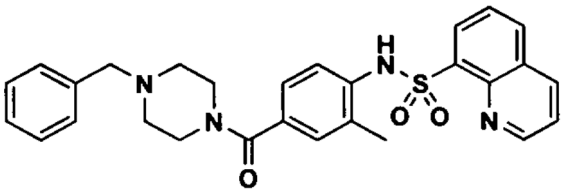
15. Forbindelse ifølge krav 1, eller et farmasøytisk akseptabelt salt derav, med følgende strukturformel:



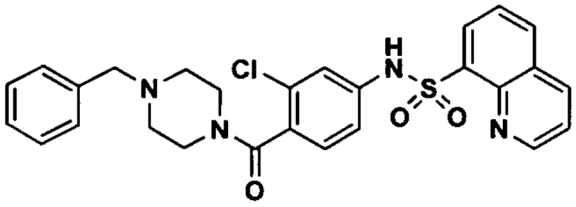
16. Forbindelse ifølge krav 1, eller et farmasøytisk akseptabelt salt derav, med følgende strukturformel:



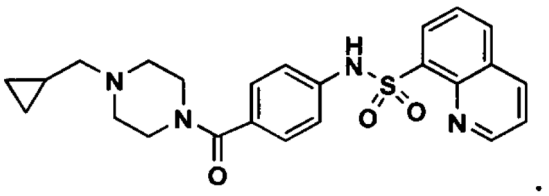
17. Forbindelse ifølge krav 1, eller et farmasøytisk akseptabelt salt derav, med følgende strukturformel:



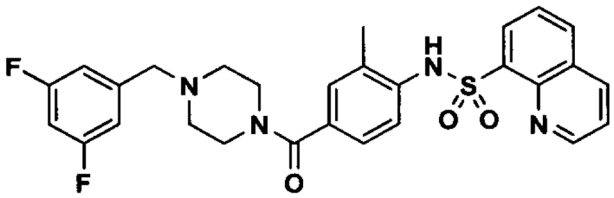
18. Forbindelse ifølge krav 1, eller et farmasøytisk akseptabelt salt derav, med følgende strukturformel:



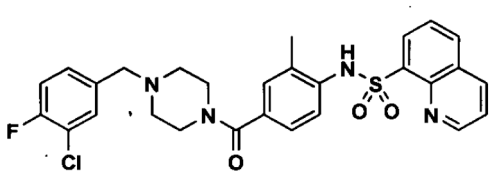
19. Forbindelse ifølge krav 1, eller et farmasøytisk akseptabelt salt derav, med følgende strukturformel:



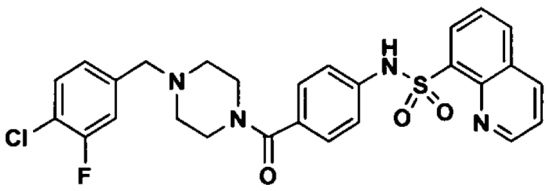
20. Forbindelse ifølge krav 1, eller et farmasøytisk akseptabelt salt derav, med følgende strukturformel:



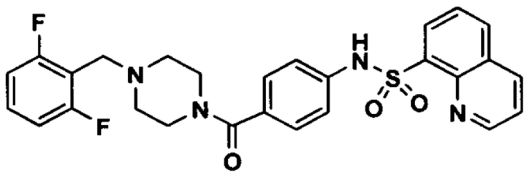
21. Forbindelse ifølge krav 1, eller et farmasøytisk akseptabelt salt derav, med følgende strukturformel:



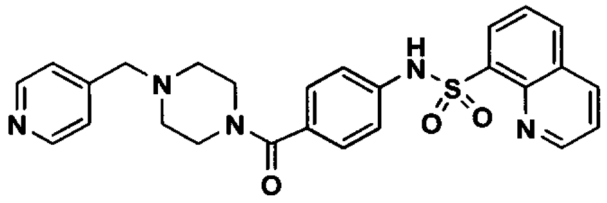
22. Forbindelse ifølge krav 1, eller et farmasøytisk akseptabelt salt derav, med følgende strukturformel:



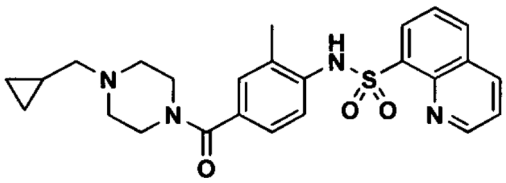
23. Forbindelse ifølge krav 1, eller et farmasøytisk akseptabelt salt derav, med følgende strukturformel:



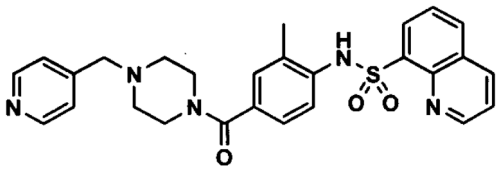
24. Forbindelse ifølge krav 1, eller et farmasøytisk akseptabelt salt derav, med følgende strukturformel:



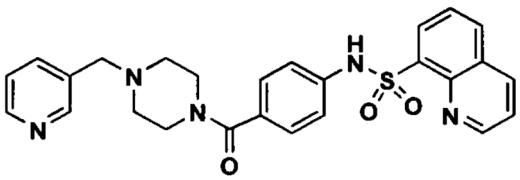
25. Forbindelse ifølge krav 1, eller et farmasøytisk akseptabelt salt derav, med følgende strukturformel:



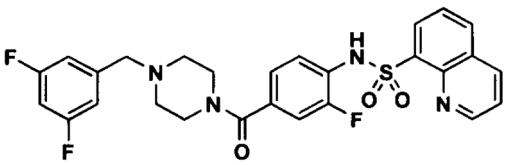
26. Forbindelse ifølge krav 1, eller et farmasøytisk akseptabelt salt derav, med følgende strukturformel:



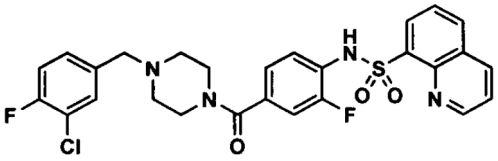
27. Forbindelse ifølge krav 1, eller et farmasøytisk akseptabelt salt derav, med følgende strukturformel:



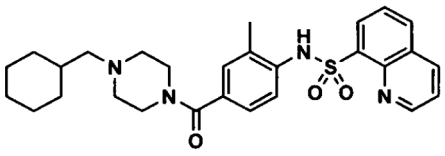
28. Forbindelse ifølge krav 1, eller et farmasøytisk akseptabelt salt derav, med følgende strukturformel:



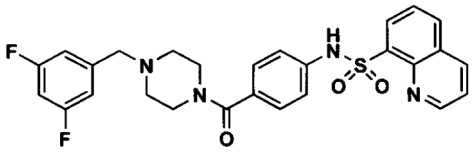
29. Forbindelse ifølge krav 1, eller et farmasøytisk akseptabelt salt derav, med følgende strukturformel:



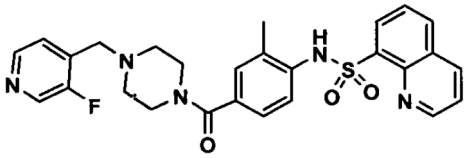
30. Forbindelse ifølge krav 1, eller et farmasøytisk akseptabelt salt derav, med følgende strukturformel:



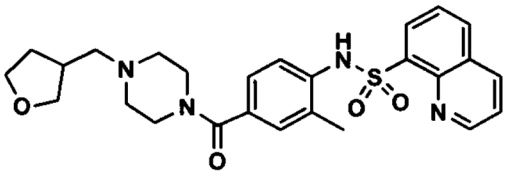
31. Forbindelse ifølge krav 1, eller et farmasøytisk akseptabelt salt derav, med følgende strukturformel:



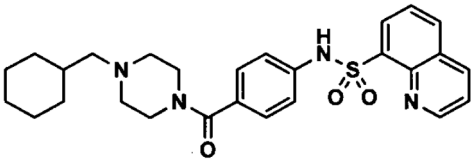
32. Forbindelse ifølge krav 1, eller et farmasøytisk akseptabelt salt derav, med følgende strukturformel:



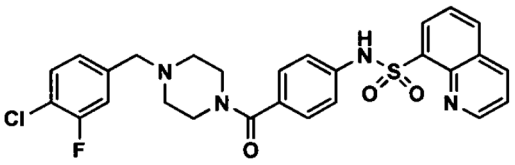
33. Forbindelse ifølge krav 1, eller et farmasøytisk akseptabelt salt derav, med følgende strukturformel:



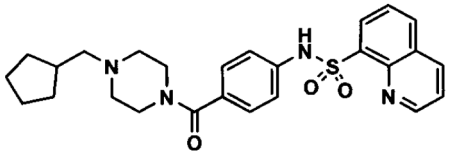
34. Forbindelse ifølge krav 1, eller et farmasøytisk akseptabelt salt derav, med følgende strukturformel:



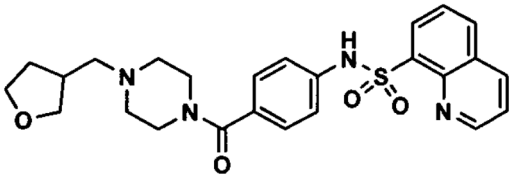
35. Forbindelse ifølge krav 1, eller et farmasøytisk akseptabelt salt derav, med følgende strukturformel:



36. Forbindelse ifølge krav 1, eller et farmasøytisk akseptabelt salt derav, med følgende strukturformel:



37. Forbindelse ifølge krav 1, eller et farmasøytisk akseptabelt salt derav, med følgende strukturformel:



38. Farmasøytisk sammensetning omfattende en forbindelse ifølge et hvilket som helst av kravene 1 til 37, eller et farmasøytisk akseptabelt salt derav.

39. Forbindelse eller farmasøytisk sammensetning ifølge et hvilket som helst av kravene 1 til 38, for bruk som et medikament.

40. Forbindelse eller farmasøytisk sammensetning ifølge et hvilket som helst av kravene 1 til 38, for bruk ved behandling av kreft knyttet til PKM2-aktivitet hos et individ med behov for dette.