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NORWAY

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(51) Int Cl.
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C07D 405/12 (2006.01)

Norwegian Industrial Property Office

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(30)	Priority	2013.05.17, EP, 13168291 2013.07.04, EP, 13175181 2013.08.29, EP, 13182281 2013.10.31, EP, 13191209 2013.12.18, EP, 13198160 2014.03.05, EP, 14157900
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	Designated Extension States:	BA ; ME
(62)	Divided application	EP2997011, 2014.05.16
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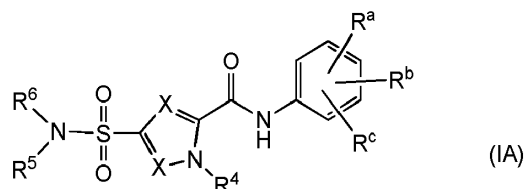
(54) Title **SULPHAMOYLPYRROLAMIDE DERIVATIVES AND THE USE THEREOF AS MEDICAMENTS
FOR THE TREATMENT OF HEPATITIS B**

(56) References
Cited: WO-A1-2014/033170
WO-A1-2013/006394
WO-A1-2014/033176
DATABASE REGISTRY [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US;
28 December 2008 (2008-12-28), XP002762544, Database accession no. 1090750-88-1
DATABASE REGISTRY [Online] CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US;
10 March 2010 (2010-03-10), XP002699131, Database accession no. 1208400-27-4

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/>

Patentkrav

1. En forbindelse med formel (IA)



eller en stereoisomer eller tautomer form derav, hvor:

Hver X representerer uavhengig CR⁷;

R^a, R^b og R^c er uavhengig valgt fra gruppen bestående av hydrogen, fluor, brom, klor, -CHF₂, -CF₂-metyl, -CH₂F, -CF₃, -OCF₃, -CN, C₁-C₃alkyl og C₃-C₄sykloalkyl;

R⁴ er hydrogen, C₁-C₃alkyl eller C₃-C₄sykloalkyl;

R⁵ er hydrogen;

R⁶ er valgt fra gruppen som består av C₂-C₆alkyl, C₁-C₄alkyl-R⁸ eventuelt substituert med et eller flere fluor, C₁-C₄alkyl-R⁹ eventuelt substituert med et eller flere fluor, og en 3-7-leddet mono- eller polysyklisk mettet ring, som eventuelt inneholder et eller flere heteroatomer hver uavhengig valgt fra gruppen bestående av O, S og N, hvor en slik 3-7-leddet mettet ring eller C₂-C₆alkyl eventuelt er substituert med en eller flere substituenten som hver uavhengig er valgt fra gruppen bestående av hydrogen, -OH, fluor, okso, R⁹, R¹⁰ og C₁-C₄alkyl eventuelt substituert med R¹⁰;

R⁷ representerer hydrogen, -CN, fluor, klor, brom, -CHF₂, -CF₂-metyl, -CH₂F, -CF₃, C₁-C₃alkyl eller C₃-C₄sykloalkyl;

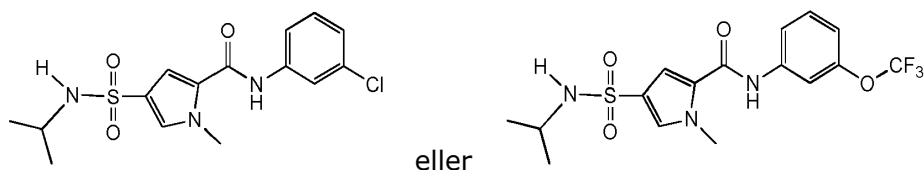
R⁸ representerer en 3-7 leddet mettet ring som eventuelt inneholder et eller flere heteroatomer hver uavhengig valgt fra gruppen bestående av O, S og N, hvor en slik 3-7 leddet mettet ring eventuelt er substituert med et eller flere C₁-C₄alkyl eventuelt substituert med R¹⁰;

R⁹ representerer C₁-C₄alkyloxy, -SO₂-metyl, -C(=O)-OR¹¹ eller -C(=O)-N(R¹¹)₂;

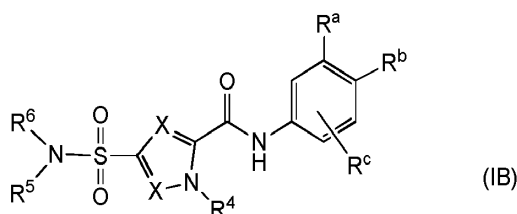
R¹⁰ representerer -CN, -OH, fluor, -CHF₂, -CH₂F eller -CF₃;

R¹¹ representerer hydrogen eller C₁-C₃alkyl;

eller et farmasøytisk akseptabelt salt eller et solvat derav hvor en slik forbindelse ikke er



- 5 2. En forbindelse ifølge krav 1 med formel (IB)



3. En forbindelse ifølge et hvilket som helst av de foregående kravene, hvor R⁴ er metyl.

- 10 4. En forbindelse ifølge et hvilket som helst av de foregående kravene, hvor R⁶ inneholder en 3-7-leddet mettet ring som eventuelt inneholder et oksygen.

5. En forbindelse ifølge et hvilket som helst av de foregående kravene, hvor R⁶ er en 4 eller 5-leddet mettet ring som inneholder et oksygen, slik som en 4 eller 5-leddet mettet ring som eventuelt er substituert med C₁-C₄alkyl eventuelt substituert med R¹⁰.
- 15

6. En forbindelse ifølge et hvilket som helst av kravene 1 til 3, hvor R⁶ omfatter et forgrenet C₃-C₆alkyl eventuelt substituert med et eller flere fluor, eller hvor R⁶ omfatter et C₃-C₆sykloalkyl hvor en slik C₃-C₆sykloalkyl er substituert med et eller flere fluor eller substituert med C₁-C₄alkyl substituert med et eller flere fluor.
- 20

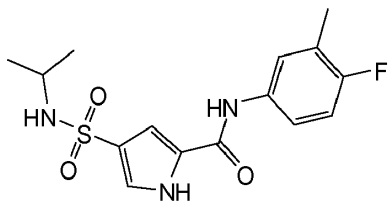
7. En forbindelse ifølge krav 6, hvor R⁶ er en forgrenet C₃-C₆alkyl som er substituert med et eller flere fluor.

- 25 8. En forbindelse ifølge et hvilket som helst av de foregående kravene, hvor R^b er hydrogen eller fluor.

9. En forbindelse ifølge et hvilket som helst av de foregående kravene, hvor R^a og R^c er uavhengig valgt fra gruppen bestående av hydrogen, fluor, klor, CN og metyl.

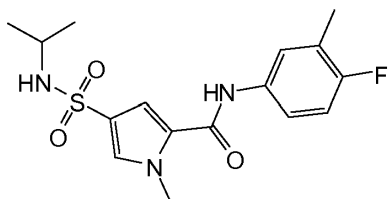
10. En forbindelse ifølge et hvilket som helst av de foregående kravene, som er valgt blant forbindelsene 1-285, 287 og 289-296

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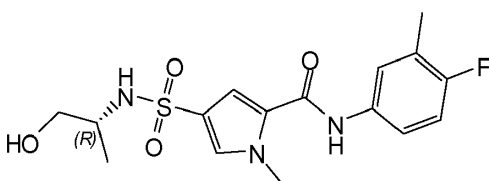


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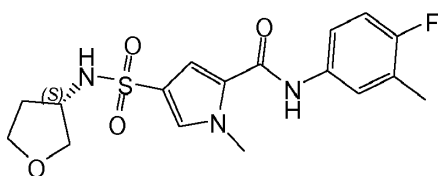
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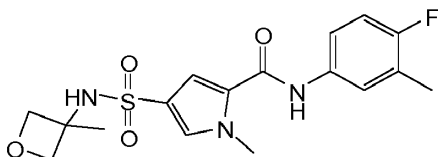


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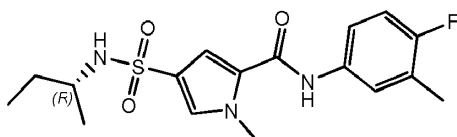


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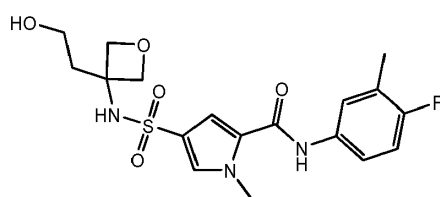
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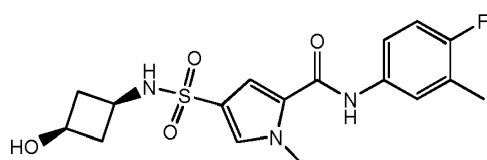
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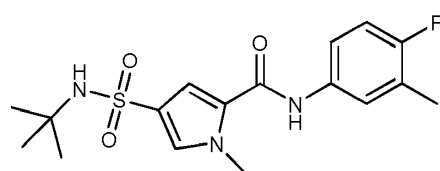


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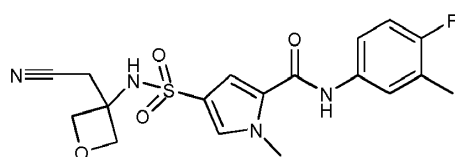


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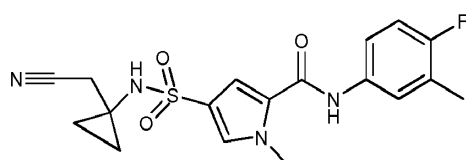
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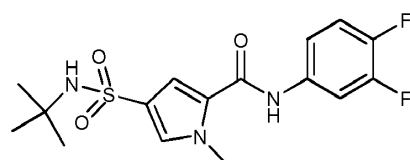


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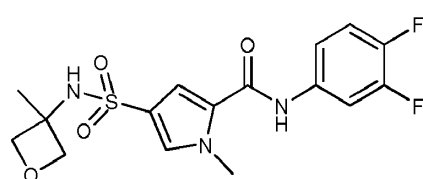


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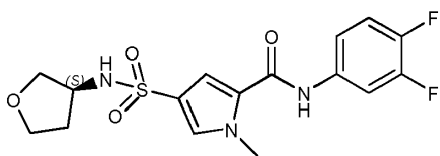
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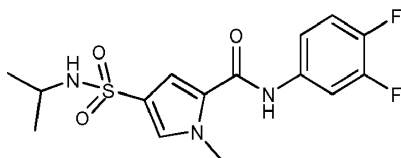
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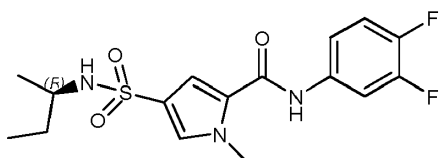


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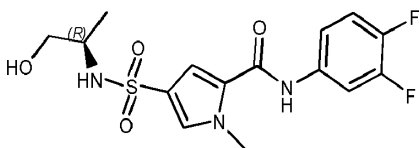


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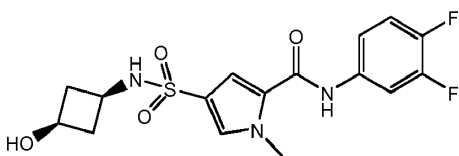
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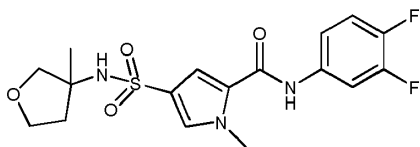


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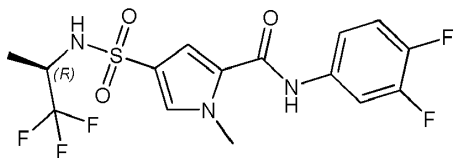


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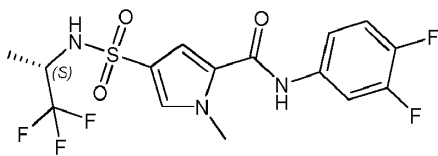
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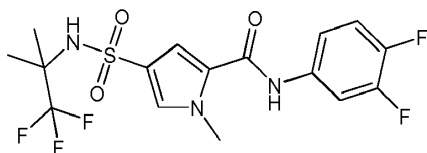
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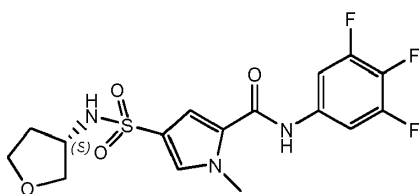


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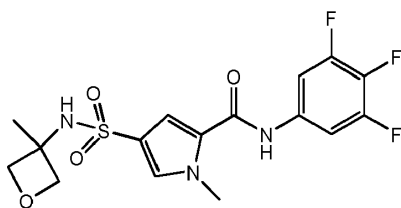


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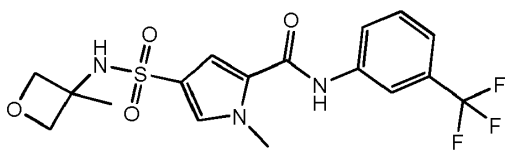
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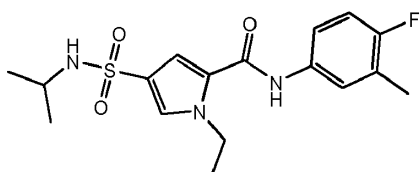


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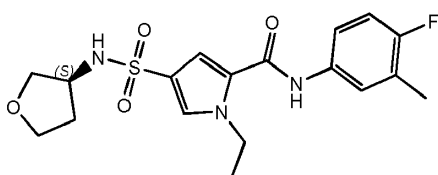


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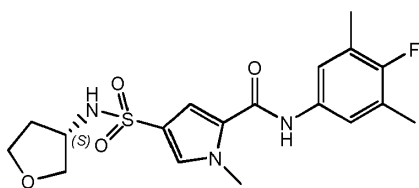
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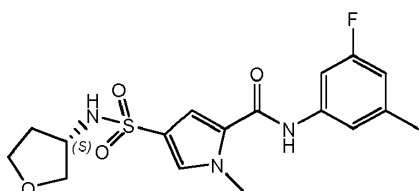
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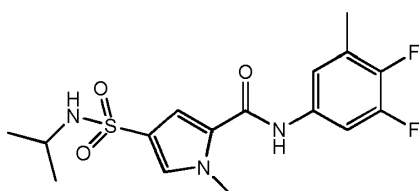


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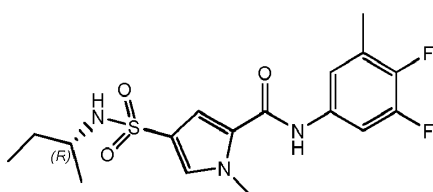


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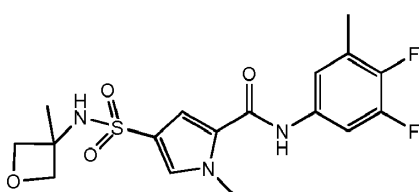
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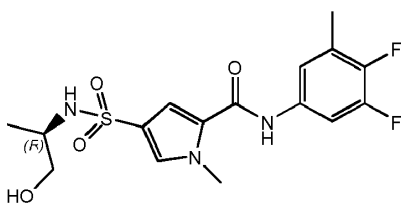


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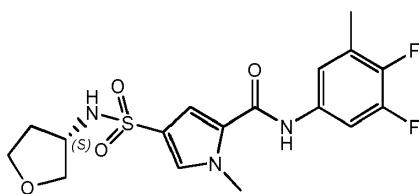


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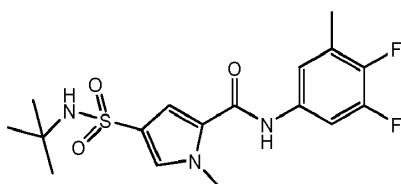
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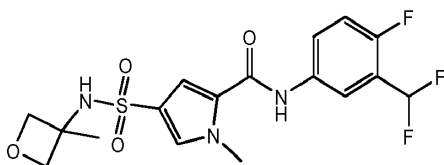


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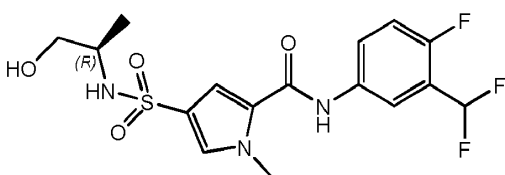


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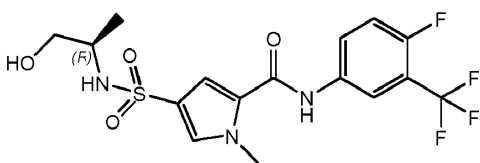
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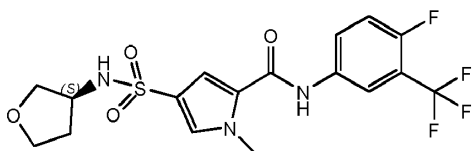


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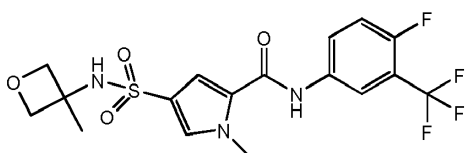


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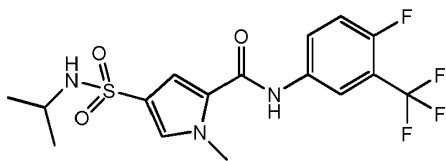
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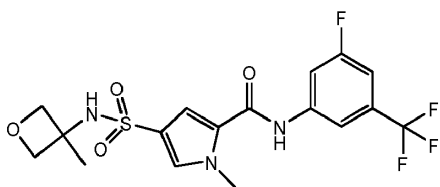
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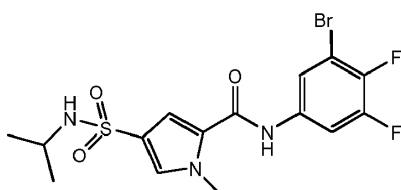


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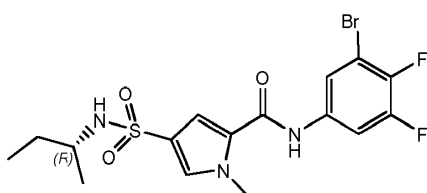


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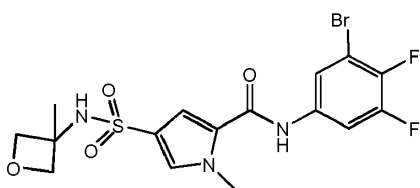
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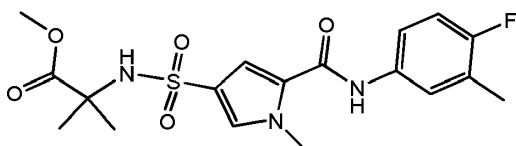


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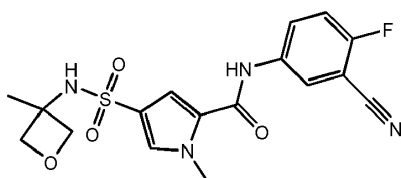


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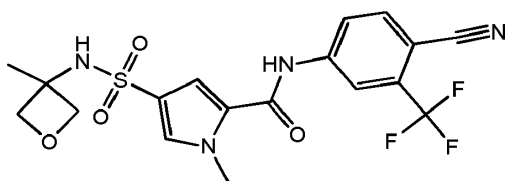
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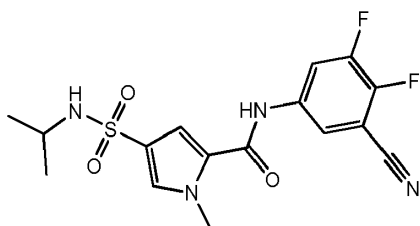
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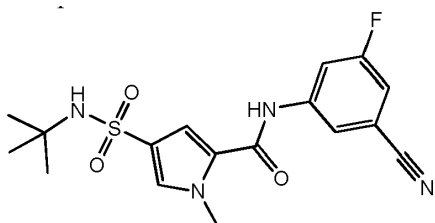


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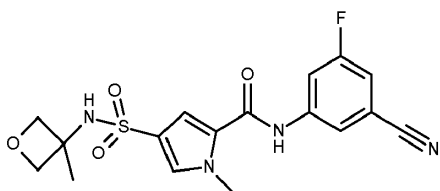


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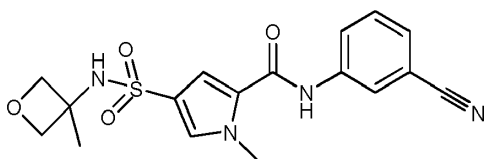
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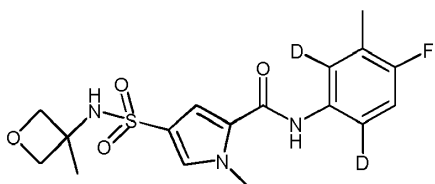


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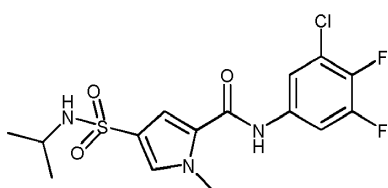


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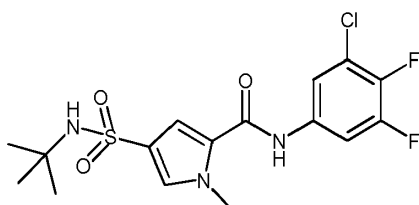
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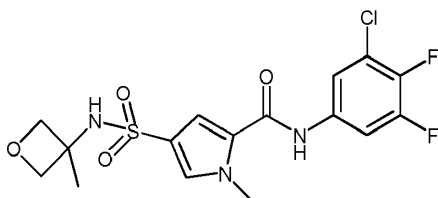


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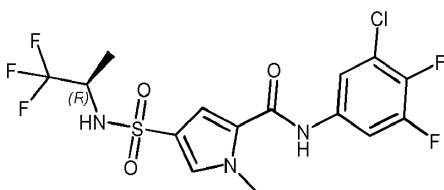


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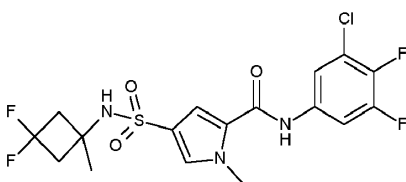
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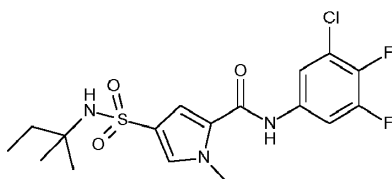


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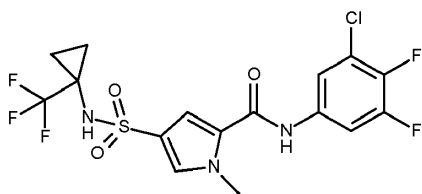


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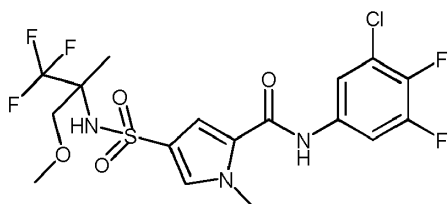
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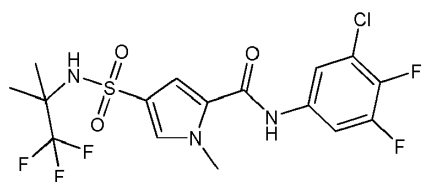


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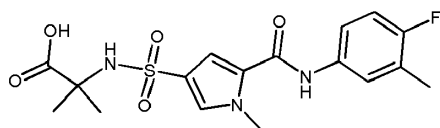


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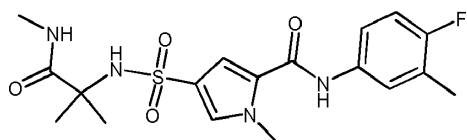
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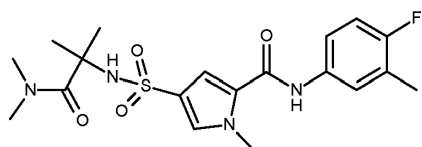


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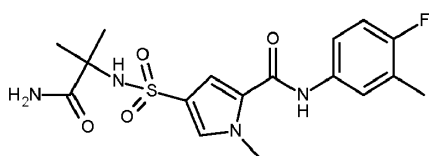


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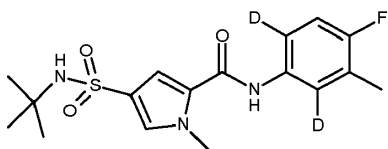
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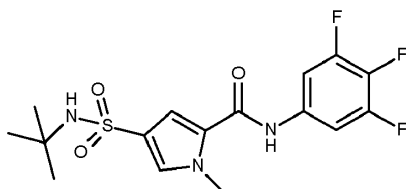
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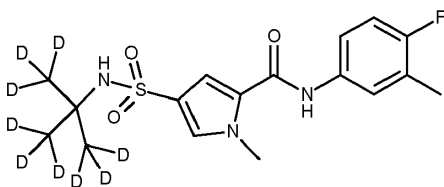


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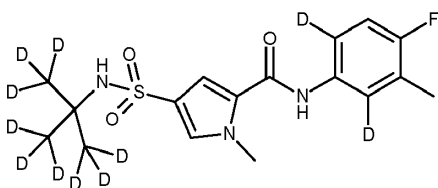


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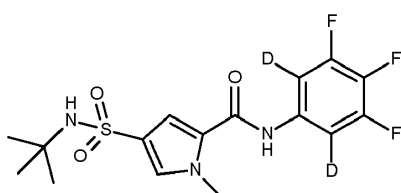
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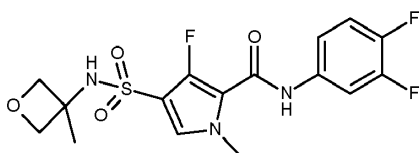


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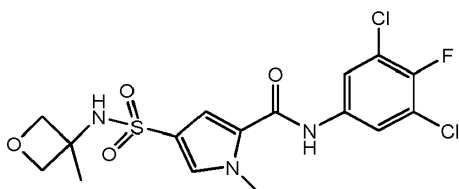


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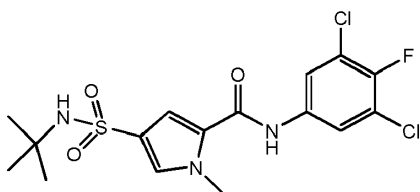
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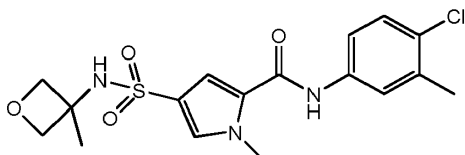
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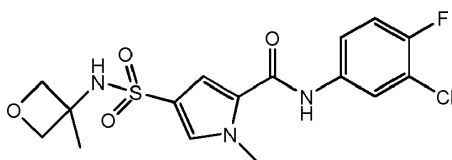


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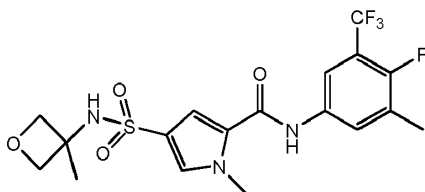


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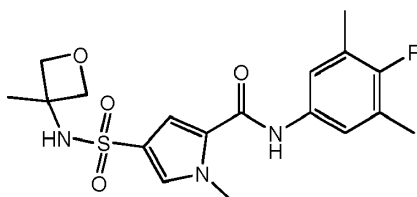
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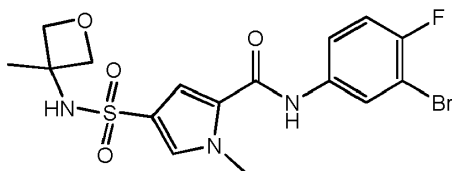


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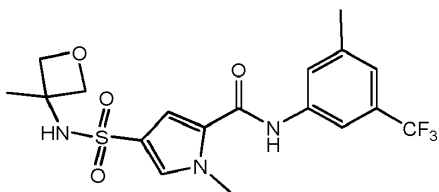


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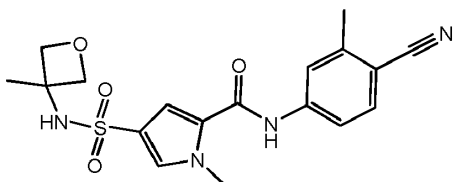
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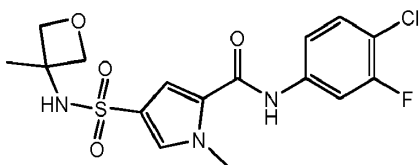


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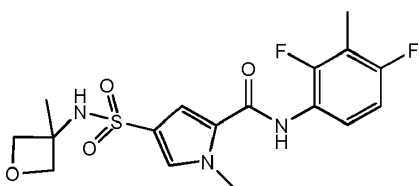


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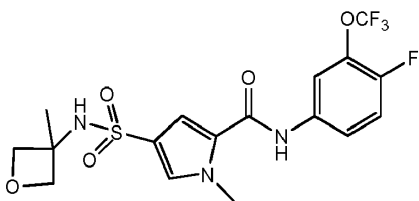
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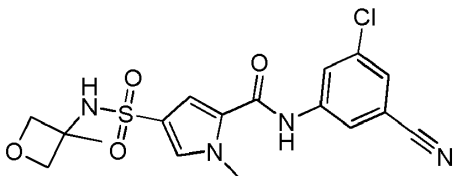


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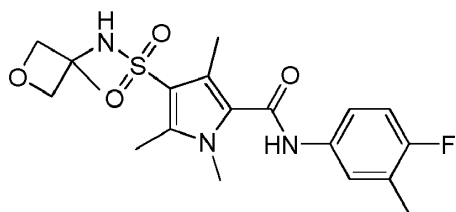


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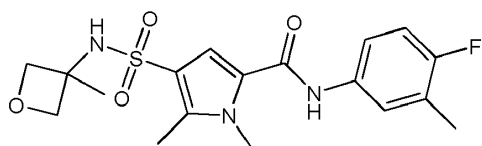
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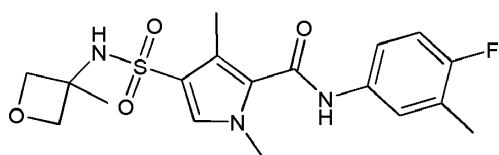


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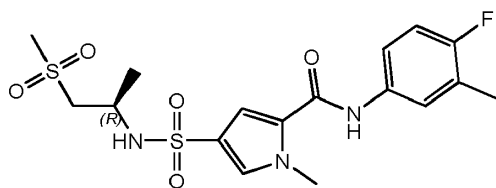


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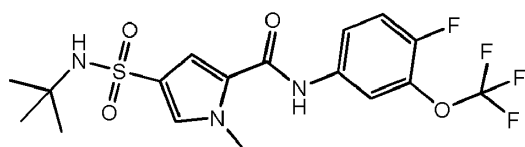
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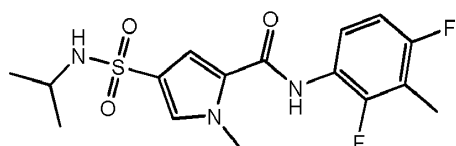


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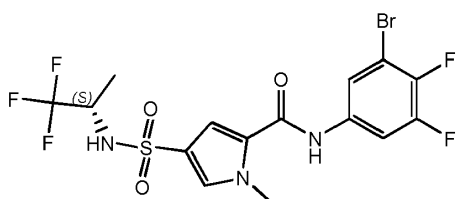


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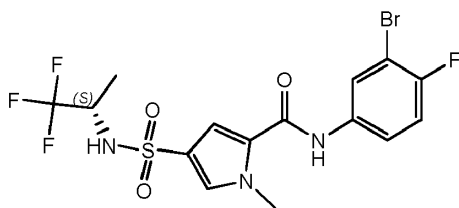
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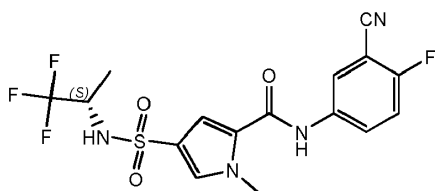
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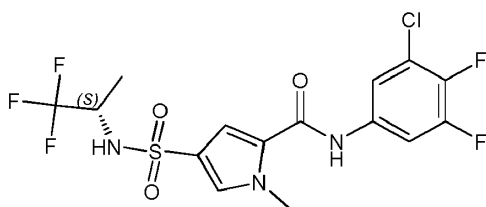


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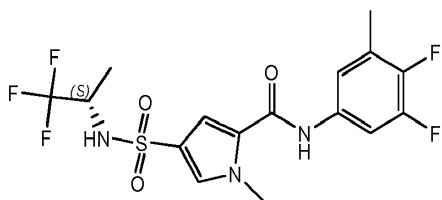


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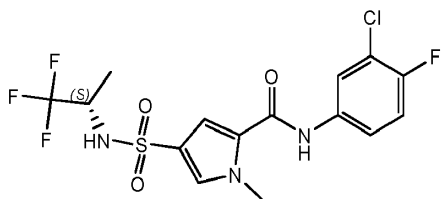
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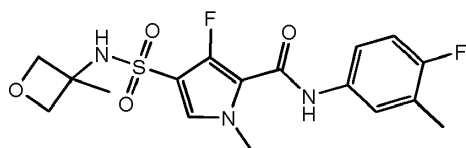


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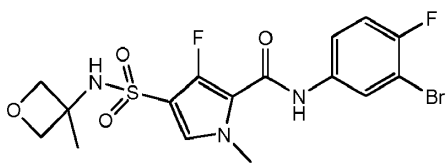


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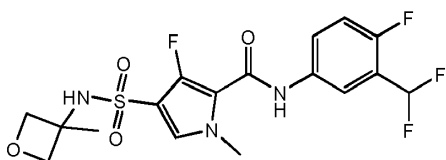
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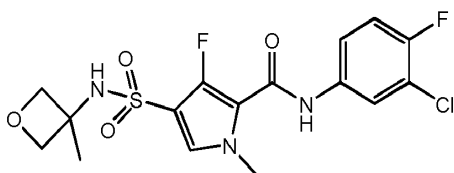


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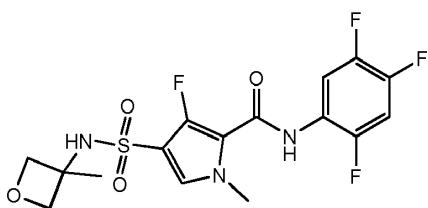


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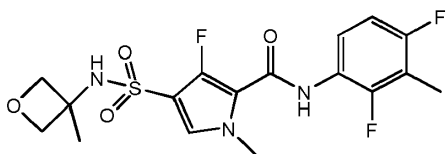
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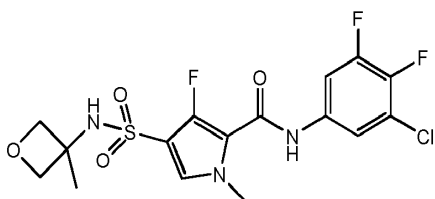


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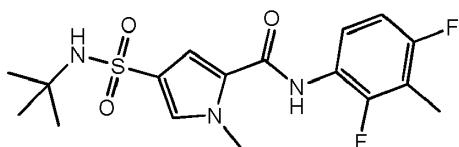


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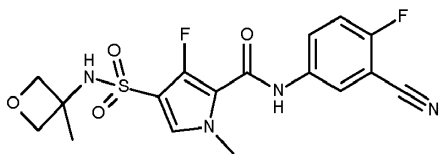
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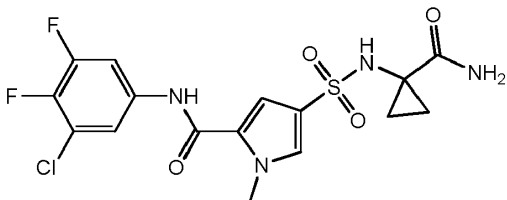
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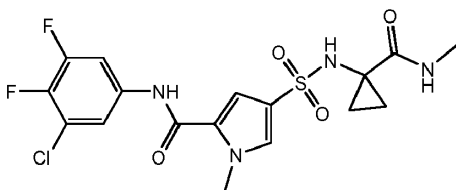


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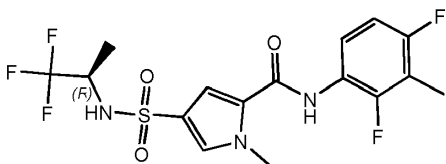


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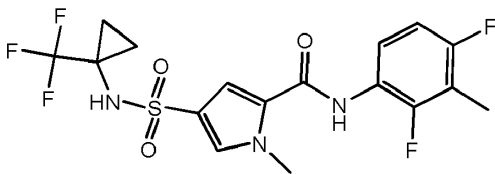
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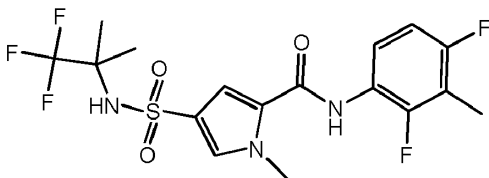


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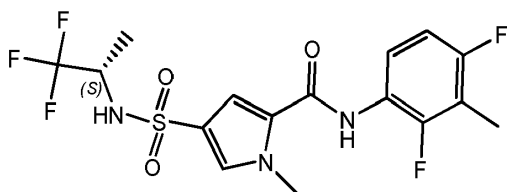


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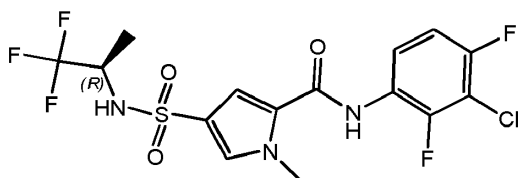
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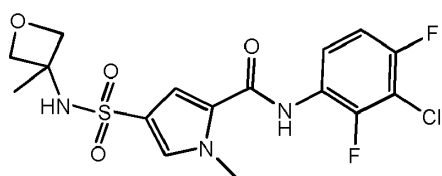


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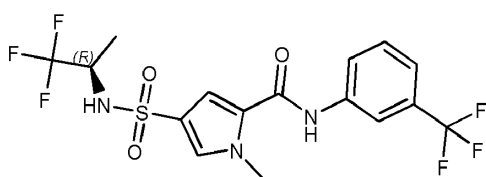


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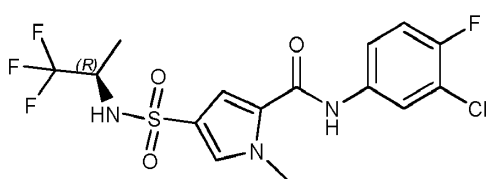
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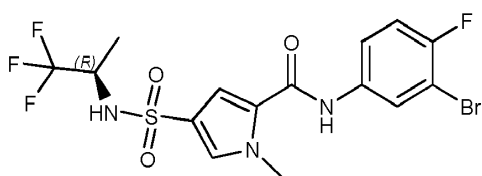


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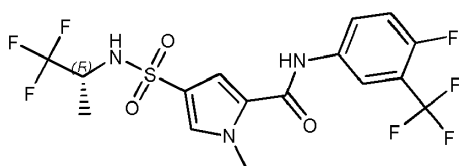


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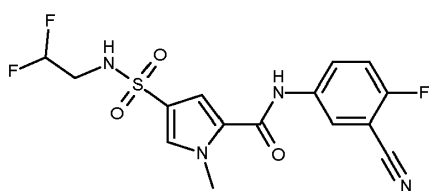
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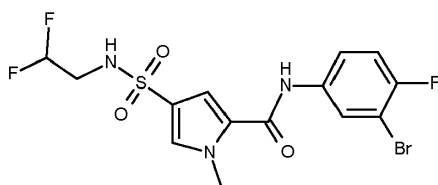
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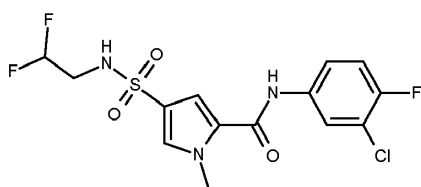


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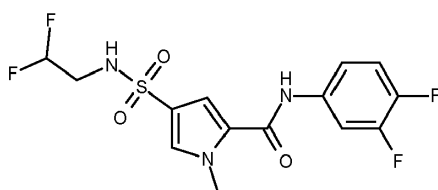


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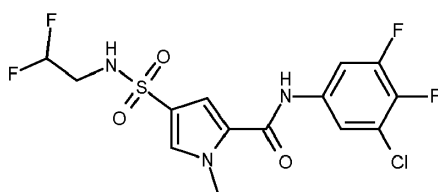
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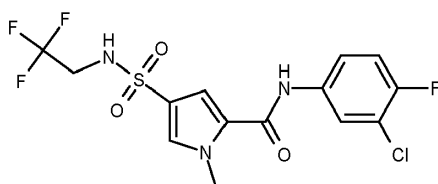


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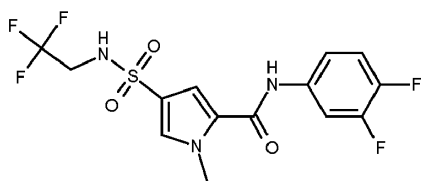


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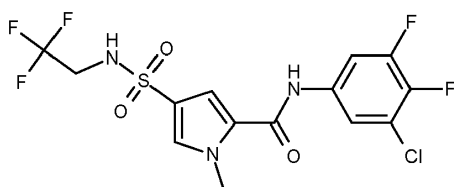
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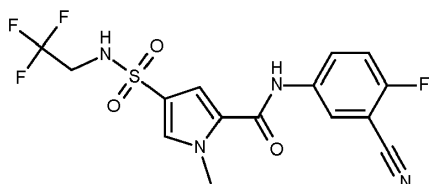


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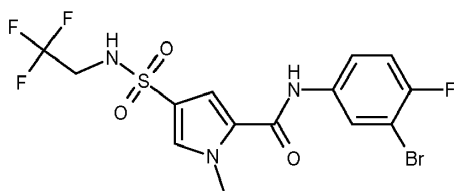


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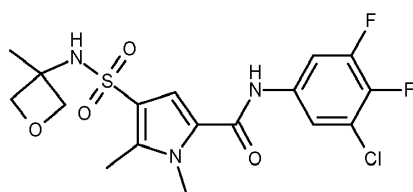
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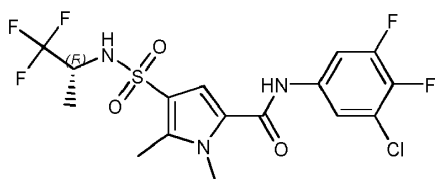


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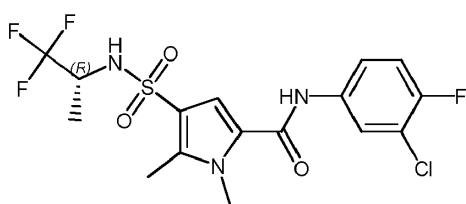


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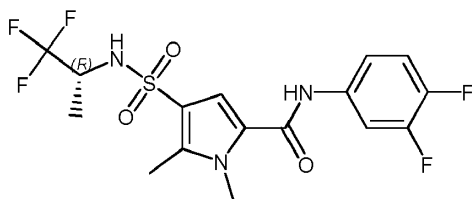
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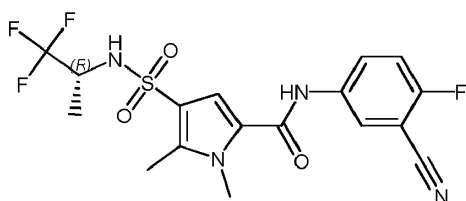


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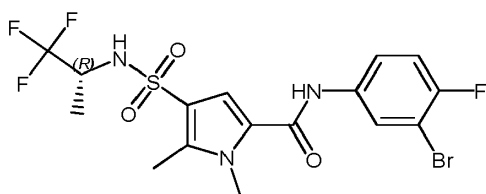


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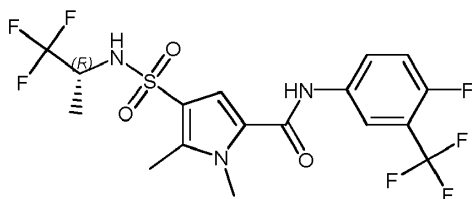
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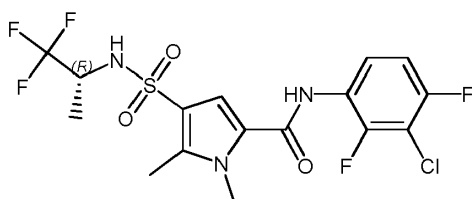


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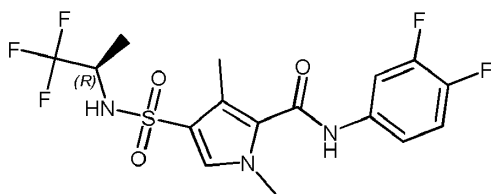


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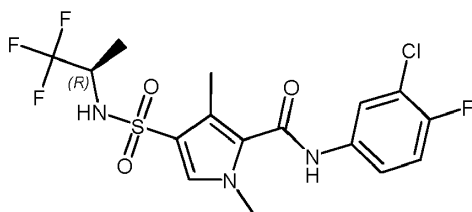
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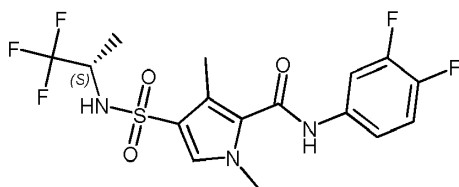


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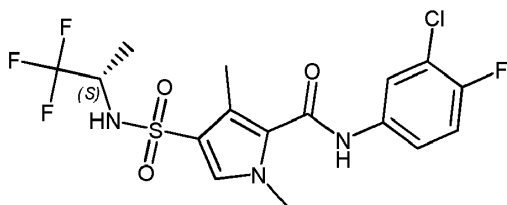


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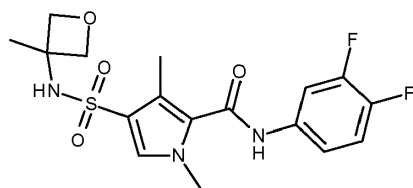
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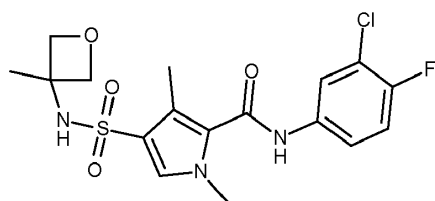


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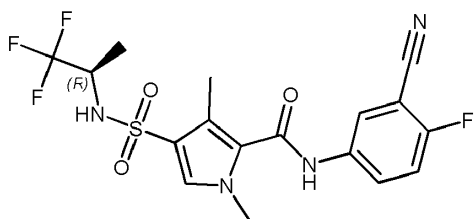


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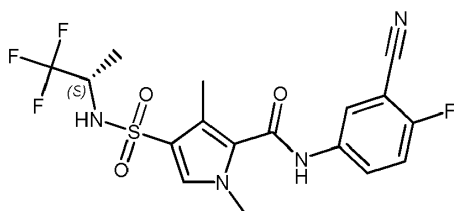
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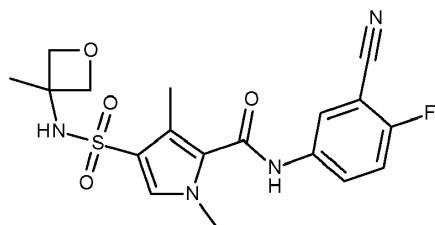


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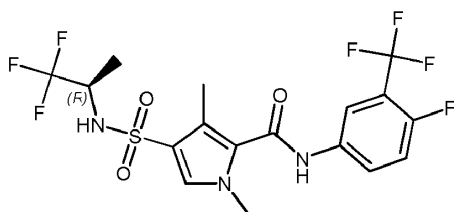


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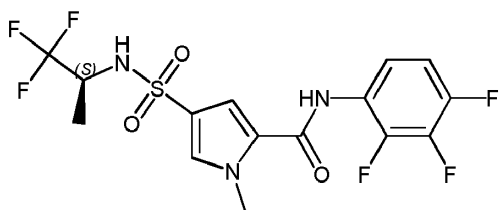
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forbindelse 153:

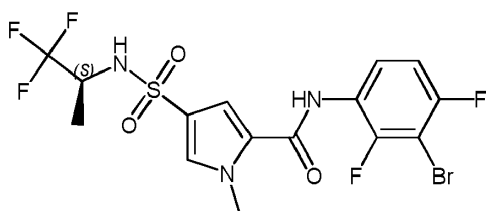


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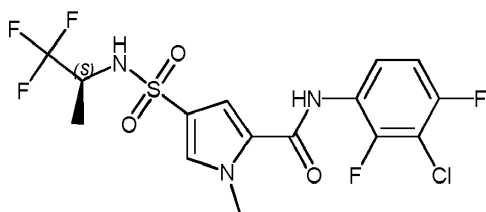


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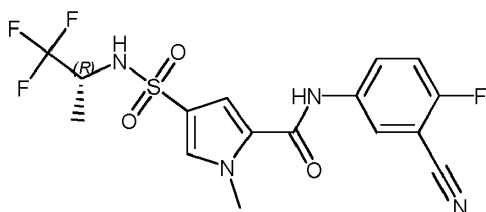
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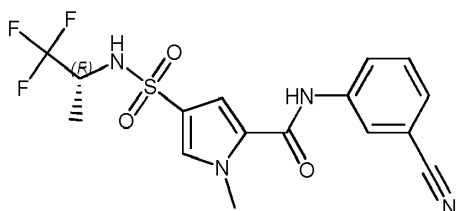


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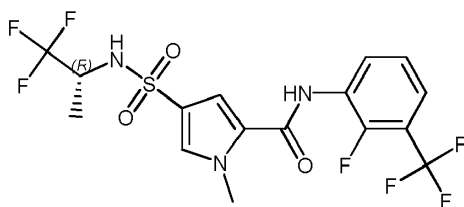


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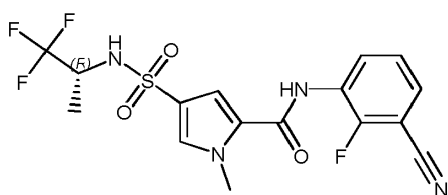
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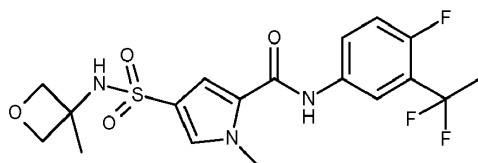


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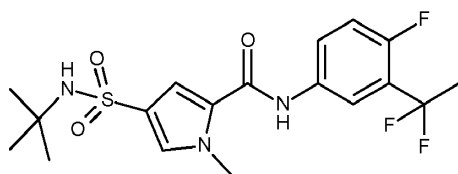


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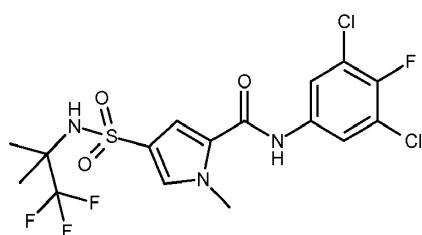
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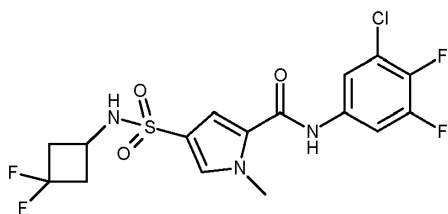


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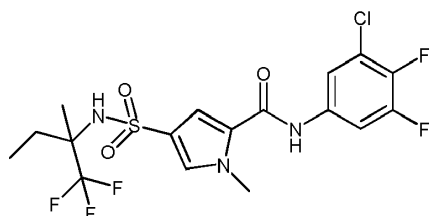


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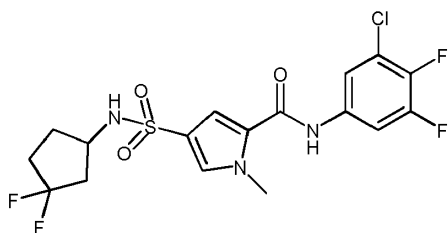
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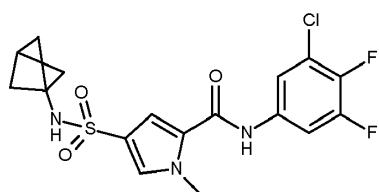


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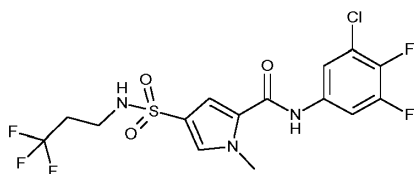


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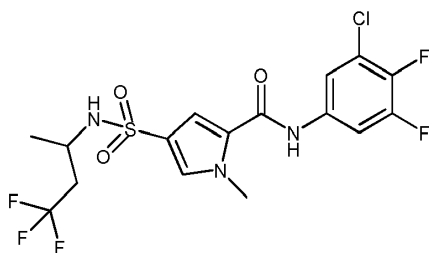
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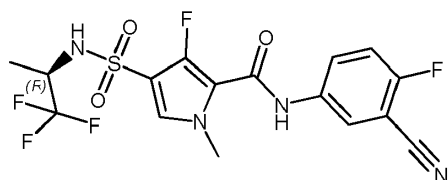


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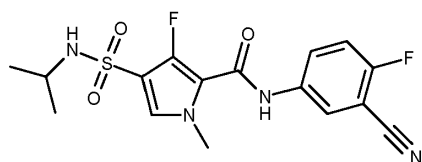


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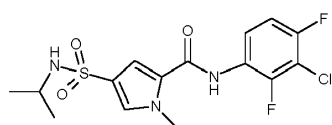
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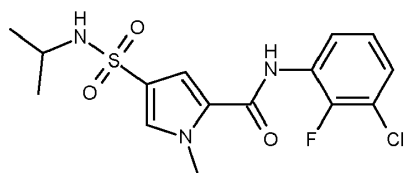


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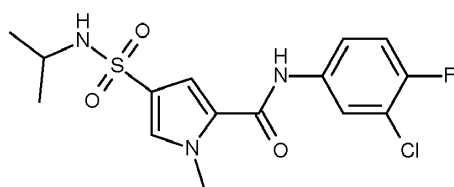


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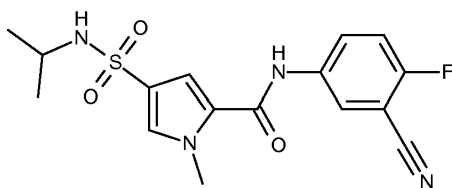
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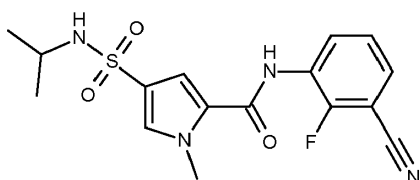
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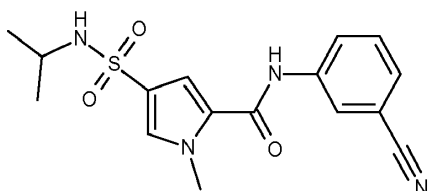


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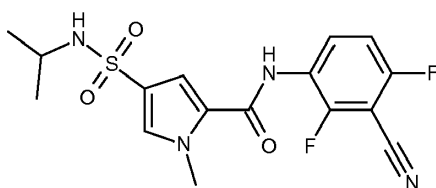


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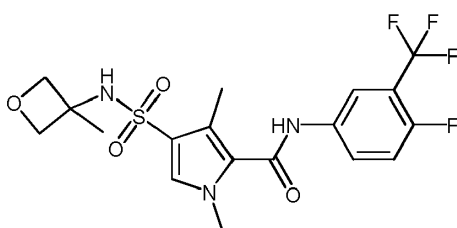
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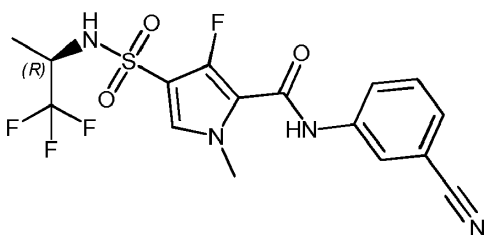


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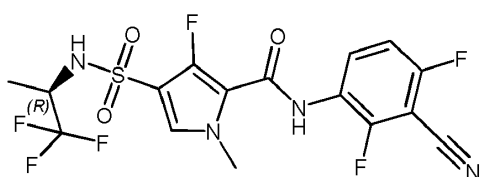


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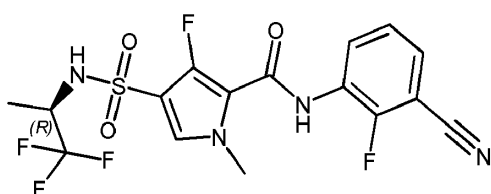
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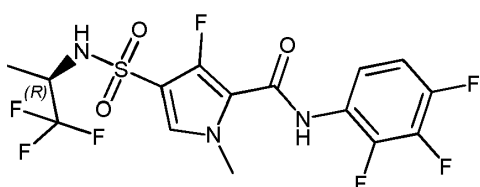


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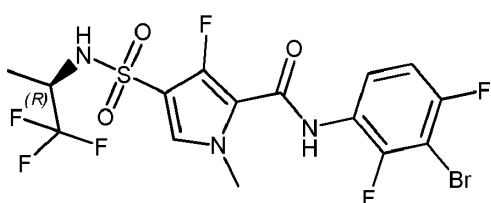


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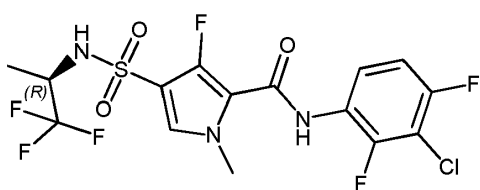
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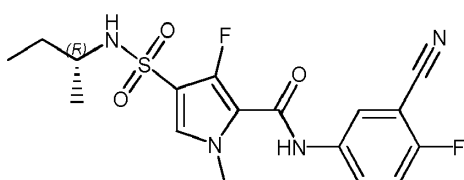


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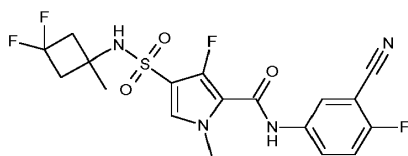


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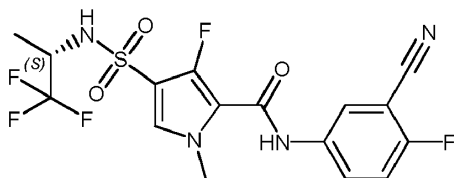
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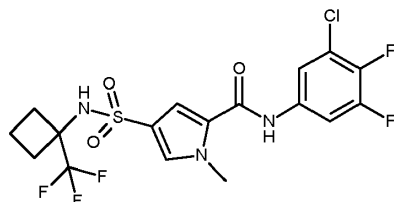


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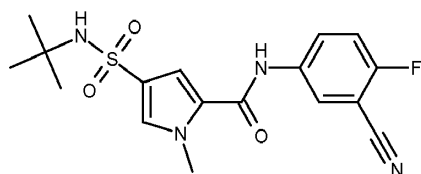


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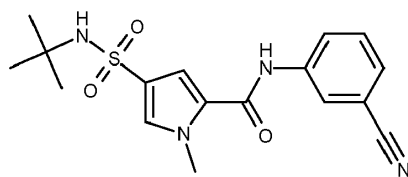
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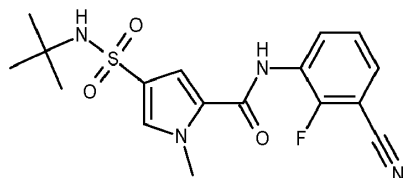


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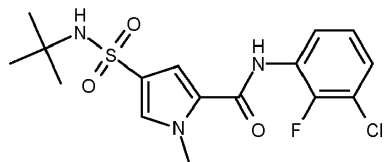


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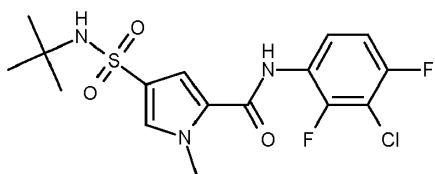
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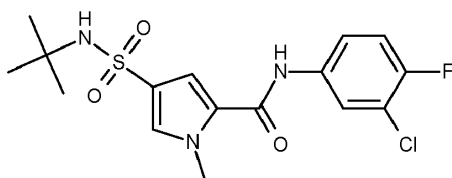
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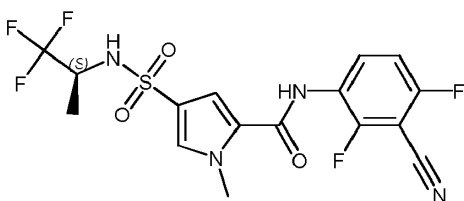


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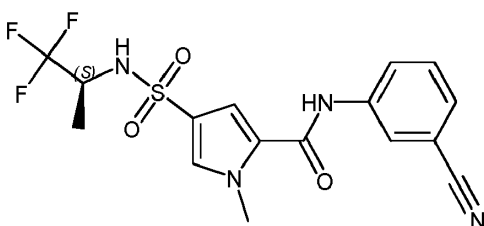


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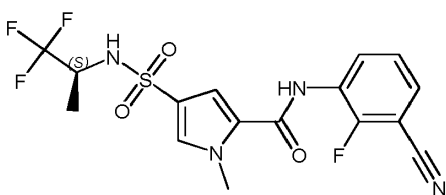
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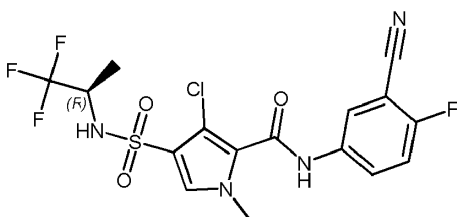


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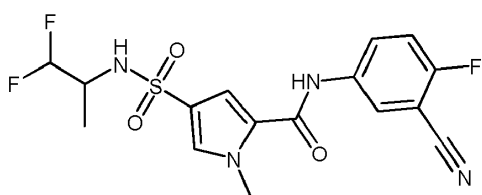


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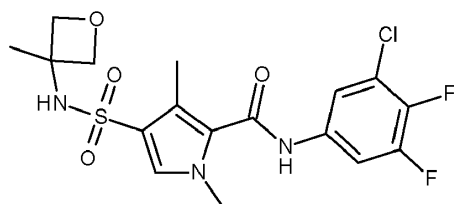
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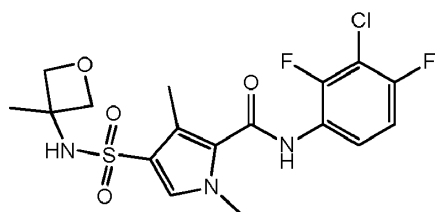


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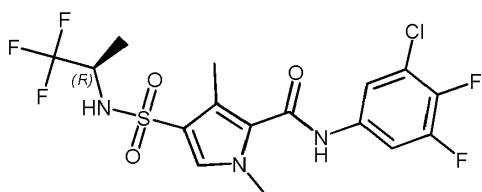


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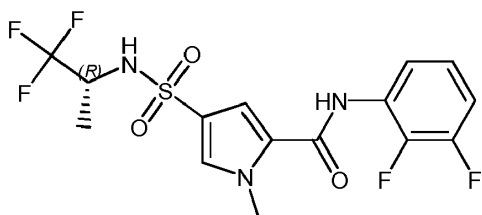
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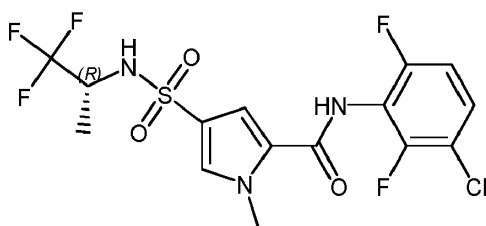


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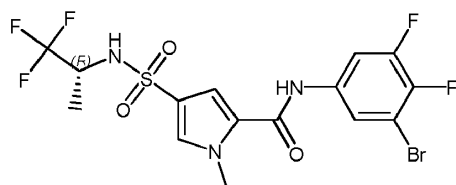


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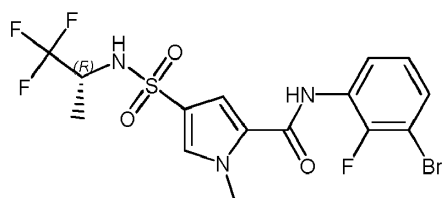
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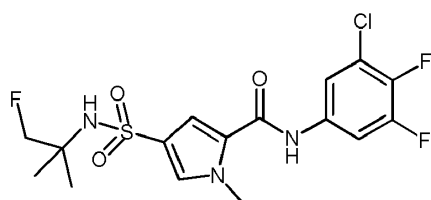


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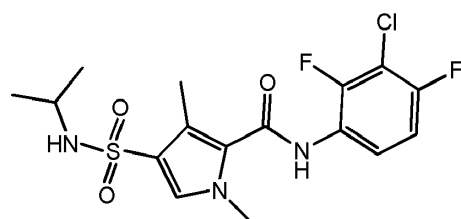


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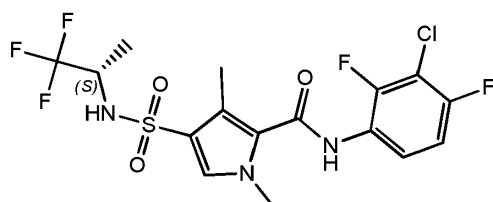
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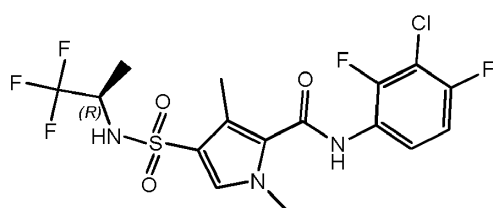


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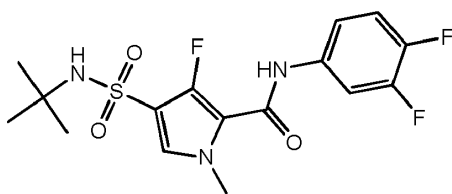


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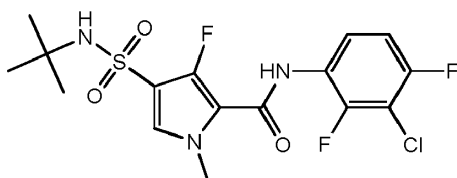
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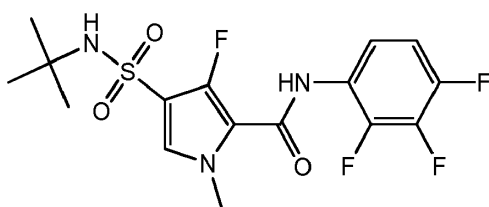


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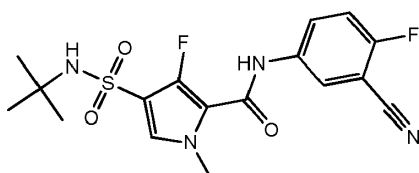


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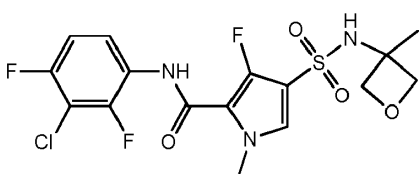
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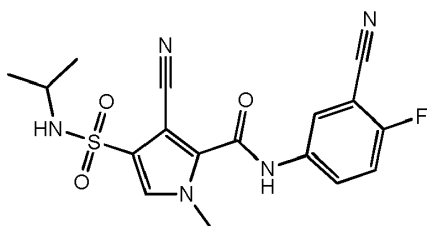


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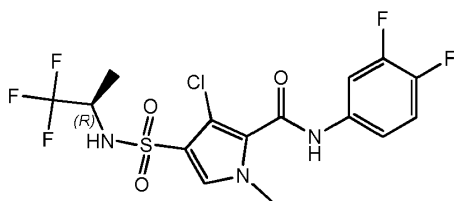


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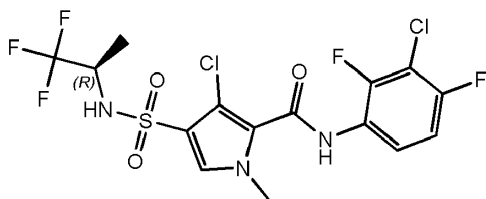
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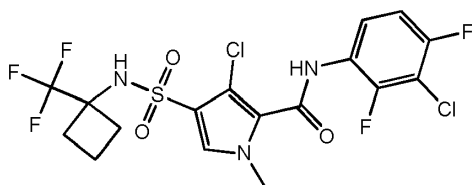


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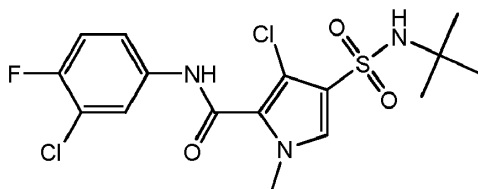


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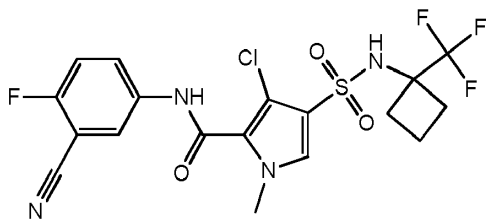
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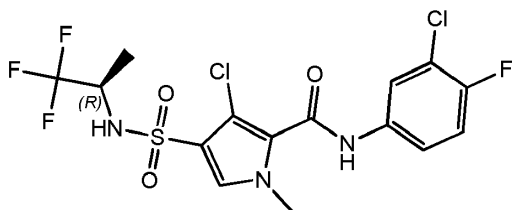


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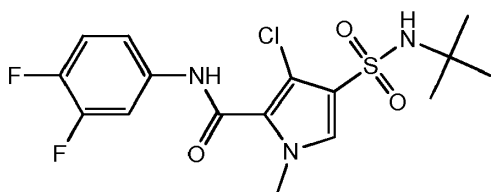


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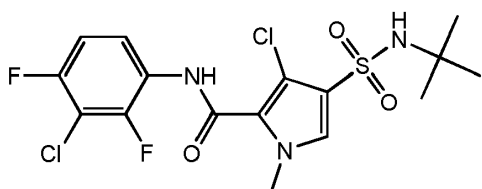
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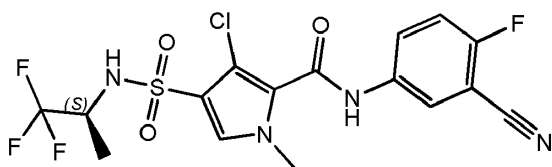


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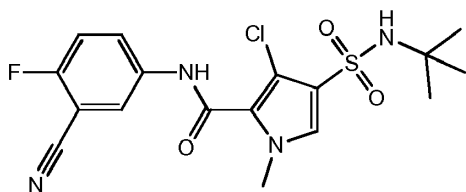


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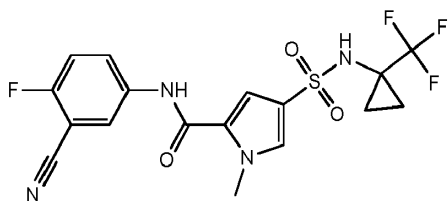
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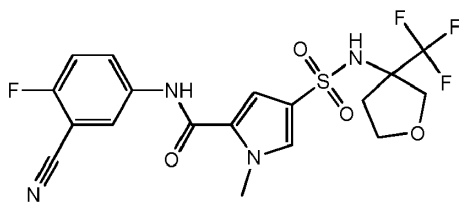


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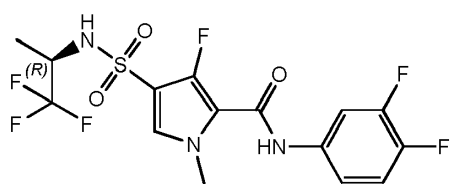


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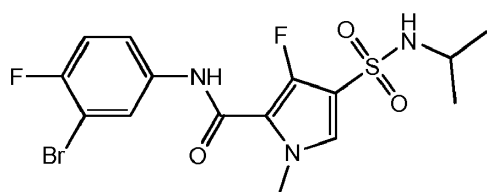
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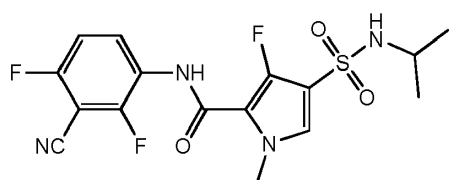


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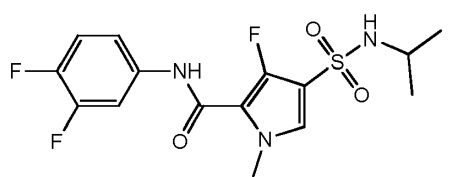


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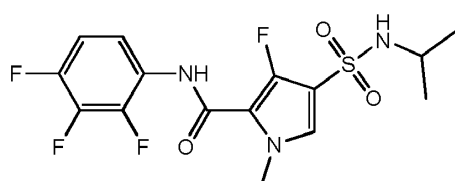
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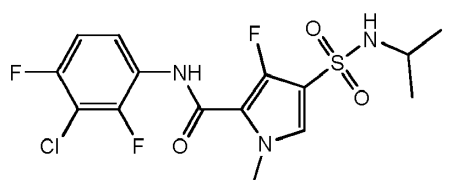


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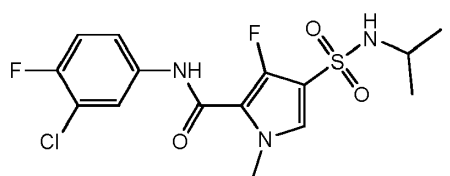


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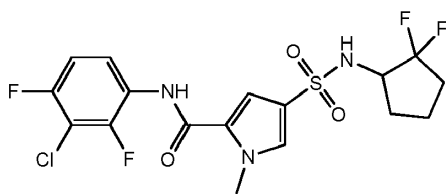
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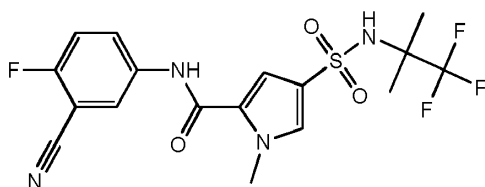
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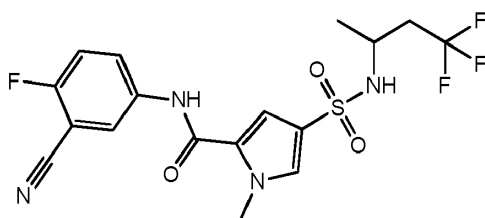


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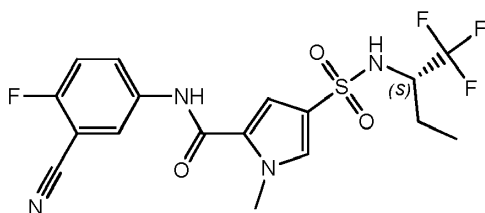


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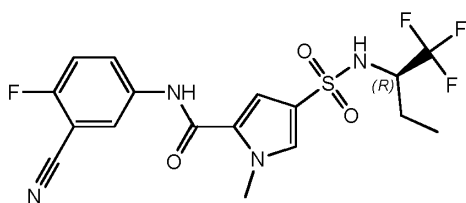
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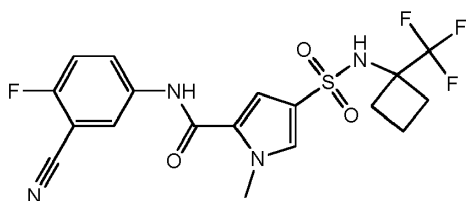


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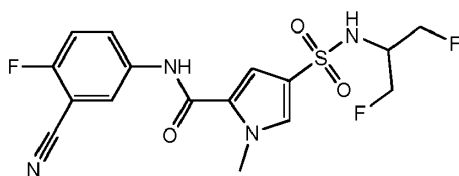


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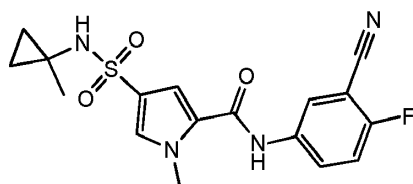
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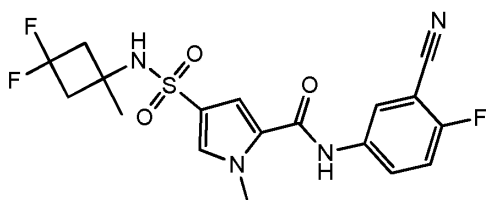


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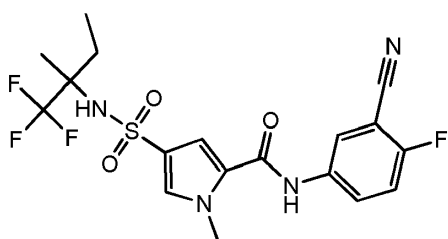


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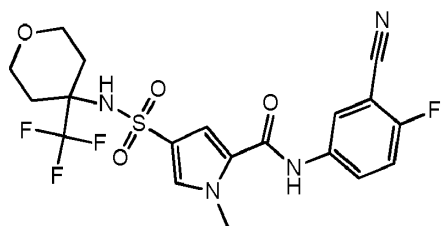
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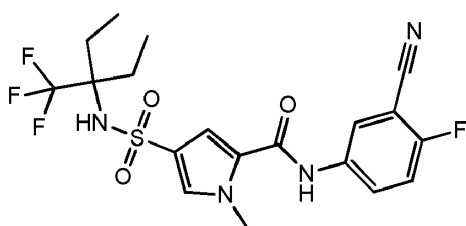


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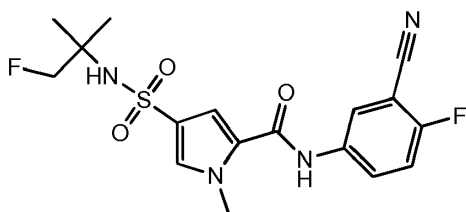


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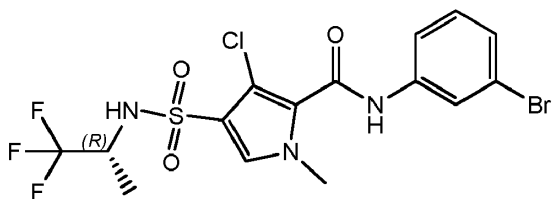
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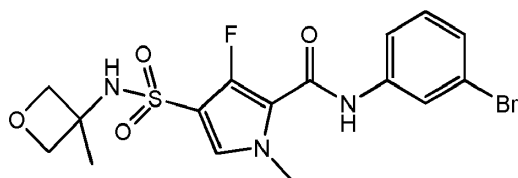


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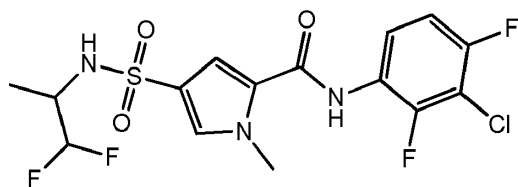


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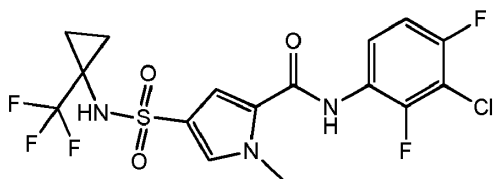
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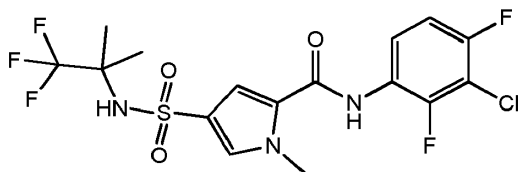


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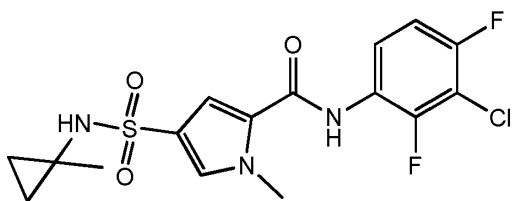


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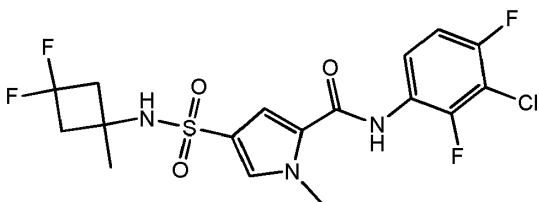
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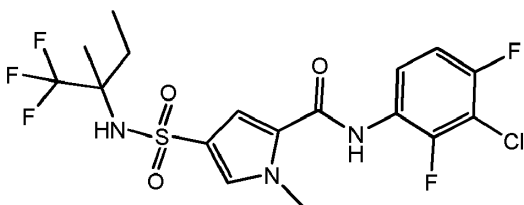


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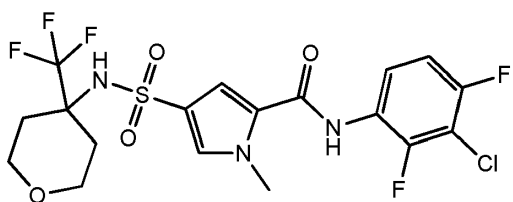


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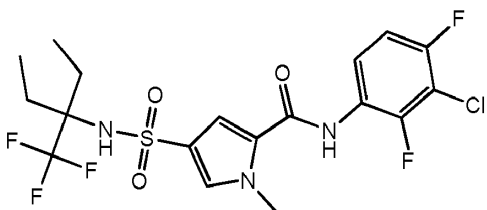
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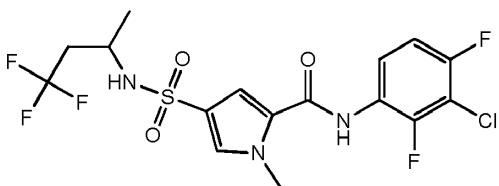


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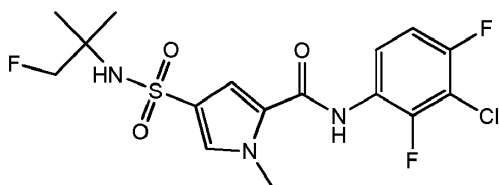


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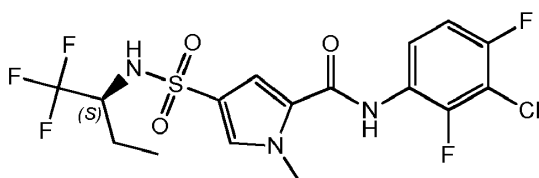
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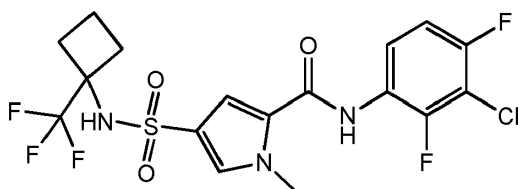


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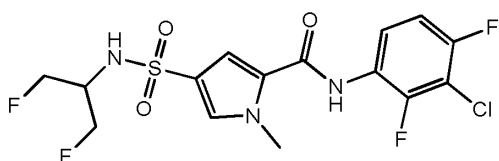


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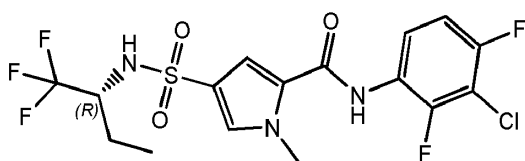
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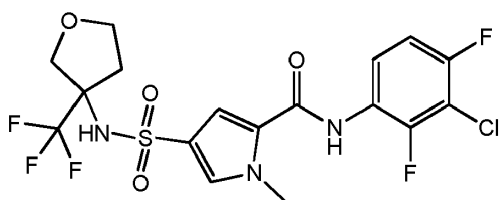


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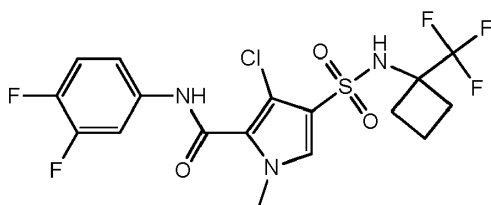


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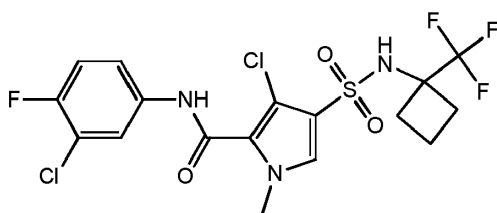
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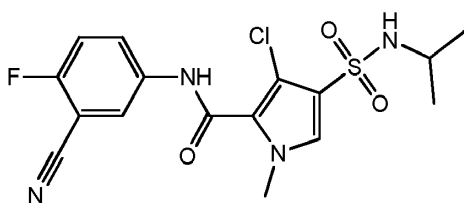


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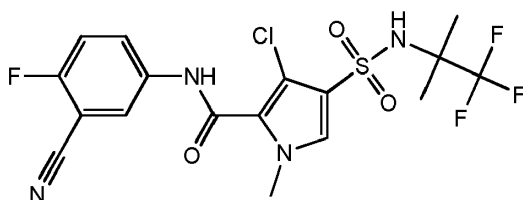


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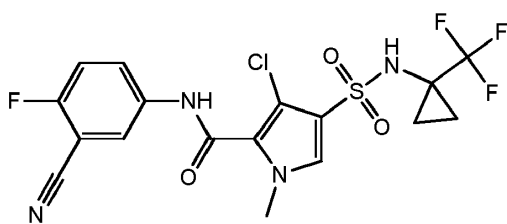
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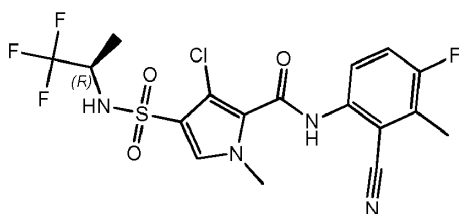


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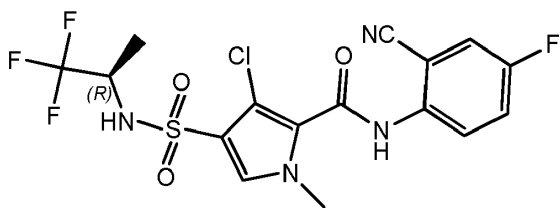


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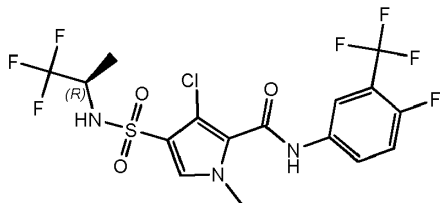
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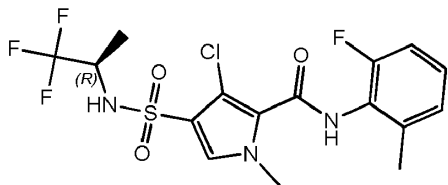


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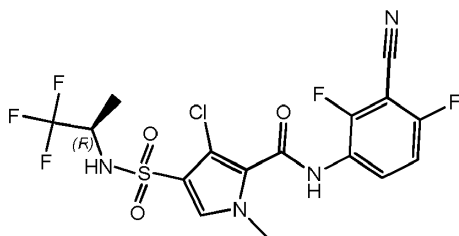


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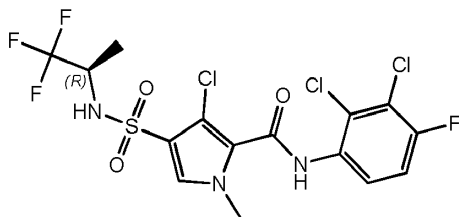
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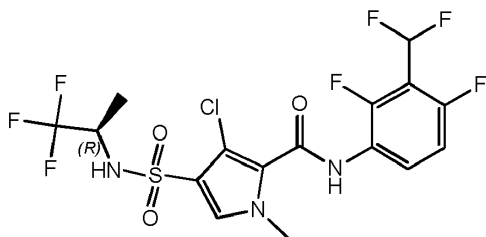


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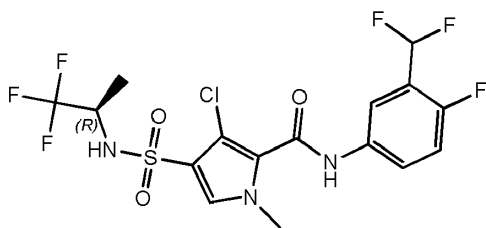


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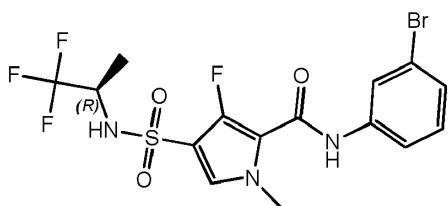
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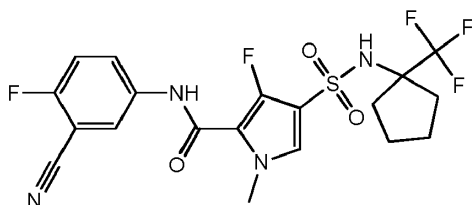


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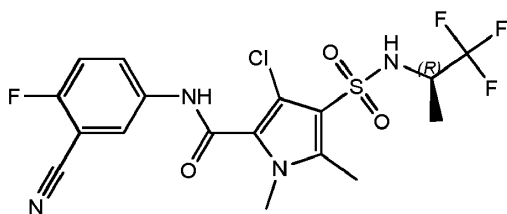


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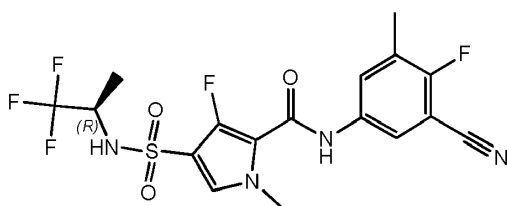
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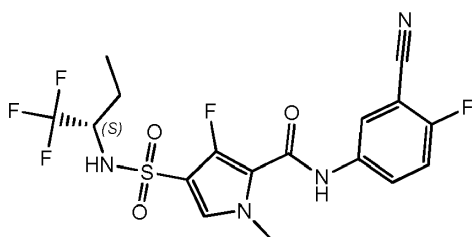
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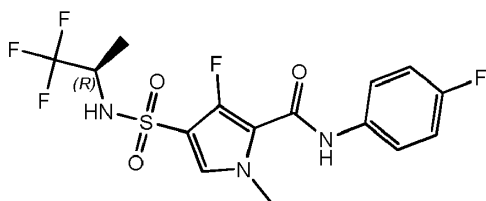
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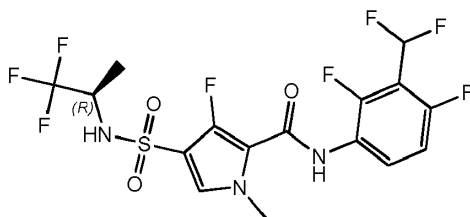
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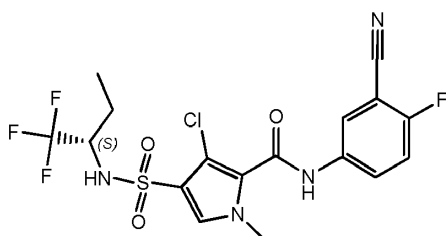


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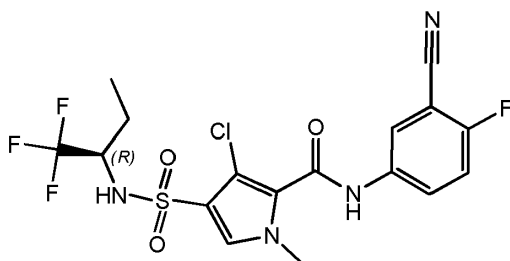


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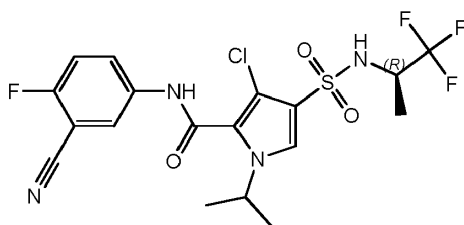
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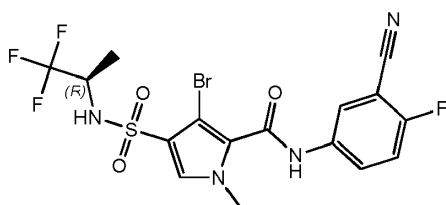


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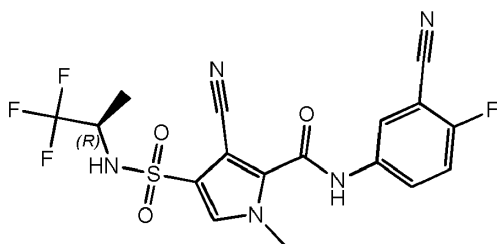


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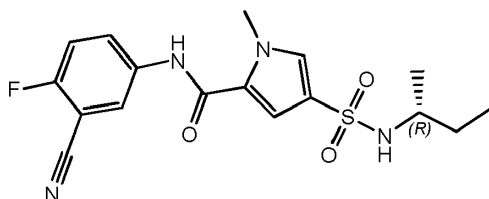
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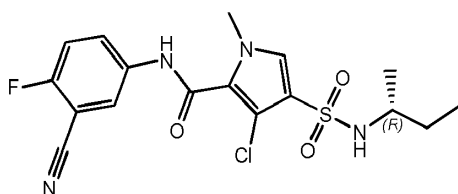


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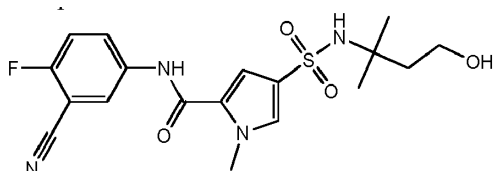


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forbindelse 295:



forbindelse 296:



10 11. En forbindelse ifølge et hvilket som helst av de foregående kravene for anvendelse som et medikament.

12. En forbindelse ifølge et hvilket som helst av de foregående kravene for anvendelse i forebygging eller behandling av en HBV-infeksjon hos et pattedyr.

15

13. En farmasøytisk sammensetning som omfatter en forbindelse ifølge et hvilket som helst av kravene 1 til 10, og en farmasøytisk akseptabel bærer.

14. Et produkt som inneholder (a) en forbindelse med formel (IA) som definert i et hvilket
20 som helst av kravene 1 til 10, og (b) en andre HBV-hemmer, som et kombinert preparat for samtidig, separat eller sekvensiell anvendelse i behandlingen av HBV-infeksjoner.

15. Produkt for anvendelse ifølge krav 14, hvor den andre HBV-hemmeren er interferon-alfa, pegylert interferon-alfa, 3TC eller adefovir.