(12) **Translation of new European patent specification**
After opposition procedure

(11) **NO/EP 2445502 B2**

NORWAY

(19) NO

(51) Int Cl.

C07D 263/58 (2006.01) ***C07D 401/12 (2006.01)*** ***C07D 471/04 (2006.01)***
A61K 31/497 (2006.01) ***C07D 417/12 (2006.01)*** ***C07F 9/6558 (2006.01)***
C07D 215/227 (2006.01)

Norwegian Industrial Property Office

(45)	Translation Published	2017.11.27
(80)	Date of The European Patent Office Publication of the Granted Patent	2017.06.21
(45)	Decision of the opposition in EPO	2022.09.28
	Decision of the opposition in NIPO	2023.02.06
(86)	European Application Nr.	10792677.6
(86)	European Filing Date	2010.06.24
(87)	The European Application's Publication Date	2012.05.02
(30)	Priority	2009.06.25, US, 220480 P 2010.01.07, US, 293087 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
	Designated Extension States:	BA; ME; RS
(73)	Proprietor	Alkermes Pharma Ireland Limited, Connaught House 1 Burlington Road, Dublin 4, Irland
(72)	Inventor	REMENAR, Julius, F., 9 Eaton Road, Framingham, MA 01701, USA BLUMBERG, Laura, Cook, 37 Mill Street, Lincoln, MA 01773, USA ZEIDAN, Tarek, A., 296 Lexington Street, Watertown, MA 02472, USA
(74)	Agent or Attorney	PLOUGMANN VINGTOFT, Postboks 1003 Sentrum, 0104 OSLO, Norge

(54) Title **HETEROCYCLIC COMPOUNDS FOR THE TREATMENT OF NEUROLOGICAL AND PSYCHOLOGICAL DISORDERS**

(56) References Cited:

EP-A1- 0 409 435, EP-A2- 0 367 141, US-B1- 6 444 668, US-A1- 2009 143 403, US-A1- 2009 068 290, US-A1- 2007 031 513, US-A- 4 831 031, US-A- 3 573 308, DE-B- 1 070 760, DE-A1- 4 439 493, WO-A2-2007/084391, WO-A2-2006/090273, WO-A1-2011/161030, WO-A1-2010/149755, WO-A1-2007/082907, WO-A1-2005/090357, WO-A1-2005/019215, WO-A1-2004/026864, WO-A1-98/49157, WO-A1-97/36893, WO-A1-00/66571, EP-A1- 0 339 976

Pitman, I.H, Pro-Drugs of Amides, Imides and Amines, Medicinal Research Reviews; 1981; 1(2), pages 189-214

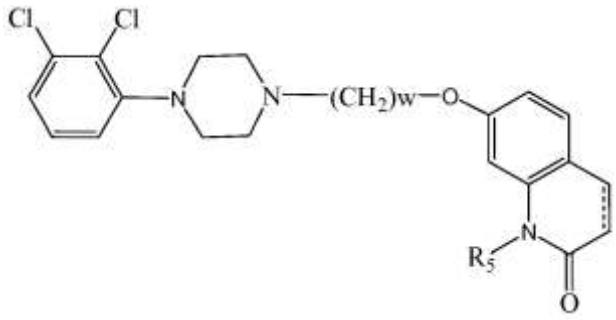
Bristol Meyers Squibb information leaflet about Abilify

Prescribing information about Aristrada
J. T. Link ET AL: "Staurosporine and ent -Staurosporine: The First Total Syntheses, Prospects for a Regioselective Approach, and Activity Profiles 1", Journal of the American Chemical Society, vol. 118, no. 12, 1 January 1996 (1996-01-01), pages 2825-2842, XP055217532, ISSN: 0002-7863, DOI: 10.1021/ja952907g
Hans Bundgard: 1 January 1985 (1985-01-01)
YATES N D ET AL: "1,3-Dipolar cycloadditions to oxidopyraziniums", HETEROCYCLES : AN INTERNATIONAL JOURNAL FOR REVIEWS AND COMMUNICATIONS IN HETEROCYCLIC CHEMISTRY, JAPAN INSTITUTE OF HETEROCYCLIC CHEMISTRY, JP, vol. 40, no. 1, 1 January 1995 (1995-01-01), pages 331-347, XP009186581, ISSN: 0385-5414
Hans Bundgaard: "N-hydroxymethyl derivatives; N-acyloxyalkyl derivatives", Design of prodrugs, 1 January 1985 (1985-01-01), pages 16-24, XP055218148, Amsterdam, New York, Oxford ISBN: 978-0-44-480675-8 Retrieved from the Internet: URL:- [retrieved on 2015-10-05]
Takashi Mizutani ET AL: "Discovery of Novel Benzoxazinones as Potent and Orally Active Long Chain Fatty Acid Elongase 6 Inhibitors", Journal of Medicinal Chemistry, vol. 52, no. 22, 26 November 2009 (2009-11-26), pages 7289-7300, XP055217712, ISSN: 0022-2623, DOI: 10.1021/jm900915x
Jinzhen Lin ET AL: "Diazonamide Support Studies: Stereoselective Formation of the C10 Chiral Center in both the CDEFG and AEFG Fragments", Organic Letters, vol. 10, no. 18, 18 September 2008 (2008-09-18), pages 3969-3972, XP055217651, ISSN: 1523-7060, DOI: 10.1021/ol8014336
Andrew Fensome ET AL: "Design, Synthesis, and SAR of New Pyrrole-Oxindole Progesterone Receptor Modulators Leading to 5-(7-Fluoro-3,3-dimethyl-2-oxo-2,3-dihydro -1 H -indol-5-yl)-1-methyl-1 H -pyrrole-2-carbonitrile (WAY-255348)", Journal of Medicinal Chemistry, vol. 51, no. 6, 1 March 2008 (2008-03-01), pages 1861-1873, XP055217649, ISSN: 0022-2623, DOI: 10.1021/jm701080t
LOZHKIN ET AL: "The first conglomerate in the series of 2,4,6,8,10-pentaazatricyclo[5.3.1.0<3.11>] undecane-1,5-diones", MENDELEEV COMMUNICATIONS, INSTITUTE OF PHYSICS PUBLISHING, BRISTOL, GB, vol. 17, no. 2, 5 April 2007 (2007-04-05), pages 85-87, XP022022625, ISSN: 0959-9436
Alan D. Borthwick ET AL: "Design and Synthesis of Pyrrolidine-5,5- trans- lactams (5-Oxohexahydropyrrolo[3,2- b]pyrroles) as Novel Mechanism-Based Inhibitors of Human Cytomegalovirus Protease. 2. Potency and Chirality", Journal of Medicinal Chemistry, vol. 45, no. 1, 1 January 2002 (2002-01-01), pages 1-18, XP055217624, ISSN: 0022-2623, DOI: 10.1021/jm0102203
COLLINS M A ET AL: "Novel pyrrole-containing progesterone receptor modulators", BIOORGANIC & MEDICINAL CHEMISTRY LETTERS, PERGAMON, AMSTERDAM, NL, vol. 14, 1 January 2004 (2004-01-01), pages 2185-2189, XP002347318, ISSN: 0960-894X, DOI: 10.1016/J.BMCL.2004.02.054
PASS M ET AL: "Thrombin inhibitors based on [5,5] trans-fused indane lactams", BIOORGANIC & MEDICINAL CHEMISTRY LETTERS, PERGAMON, AMSTERDAM, NL, vol. 9, no. 12, 21 June 1999 (1999-06-21), pages 1657-1662, XP004167734, ISSN: 0960-894X, DOI: 10.1016/S0960-894X(99)00244-9
Hiroshi Hongo ET AL: "Preparation of optically active photopyridone by lipase-catalysed asymmetric resolution?1", Journal of the Chemical Society, Perkin Transactions 1, no. 11, 1 January 1997 (1997-01-01), pages 1747-1754, XP055217539, ISSN: 0300-922X, DOI: 10.1039/a605698i
Richard H. Hutchings ET AL: "An Oxazoline-Mediated Synthesis of the Pyrrolophenanthridine Alkaloids and Some Novel Derivatives", The Journal of Organic Chemistry, vol. 61, no. 3, 1 January 1996 (1996-01-01), pages 1004-1013, XP055217535, ISSN: 0022-3263, DOI: 10.1021/jo951474x
J. T. Link ET AL: "First Total Synthesis of Staurosporine and ent-Staurosporine", Journal of the American Chemical Society, vol. 117, no. 1, 1 January 1995 (1995-01-01), pages 552-553, XP055217525, ISSN: 0002-7863, DOI: 10.1021/ja00106a072
Frank G. M. Niele ET AL: "Rhodium(III) cage compounds based on diphenylglycoluril", Journal of the American Chemical Society, vol. 111, no. 6, 1 March 1989 (1989-03-01) , pages 2078-2085, XP055217434, ISSN: 0002-7863, DOI: 10.1021/ja00188a022
J T Link ET AL: "Regiosektive Imide Reduction : An Issue Staurosporine in the Total Synthesis of staurosporine", Tetrahedron letters, vol. 35, no. 49, 1 January 1994 (1994-01-01), pages 9135-9138, XP055217443,

Frank G. M. Niele ET AL: "Palladium(II) cage compounds based on diphenylglycoluril", Journal of the American Chemical Society, vol. 110, no. 1, 1 January 1988 (1988-01-01), pages 172-177, XP055217436, ISSN: 0002-7863, DOI: 10.1021/ja00209a027
Shozo Yamada: "Oxidation Products of Hydroxylycoctonam", Bulletin of the Chemical Society of Japan, vol. 35, no. 4, 1 January 1962 (1962-01-01), pages 670-672, XP055217429, ISSN: 0009-2673, DOI: 10.1246/bcsj.35.670
YODA ET AL: 'Sml2-mediated hetero-coupling reaction of lactams with aldehydes; synthesis of indolizidine alkaloids, (-)-delta-coniceine, (+)-5-epiindolizidine 167B and (+)-lentiginosine' TETRAHEDRON LETTERS vol. 42, no. 13, 2001, pages 2509 - 2512, XP004232284
Rautio J: et al Prodrugs; design and clinical applications; Nature Reviews, 2008, 7,. pages .255-270
DEZI: 'Modeling of 5-HT2A and 5-HT2C Receptors and of Their Complexes with Actual and Potential Antipsychotic Drugs' PHD THESIS, POMPEU FABRA UNIVERSITY 2007, BARCELONA, pages 1 - 239, XP008143584
MIAO ET AL: 'Characterization of a Novel Metabolite Intermediate of Ziprasidone in Hepatic Cytosolic Fractions of Rat, Dog, and Human by ESI-MS/MS, Hydrogen/Deuterium Exchange, and Chemical Derivatization' DRUG METABOLISM AND DISPOSITION vol. 33, no. 7, 2005, pages 879 - 883, XP008149118

Patentkrav

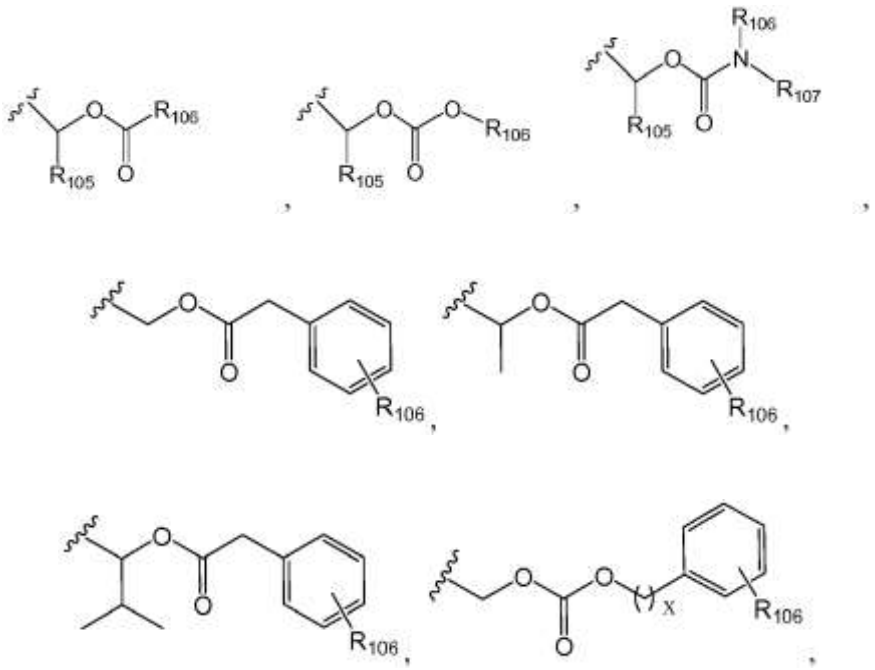
1. En forbindelse representert ved formel V:

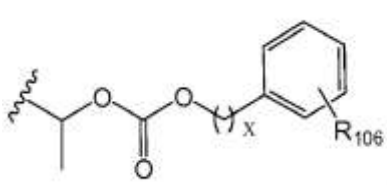
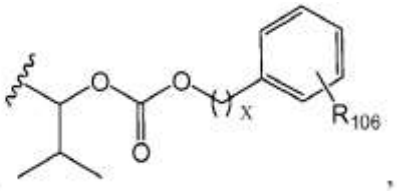
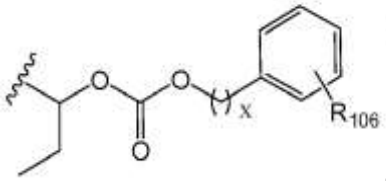
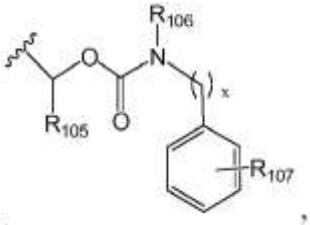
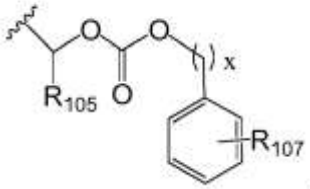
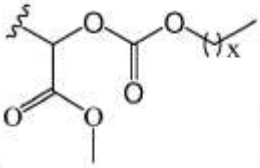
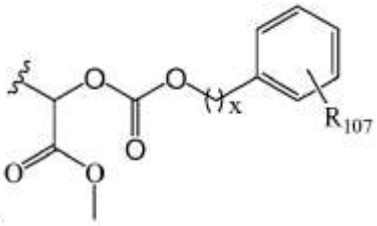
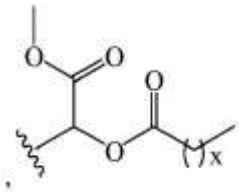
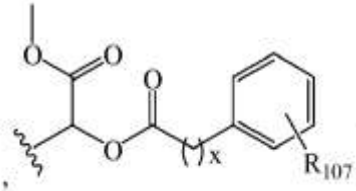
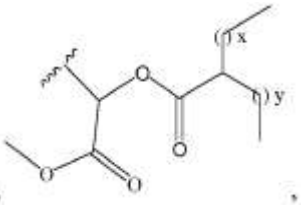
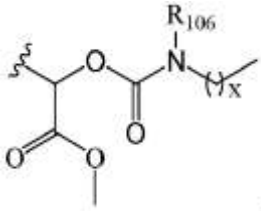
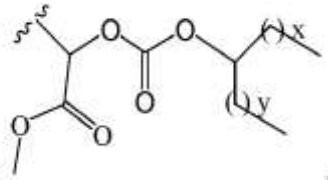
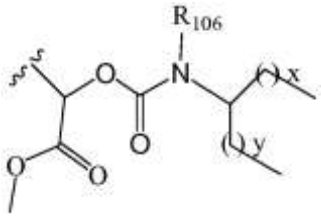


Formel V

eller dens geometriske isomerer, enantiomerer, diastereomerer, racemater, farmasøytisk akseptable salter og solvater derav,

hvor ---------- representerer en enkelt- eller dobbeltbinding;
w er 4;
R_5 er valgt fra -CH(R_{10})-OC(O)OR_{20}, -CH(R_{10})-OC(O)R_{20}, -CH(R_{10})-OC(O)N$R_{20}R_{21}$,



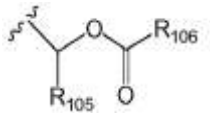












og

;

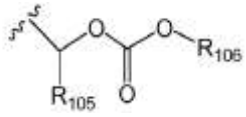
hvor z er 1, 2, 3, 4, 5, 6, eller 7;

hver R_{20} og R_{21} er uavhengig valgt fra hydrogen, alifatisk, substituert alifatisk, aryl eller substituert aryl;
hver x og y er uavhengig et heltall mellom 0 og 30,
hvor R_{100}, R_{101} og R_{103} er uavhengig valgt fra hydrogen, halogen, eventuelt substituert C_1-C_8 alkyl, eventuelt substituert C_2-C_8 alkenyl, eventuelt substituert C_2-C_8 alkynyl, eventuelt substituert C_3-C_8 cykloalkyl, eventuelt substituert C_1-C_8 alkoksy, eventuelt substituert C_1-C_8 alkylamino og eventuelt substituert C_1-C_8 aryl;
R_{105}, R_{106} og R_{107} er uavhengig valgt fra hydrogen, halogen, eventuelt substituert C_1-C_{24} alkyl, eventuelt substituert C_2-C_{24} alkenyl, eventuelt substituert C_2-C_{24} alkynyl, eventuelt substituert C_3-C_{24} cykloalkyl, eventuelt substituert C_1-C_{24} alkoksy, eventuelt substituert C_1-C_{24} alkylamino og eventuelt substituert C_1-C_{24} aryl;

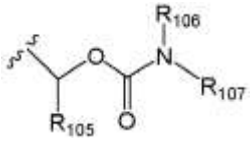
R_{10} er hydrogen, halogen, alifatisk, substituert alifatisk, aryl eller substituert aryl; og
hvor "substituert" refererer til erstatning av ett eller flere hydrogenradikaler i en gitt struktur med radikalet av en spesifisert substituent valgt fra halogen, alkyl, alkenyl, alkynyl, aryl, heterocyklyl, tiol, alkyltio, aryltio, alkyltioalkyl, aryltioalkyl, alkylsulfonyl alkylsulfonylalkyl, arylsulfonylalkyl, alkoksy, aryloksy, aralkoksy, aminokarbonyl, alkylaminokarbonyl, arylaminokarbonyl, alkoksykarbonyl, aryloksykarbonyl, halogenalkyl, amino, trifluormetyl, cyano, nitro, alkylamino, arylamino, alkylaminoalkyl, arylaminoalkyl, aminoalkylamino, hydroksy, alkoksyalkyl, karboksyalkyl, alkoksykarbonylalkyl, aminokarbonylalkyl , acyl, aralkoksykarbonyl, karboksylsyre, sulfonsyre, sulfonyl, fosfonsyre, heteroaryl, heterocyklisk og alifatisk.

2. En forbindelse ifølge krav 1, hvor R_5 er valgt fra:

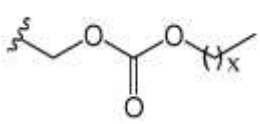
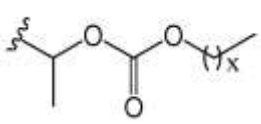
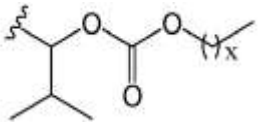
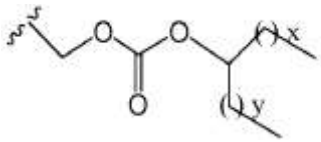
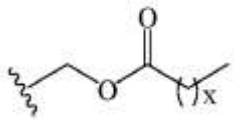
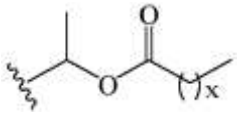
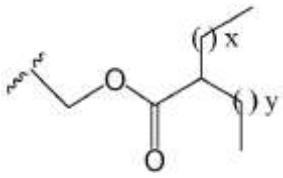
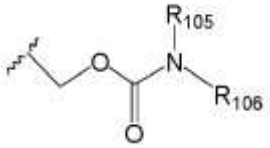
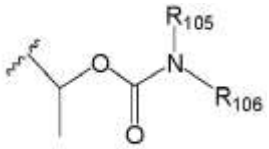
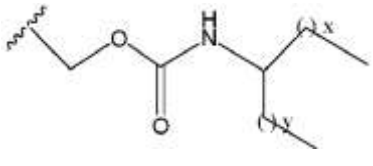
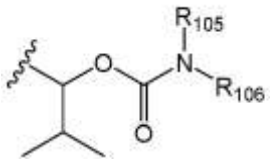
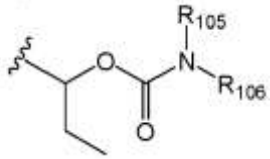


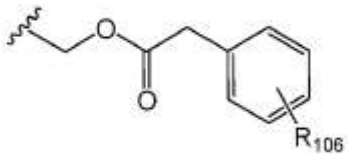


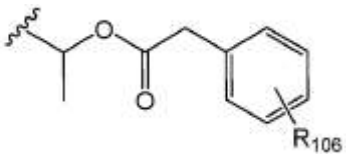
og

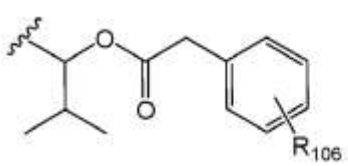


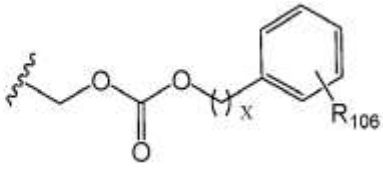
3. En forbindelse ifølge krav 1, hvor R_5 er valgt fra:

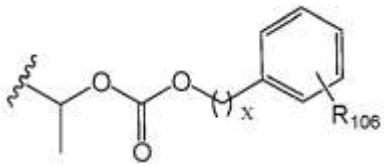













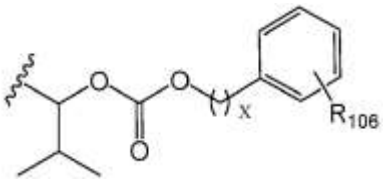


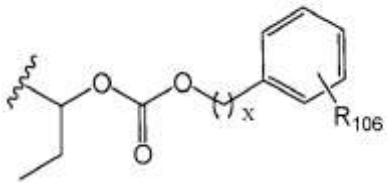


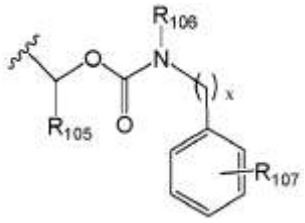


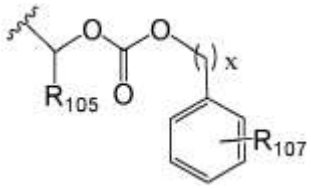


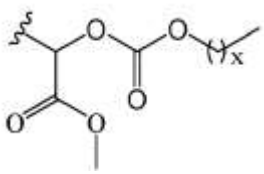


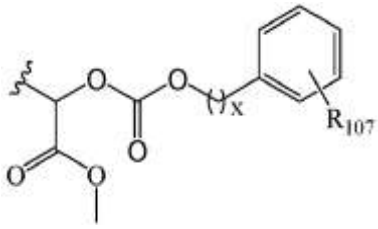


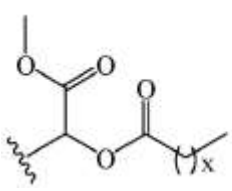


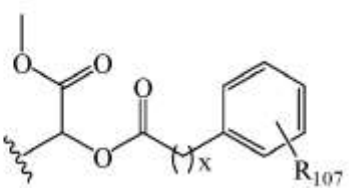


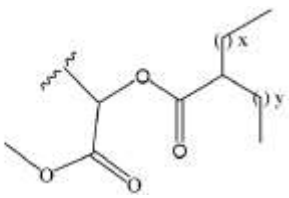


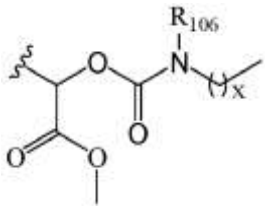


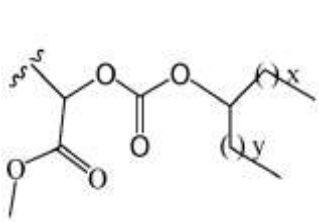




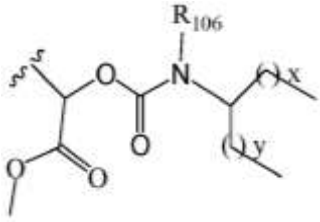








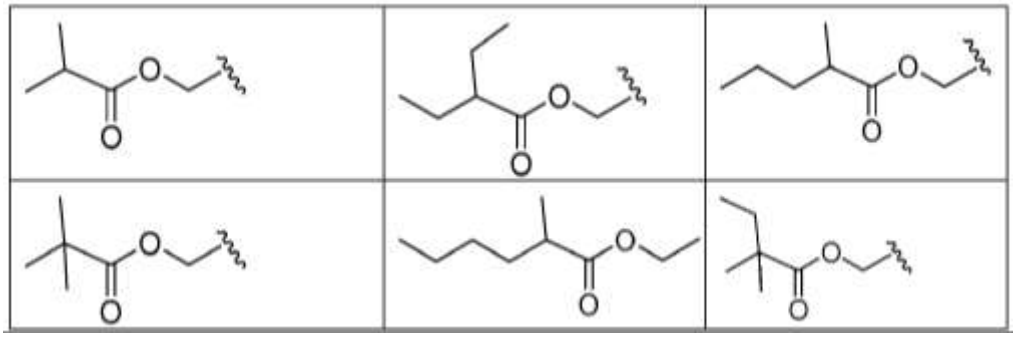
og

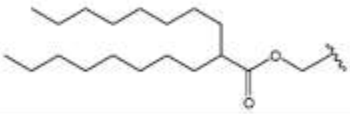
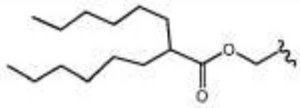
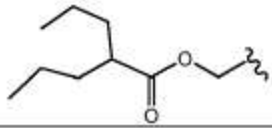
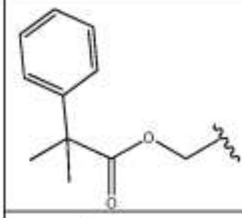
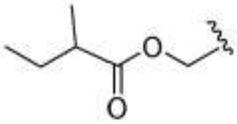
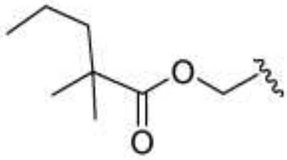
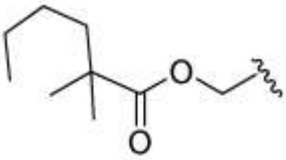
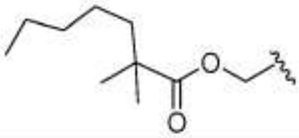
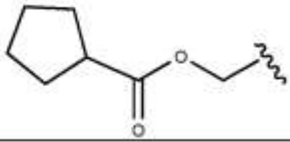
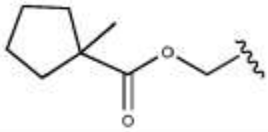
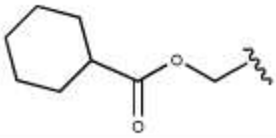
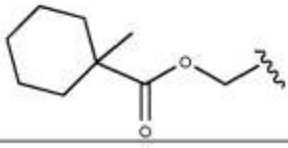
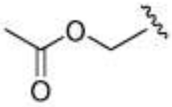
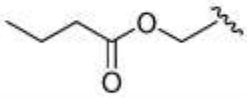
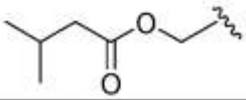
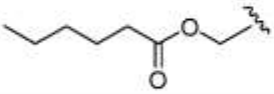
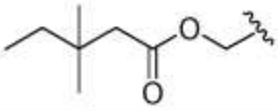
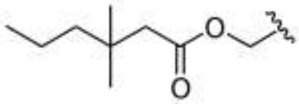
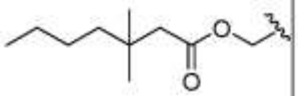
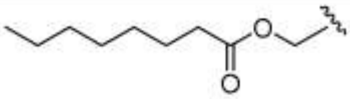
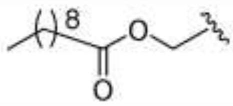
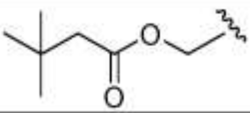
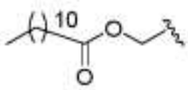
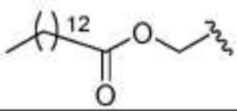
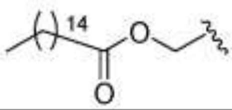


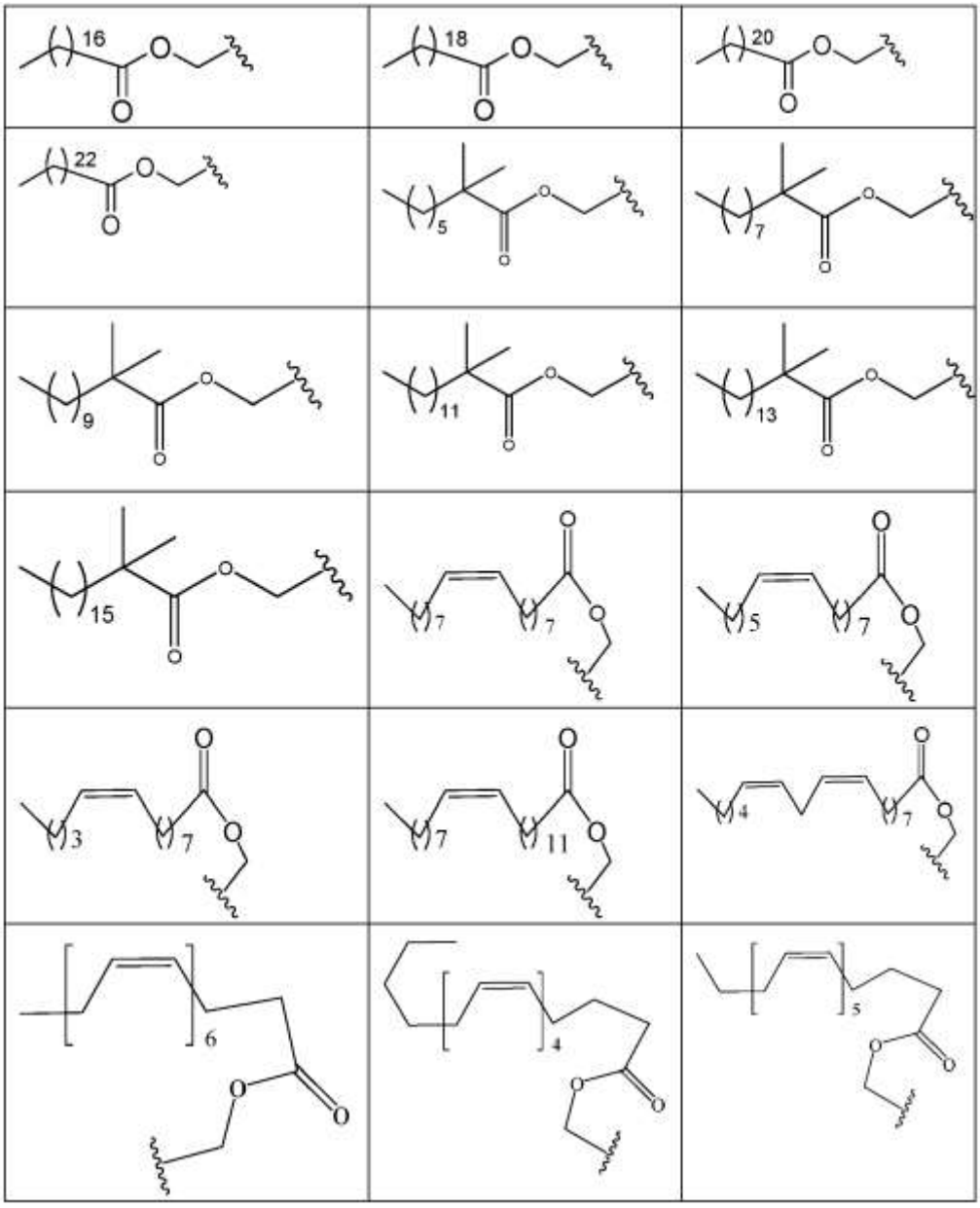
hvor, hver x og y er uavhengig et heltall mellom 0 og 30, og R_{105}, R_{106}, og R_{107} er som definert i krav 1.

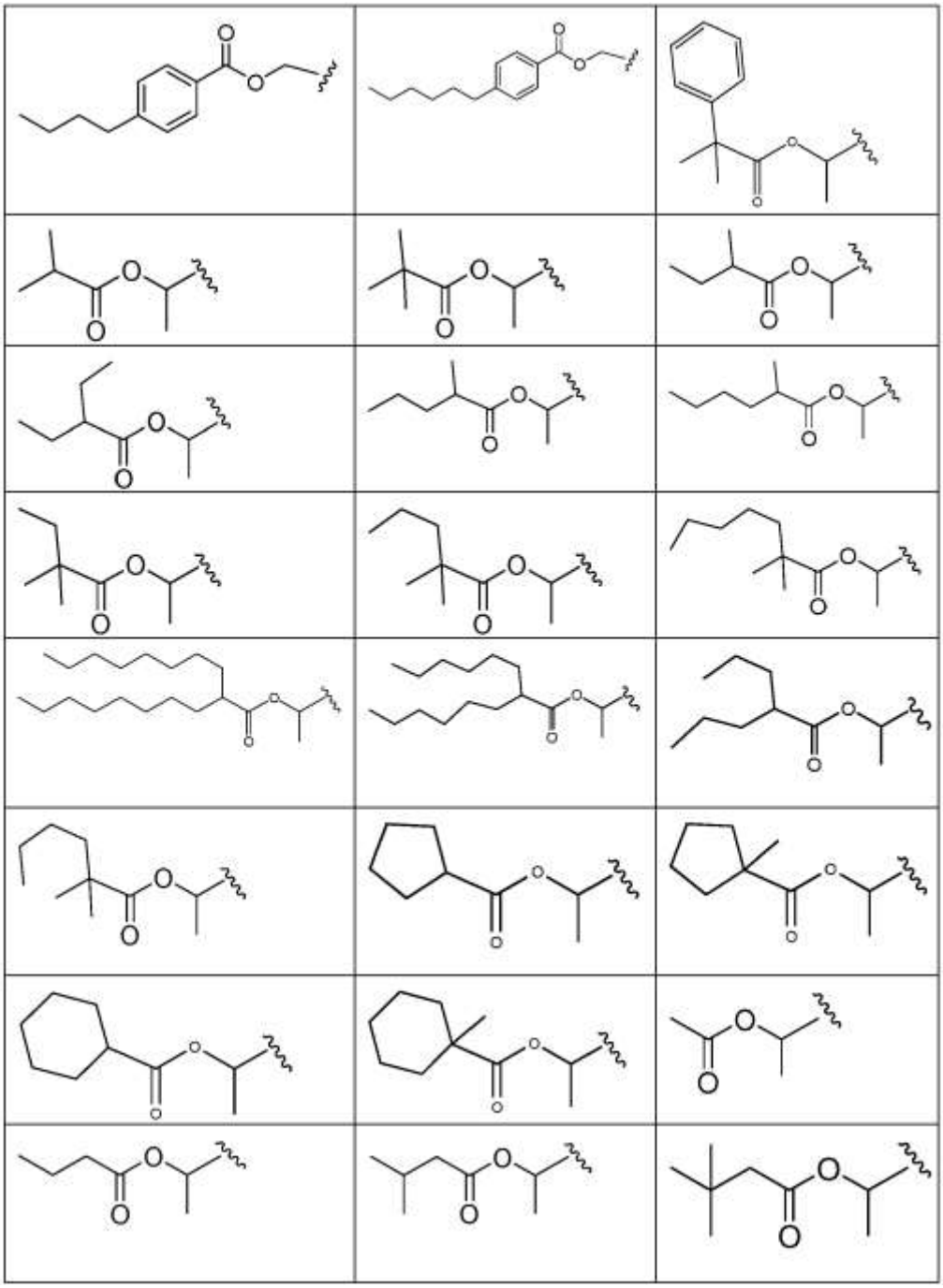
4. En forbindelse ifølge krav 1, hvor R_5 er valgt fra tabell 1:

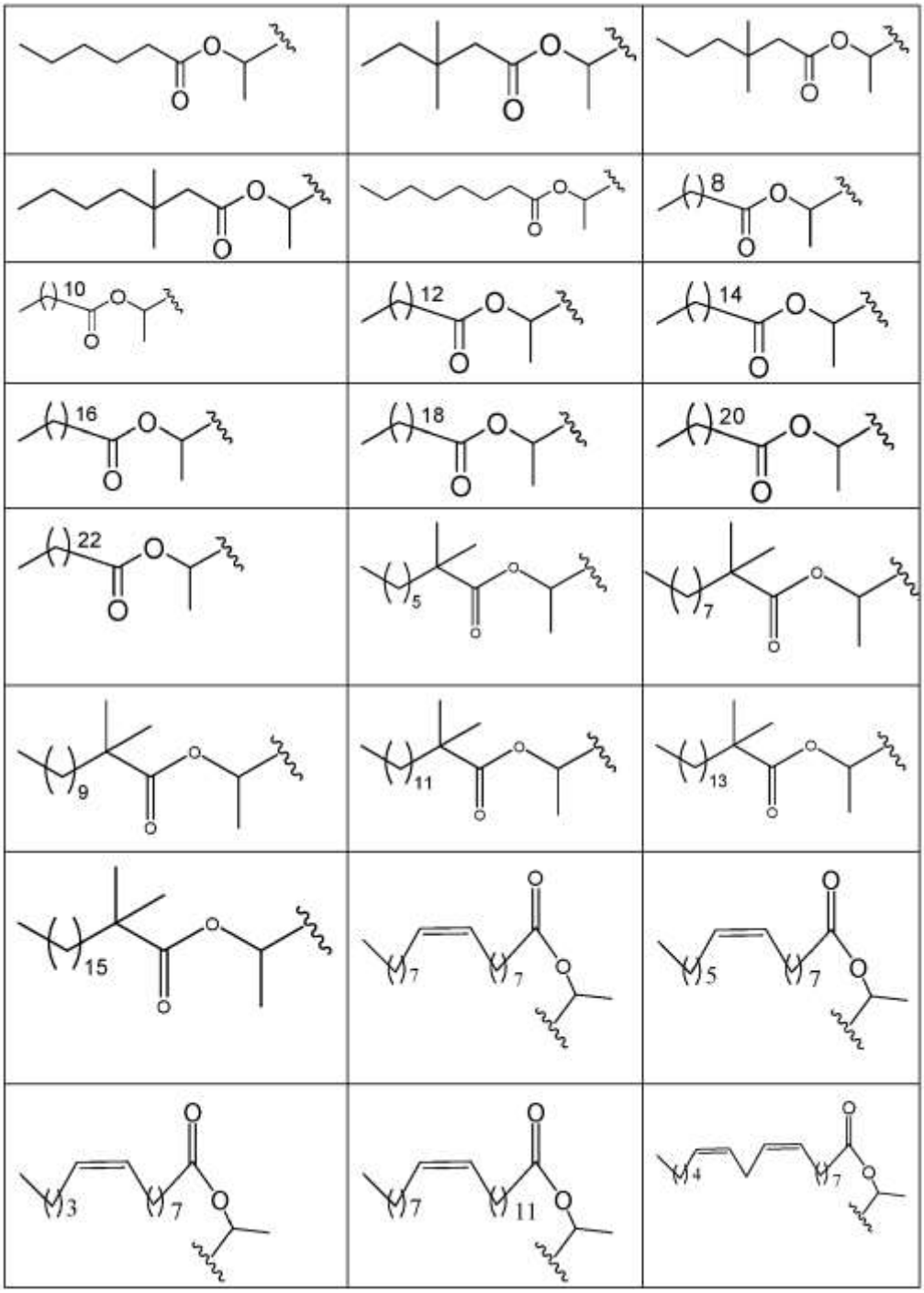
Tabell 1

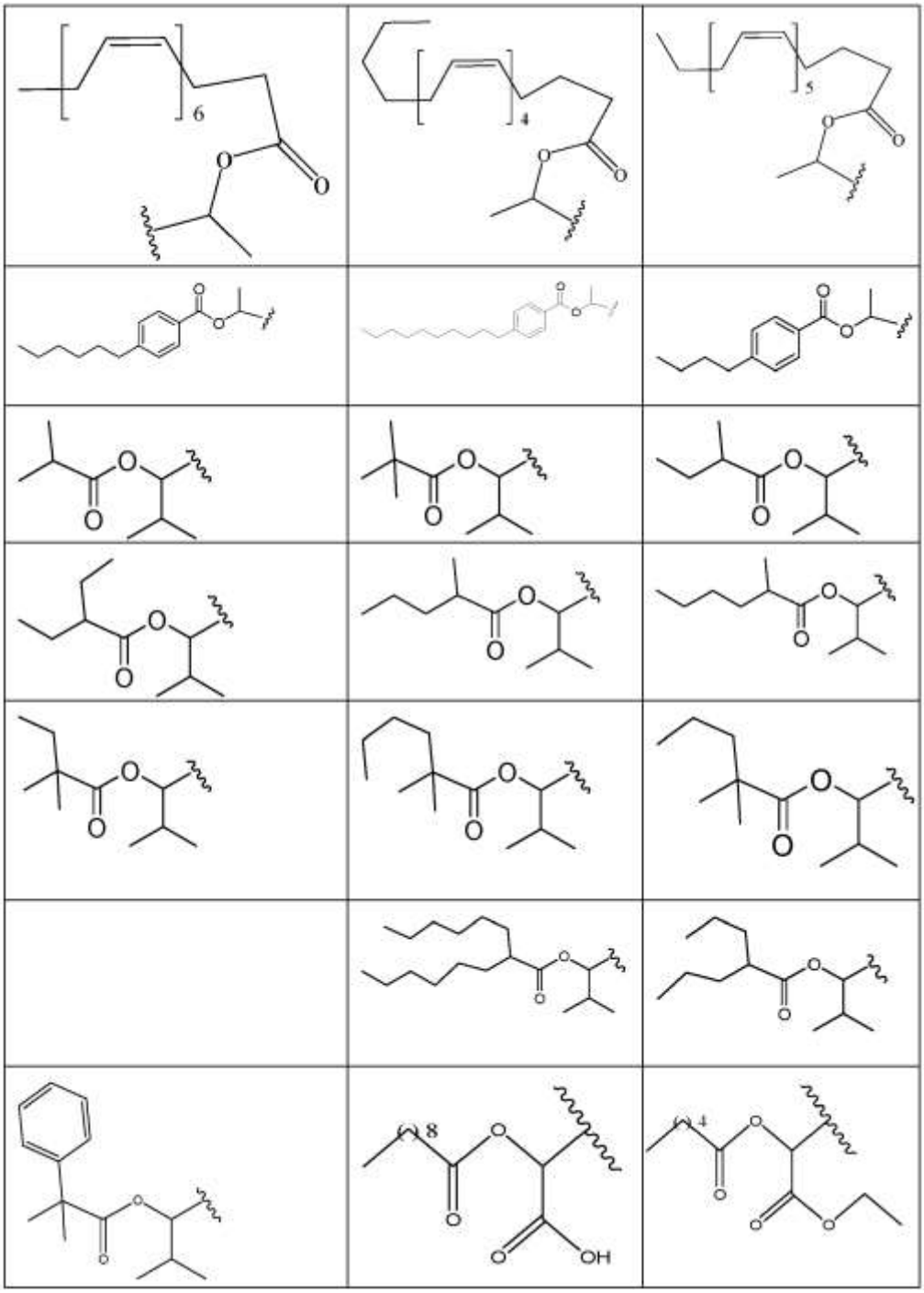


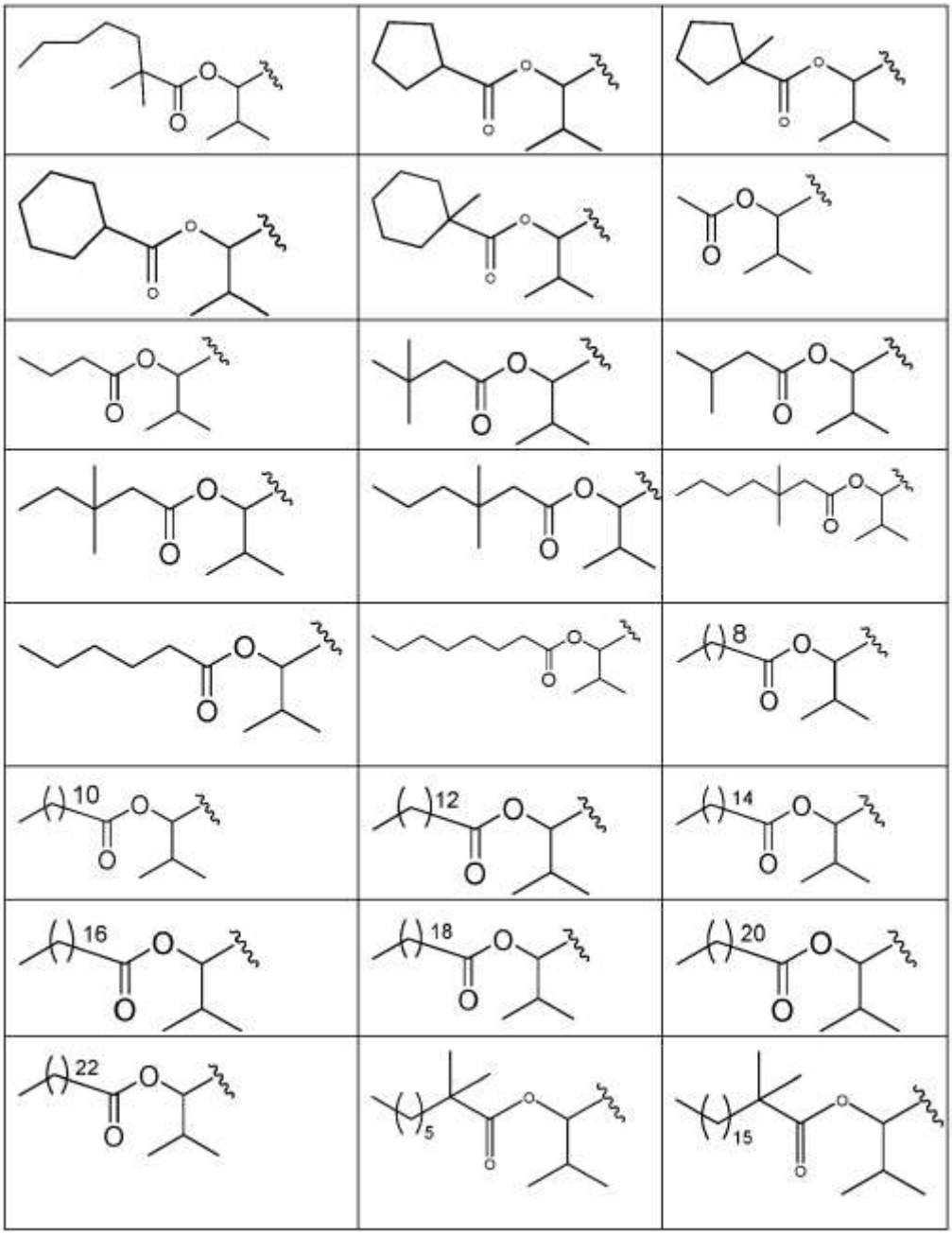
		
		
		
		
		
		
		
		
		

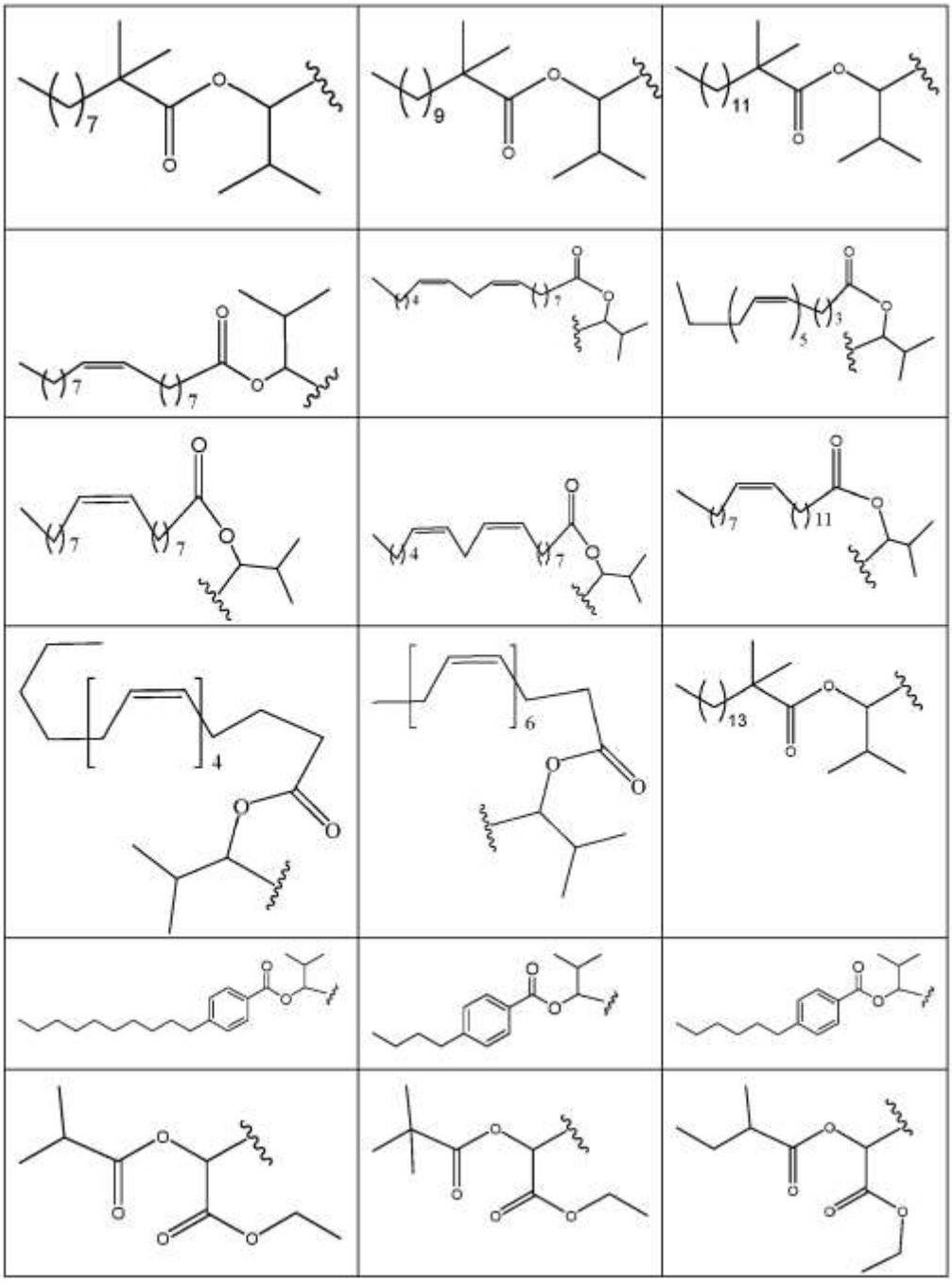


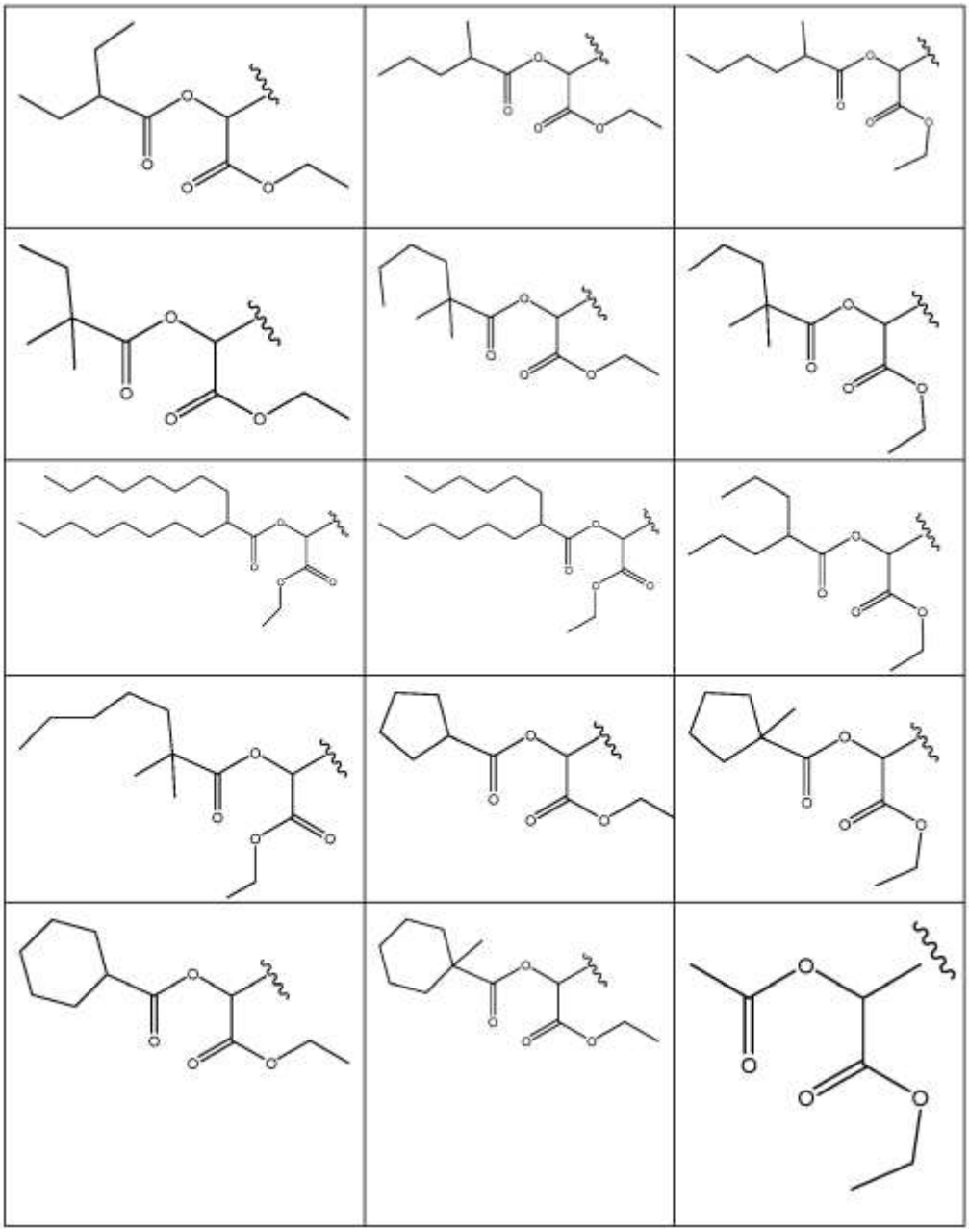


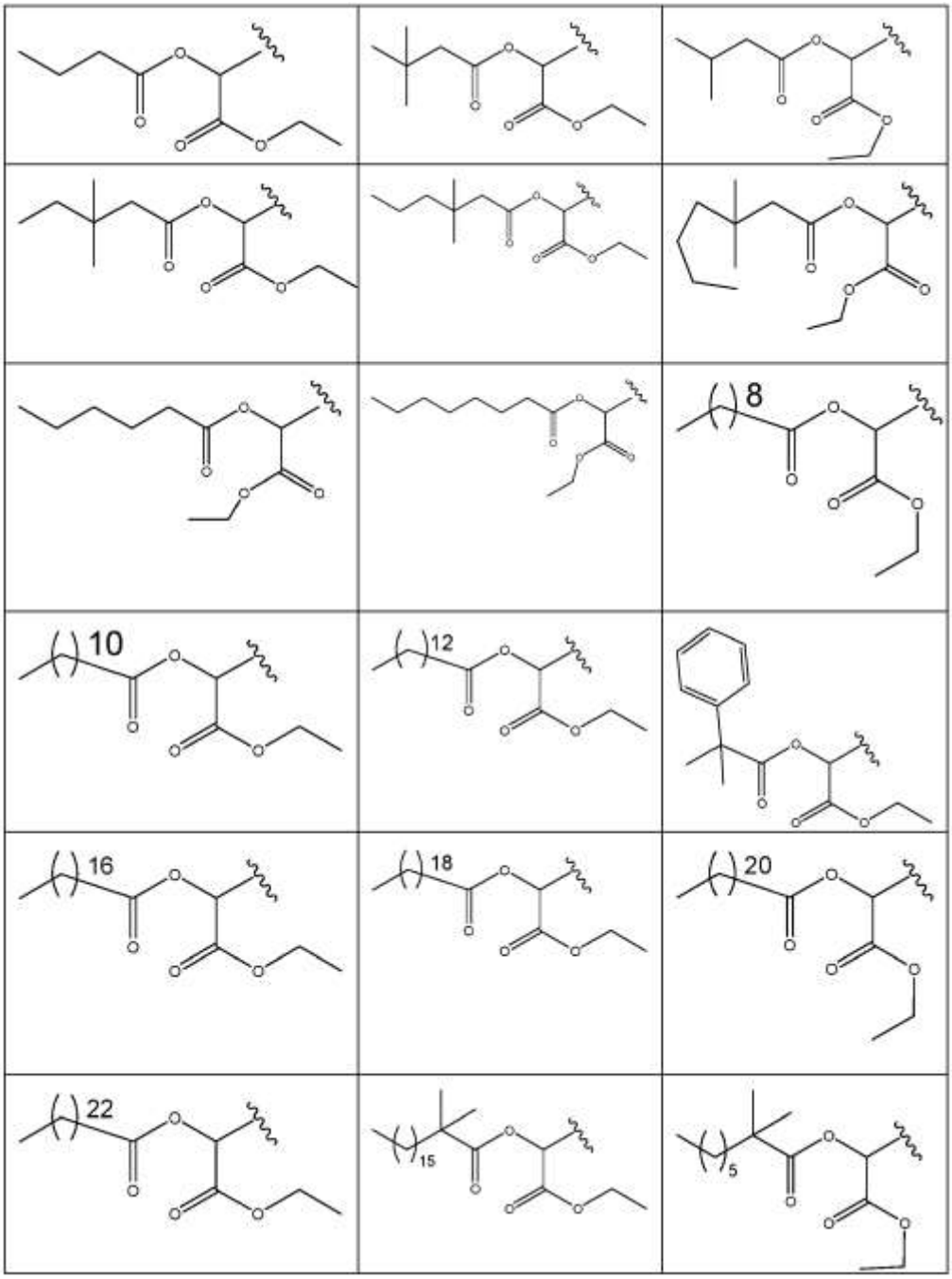


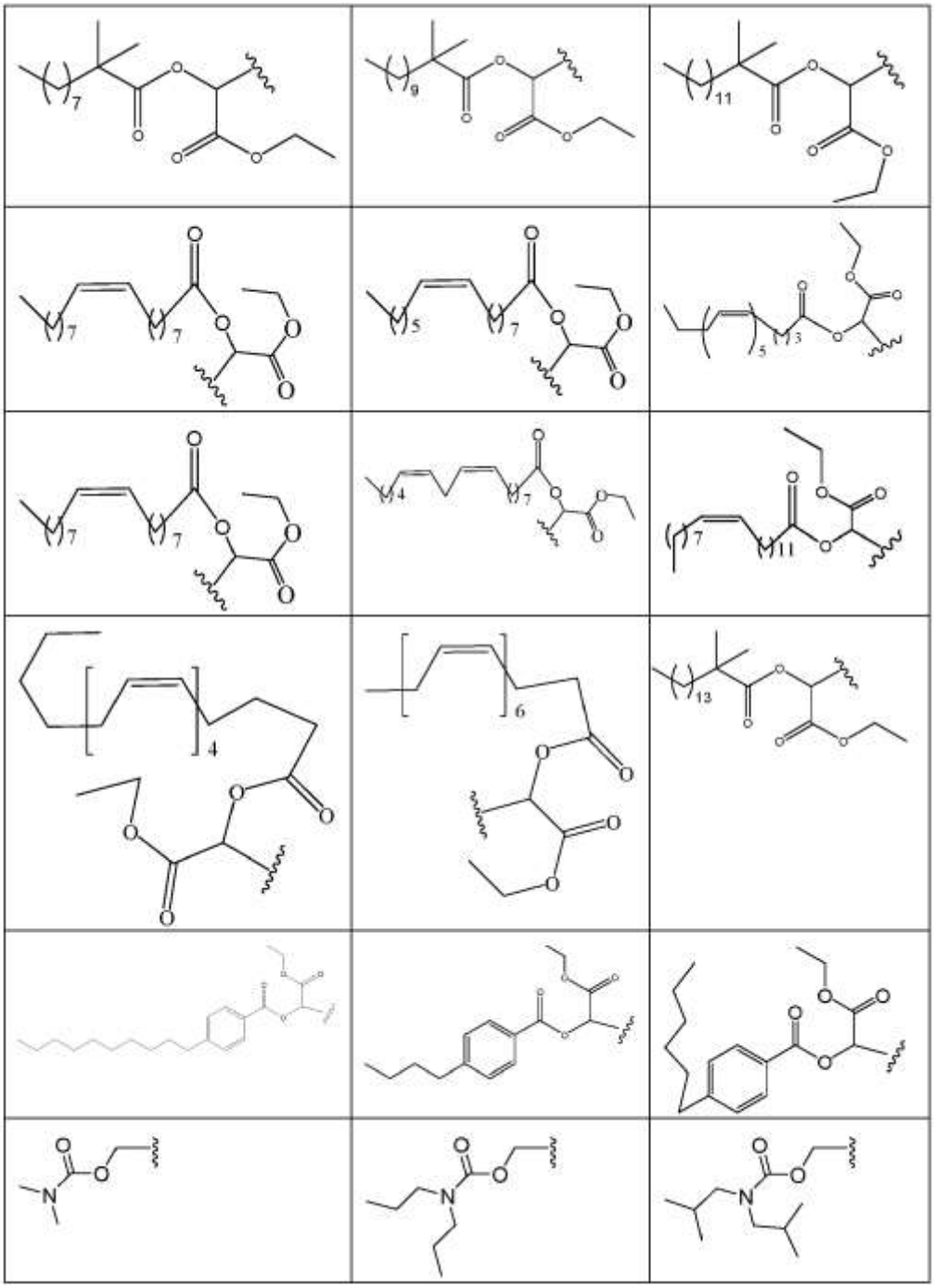


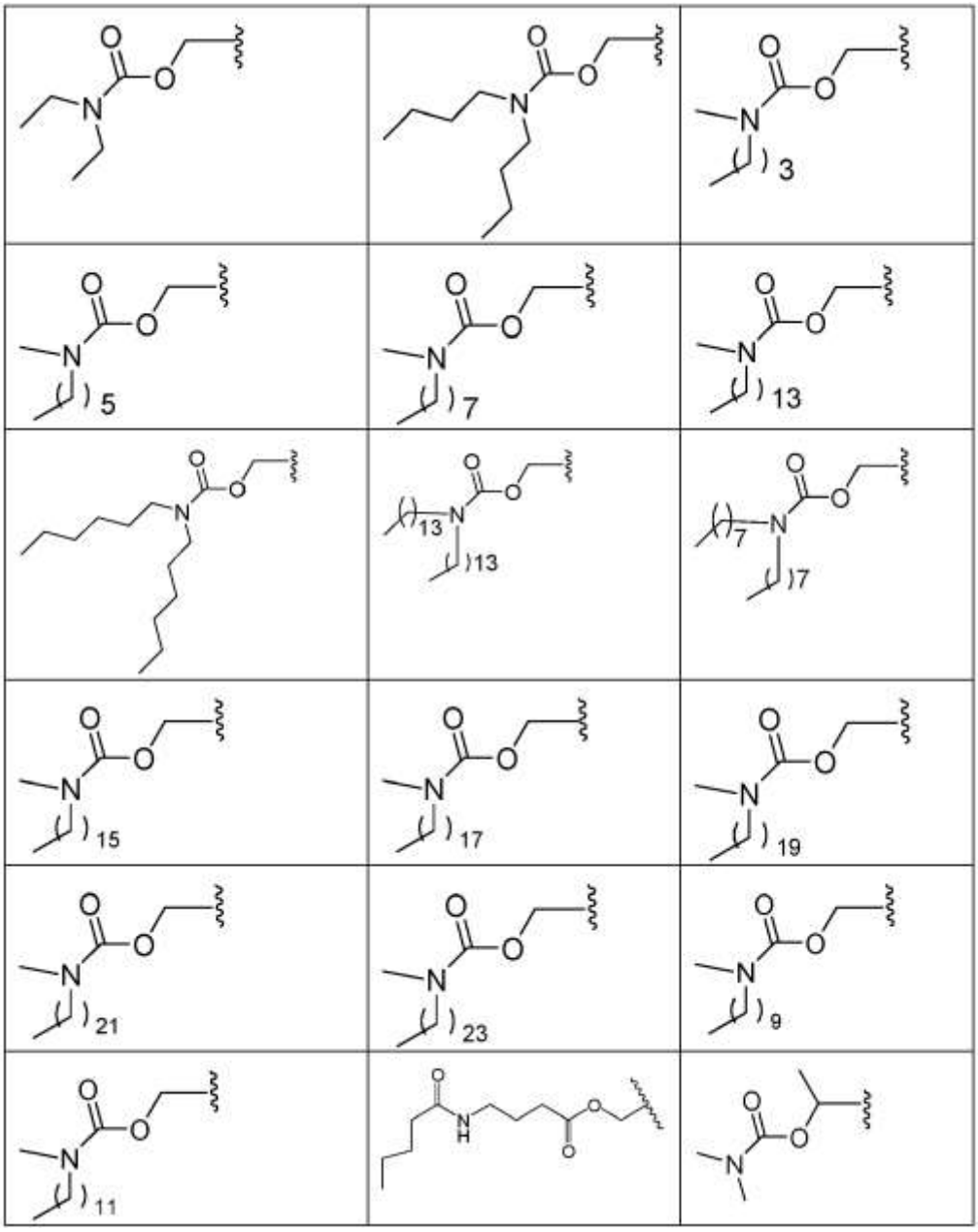


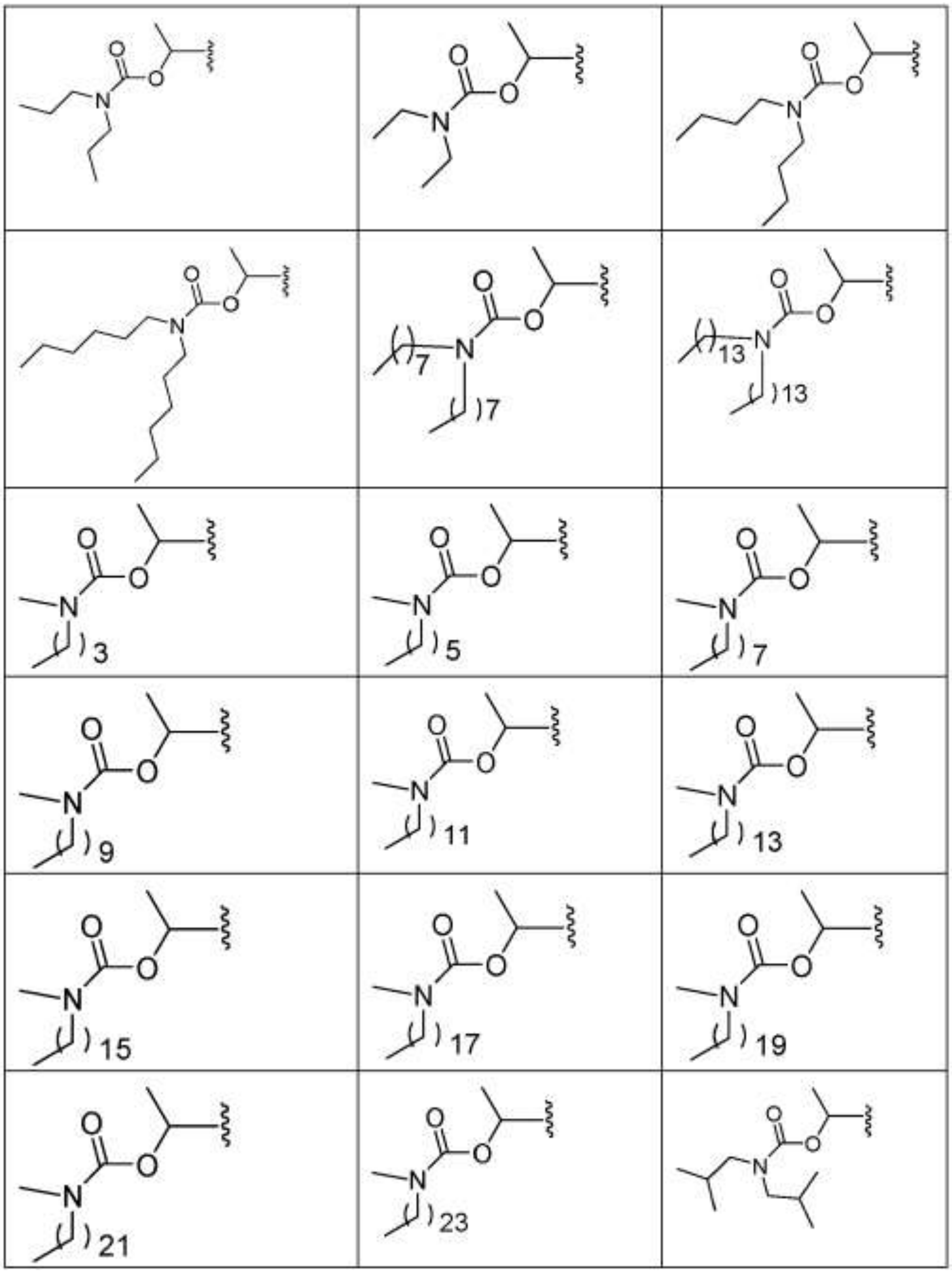


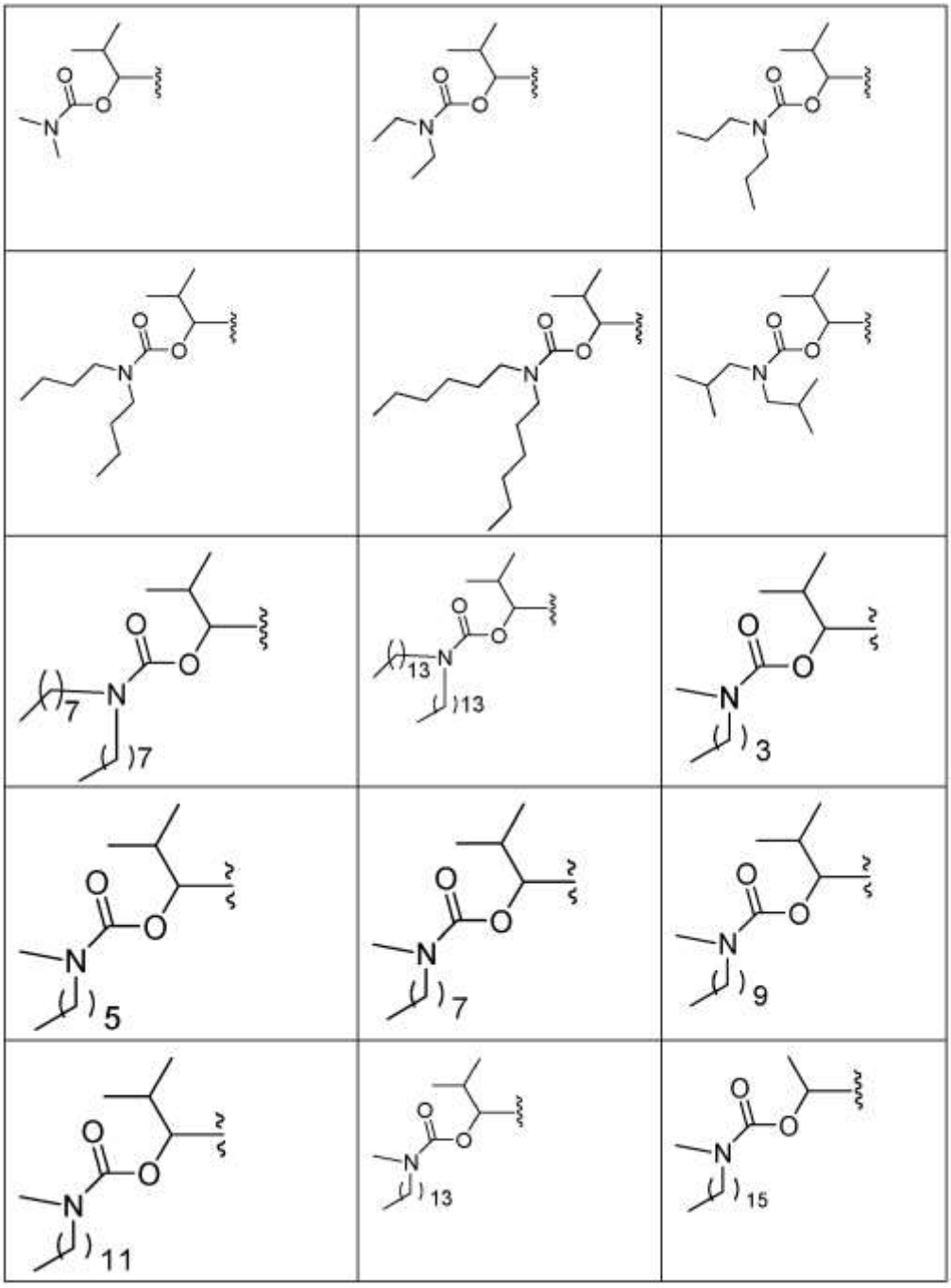


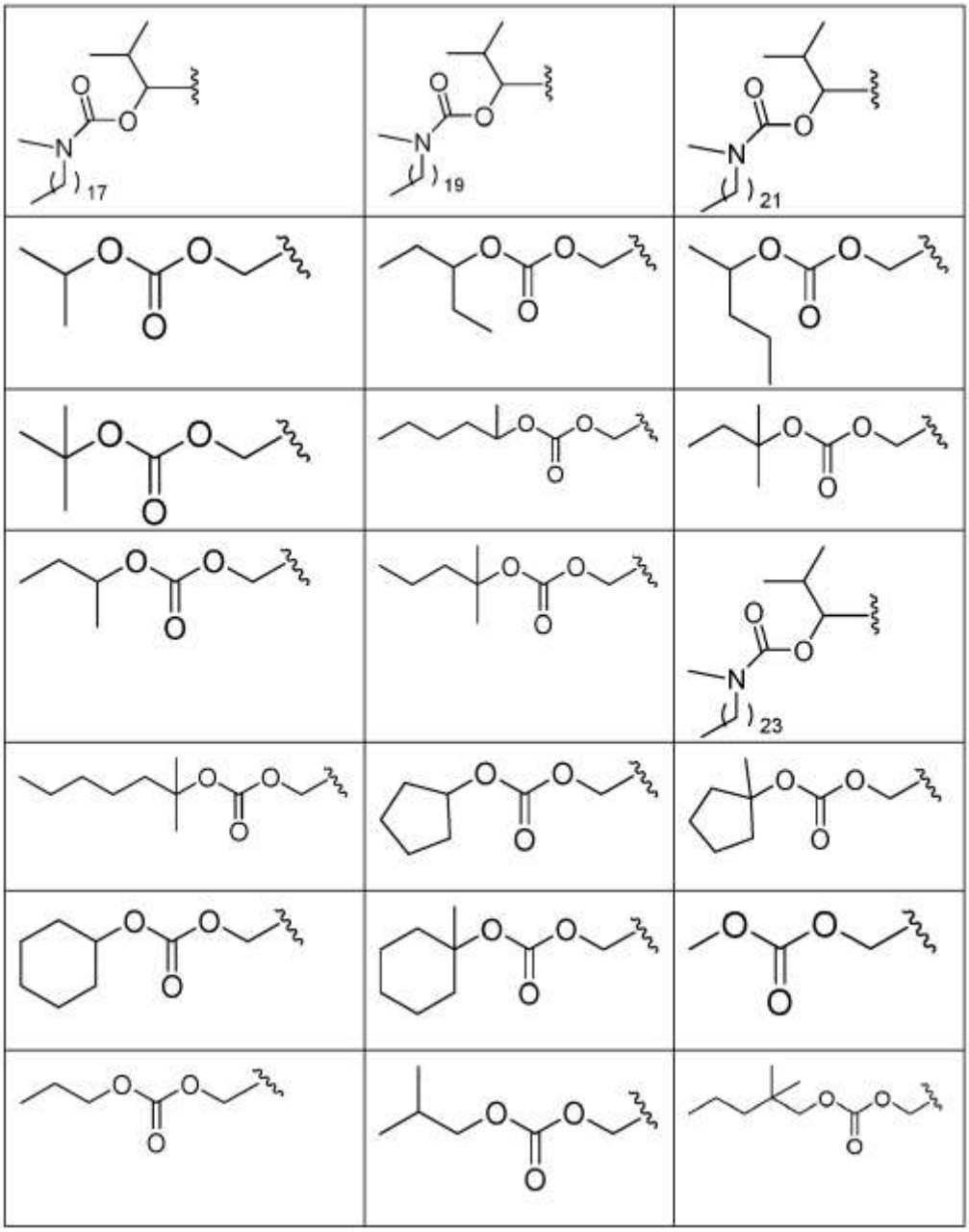

8
10
12
16
18
20
22
15
5

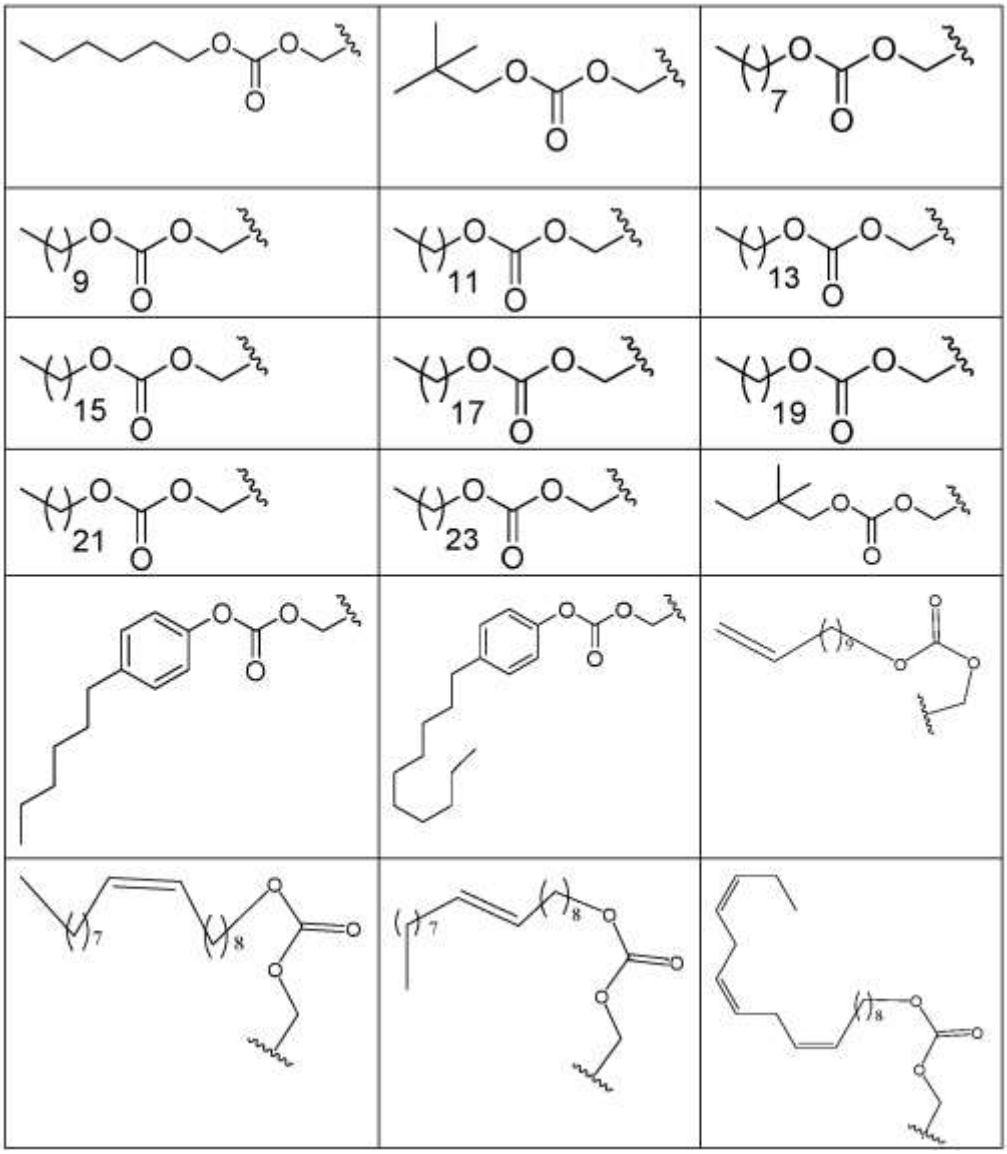


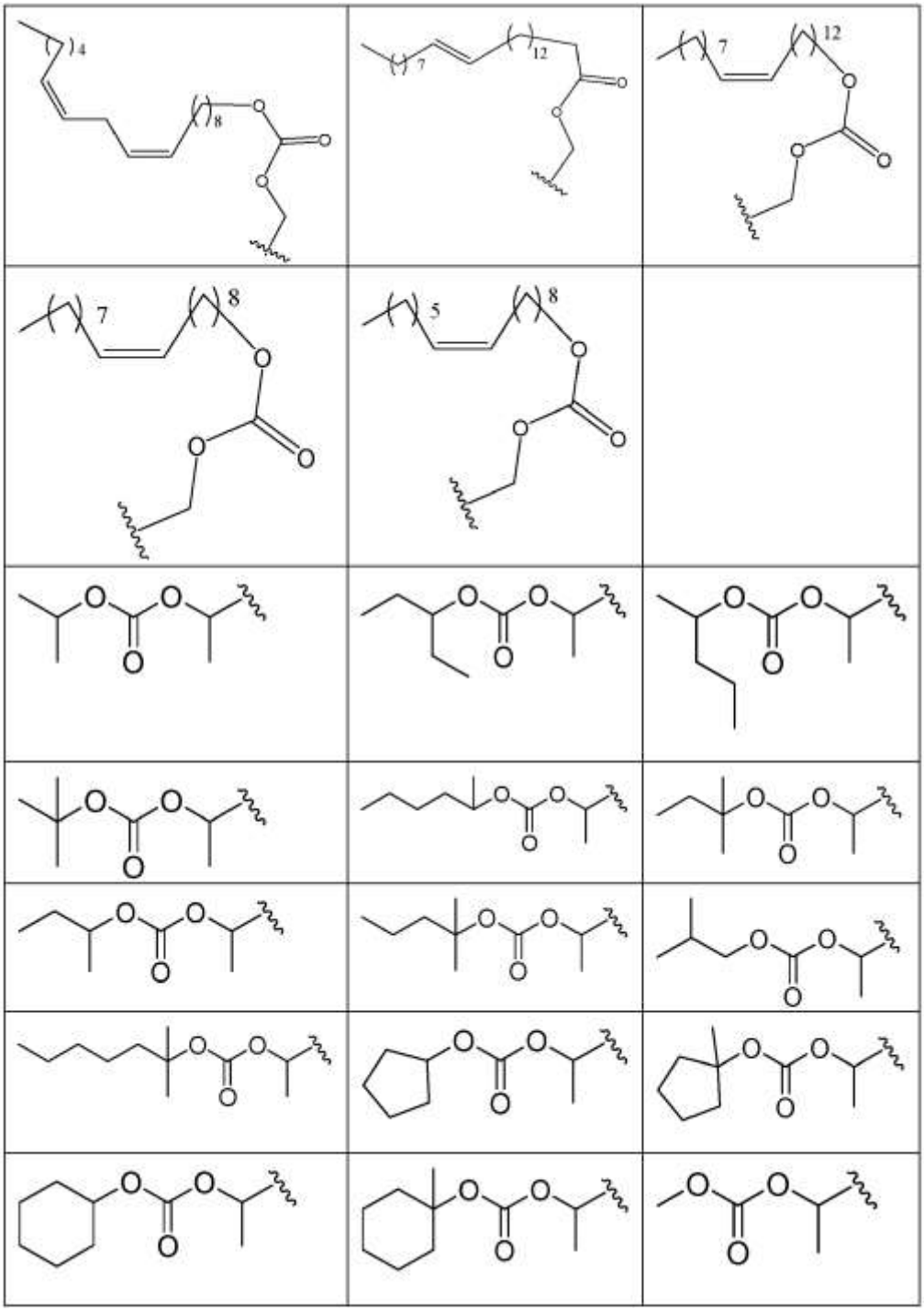


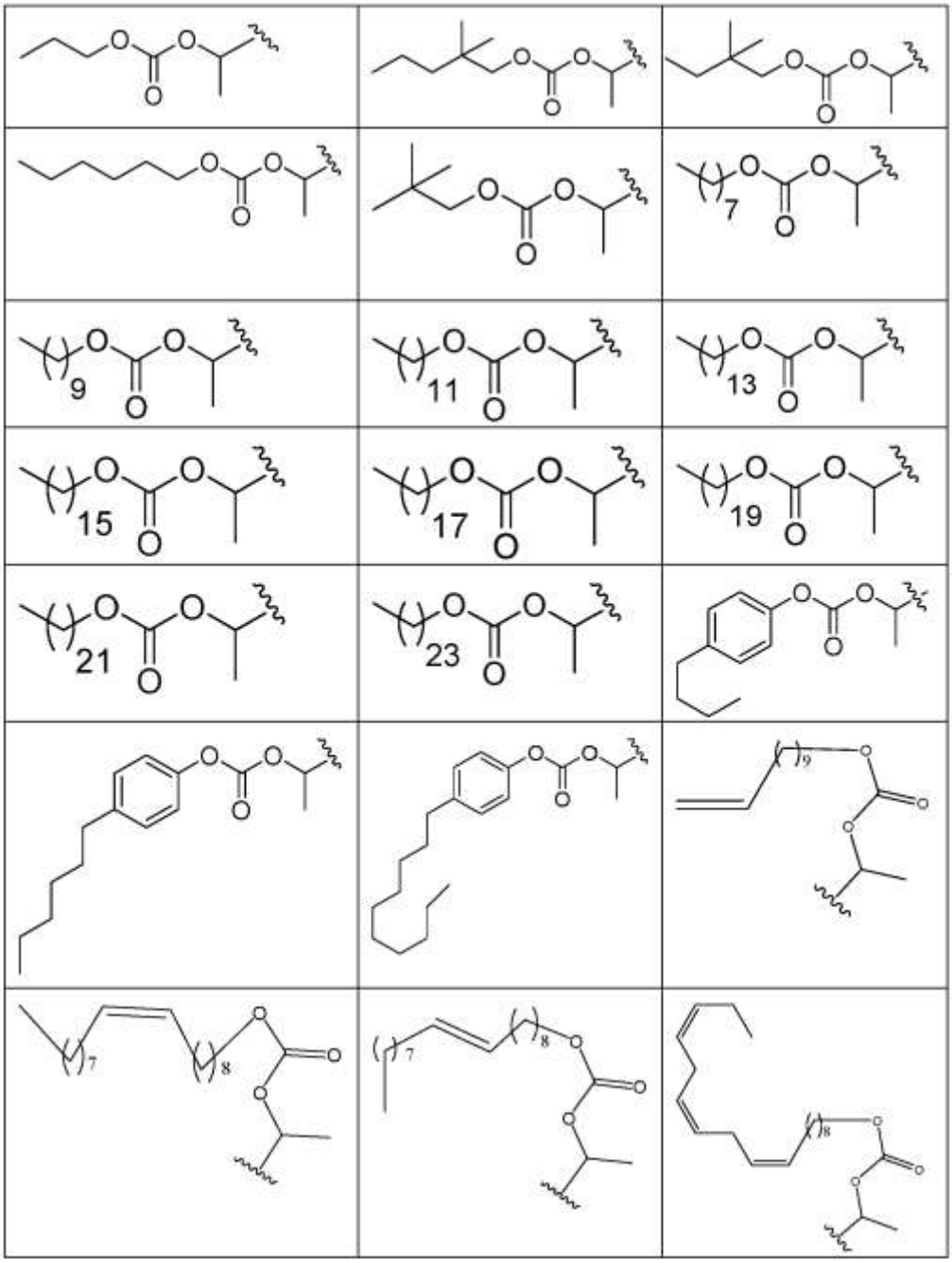


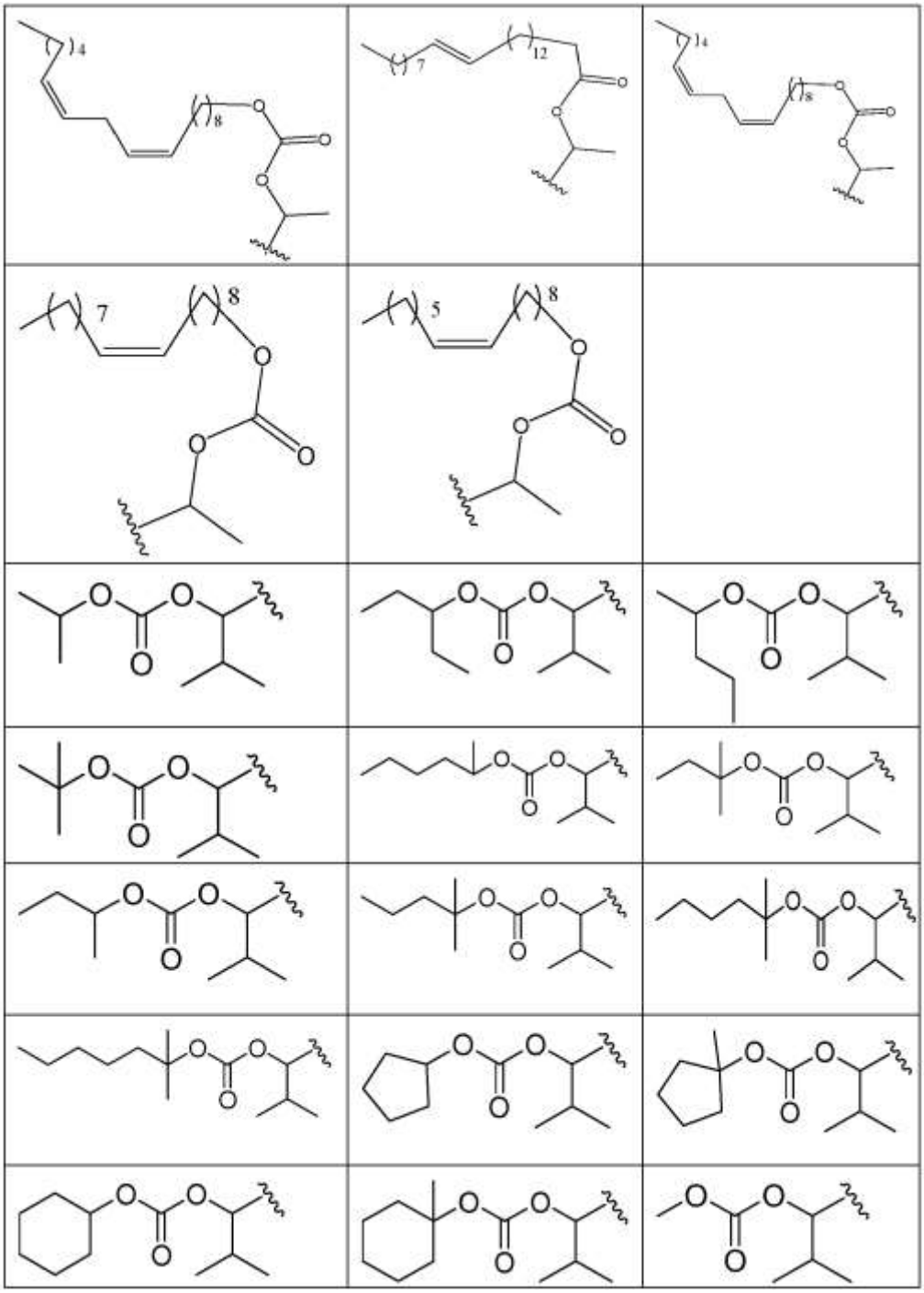


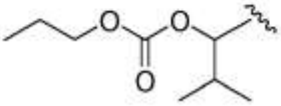
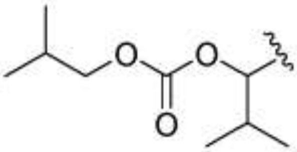
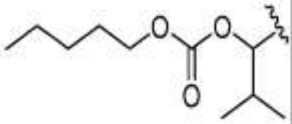
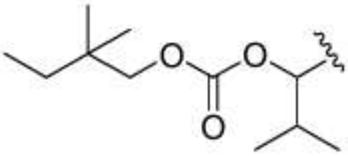
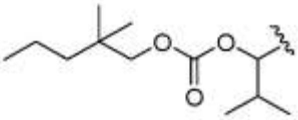
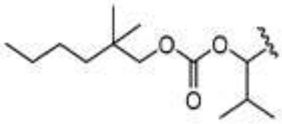
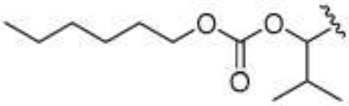
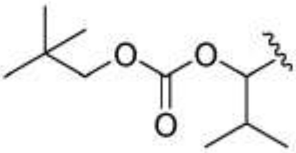
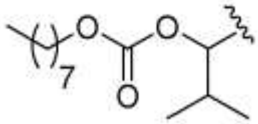
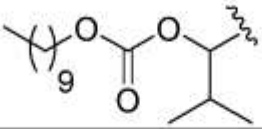
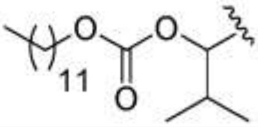
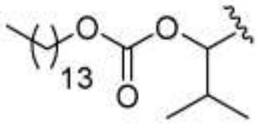
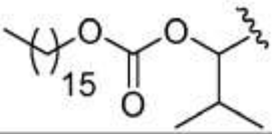
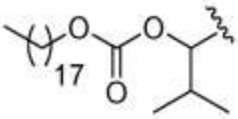
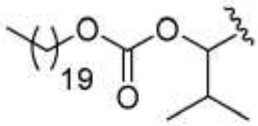
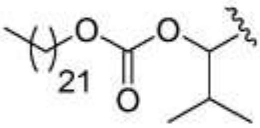
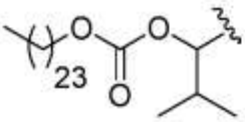
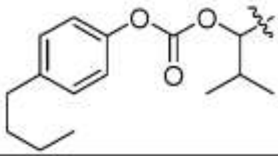
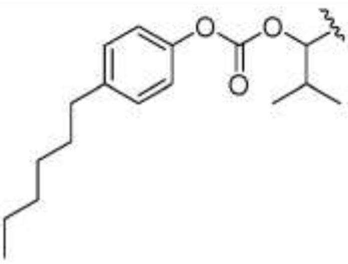
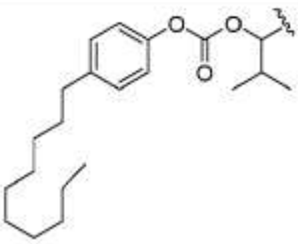
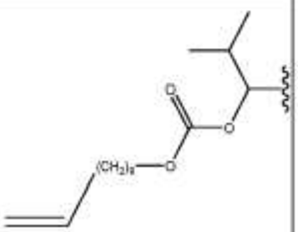


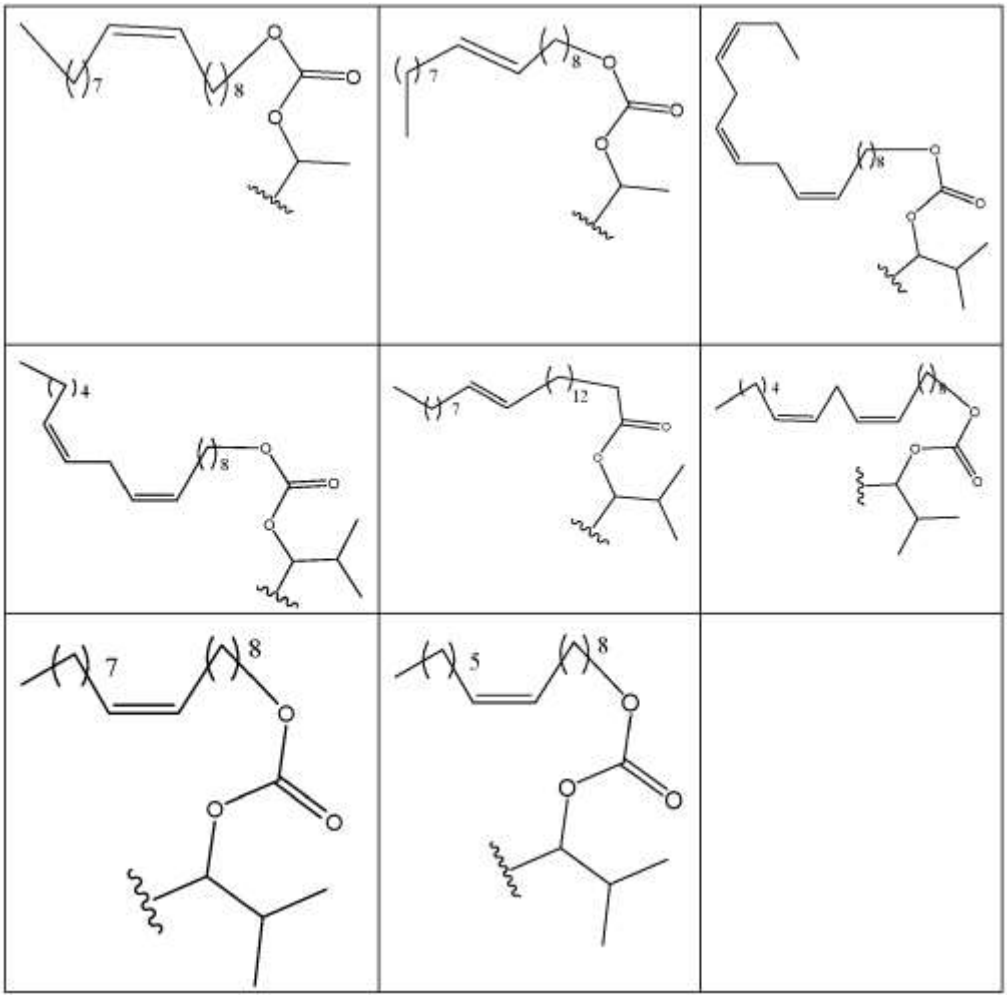






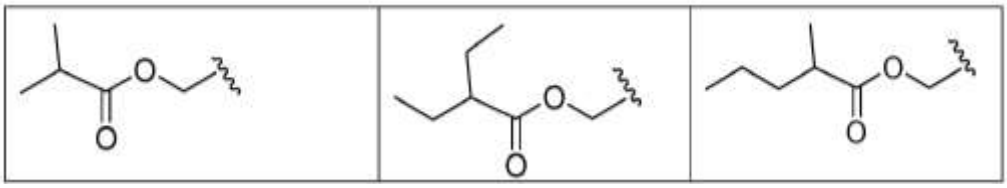


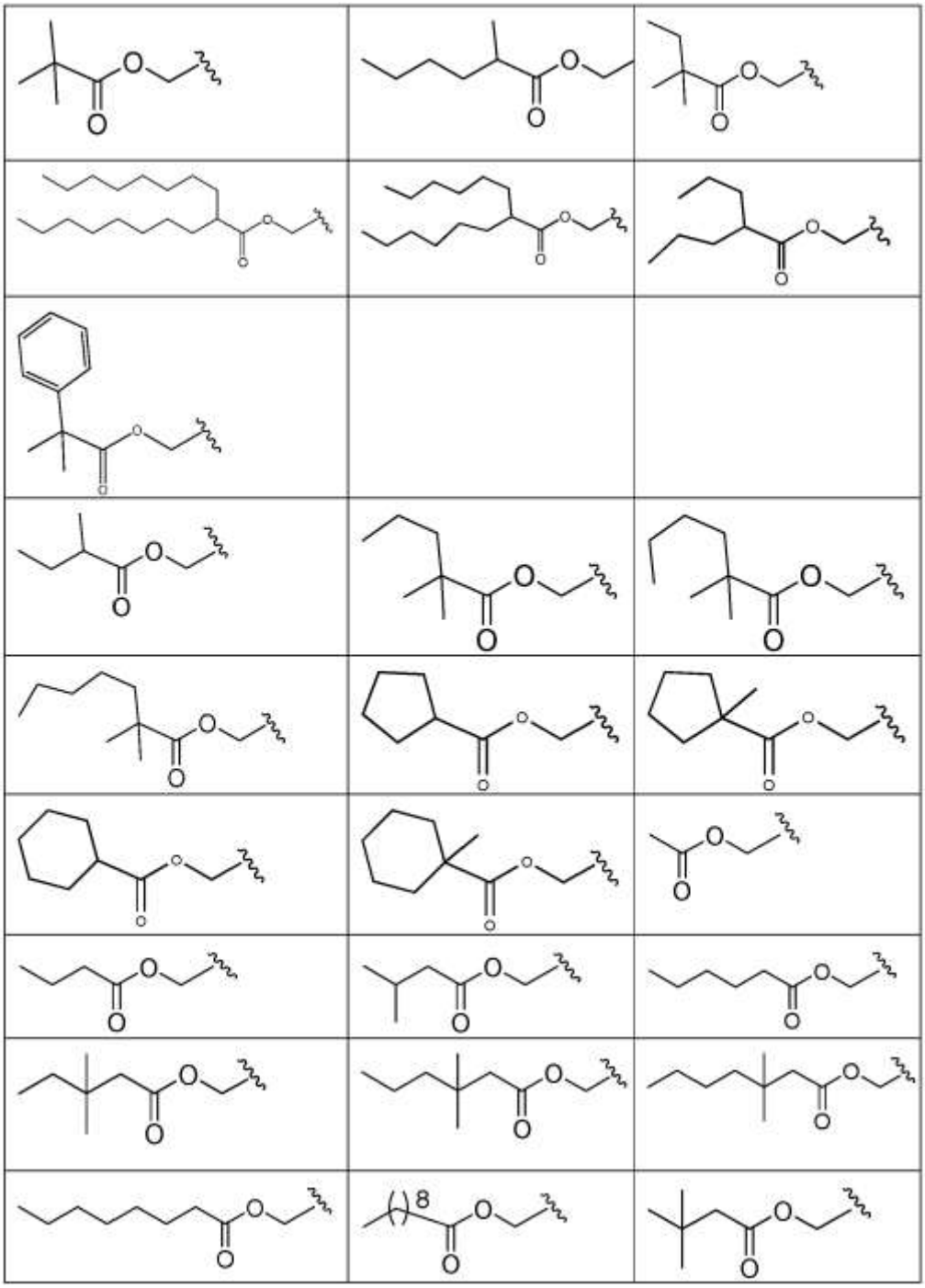
		
		
		
		
		
		
		

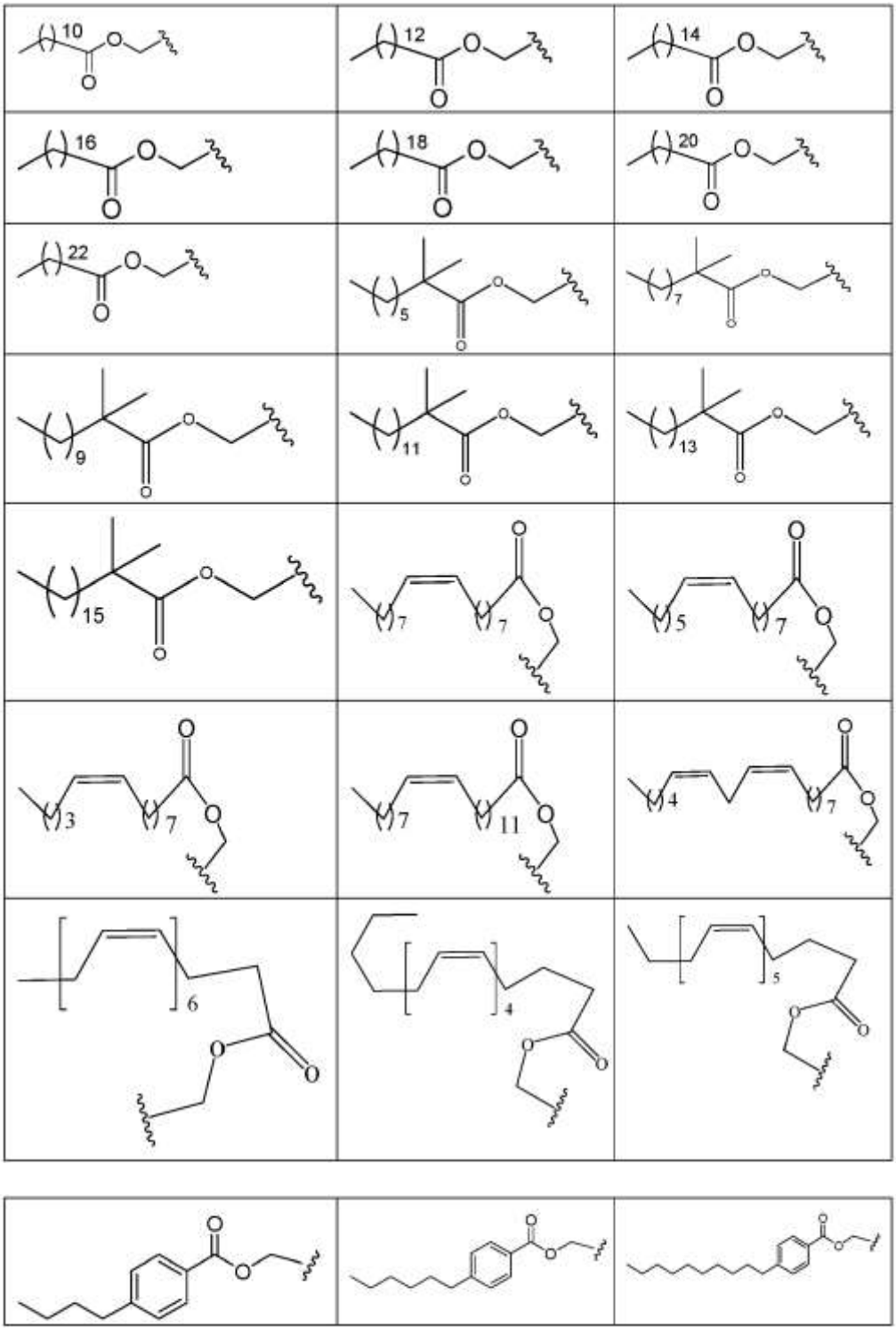


5. En forbindelse ifølge krav 1, hvor R_5 er valgt fra tabell 2, 3 eller 4:

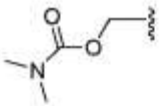
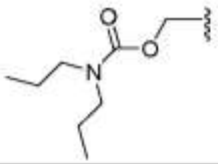
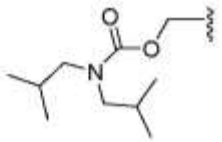
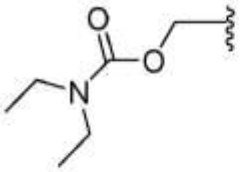
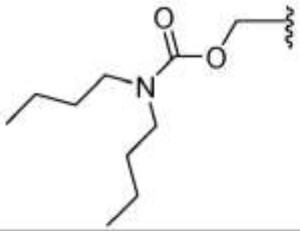
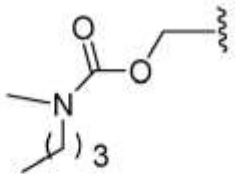
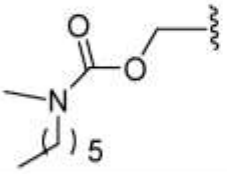
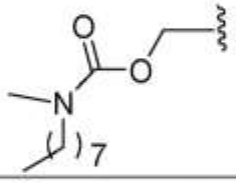
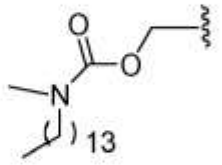
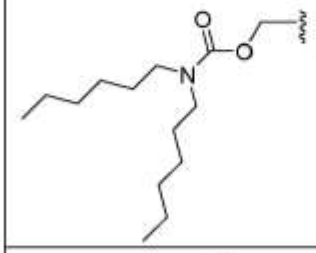
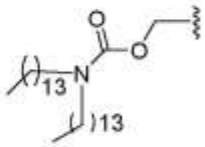
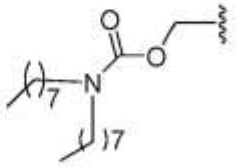
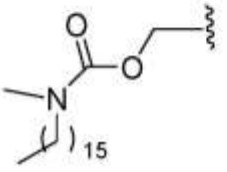
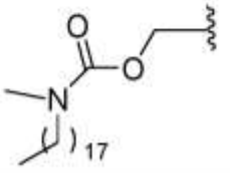
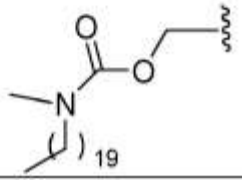
Tabell 2

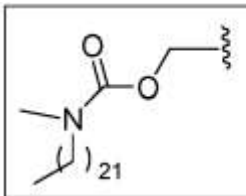
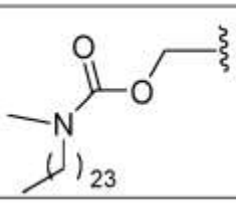
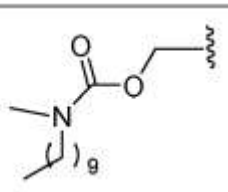
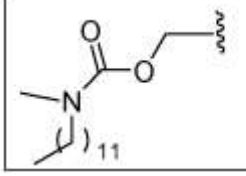




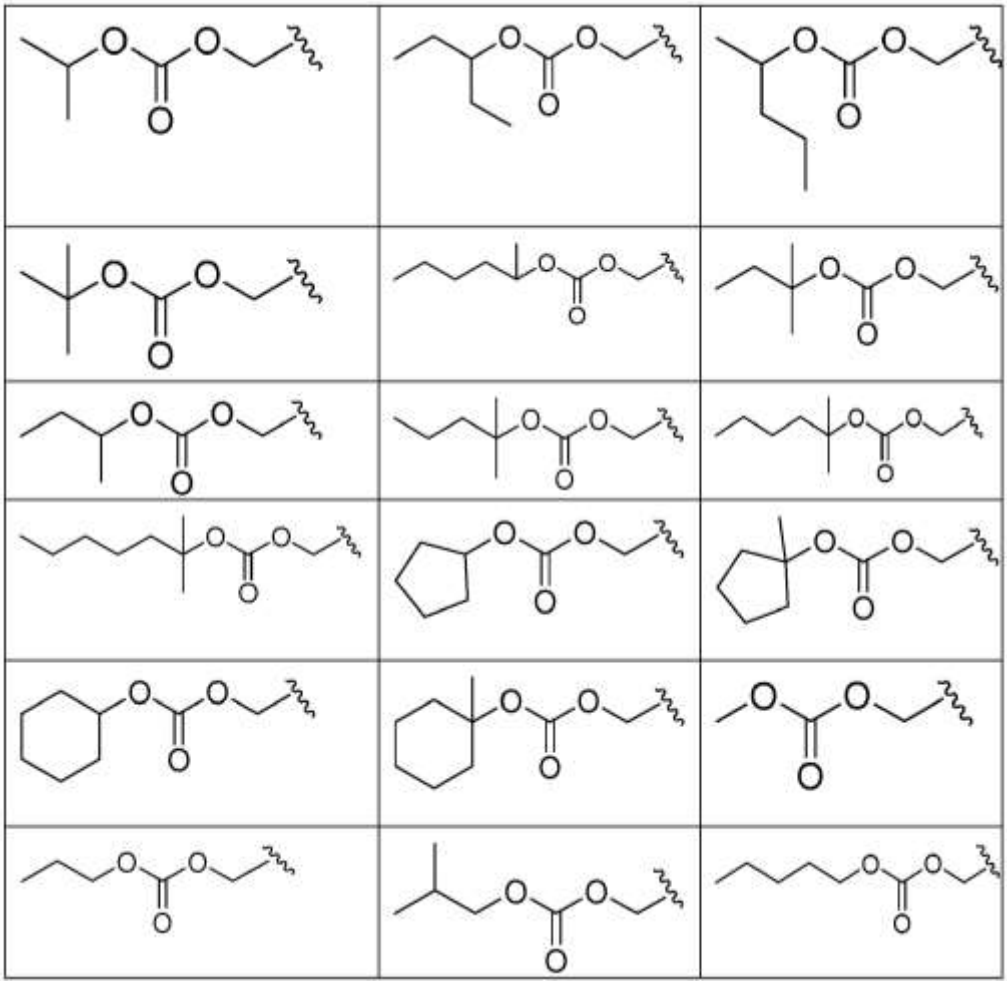


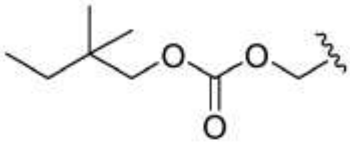
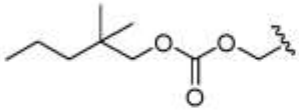
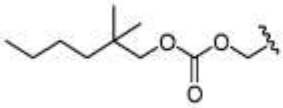
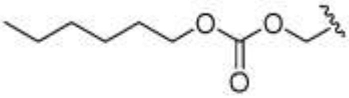
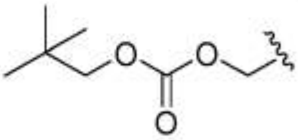
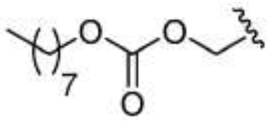
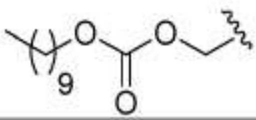
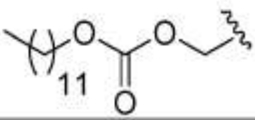
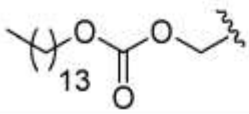
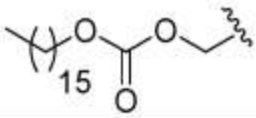
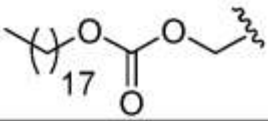
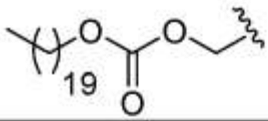
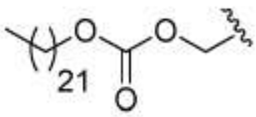
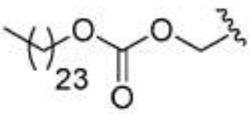
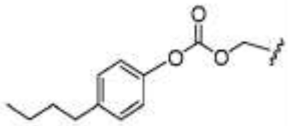
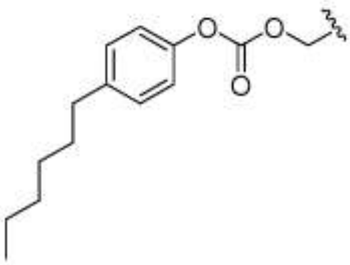
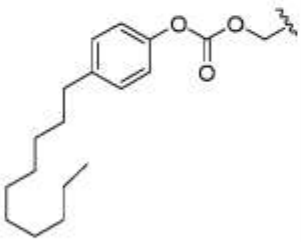
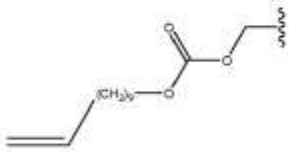
Tabell 3

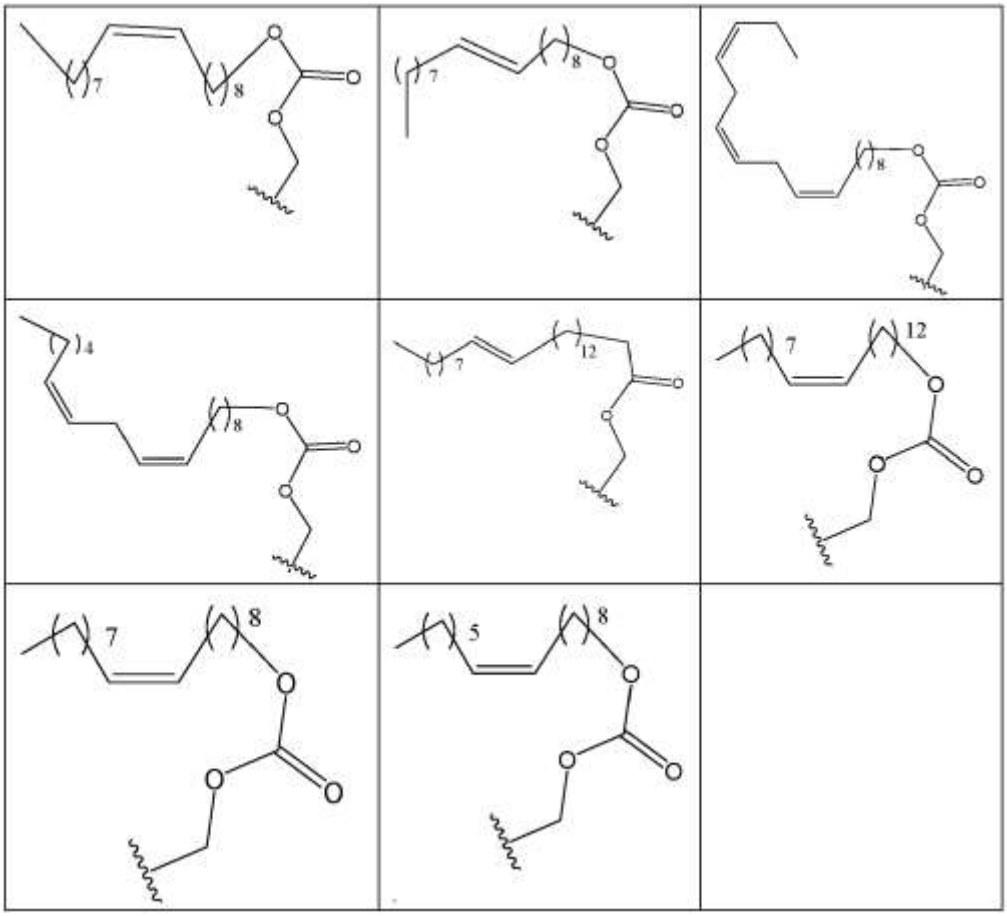
		
		
		
		
		

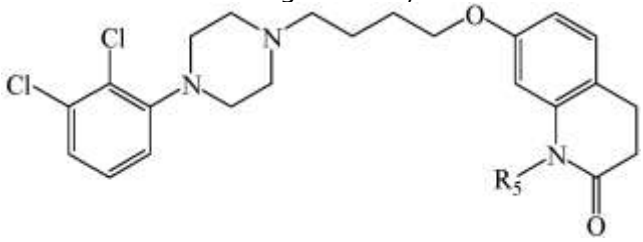
Tabell 4



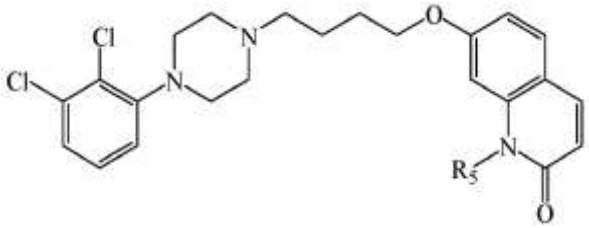
		
		
		
		
		
		



6. En forbindelse ifølge krav 1, med formel:

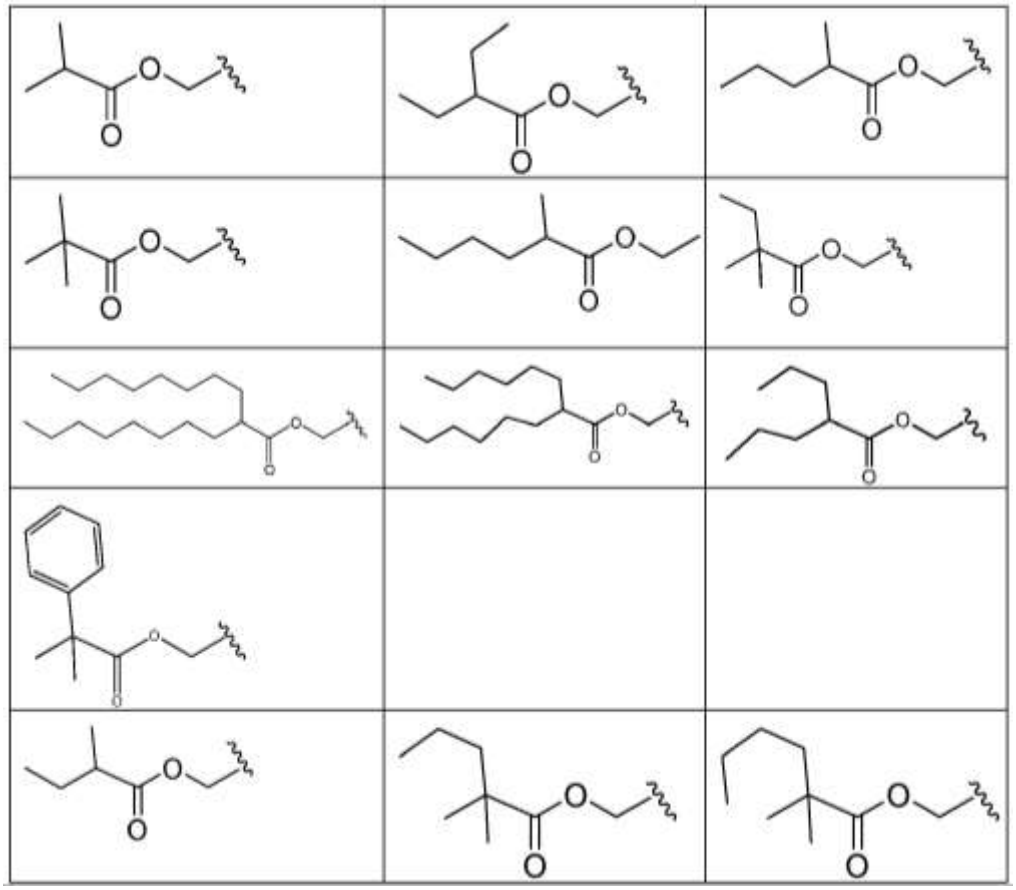


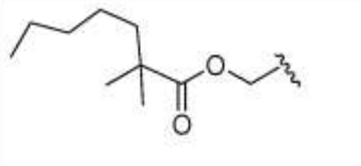
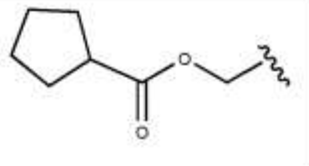
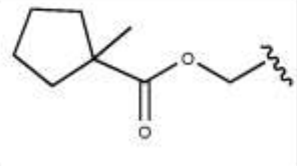
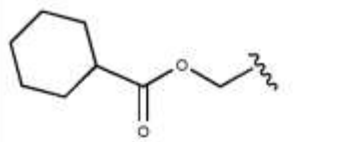
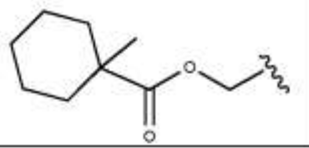
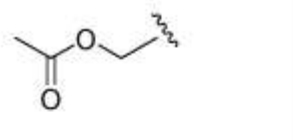
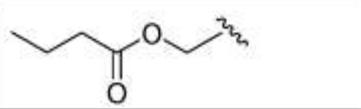
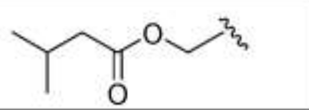
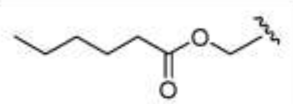
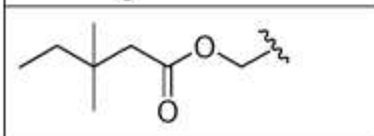
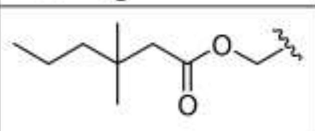
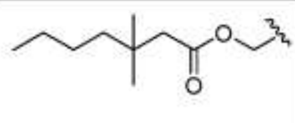
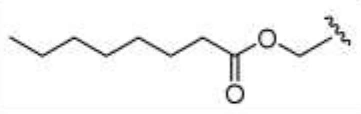
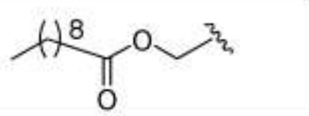
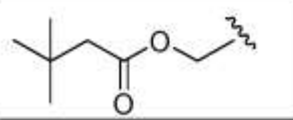
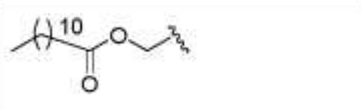
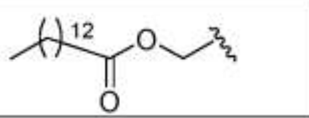
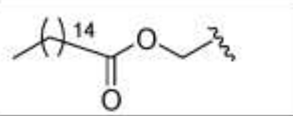
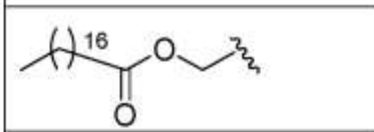
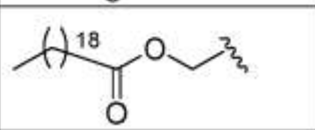
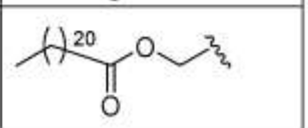
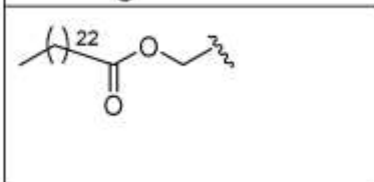
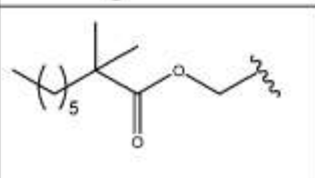
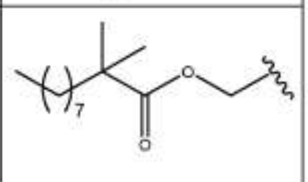
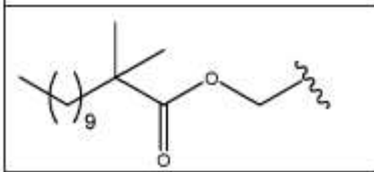
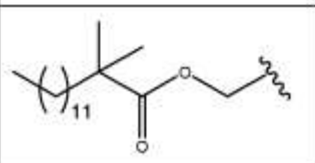
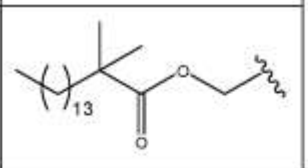
eller

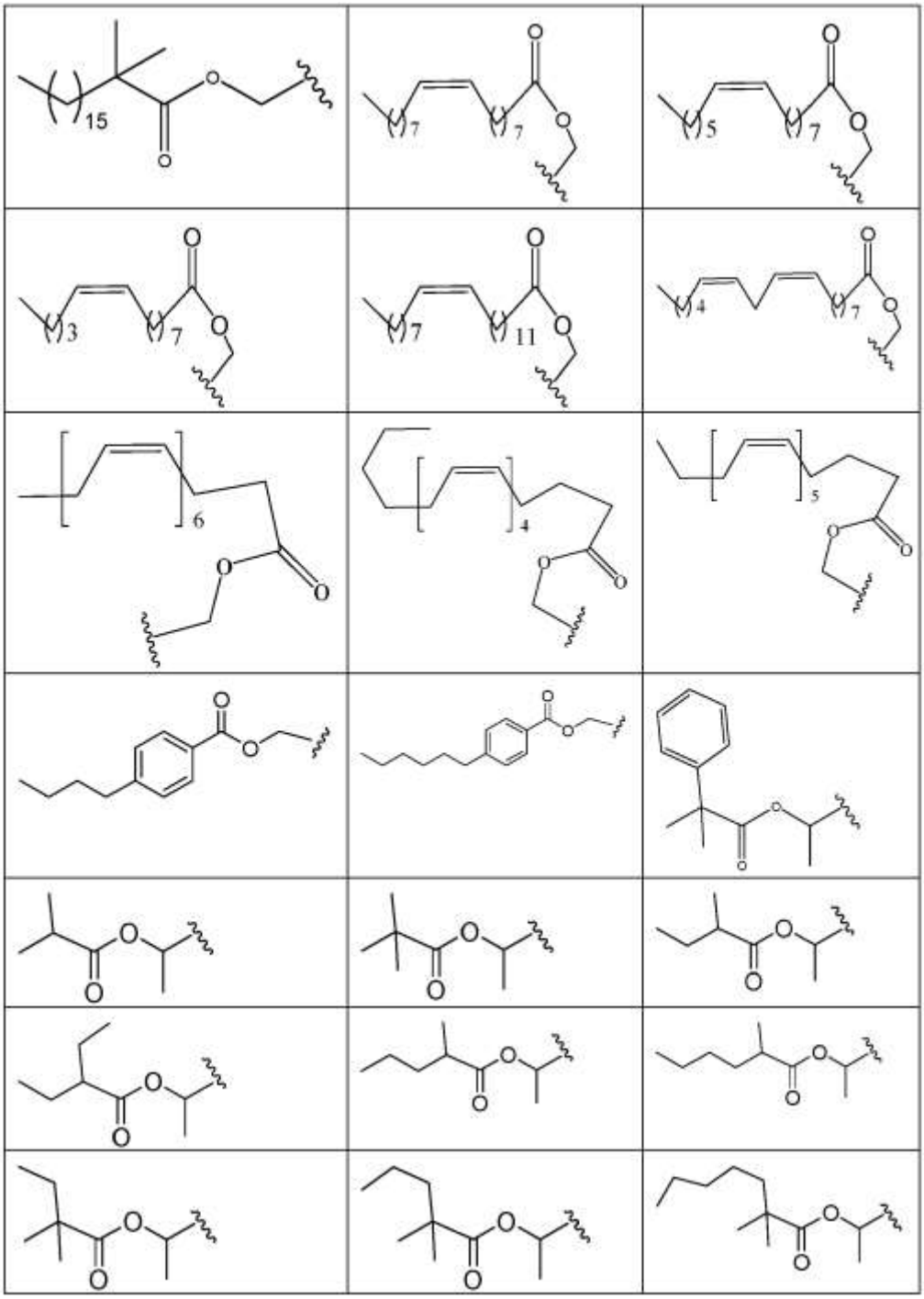


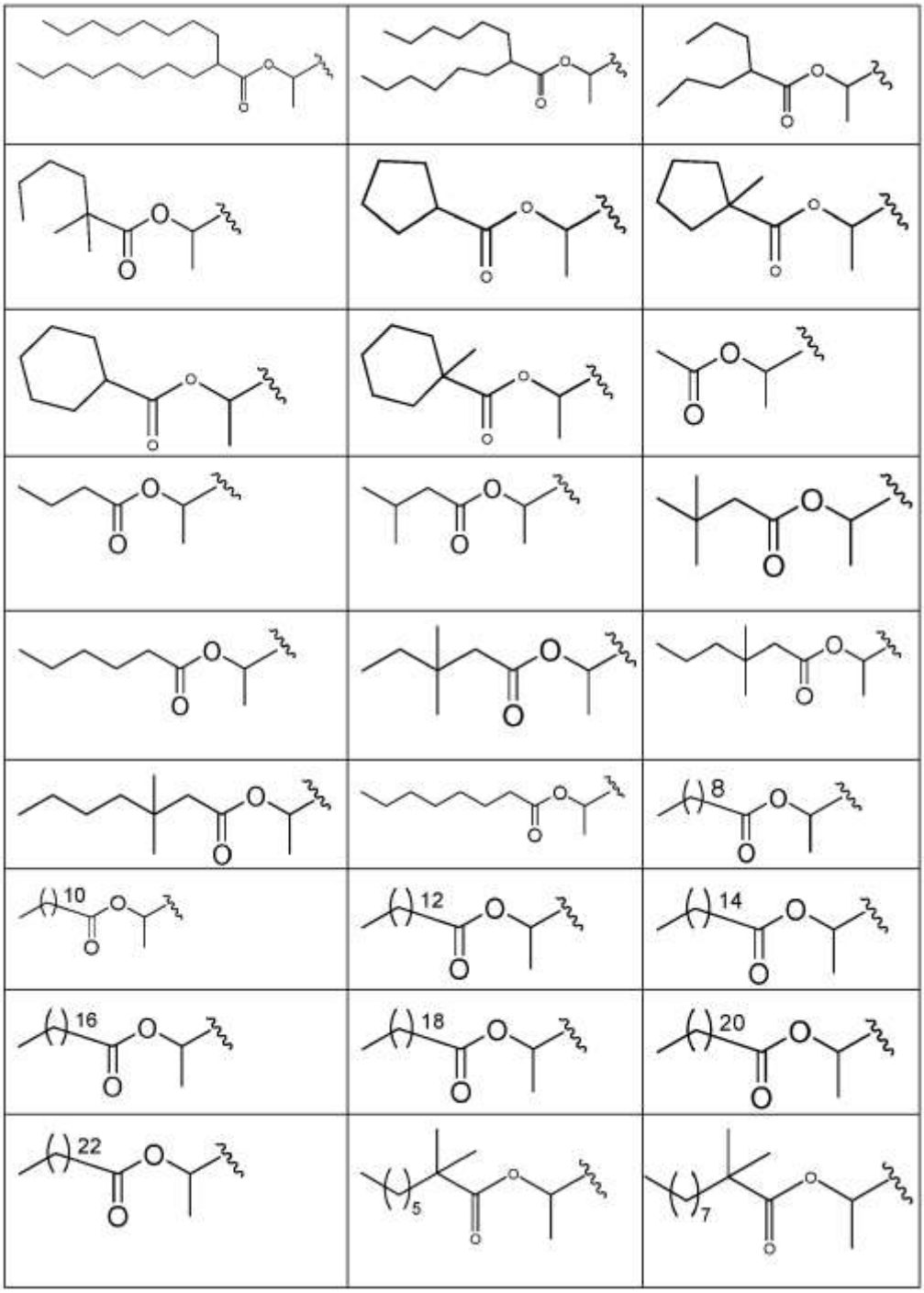
eller dens geometriske isomerer, enantiomerer, diastereomerer, racemater, farmasøytisk akseptable salter og solvater derav:
hvor R_5 er valgt fra tabell 1:

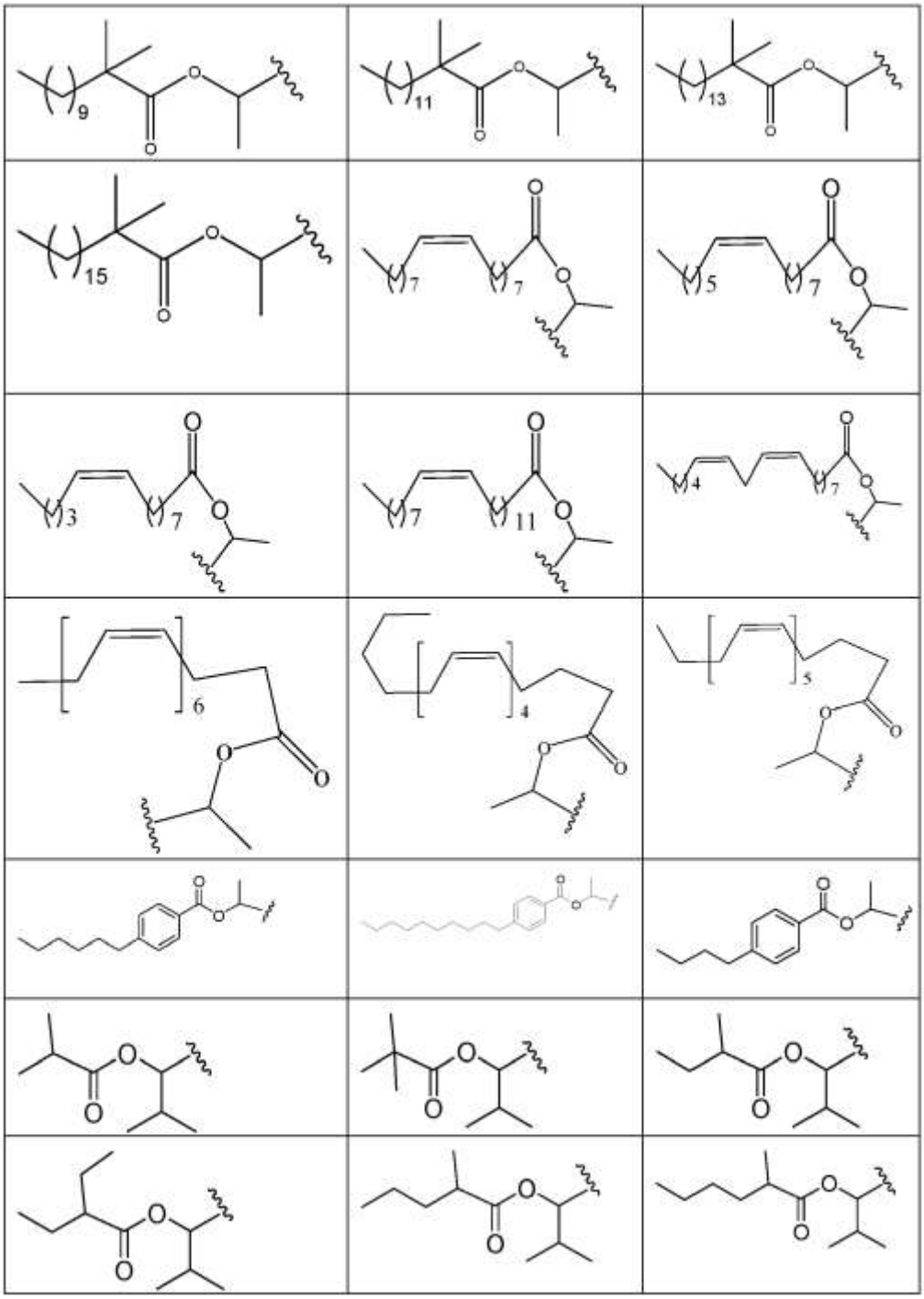
Tabell 1

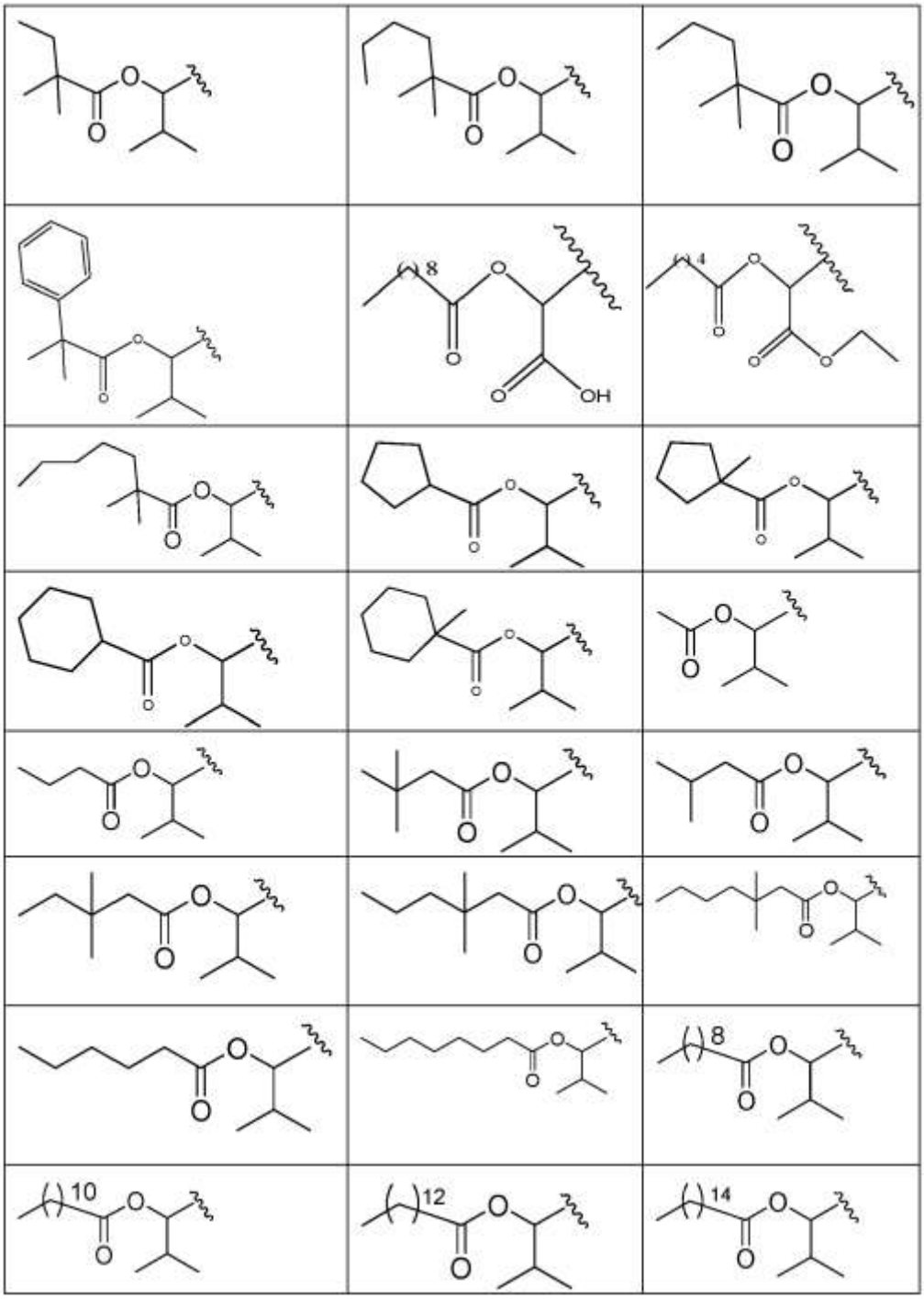


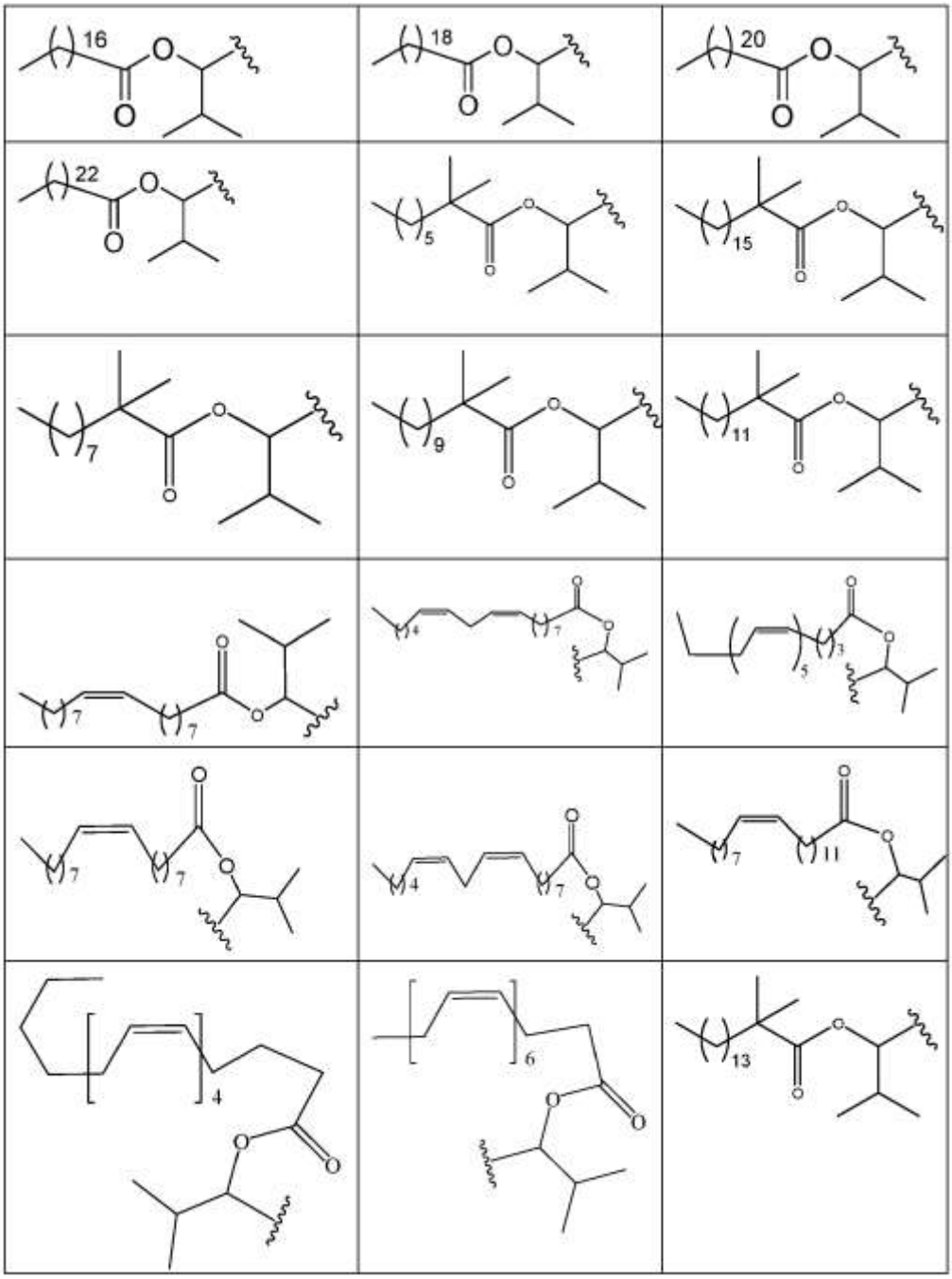
		
		
		
		
		
		
		
		
		

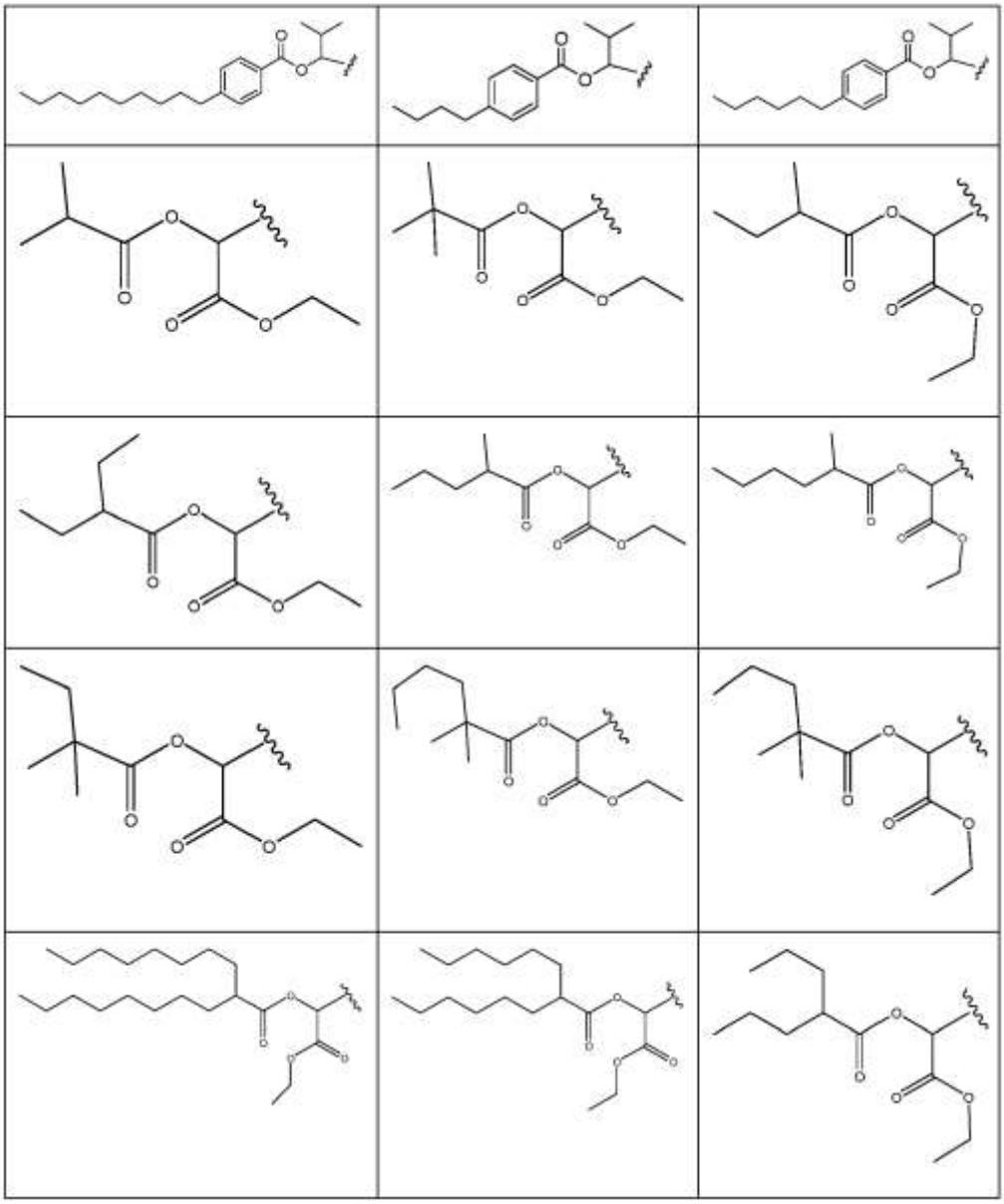


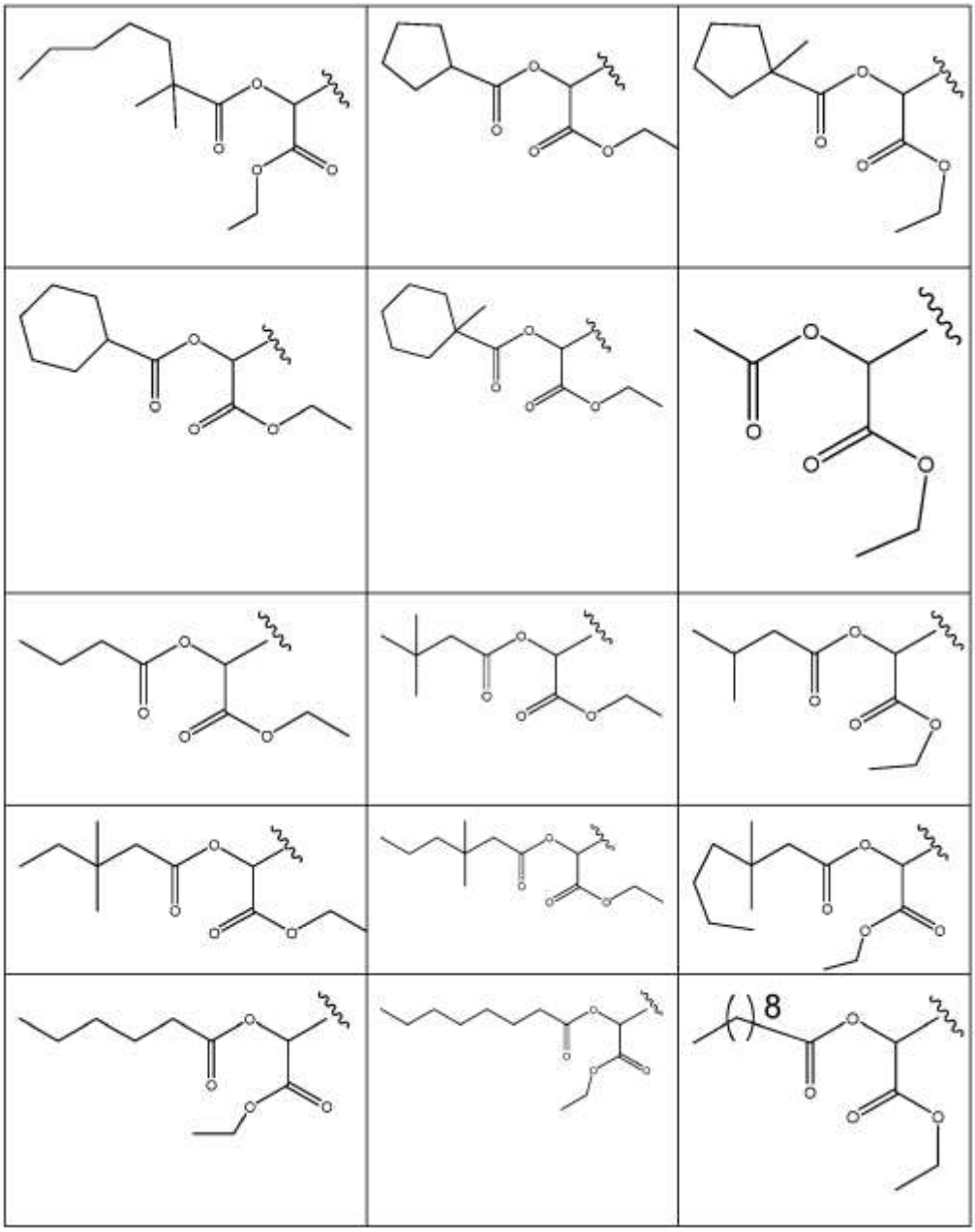


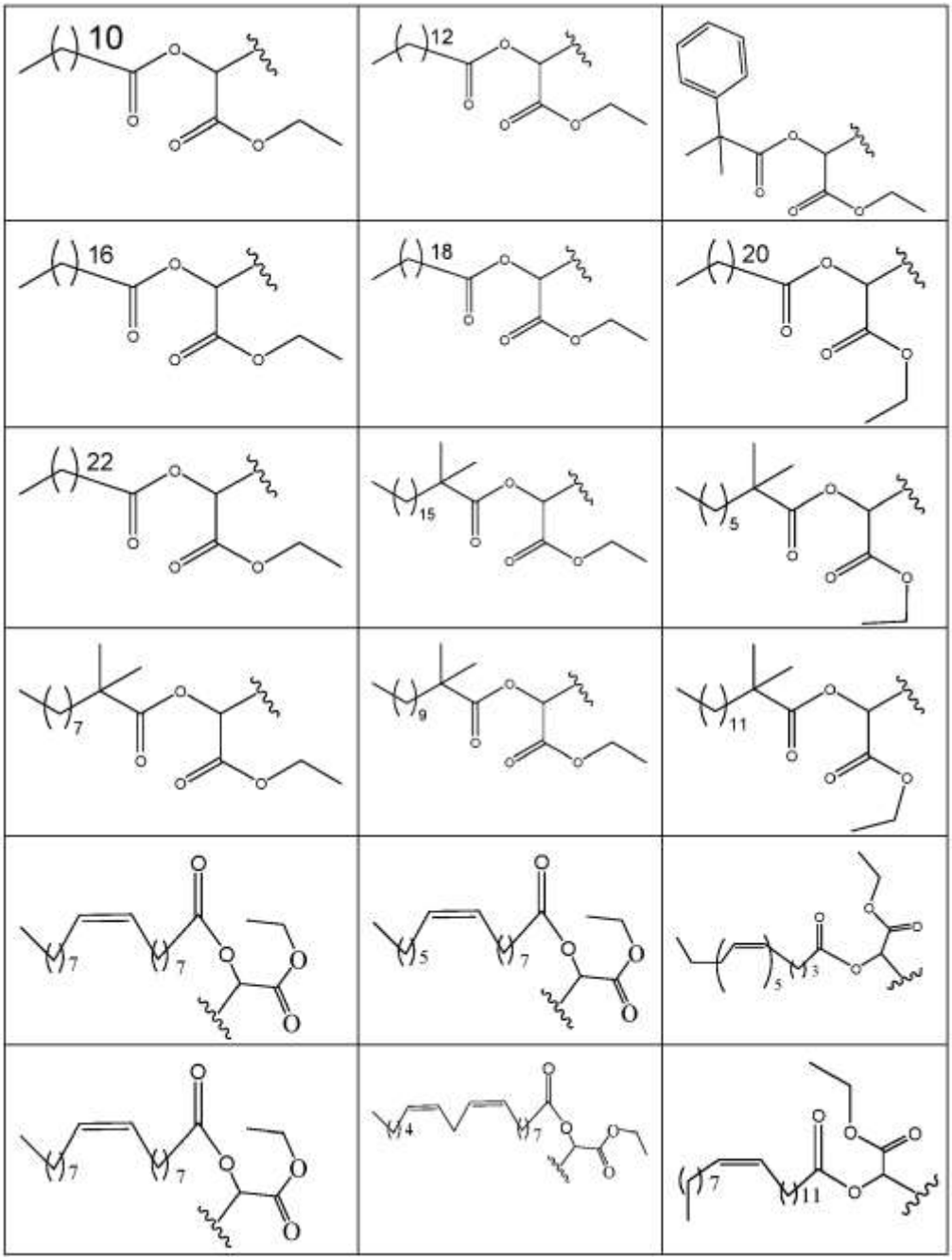


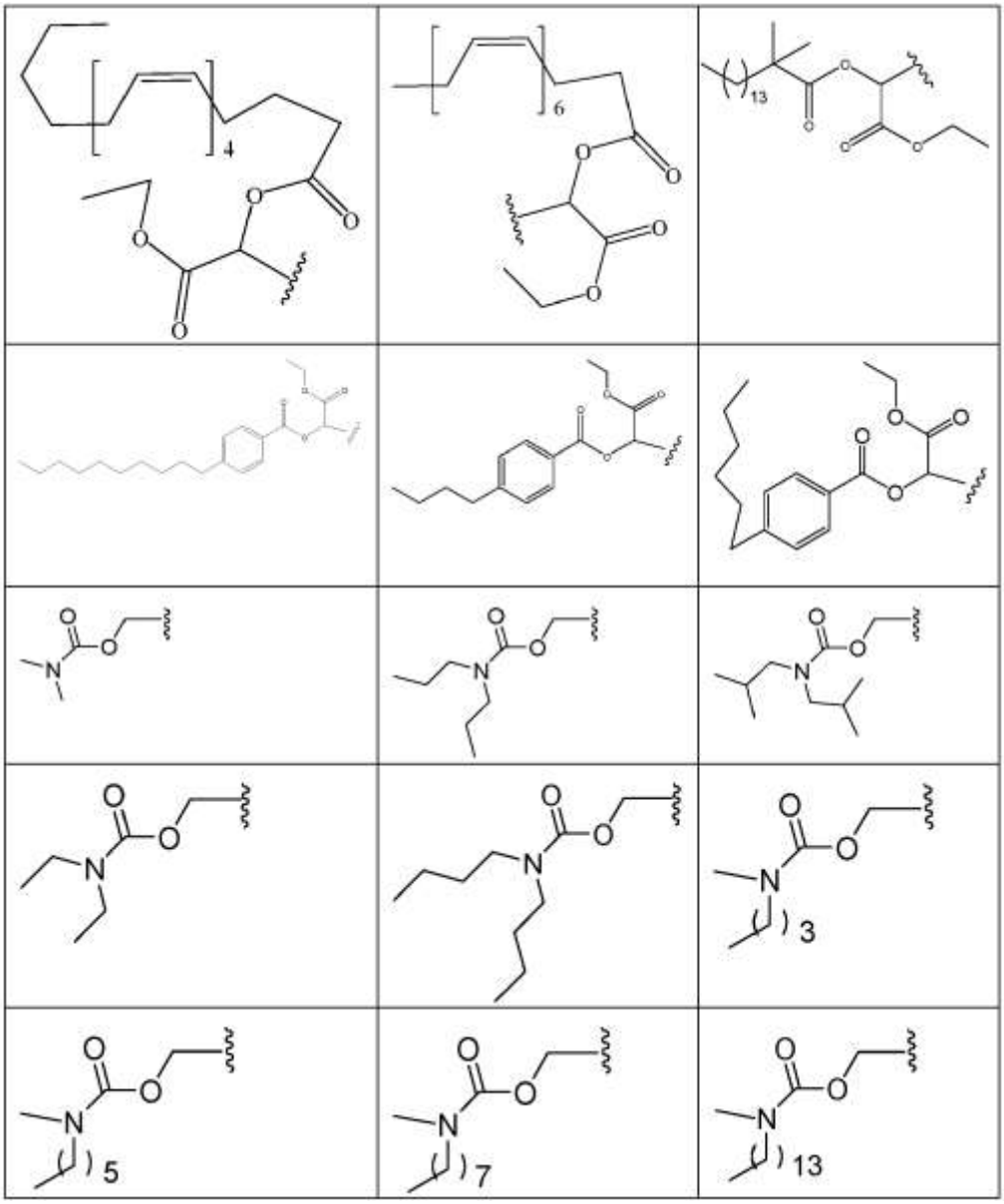


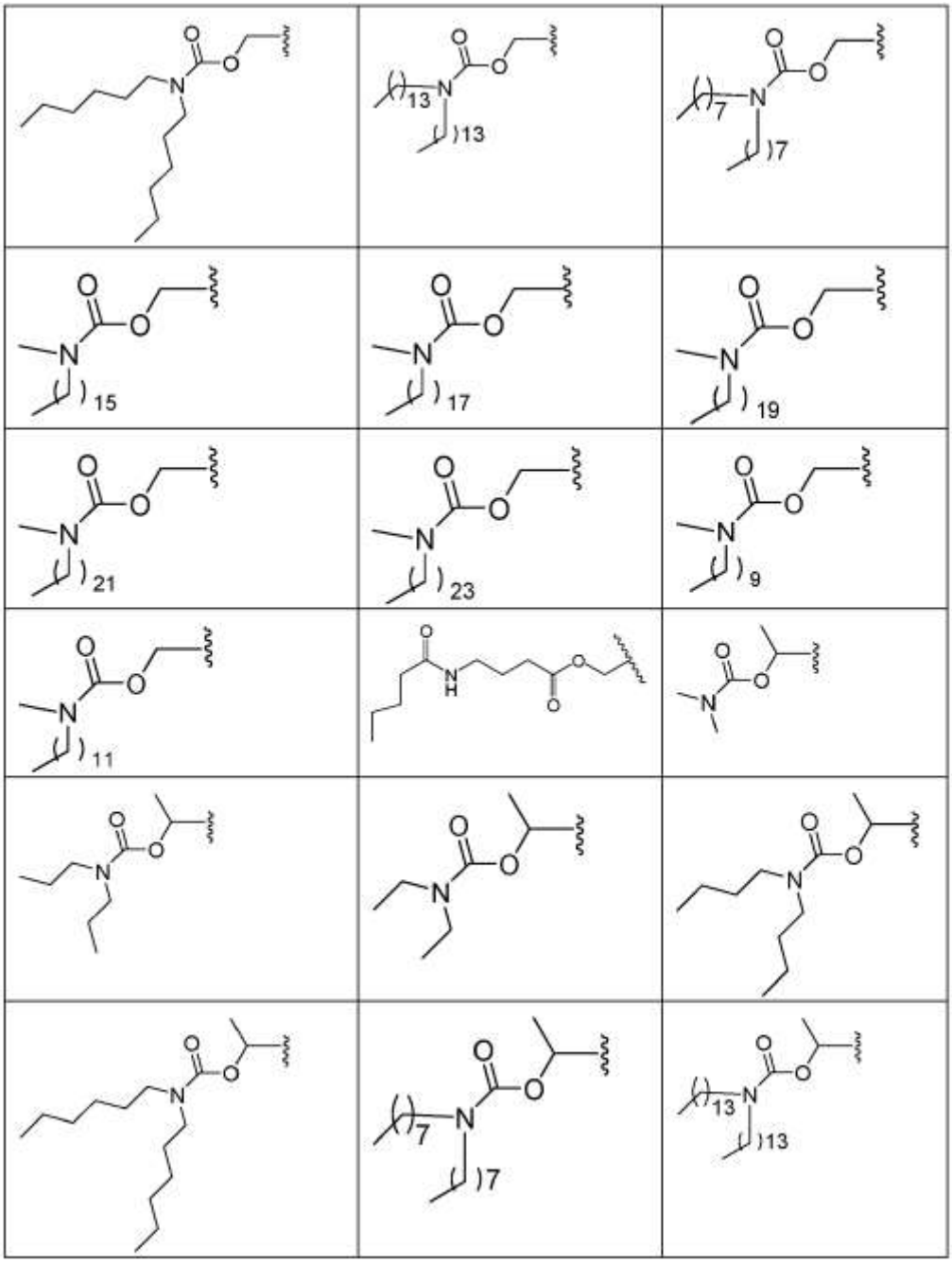


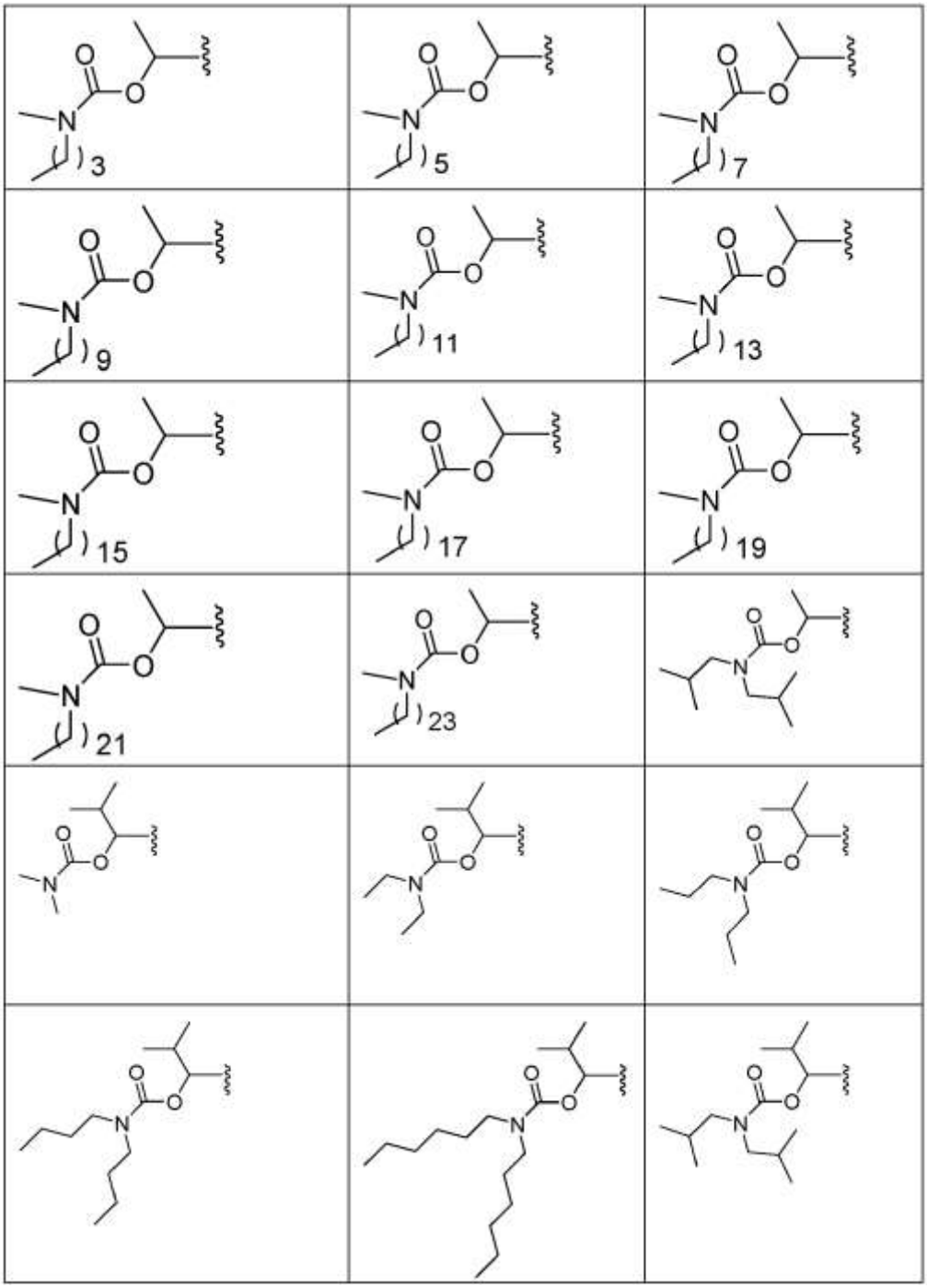


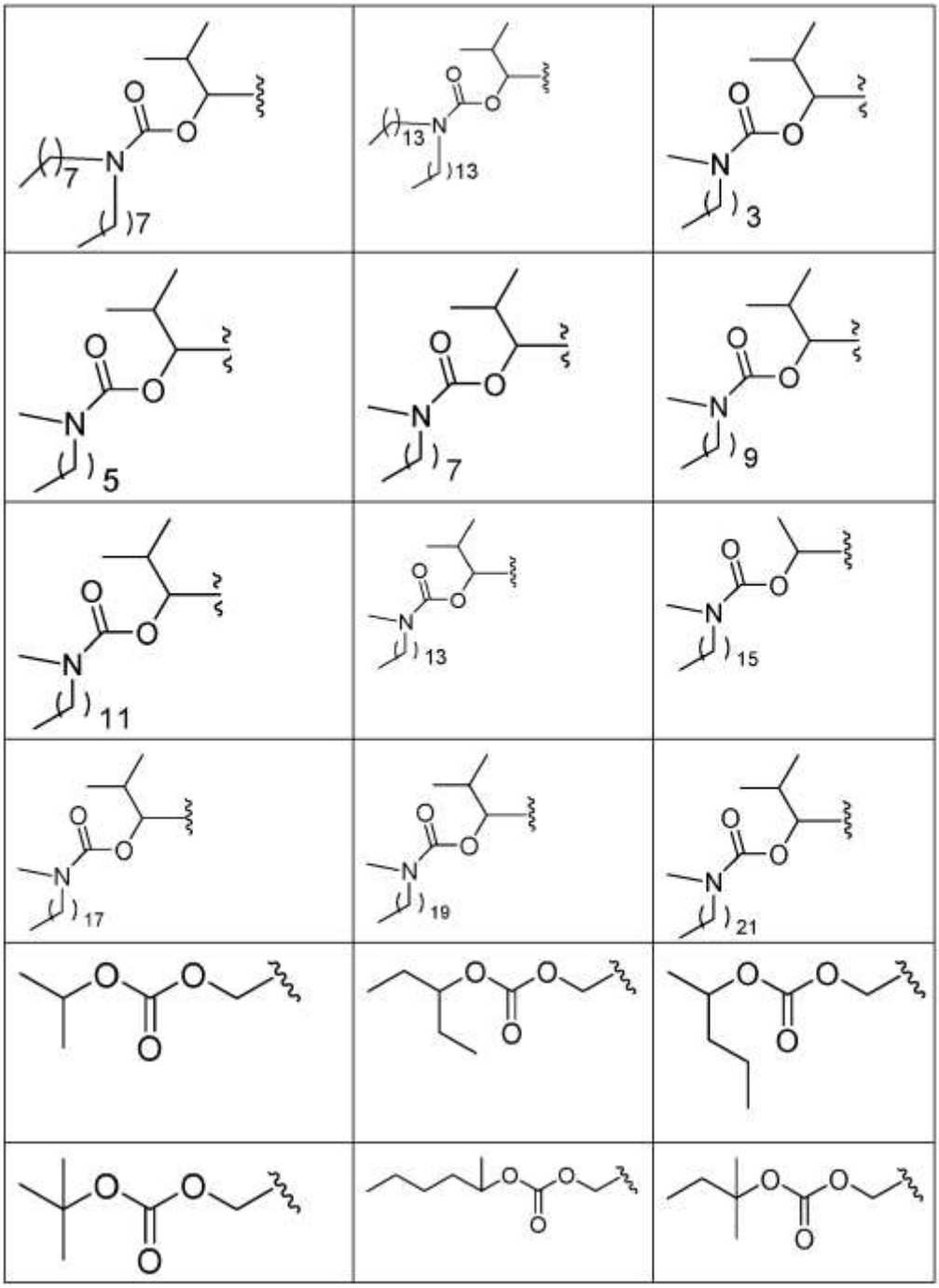

8

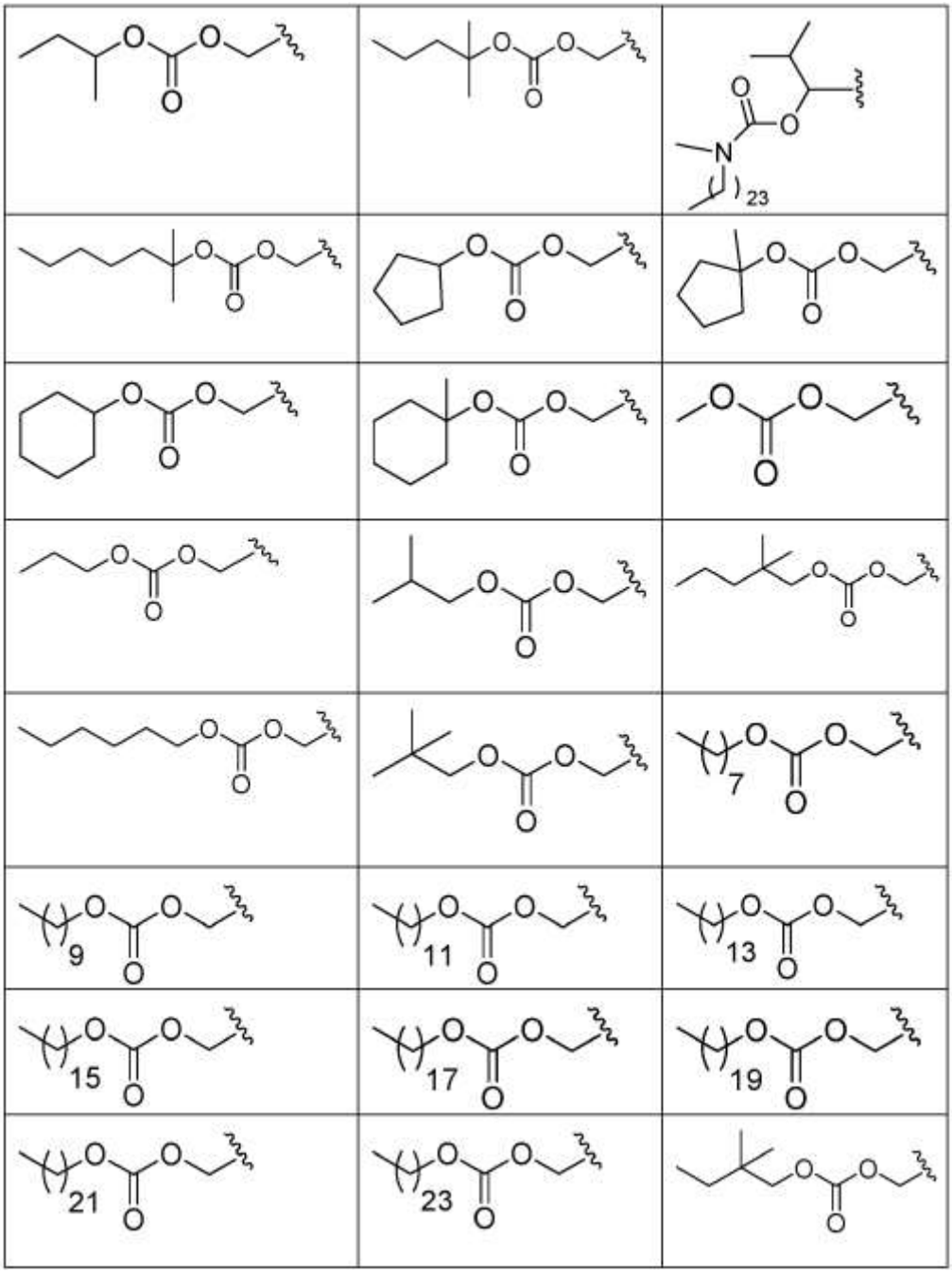

10
12
16
18
20
22
15
5
7
9
11
7
7
5
7
5
3
7
7
4
7
7
11

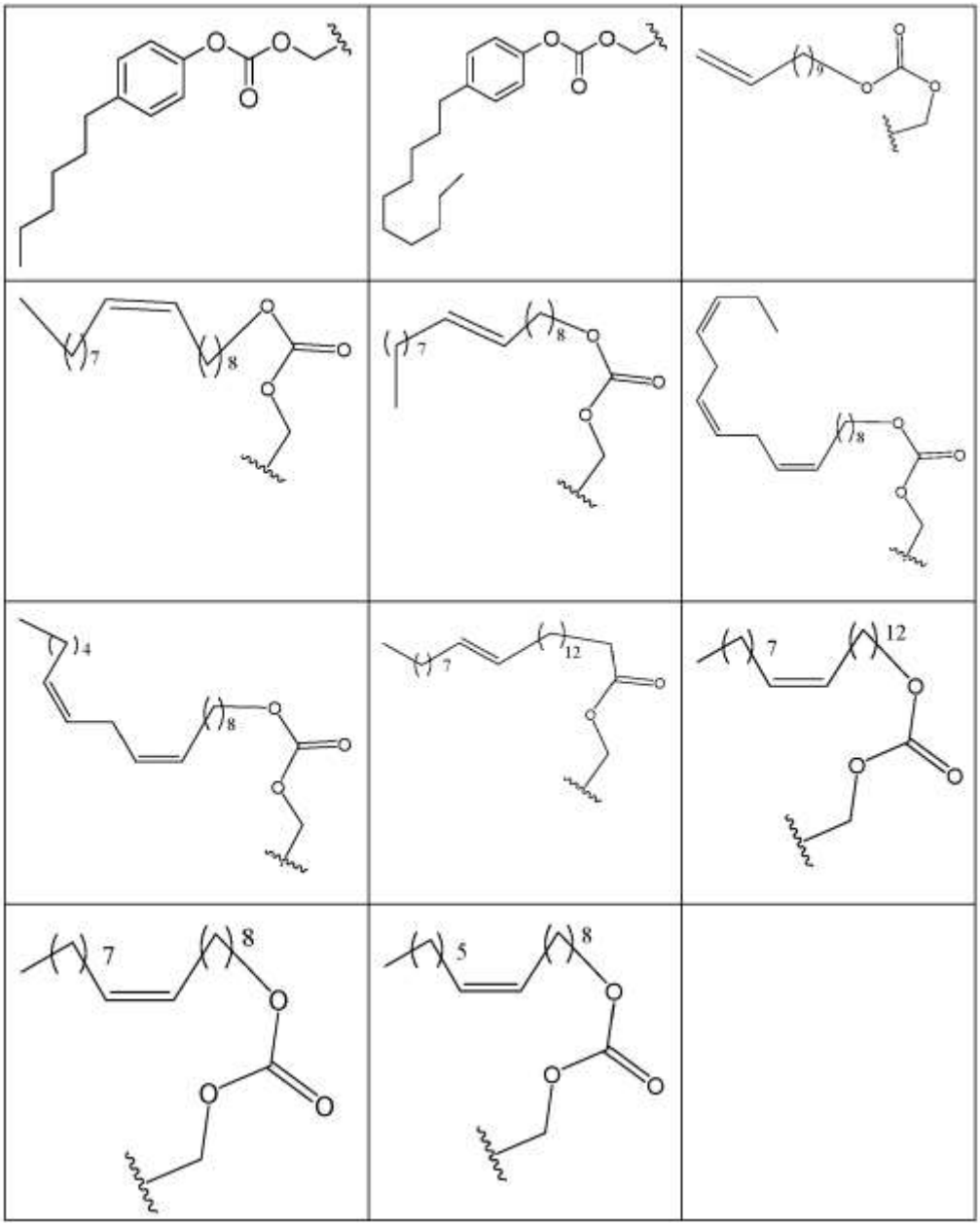


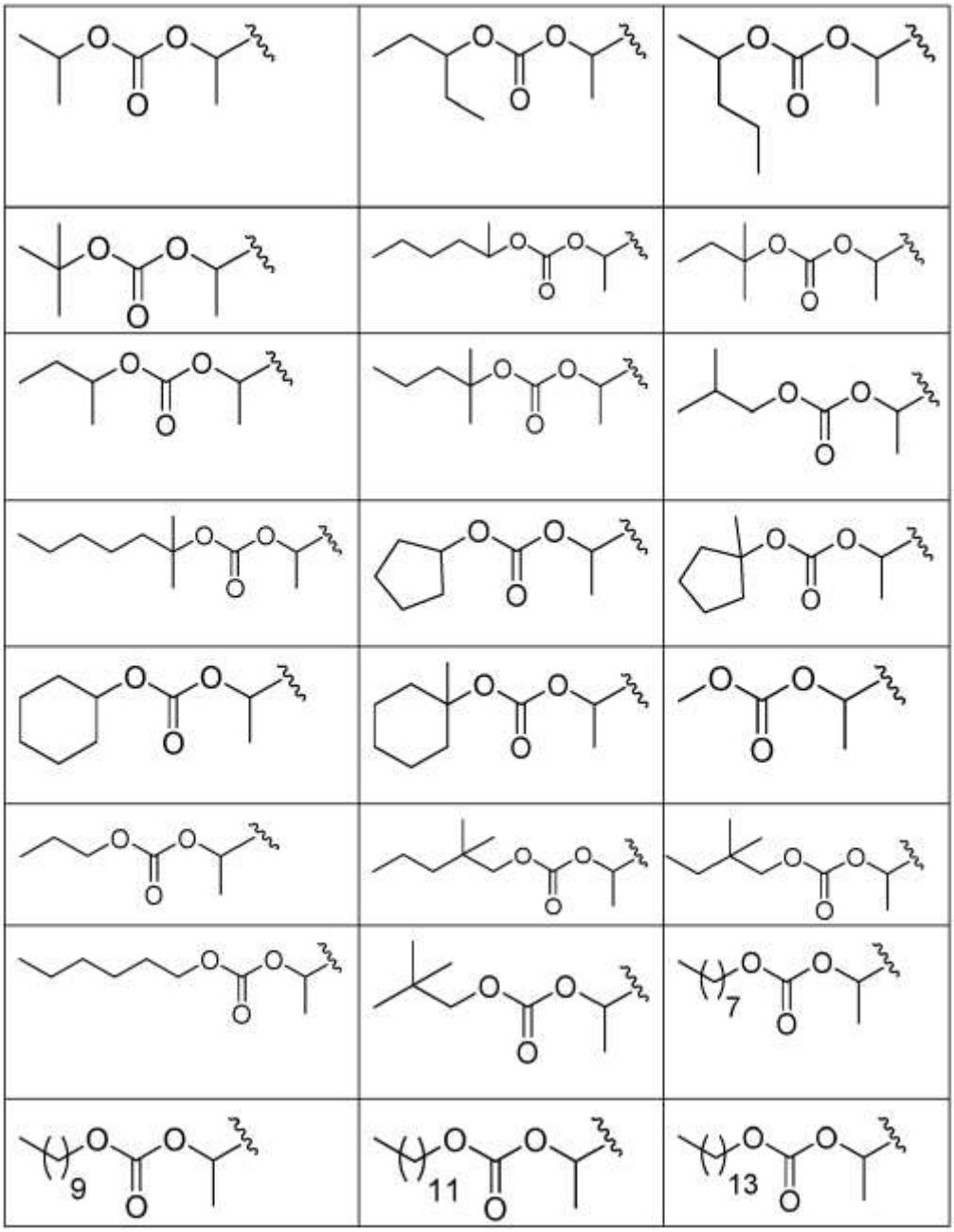


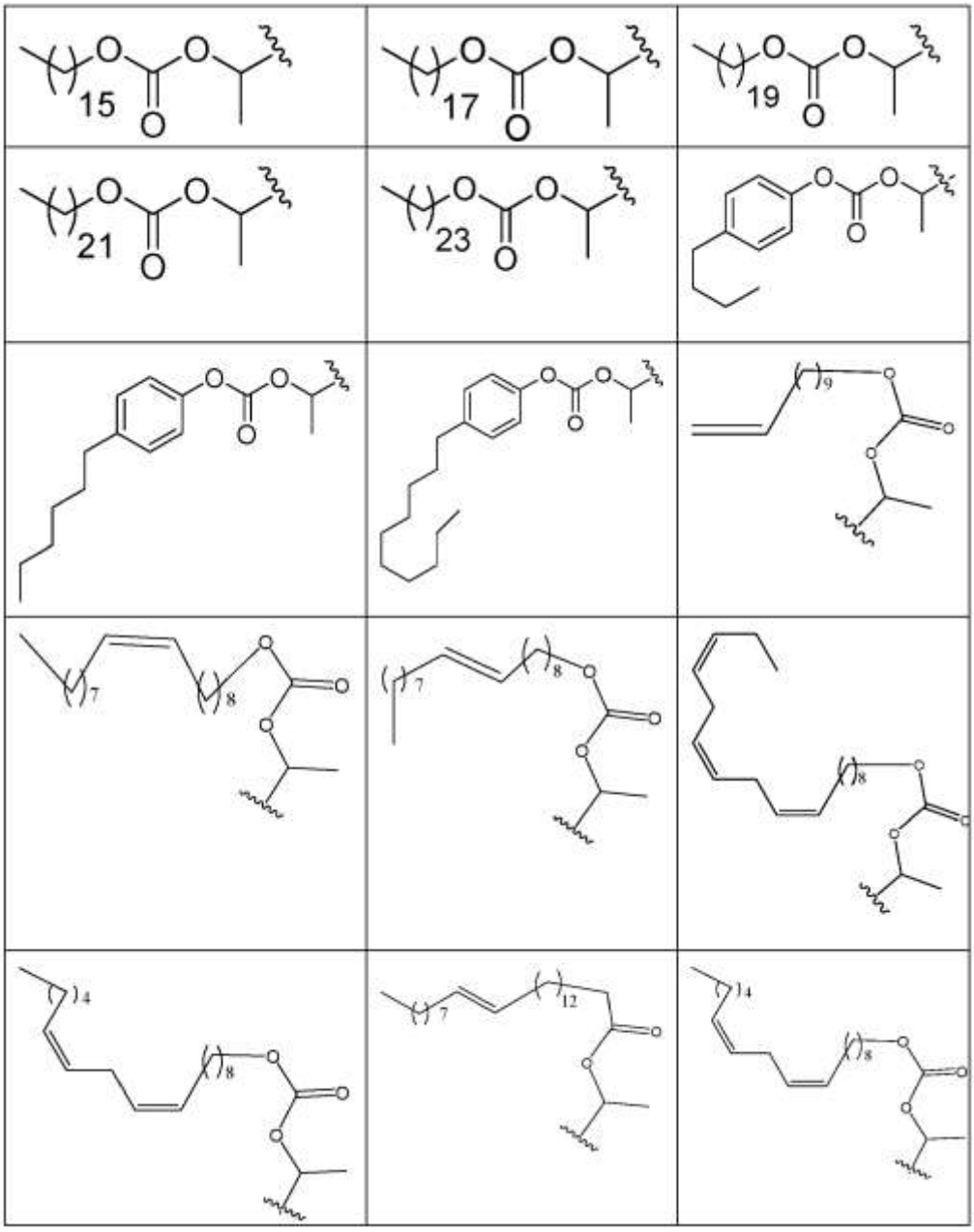


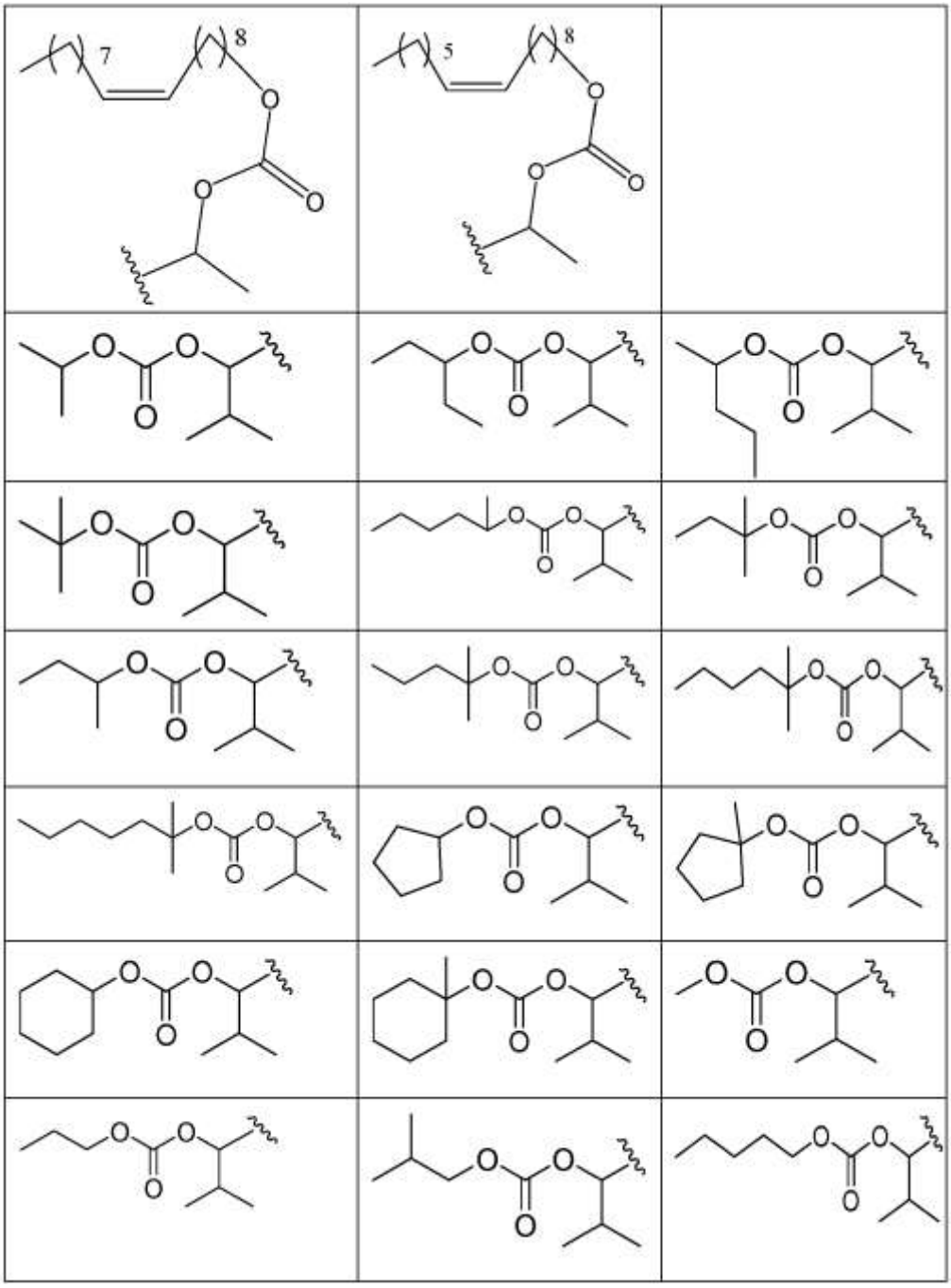


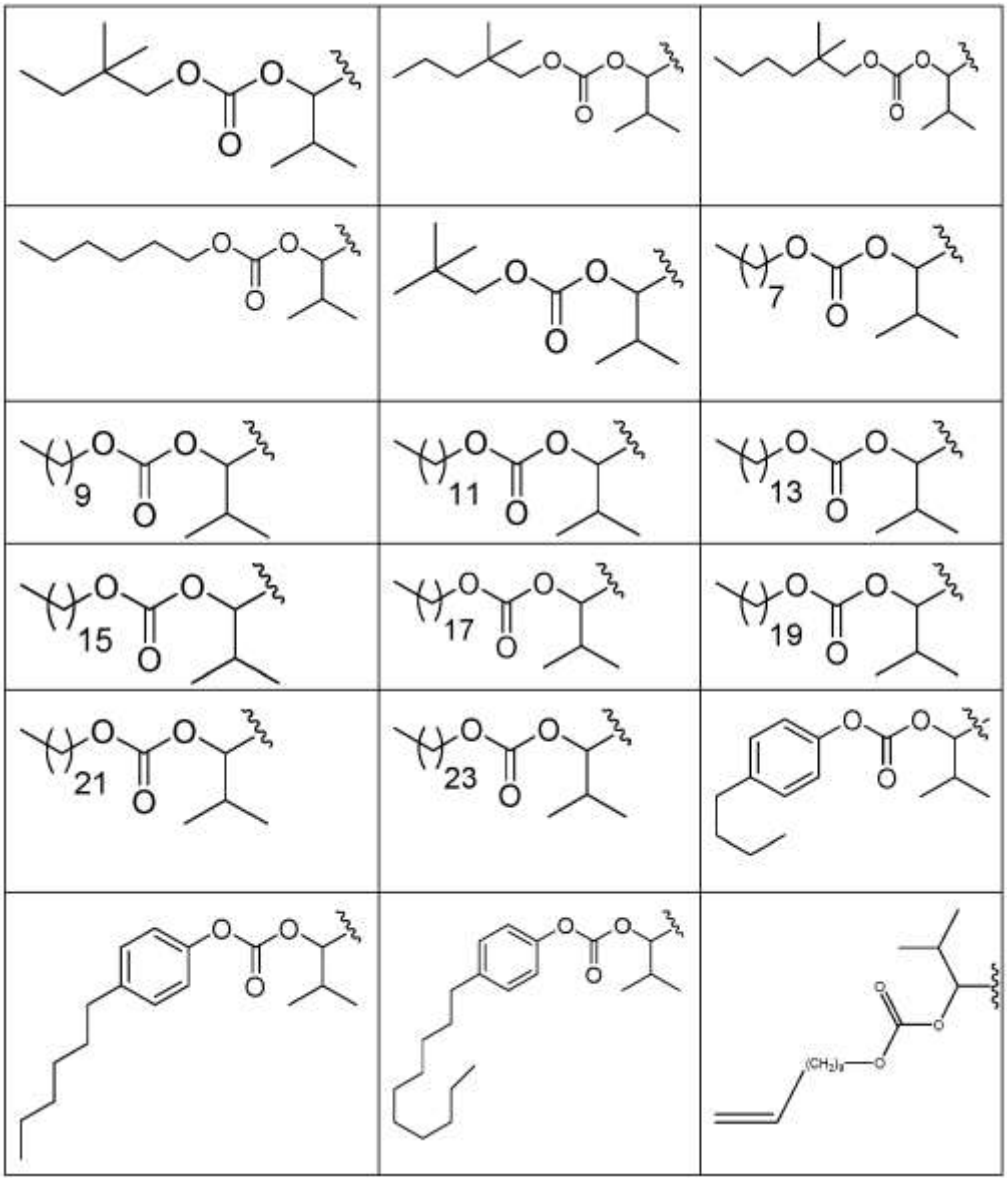


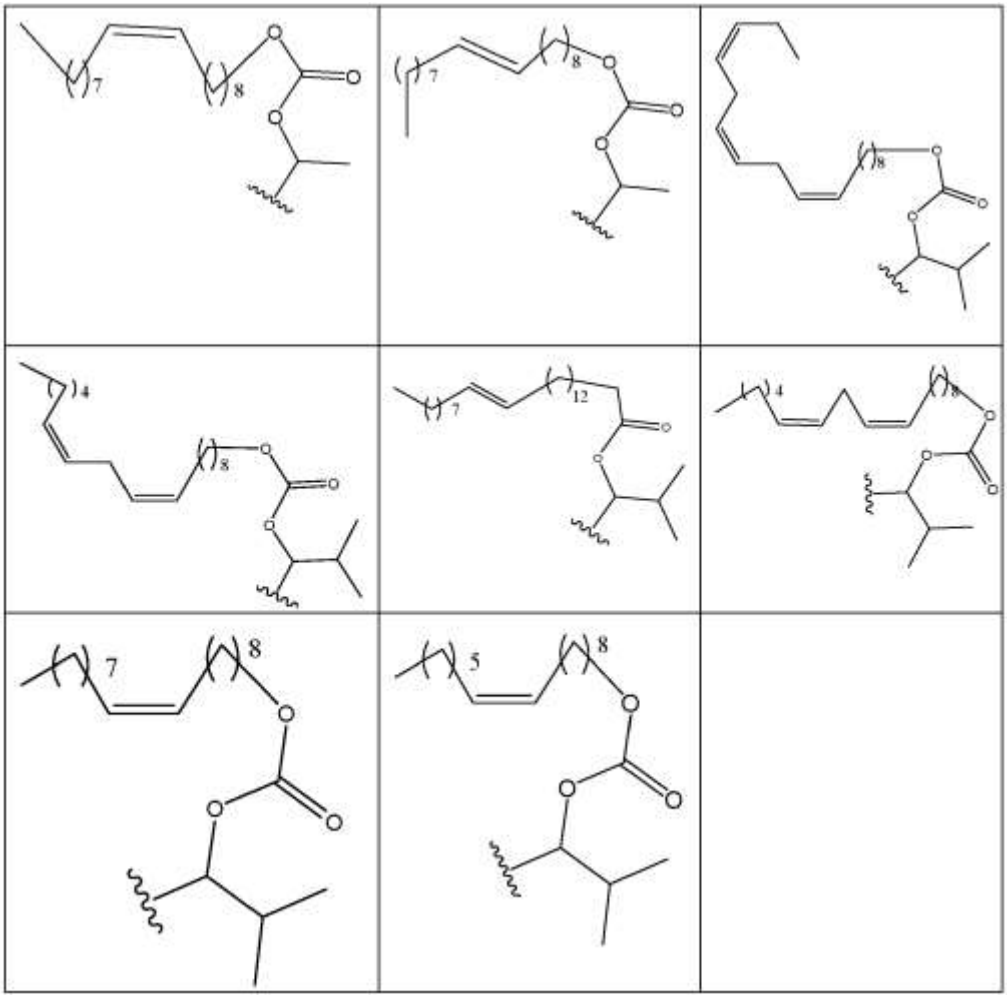






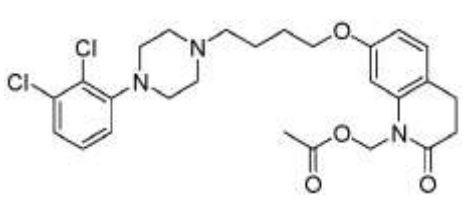
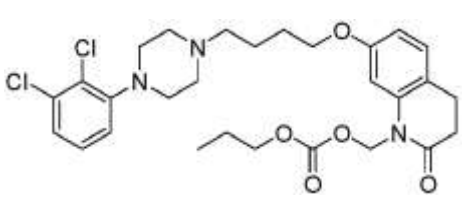


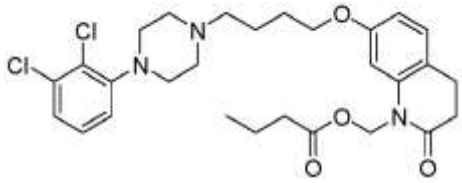
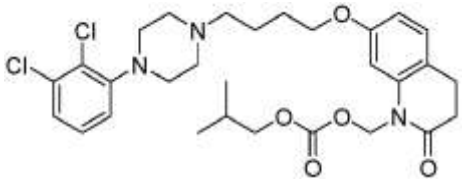
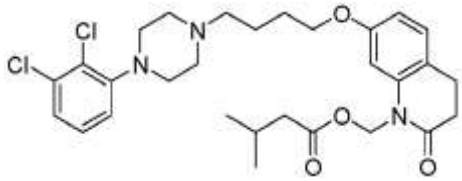
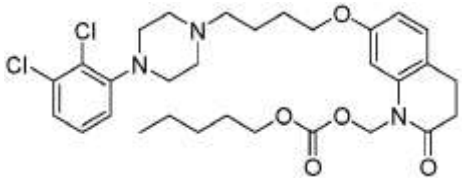
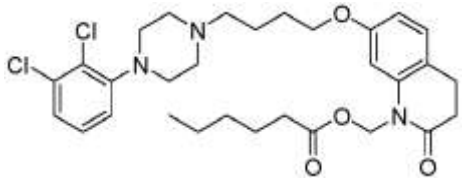
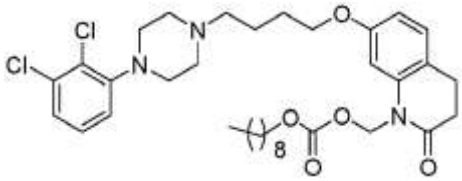
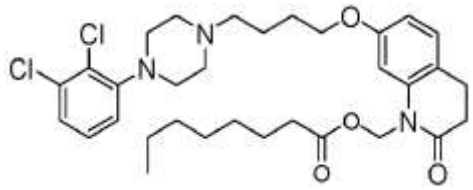
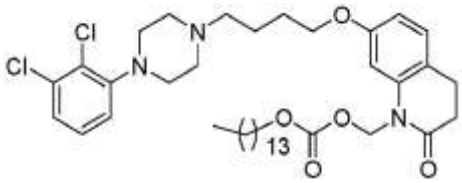
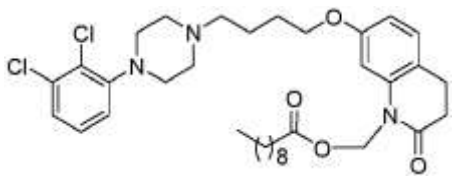
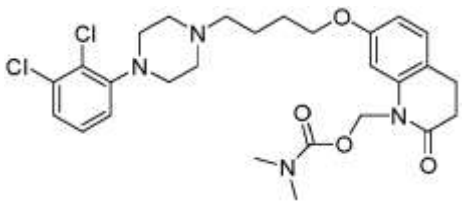
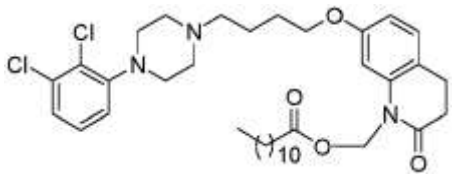
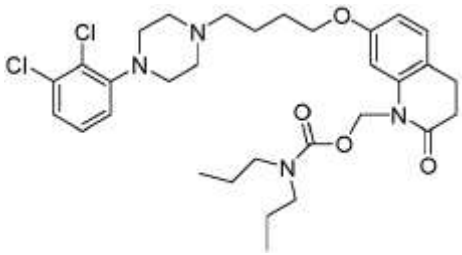


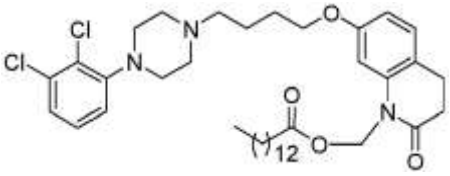
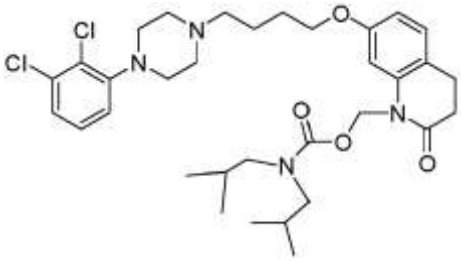
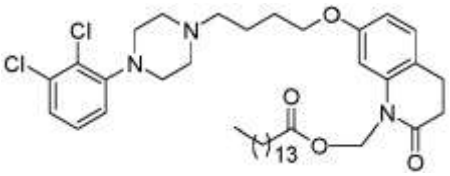
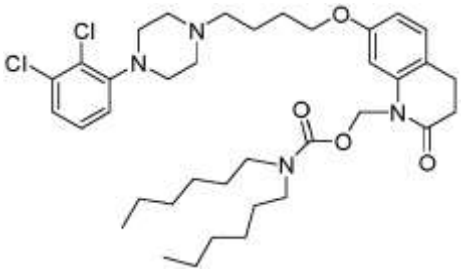
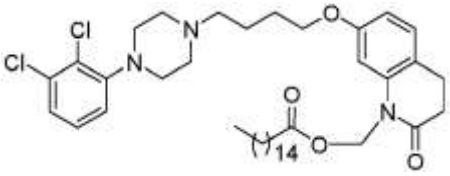
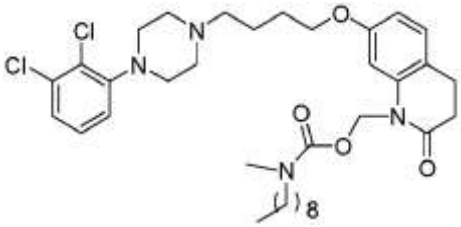
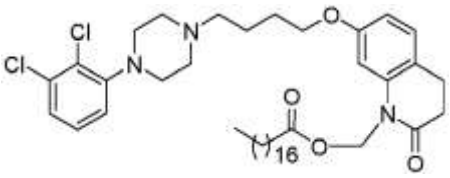
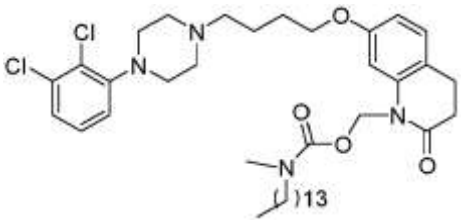
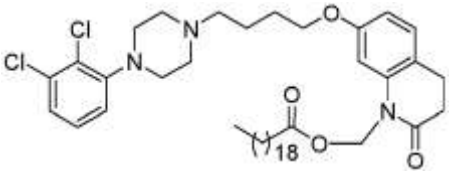
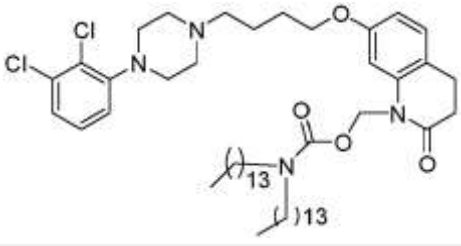


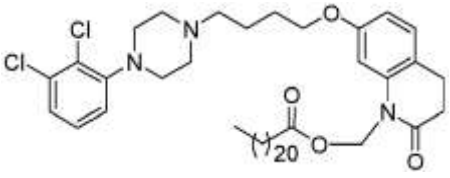
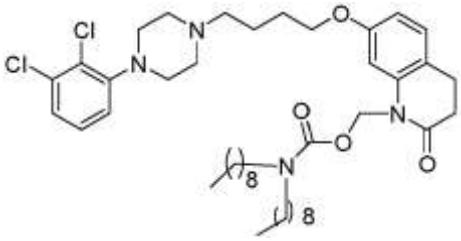
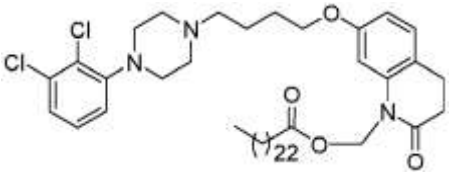
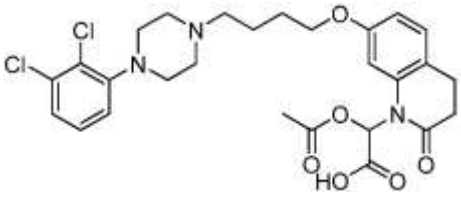
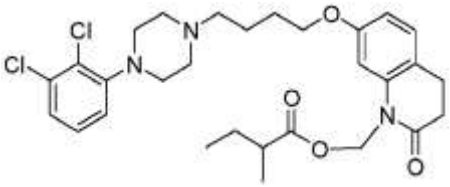
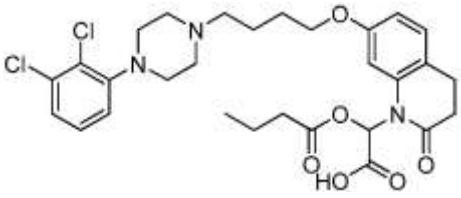
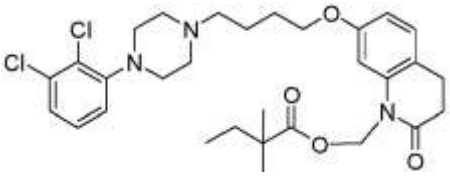
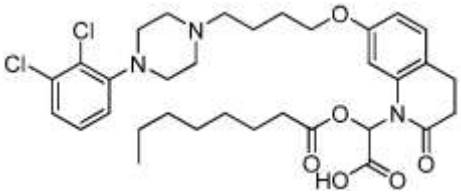
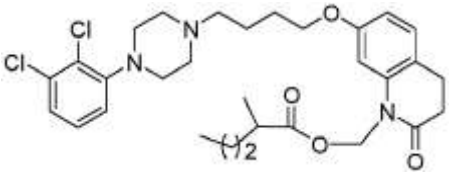
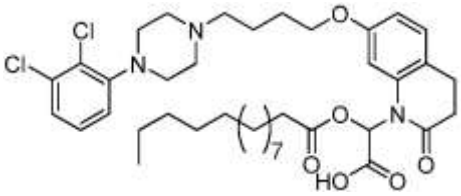
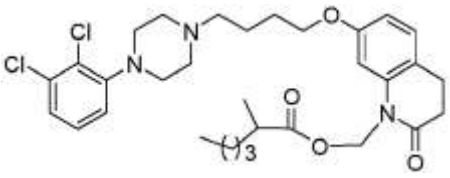
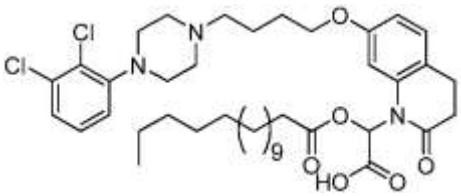
7. En forbindelse ifølge krav 1 valgt fra tabell A eller B og de geometriske isomerer, enantiomerer, diastereomerer, racemater, farmasøytisk akseptable salter og solvater derav:

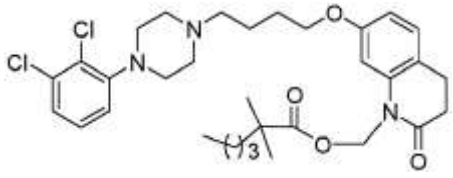
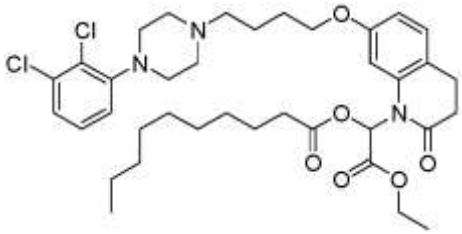
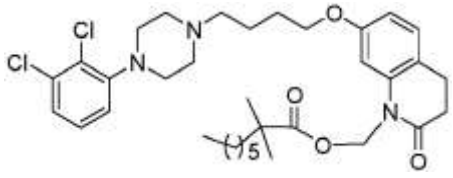
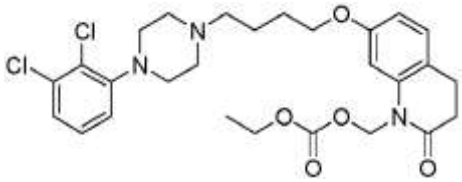
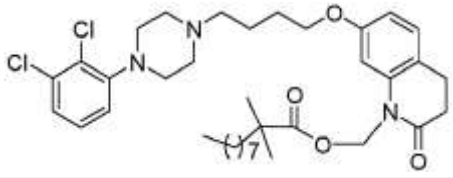
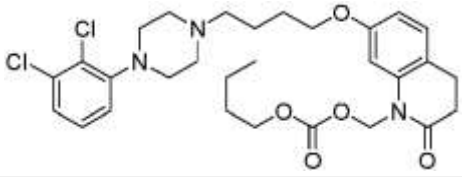
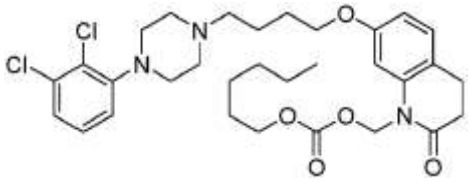
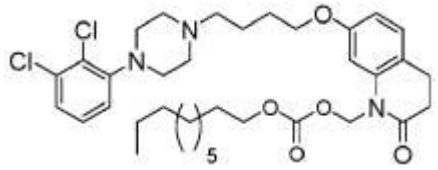
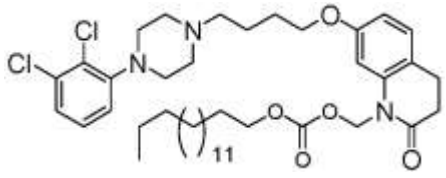
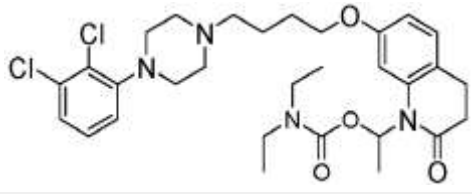
Tabell A

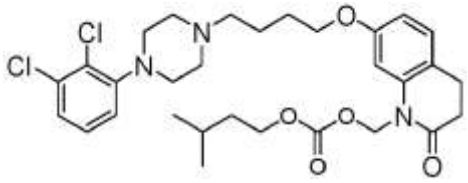
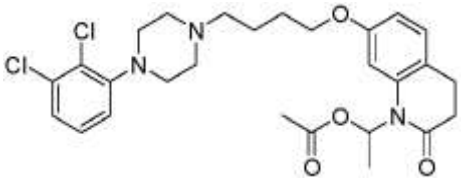
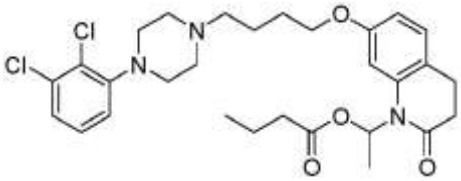
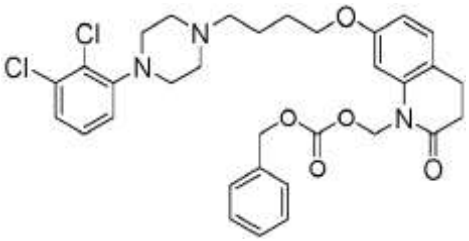
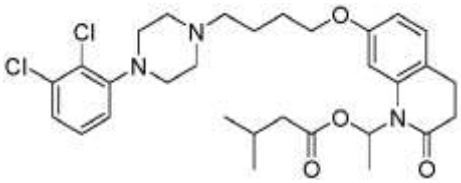
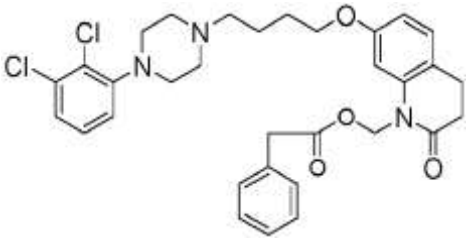
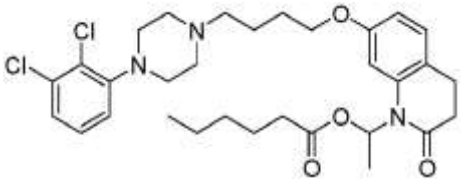
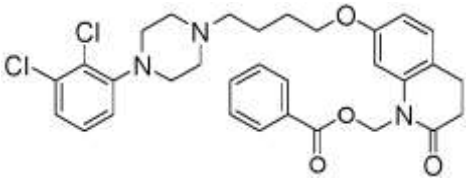
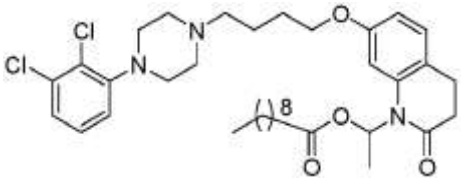
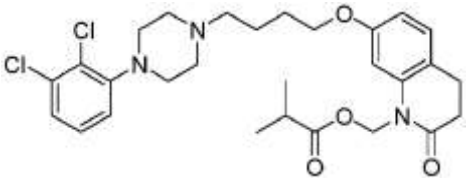
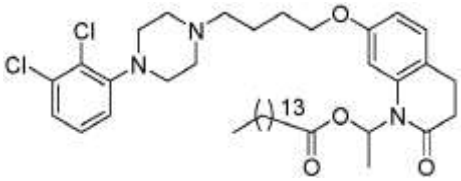
Nr	Struktur	Nr	Struktur
1		60	

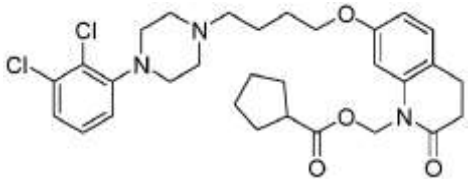
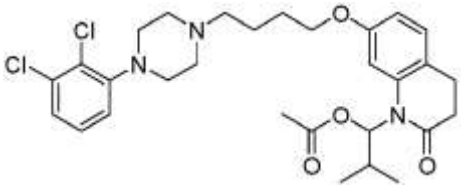
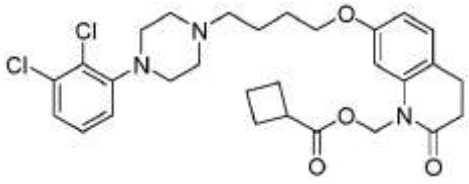
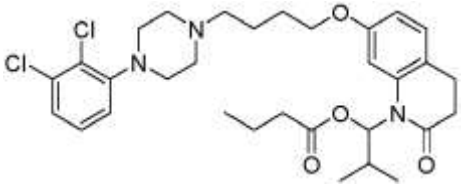
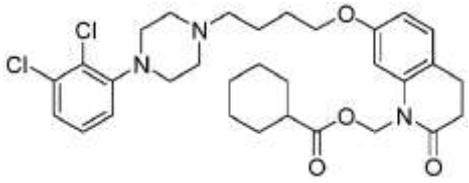
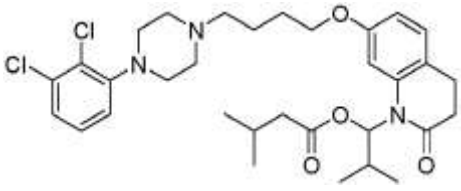
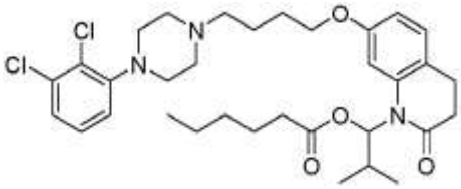
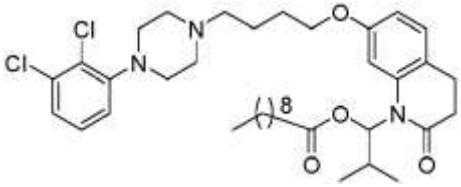
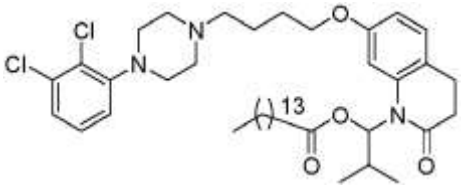
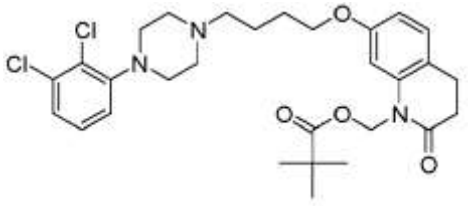
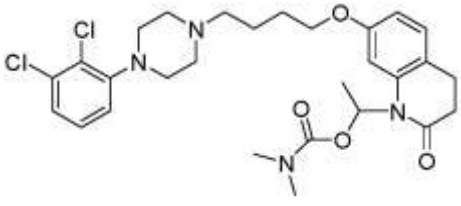
Nr	Struktur	Nr	Struktur
2		61	
3		62	
4		63	
5		64	
6		65	
7		66	

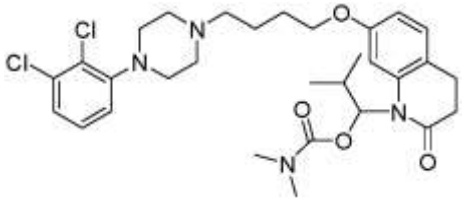
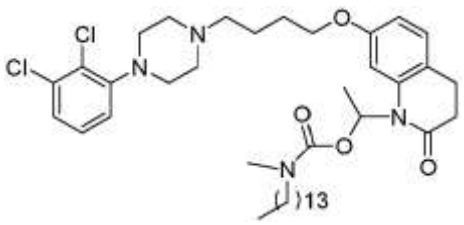
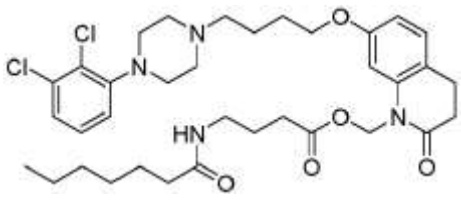
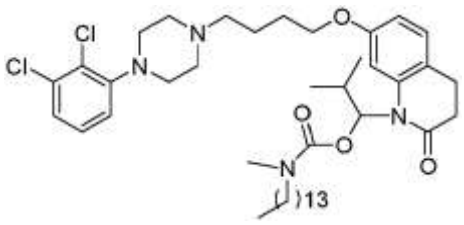
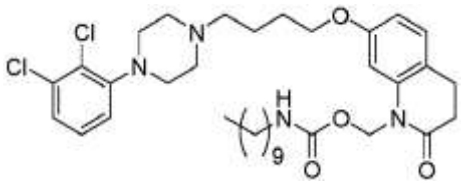
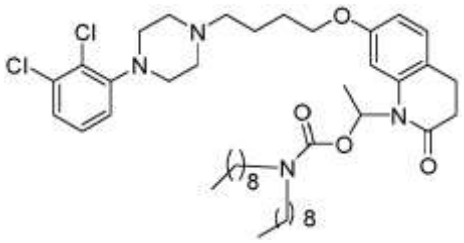
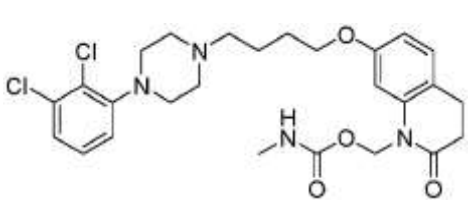
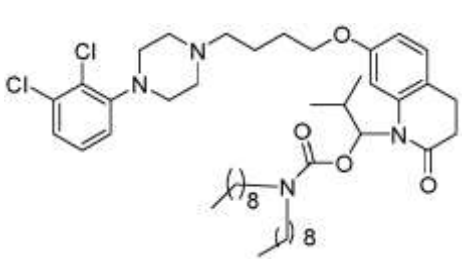
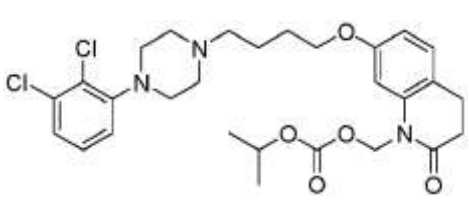
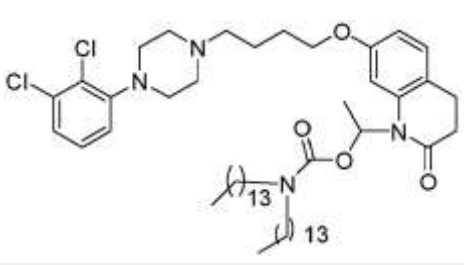
Nr	Struktur	Nr	Struktur
8		67	
9		68	
10		69	
11		70	
12		71	

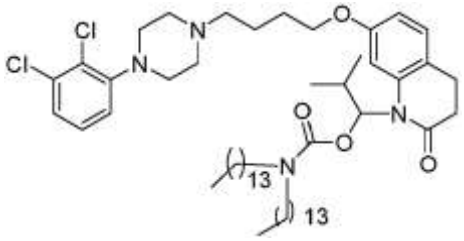
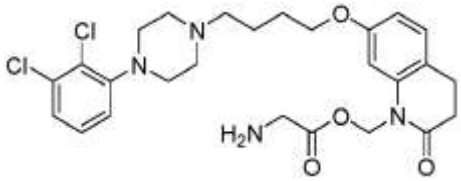
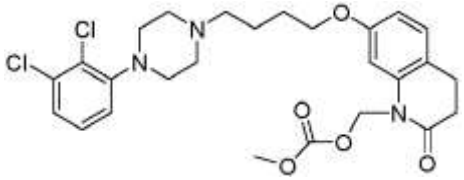
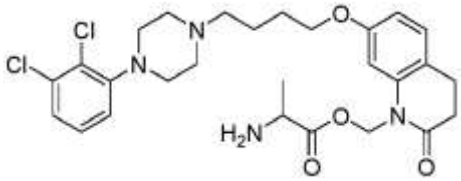
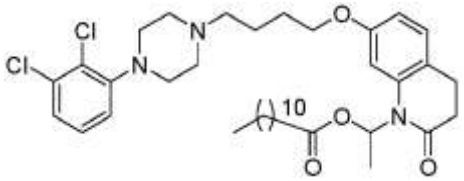
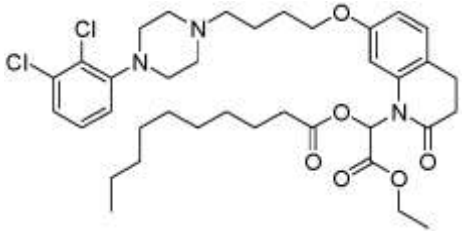
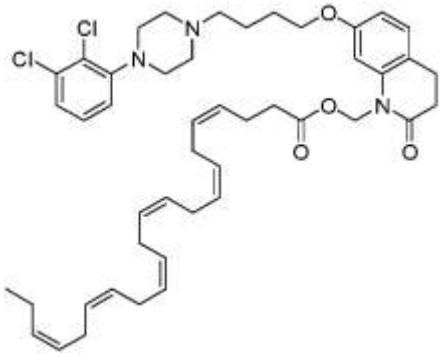
Nr	Struktur	Nr	Struktur
13		72	
14		73	
15		74	
16		75	
17		76	
18		77	

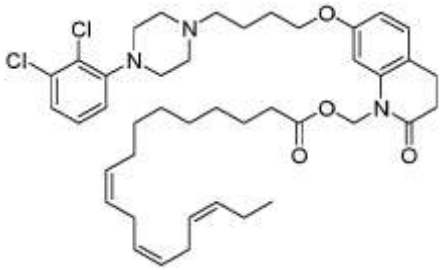
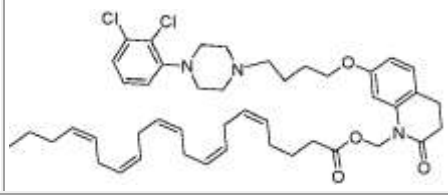
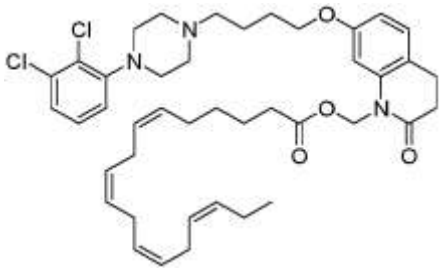
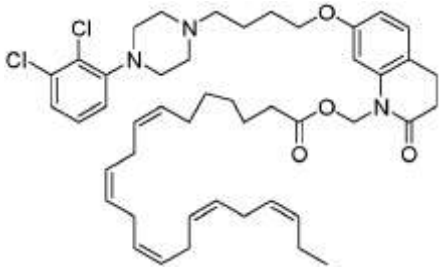
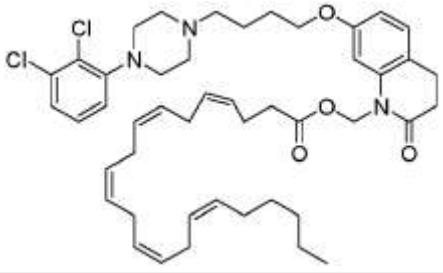
Nr	Struktur	Nr	Struktur
19		78	
20		79	
21		80	
		81	
		82	
		83	
		84	

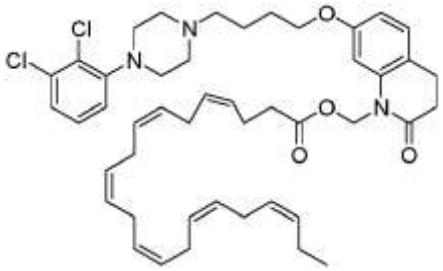
Nr	Struktur	Nr	Struktur
		85	
		86	
		87	
29		88	
30		89	
31		90	
32		91	

Nr	Struktur	Nr	Struktur
33		92	
34		93	
35		94	
		95	
		96	
		97	
42		101	

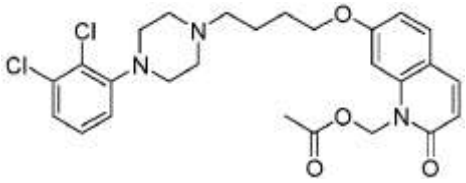
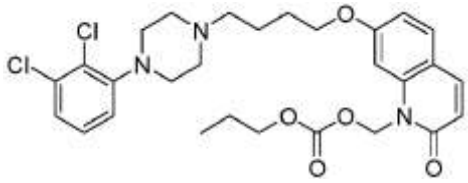
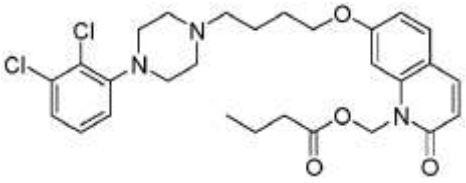
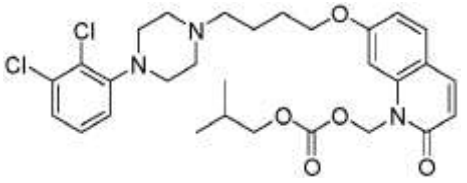
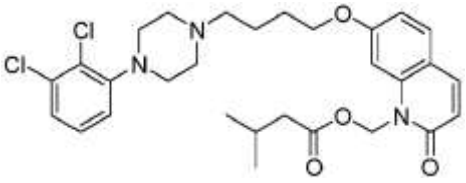
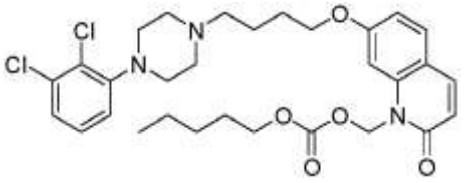
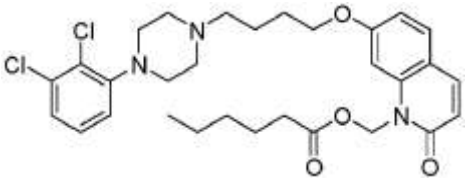
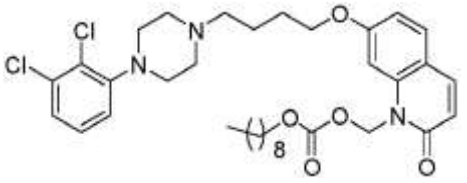
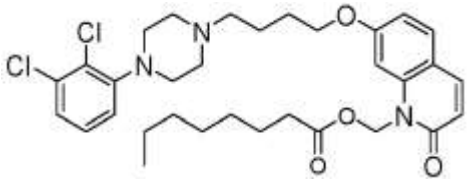
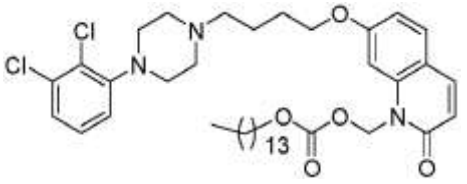
Nr	Struktur	Nr	Struktur
		102	
		103	
45		104	
46		105	
47		106	
48		107	

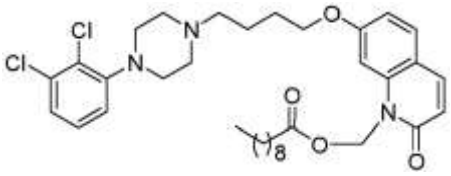
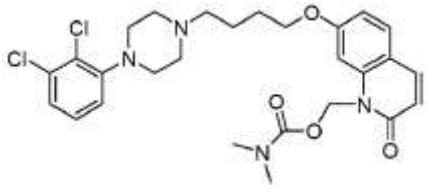
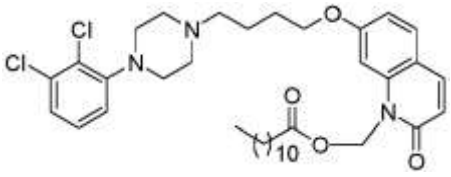
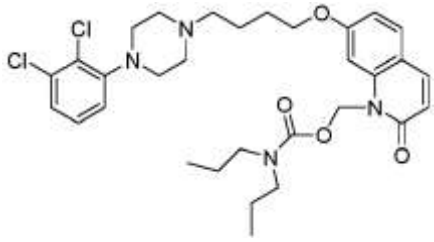
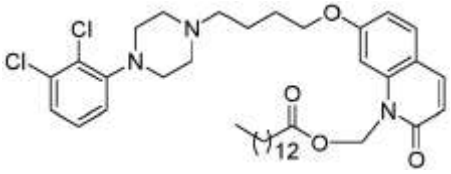
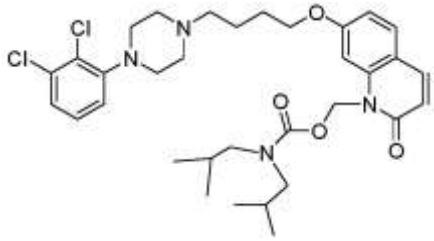
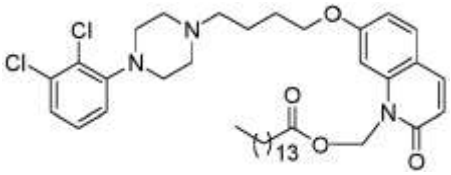
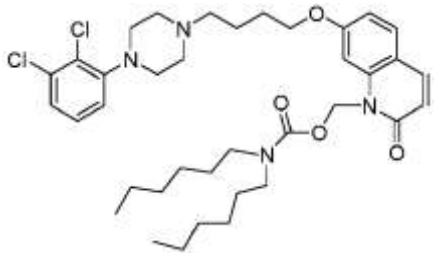
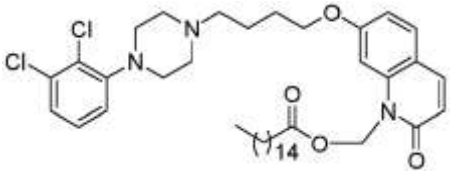
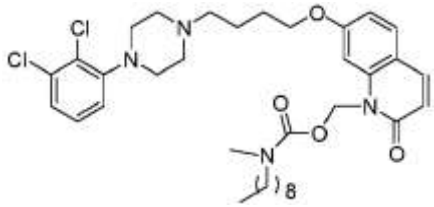
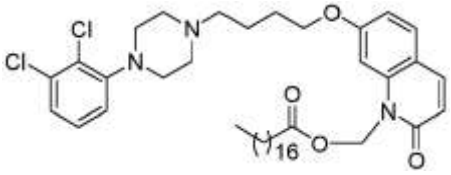
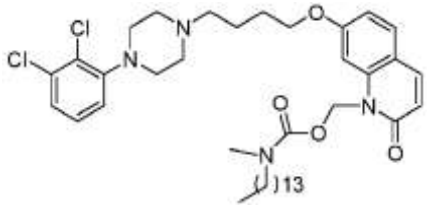
Nr	Struktur	Nr	Struktur
		108	
50		109	
51		110	
		111	
		112	

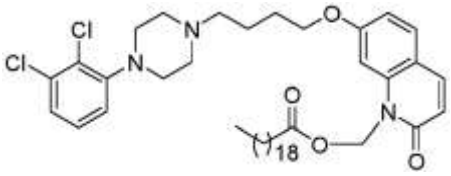
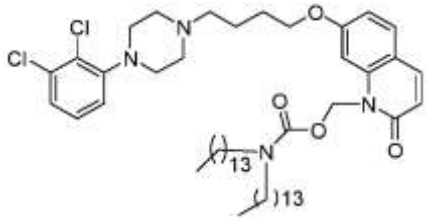
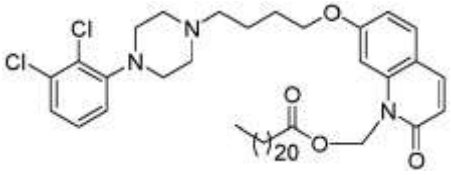
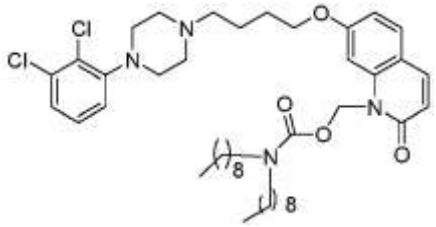
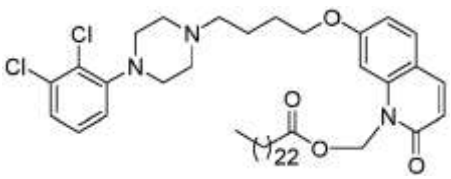
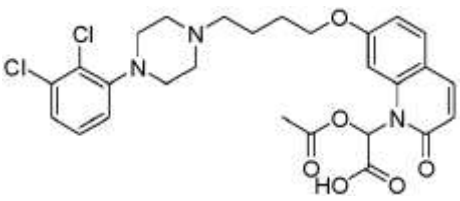
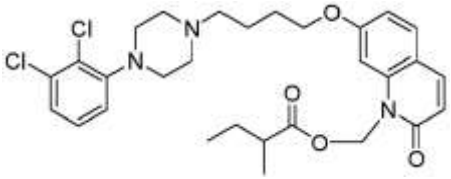
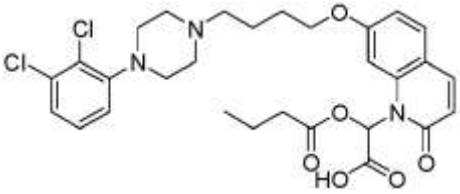
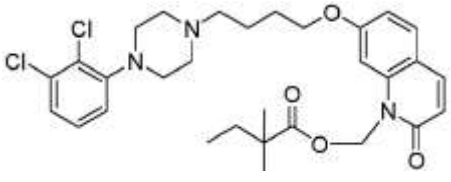
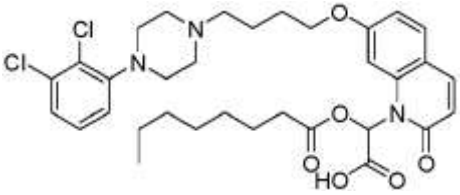
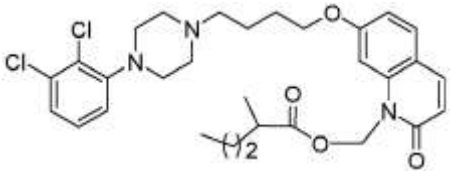
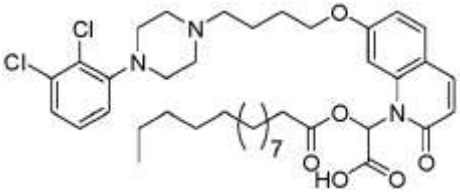
Nr	Struktur	Nr	Struktur
		113	
		114	
		115	
		116	
		117	

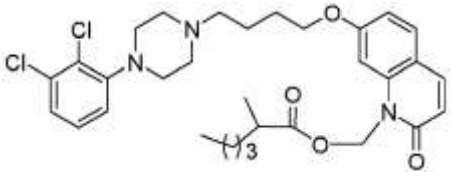
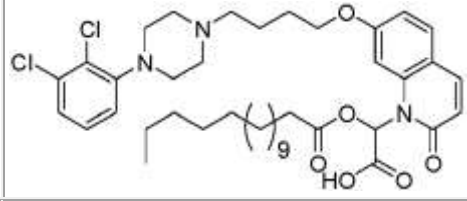
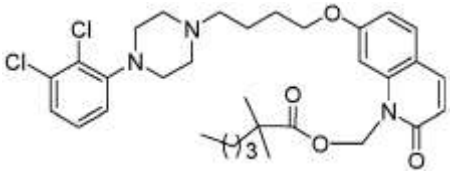
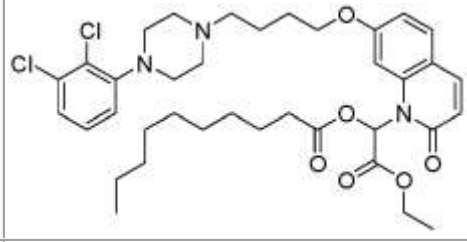
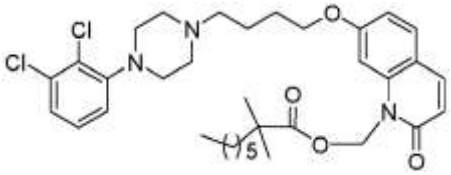
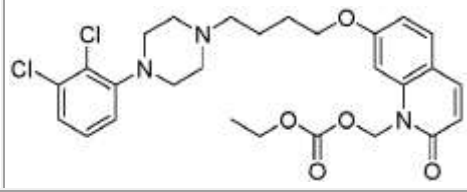
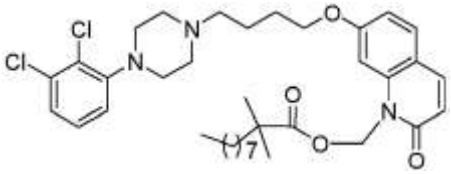
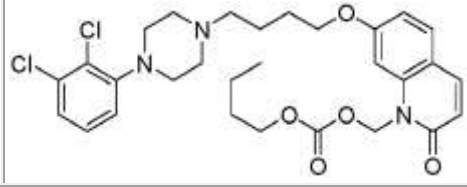
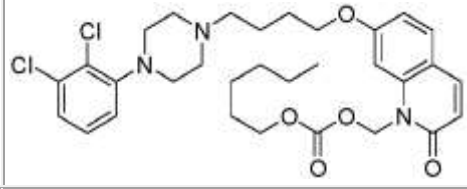
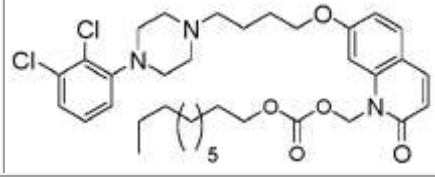
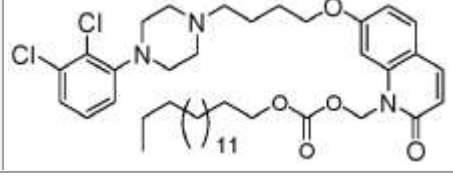
Nr	Struktur	Nr	Struktur
		118	

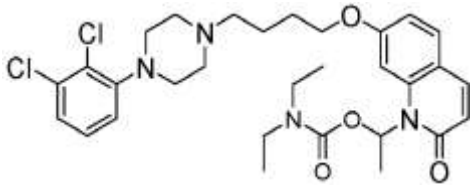
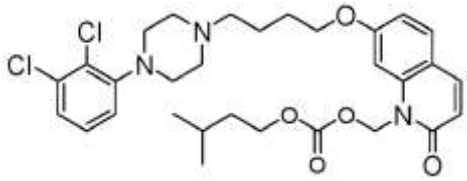
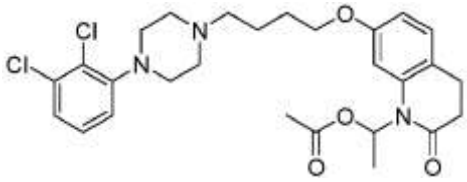
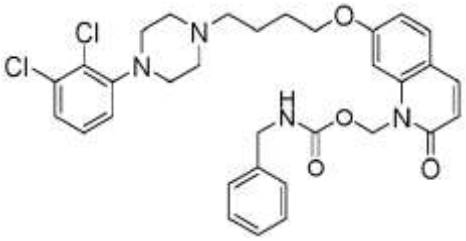
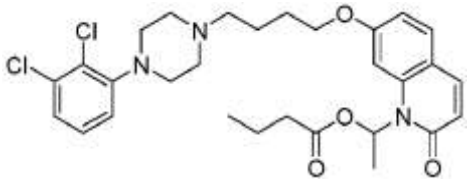
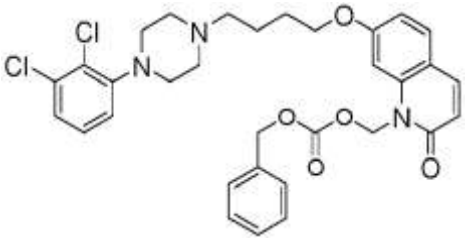
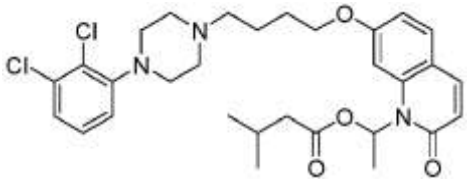
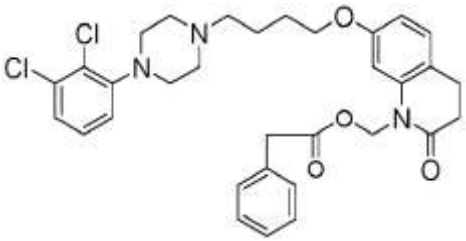
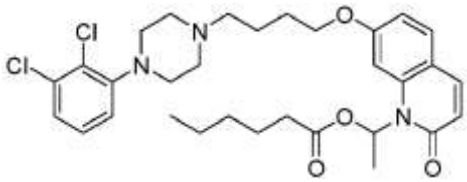
Tabell B

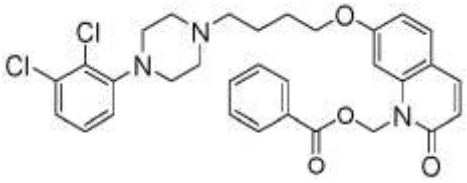
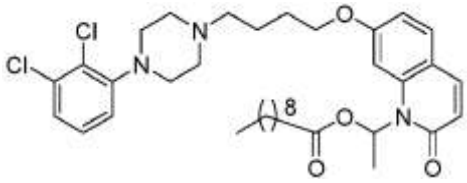
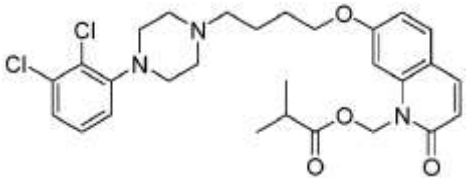
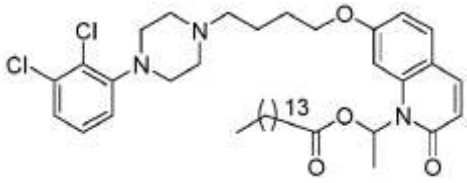
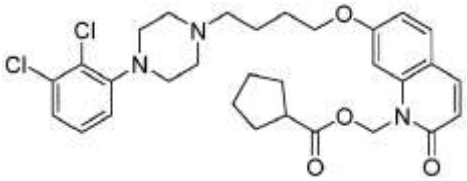
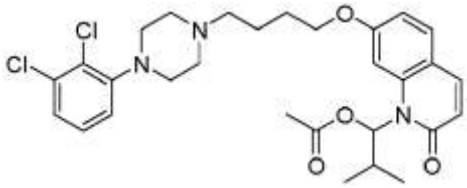
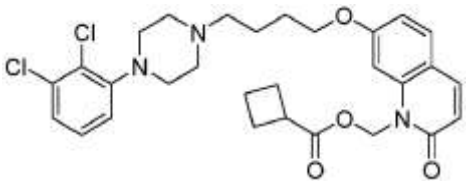
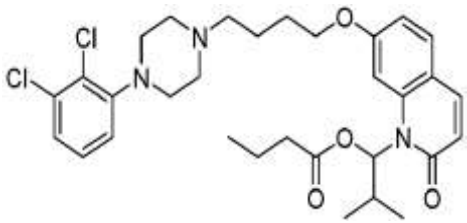
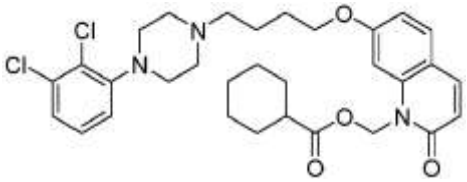
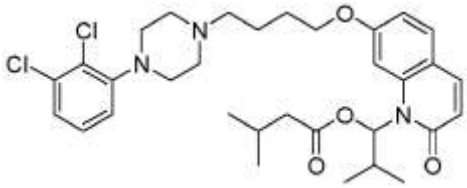
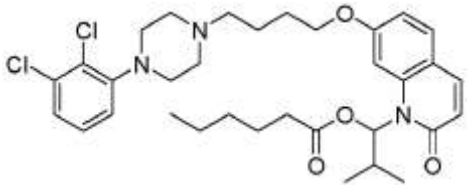
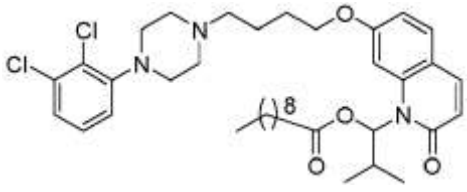
Nr	Struktur	Nr	Struktur
150		209	
151		210	
152		211	
153		212	
154		213	

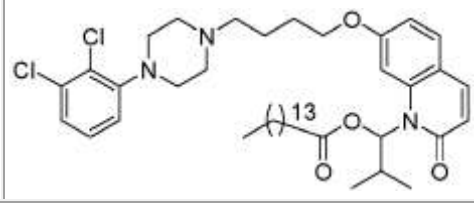
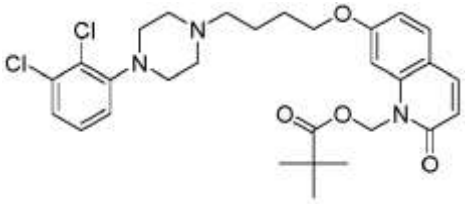
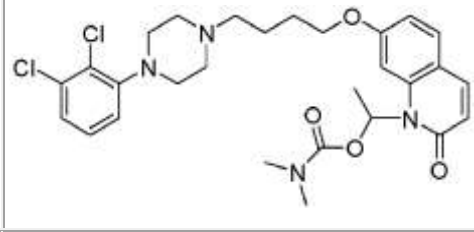
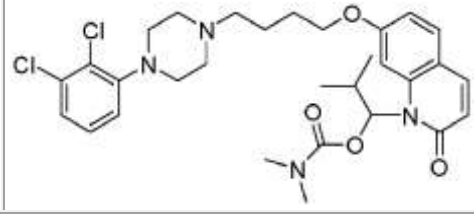
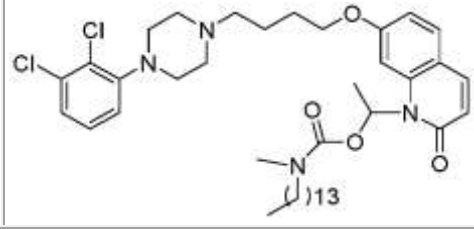
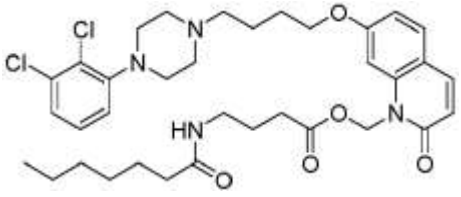
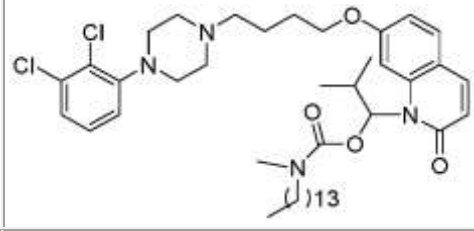
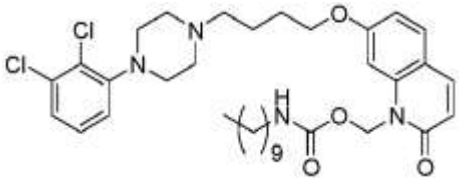
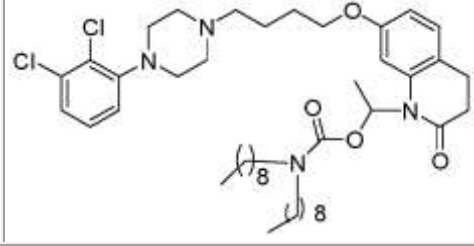
Nr	Struktur	Nr	Struktur
155		214	
156		215	
157		216	
158		217	
159		218	
160		219	

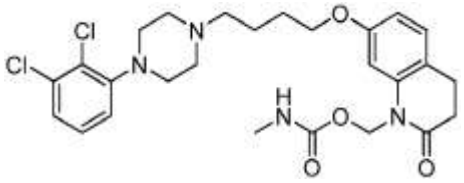
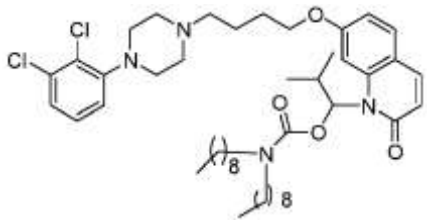
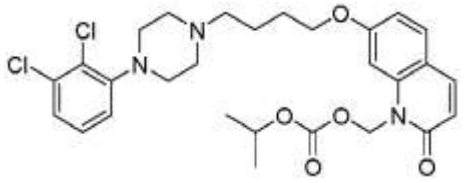
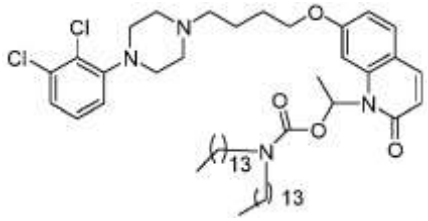
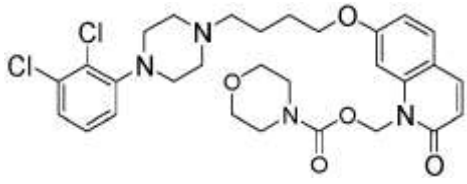
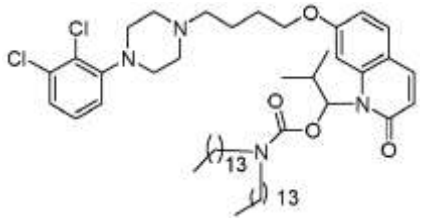
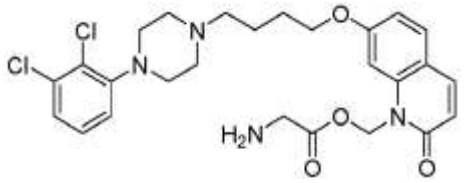
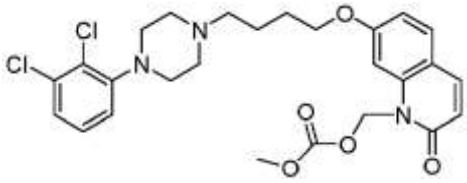
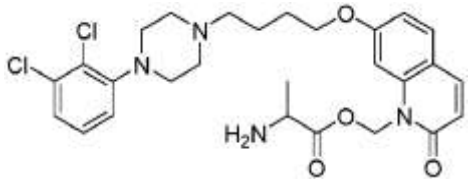
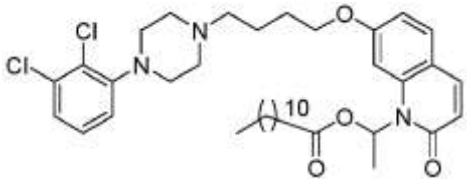
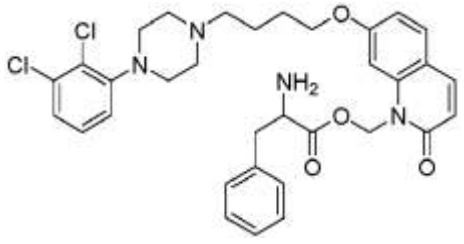
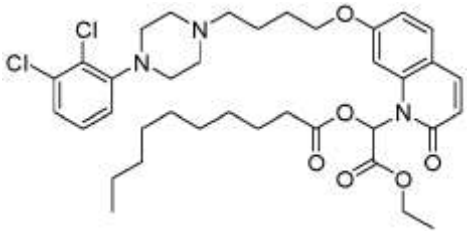
Nr	Struktur	Nr	Struktur
161		220	
162		221	
163		222	
164		223	
165		224	
166		225	

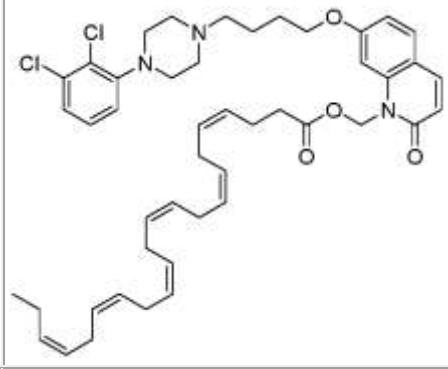
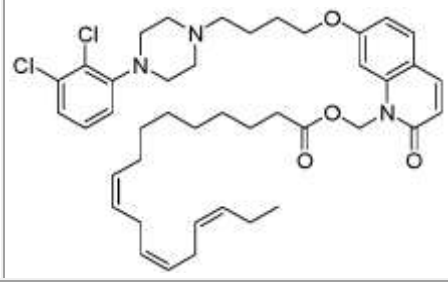
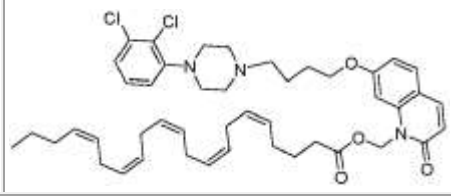
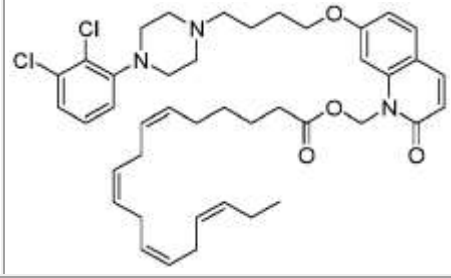
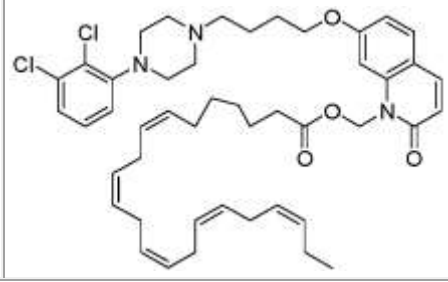
Nr	Struktur	Nr	Struktur
167		226	
168		227	
169		228	
170		229	
		230	
		231	
		232	

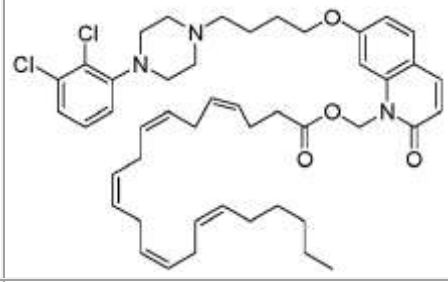
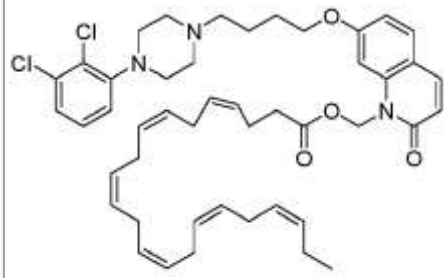
Nr	Struktur	Nr	Struktur
		233	
		234	
		235	
177		236	
178		237	
179		238	

Nr	Struktur	Nr	Struktur
180		239	
181		240	
182		241	
183		242	
184		243	
		244	
		245	

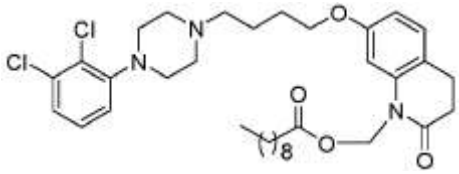
Nr	Struktur	Nr	Struktur
		246	
191		250	
		251	
		252	
194		253	
195		254	

Nr	Struktur	Nr	Struktur
196		255	
197		256	
198		257	
199		258	
200		259	
201		260	

Nr	Struktur	Nr	Struktur
		261	
		262	
		263	
		264	
		265	

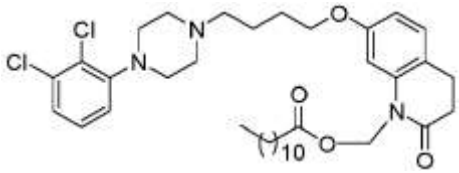
Nr	Struktur	Nr	Struktur
		266	
		267	

8. En forbindelse ifølge krav 1, med formel:



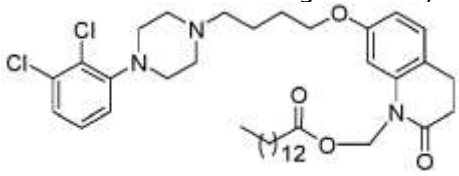
eller et farmasøytisk akseptabelt salt derav.

9. En forbindelse ifølge krav 1, med formel:



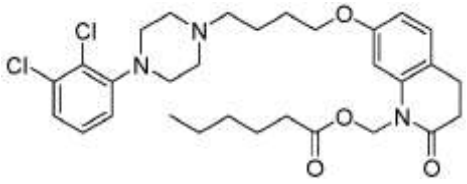
eller et farmasøytisk akseptabelt salt derav.

10. En forbindelse ifølge krav 1,



eller et farmasøytisk akseptabelt salt derav.

11. En forbindelse ifølge krav 1, med formel:

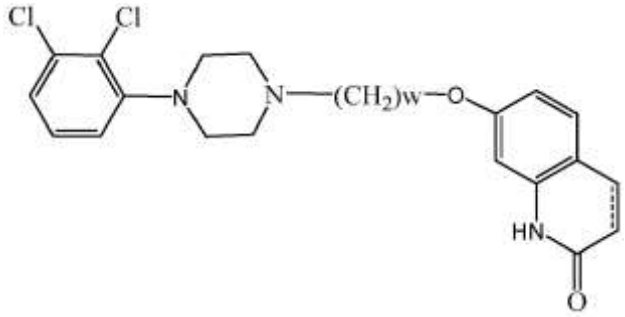


eller et farmasøytisk akseptabelt salt derav.

12. En forbindelse ifølge hvilket som helst av kravene krav 1-11 for anvendelse i behandling av en nevrologisk eller psykisk lidelse.

13. En forbindelse til anvendelse ifølge krav 12, hvor nevnte sykdom er schizofreni.

14. En forbindelse ifølge hvilket som helst av kravene 1-11 for anvendelse i en fremgangsmåte for vedvarende tilførsel av en forbindelse med formel XXIII-V:



Formel XXIII-V

hvor w er som definert i krav 1; hvor, ved administrering til pasienten, frigjøring av forbindelsen med formel XXIII-V er vedvarende frigivelse.

15. Forbindelse ifølge krav 14, hvor vedvarende frigivelse omfatter en terapeutisk effektiv mengde av forbindelsen med formel XXIII-V i blodstrømmen til pasienten i en periode på minst ca. 36 timer etter administrering av forbindelsen ifølge et hvilket som helst av kravene 1 til 11.

16. Forbindelse ifølge krav 14, hvor nevnte forbindelse med formel XXIII-V er til stede i blodstrømmen til pasienten i en periode valgt fra: minst 7, 15, 30, 60, 75 eller 90 dager.

17. Forbindelse til anvendelse ifølge krav 16, hvor forbindelsen administreres ved injeksjon.

18. En farmasøytisk sammensetning omfattende en terapeutisk effektiv mengde av en forbindelse ifølge et hvilket som helst av kravene 1-11, og en eller flere farmasøytisk akseptable bærere eller eksipienser.