

【公報種別】特許法第17条の2の規定による補正の掲載

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A 61 P 11/00
A 61 P 37/02
A 61 P 35/00
A 61 P 9/10 1 0 1
A 61 P 3/06
A 61 P 3/10
A 61 P 3/04
A 61 K 31/439

A 6 1 P	37/06
A 6 1 K	31/428
A 6 1 K	31/496
C 0 7 D	417/14
A 6 1 K	31/454
A 6 1 K	31/5355
A 6 1 K	31/498
A 6 1 K	31/4985
C 0 7 D	451/04
C 0 7 D	417/12
C 0 7 D	519/00
	3 0 1

【手続補正書】

【提出日】令和2年8月11日(2020.8.11)

【手続補正1】

【補正対象書類名】特許請求の範囲

【補正対象項目名】全文

【補正方法】変更

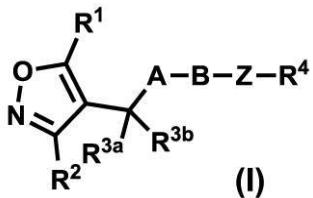
【補正の内容】

【特許請求の範囲】

【請求項1】

式(I)によって表される化合物またはその薬学的に許容される塩：

【化1】



(式中、

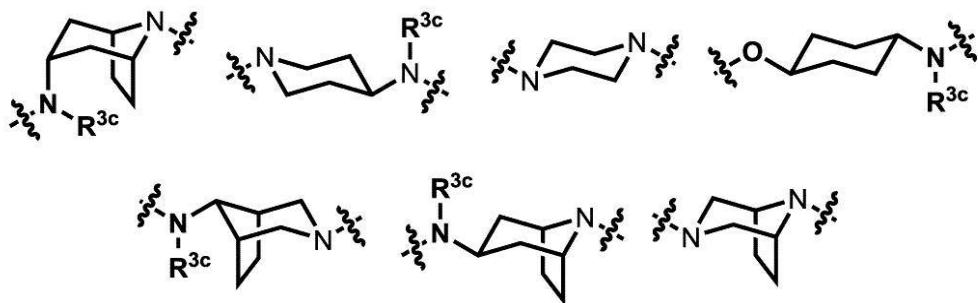
R¹は水素、ハロゲン、シアノ、場合により置換された-C₁~C₆アルキル、場合により置換された-C₂~C₆アルケニル、場合により置換された-C₂~C₆アルキニル、場合により置換されたC₃~C₆シクロアルキルまたは場合により置換された3~6員ヘテロシクロアルキルであり；

R²は場合により置換されたアリール、場合により置換されたヘテロアリール、場合により置換された-C₃~C₁₂シクロアルキルまたは場合により置換された3~12員ヘテロシクロアルキルであり；

R^{3a}、R^{3b}は水素、ハロゲン、-C₁~C₆アルキル、ハロ-C₁~C₆アルキル、-C₁~C₆アルコキシまたはハロ-C₁~C₆アルコキシ、-C₃~C₆シクロアルキルおよびハロ-C₃~C₆シクロアルキルからなる群から独立に選択される；あるいはR^{3a}およびR^{3b}は、これらが結合している炭素原子と一緒にになって、場合により置換された-C₃~C₆シクロアルキル、場合により置換された3~6員ヘテロシクロアルキルまたは場合により置換された-C₃~C₆シクロアルケニルを形成し；

Aは

【化2】



(式中、示される原子価の一方は、 $-CHR^{3a}R^{3b}$ の炭素原子との結合点であり、他方は B との結合点であり； R^{3c} は水素、場合により置換された $-C_1 \sim C_6$ アルキル、場合により置換された $-C_3 \sim C_6$ シクロアルキル、ホルミルおよびアセチルからなる群から選択される)

からなる群から選択され；

B は場合により置換されたアリール、場合により置換されたビアリール、場合により置換された 3 ~ 12 員複素環または場合により置換されたヘテロアリールであり；

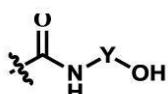
Z は

- 1) 存在しない；
- 2) 場合により置換された $-C_1 \sim C_6$ アルキル；
- 3) 場合により置換された $-C_2 \sim C_6$ アルケニル；
- 4) 場合により置換された $-C_2 \sim C_6$ アルキニル；
- 5) 場合により置換された $-C_3 \sim C_8$ シクロアルキル；
- 6) 場合により置換された 3 ~ 8 員ヘテロシクロアルキル；
- 7) 場合により置換された $-C_3 \sim C_8$ シクロアルケニル；
- 8) 場合により置換されたアリール；および
- 9) 場合により置換されたヘテロアリール

からなる群から選択され；

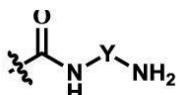
R^4 はヒドロキシ、保護ヒドロキシ、 $-O-$ (ヒドロキシプロドラッグ基)、テトラゾリル、シアノ、 $-CO_2R^5$ 、 $-O-Y-CO_2R^5$ 、 $-NR^{4b}-Y-CO_2R^5$ 、 $-CONR^{4a}R^{4b}$ 、場合により置換された

【化3】



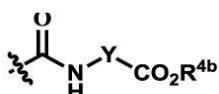
、
場合により置換された

【化4】

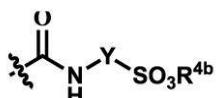


、
場合により置換された

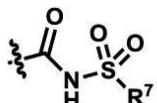
【化5】



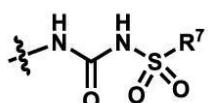
、
場合により置換された
【化6】



、
場合により置換された
【化7】

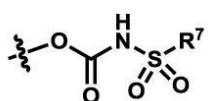


、
場合により置換された
【化8】



または場合により置換された

【化9】



であり；

Yは存在しない、または場合により置換された-C₁～C₆アルキルであり；
R^{4a}およびR^{4b}は

1) 水素；

2) 場合により置換された-C₁～C₈アルキル；

3) 場合により置換された-C₂～C₈アルケニル；

4) 場合により置換された-C₂～C₈アルキニル；および

5) 場合により置換された-C₃～C₈シクロアルキル

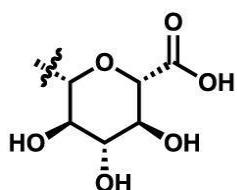
からなる群から独立に選択され；

R⁵は

1) 水素；

2)

【化10】



；

- 3) 場合により置換された - C₁ ~ C₈ アルキル ;
 4) 場合により置換された - C₂ ~ C₈ アルケニル ;
 5) 場合により置換された - C₂ ~ C₈ アルキニル ; および
 6) 場合により置換された - C₃ ~ C₈ シクロアルキル

からなる群から選択され ;

R⁷ は

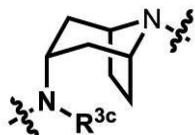
- 1) 場合により置換された - C₁ ~ C₈ アルキル ;
 2) 場合により置換された - C₂ ~ C₈ アルケニル ;
 3) 場合により置換された - C₂ ~ C₈ アルキニル ;
 4) 場合により置換された - C₃ ~ C₈ シクロアルキル ;
 5) 場合により置換された - C₃ ~ C₈ シクロアルケニル ;
 6) 場合により置換された 3 ~ 8 員ヘテロシクロアルキル ;
 7) 場合により置換された 3 ~ 8 員ヘテロシクロアルケニル ;
 8) 場合により置換されたアリール ;
 9) 場合により置換された - C₁ ~ C₈ アリールアルキル ;
 10) 場合により置換されたヘテロアリール ;
 11) 場合により置換された - C₁ ~ C₈ ヘテロアリールアルキル ; および
 12) N R⁹ R¹⁰ (式中、 R⁹ および R¹⁰ はそれぞれ独立に、水素、場合により置換された - C₁ ~ C₈ アルキル、場合により置換された - C₂ ~ C₈ アルケニル、場合により置換された - C₂ ~ C₈ アルキニル、場合により置換された - C₃ ~ C₈ シクロアルキル、場合により置換されたアリール、場合により置換されたアルキルアリール、場合により置換された 3 ~ 8 員ヘテロシクロアルキル、場合により置換されたヘテロアリールおよび場合により置換されたアルキルヘテロアリールから選択される ; あるいは R⁹ および R¹⁰ は、これらが結合している窒素原子と一緒にになって、複素環を形成する)

からなる群から選択される) 。

【請求項 2】

A が

【化 1 1】

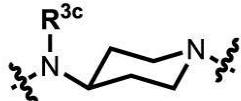


であり、 R^{3c} が請求項 1 に定義される通りである、請求項 1 に記載の化合物。

【請求項 3】

A が

【化 1 2】

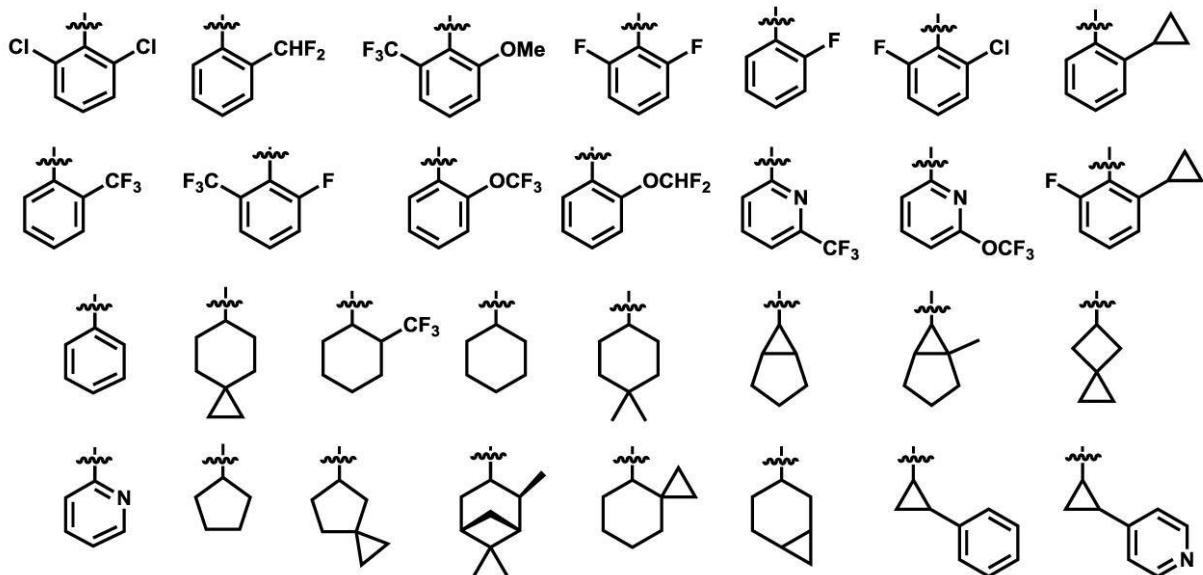


であり、 R^{3c} が請求項 1 に定義される通りである、請求項 1 に記載の化合物。

【請求項 4】

R² が以下に示される基から選択される、請求項 1 に記載の化合物 :

【化13】

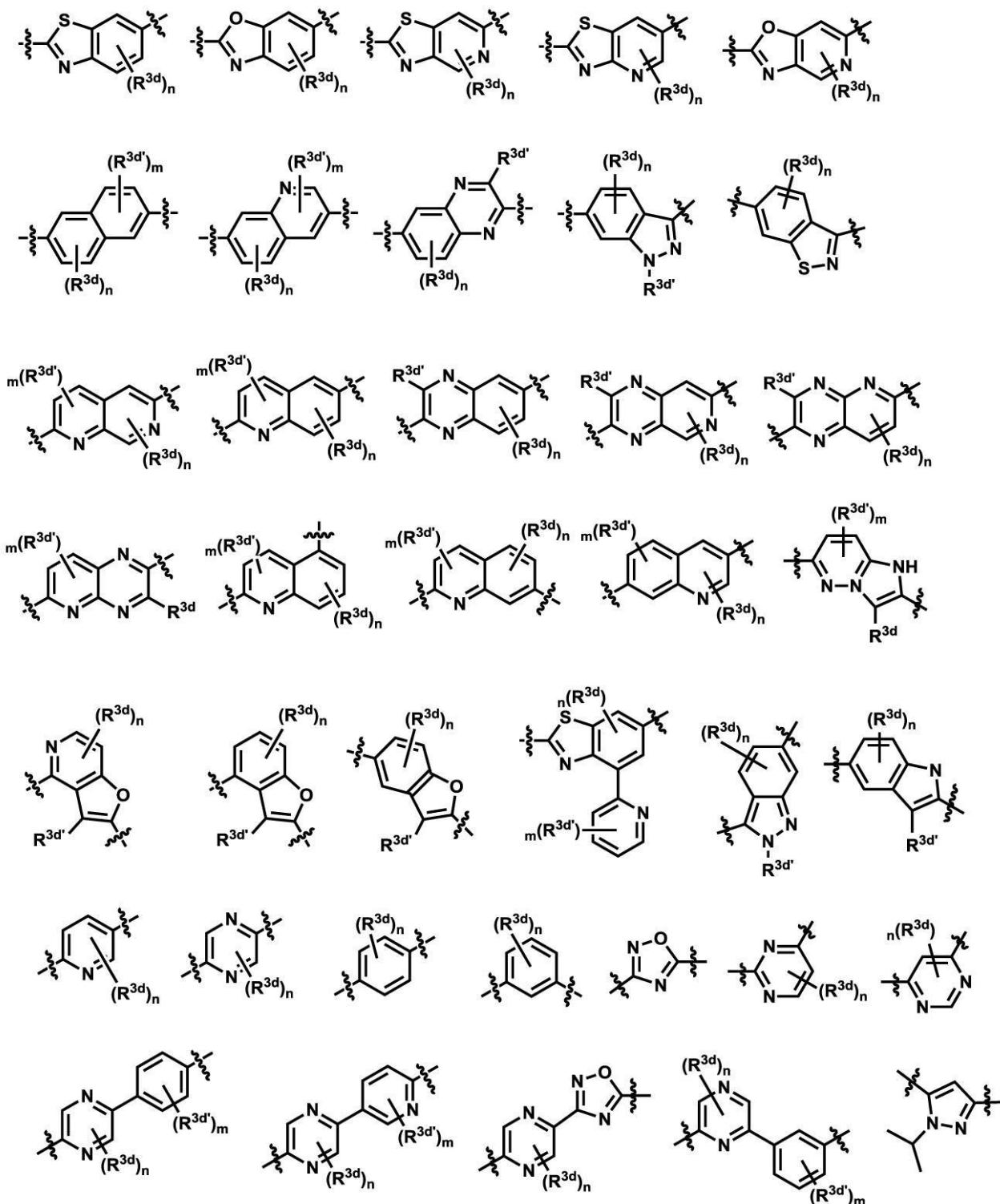


(式中、上記基の各々は場合によりさらに置換されている)。

【請求項5】

Bが以下に示される基から選択される、請求項1に記載の化合物：

【化14】



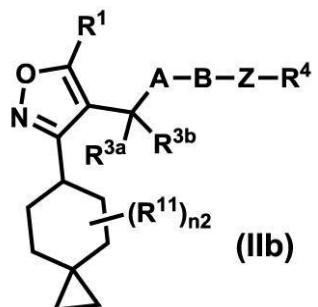
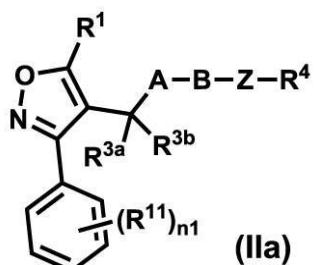
(式中、示される原子価の一方は A との結合点であり、他方は Z との結合点であり； R^{3d} および R^{3d'} は水素、ハロゲン、-C≡N、-NO₂、-NH₂、場合により置換された C₁ ~ C₆ アルキル、場合により置換された C₁ ~ C₆ アルコキシ、場合により置換された C₃ ~ C₆ シクロアルキル、場合により置換された C₃ ~ C₆ シクロアルケニル、場合により置換されたアリールおよび場合により置換されたヘテロアリールからなる群から独立に選択され； m および n は独立に 0、1、2 または 3 である)。

【請求項 6】

式 (IIa) もしくは式 (IIb) よって表される請求項 1 に記載の化合物またはその

薬学的に許容される塩：

【化15】

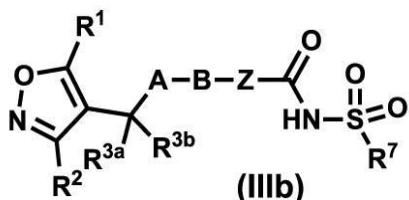
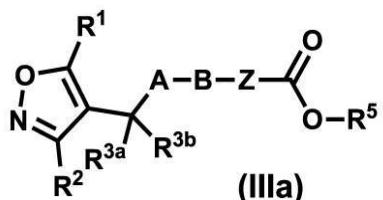


(式中、R¹、R^{3a}、R^{3b}、A、B、ZおよびR⁴は請求項1に定義される通りであり；R¹は各出現でハロゲン、場合により置換された-C₁～C₆アルキル、場合により置換された-C₁～C₆アルコキシ、場合により置換された-C₃～C₆シクロアルキル、場合により置換された-C₃～C₆シクロアルケニル、場合により置換されたアリールまたは場合により置換されたヘテロアリールからなる群から独立に選択され；n1は0、1、2、3、4または5であり、n2は0、1または2である)。

【請求項7】

式(IIIa)もしくは式(IIIb)によって表される請求項1に記載の化合物またはその薬学的に許容される塩：

【化16】

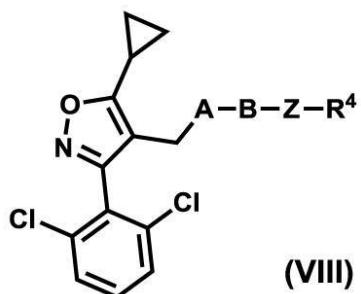


(式中、A、B、Z、R¹、R²、R^{3a}、R^{3b}、R⁵およびR⁷は請求項1に定義される通りである)。

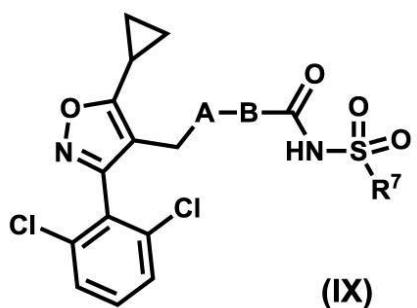
【請求項8】

式(VIII)もしくは式(X)によって表される請求項1に記載の化合物またはその薬学的に許容される塩：

【化17】



【化18】

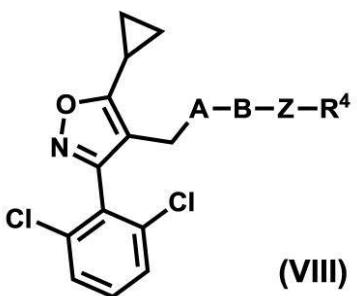


(式中、A、B、Z、R⁴およびR⁷は請求項1に定義される通りである)。

【請求項9】

(A)式(VIII) (式中、A、BおよびZ-R⁴は表1で各化合物について描写される)による化合物:

【化19】



【表 1 - 1】

表 1

化合物	A	B	$-Z-R^4$	化合物	A	B	$-Z-R^4$
1				2			
3				4			
5				6			
7				8			
9				10			
11				12			
13				14			
15				16			
17				18			
19				20			
21				22			
23				24			
25				26			
27				28			
29				30			
31				32			

【表1 - 2】

33				34			
35				36			
37				38			
39				40			
41				42			
43				44			
45				46			
47				48			
49				50			
51				52			
53				54			
55				56			
57				58			
59				60			
61				62			
63				64			
65				66			
67				68			

【表1 - 3】

69				70			
71				72			
73				74			
75				76			
77				78			
79				80			
81				82			
83				84			
85				86			
87				88			
89				90			
91				92			
93				94			
95				96			
97				98			
99				100			
101				102			
103				104			
105				106			

【表 1 - 4】

107				108			
109				110			
111				112			
113				114			
115				116			
117				118			
119				120			
121				122			
123				124			
125				126			
127				128			
129				130			
131				132			
133				134			
135				136			
137				138			
139				140			

【表1 - 5】

141				142			
143				144			
145				146			
147				148			
149				150			
151				152			
153				154			
155				156			
157				158			
159				160			
161				162			
163				164			
165				166			
167				168			
169				170			
171				172			
173				174			
175				176			

【表 1 - 6】

177				178			
179				180			
181				182			
183				184			
185				186			
187				188			
189				190			
191				192			
193				194			
195				196			
197				198			
199				200			
200-1				200-2			
200-3				200-4			
200-5				200-6			
200-7				200-8			
200-9				200-10			
200-11				200-12			
200-13				200-14			

【表 1 - 7】

200-15				200-16			
200-17				200-18			
200-19				200-20			
200-21				200-22			
200-23				200-24			
200-25				200-26			
200-27				200-28			
200-29				200-30			
200-31				200-32			
200-33				200-34			
200-35				200-36			
200-37				200-38			
200-39				200-40			
200-41				200-42			
200-43				200-44			
200-45				200-46			
200-47				200-48			
200-49				200-50			

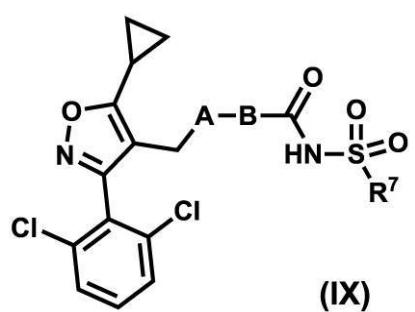
【表 1 - 8】

200-51				200-52			
200-53				200-54			
200-55				200-56			
200-57				200-58			
200-59				200-60			
200-61				200-62			
200-63				200-64			
200-65				200-66			
200-67				200-68			
200-69				200-70			
200-71				200-72			
200-73				200-74			
200-75				200-76			
200-77				200-78			

および

(B)式(I X) (式中、A、BおよびR⁷は表2で各化合物について描写される)による化合物:

【化 2 0】

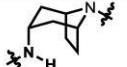
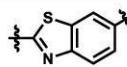
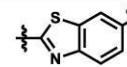
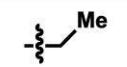
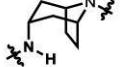
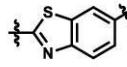
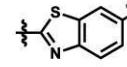
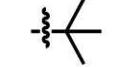
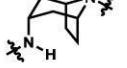
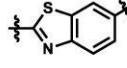
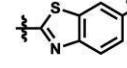
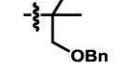
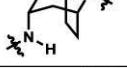
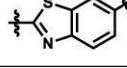
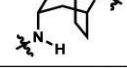
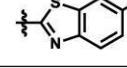
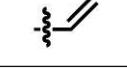
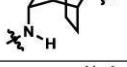
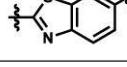
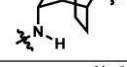
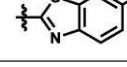
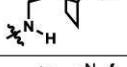
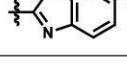
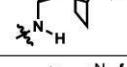
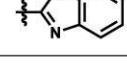
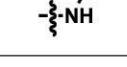
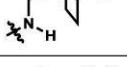
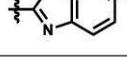
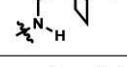
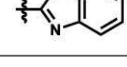
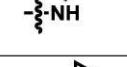
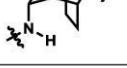
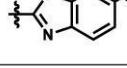
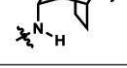
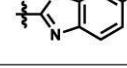
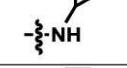
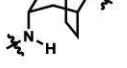
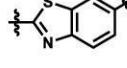
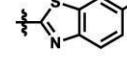
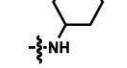
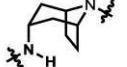
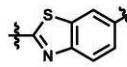
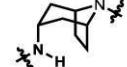
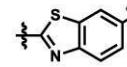
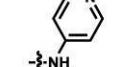
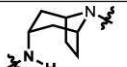
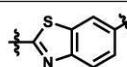
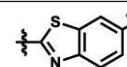
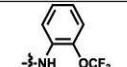
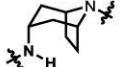
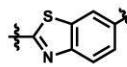
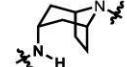
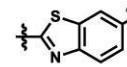
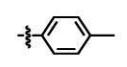
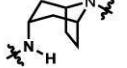
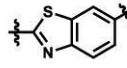
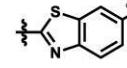
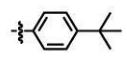
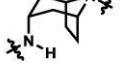
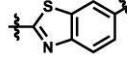
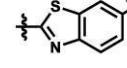
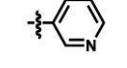
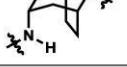
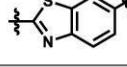
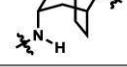
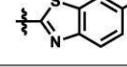
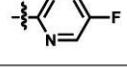
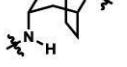
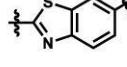
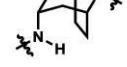
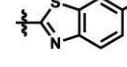
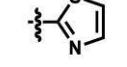
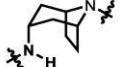
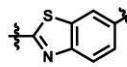
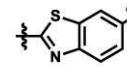
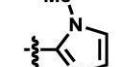
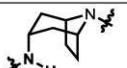
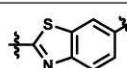
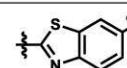
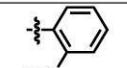
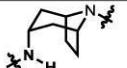
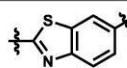
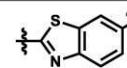
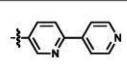


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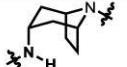
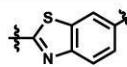
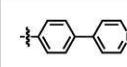
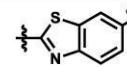
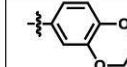
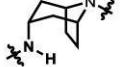
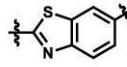
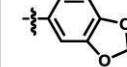
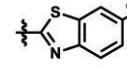
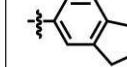
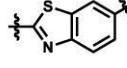
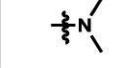
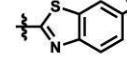
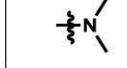
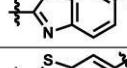
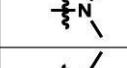
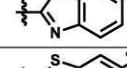
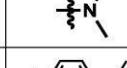
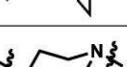
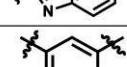
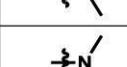
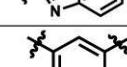
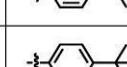
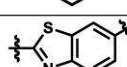
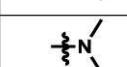
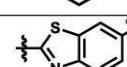
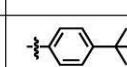
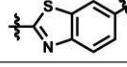
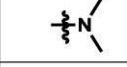
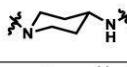
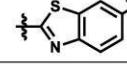
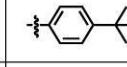
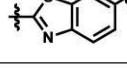
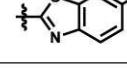
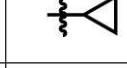
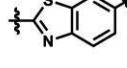
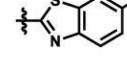
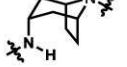
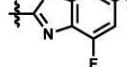
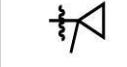
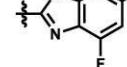
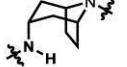
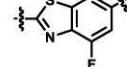
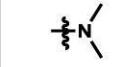
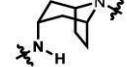
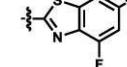
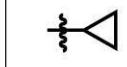
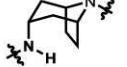
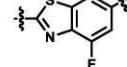
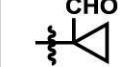
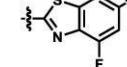
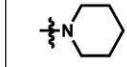
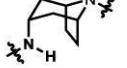
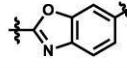
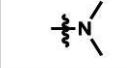
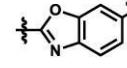
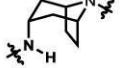
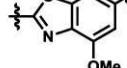
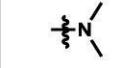
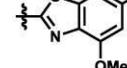
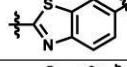
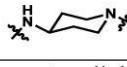
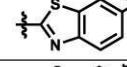
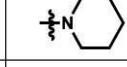
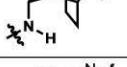
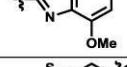
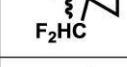
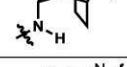
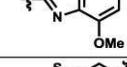
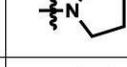
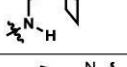
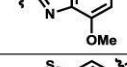
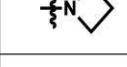
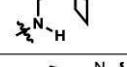
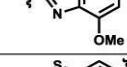
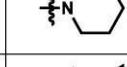
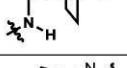
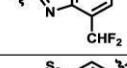
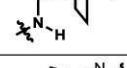
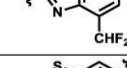
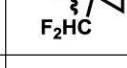
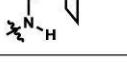
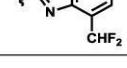
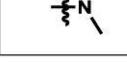
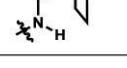
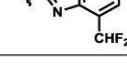
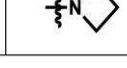
表 2

化合物	A	B	R ⁷	化合物	A	B	R ⁷
201				202			
203				204			
205				206			
207				208			
209				210			
211				212			
213				214			
215				216			
217				218			
219				220			
221				222			
223				224			
225				226			
227				228			
229				230			
231				232			
233				234			
235				236			

【表 2 - 2】

237				238			
239				240			
241				242			
243				244			
245				246			
247				248			
249				250			
251				252			
253				254			
255				256			
257				258			
259				260			
261				262			
263				264			
265				266			
267				268			
269				270			
271				272			
273				274			

【表 2 - 3】

275				276			
277				278			
279				280			
281				282			
283				284			
285				286			
287				288			
289				290			
291				292			
293				294			
295				296			
297				298			
299				300			
301				302			
303				304			
305				306			
307				308			
309				310			
311				312			
313				314			

【表 2 - 4】

315				316			
317				318			
319				320			
321				322			
323				324			
325				326			
327				328			
329				330			
331				332			
333				334			
335				336			
337				338			
339				340			
341				342			
343				344			
345				346			
347				348			
349				350			
351				352			

【表 2 - 5】

353				354			
355				356			
357				358			
359				360			
361				362			
363				364			
365				366			
367				368			
369				370			
371				372			
373				374			
375				376			
377				378			
379				380			
381				382			
383				384			
385				386			
387				388			
389				390			

【表 2 - 6】

391				392			
393				394			
395				396			
397				398			
399				400			
400-1				400-2			
400-3				400-4			
400-5				400-6			
400-7				400-8			
400-9				400-10			
400-11				400-12			
400-13				400-14			
400-15				400-16			
400-17				400-18			
400-19				400-20			
400-21				400-22			
400-23				400-24			
400-25				400-26			
400-27				400-28			

【表 2 - 7】

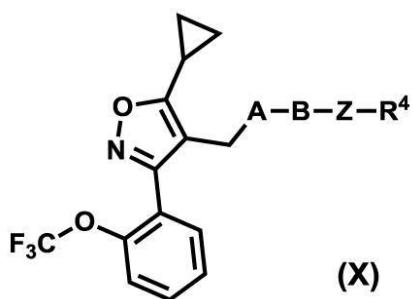
400-29				400-30			
400-31				400-32			
400-33				400-34			
400-35				400-36			
400-37				400-38			
400-39				400-40			

から選択される請求項 1 に記載の化合物またはその薬学的に許容される塩。

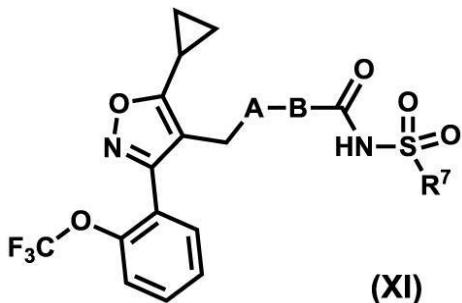
【請求項 10】

式 (X) もしくは式 (XI) によって表される請求項 1 に記載の化合物またはその薬学的に許容される塩 :

【化 2 1】



【化 2 2】

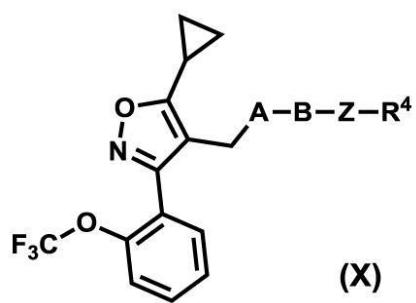


(式中、R⁴ および R⁷ は請求項 1 に定義される通りである)。

【請求項 11】

(A) 式 (X) (式中、A、B および Z - R⁴ は表 3 で各化合物について描写される)による化合物 :

【化 2 3】



【表3 - 1】

表3

化合物	A	B	$-Z-R^4$	化合物	A	B	$-Z-R^4$
401				402			
403				404			
405				406			
407				408			
409				410			
411				412			
413				414			
415				416			
417				418			
419				420			
421				422			
423				424			
425				426			
427				428			
429				430			

【表3 - 2】

431				432			
433				434			
435				436			
437				438			
439				440			
441				442			
443				444			
445				446			
447				448			
449				450			
451				452			
453				454			
455				456			
457				458			
459				460			
461				462			
463				464			
465				466			

【表3 - 3】

467				468			
469				470			
471				472			
473				474			
475				476			
477				478			
479				480			
481				482			
483				484			
485				486			
487				488			
489				490			
491				492			
493				494			
495				496			
497				498			
499				500			
501				502			

【表3 - 4】

503				504			
505				506			
507				508			
509				510			
511				512			
513				514			
515				516			
517				518			
519				520			
521				522			
523				524			
525				526			
527				528			
529				530			
531				532			
533				534			
535				536			
537				538			

【表3 - 5】

539				540			
541				542			
543				544			
545				546			
547				548			
549				550			
551				552			
553				554			
555				556			
557				558			
559				560			
561				562			
563				564			
565				566			
567				568			
569				570			
571				572			
573				574			

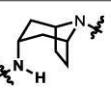
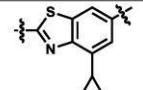
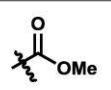
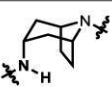
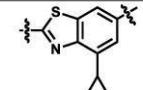
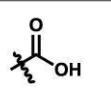
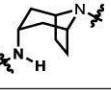
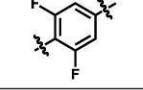
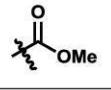
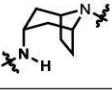
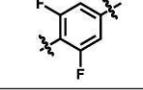
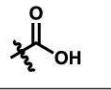
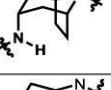
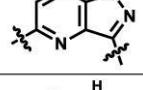
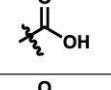
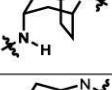
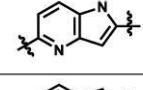
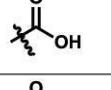
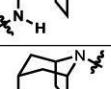
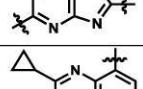
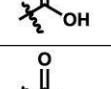
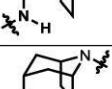
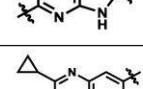
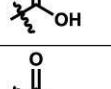
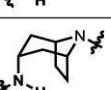
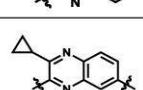
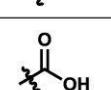
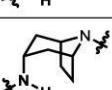
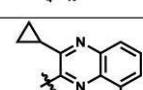
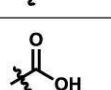
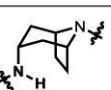
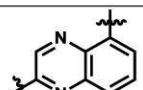
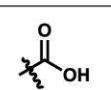
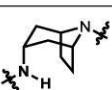
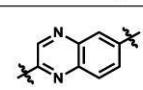
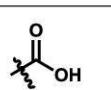
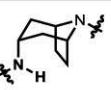
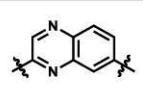
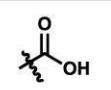
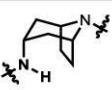
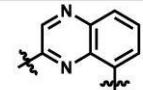
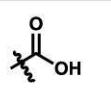
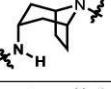
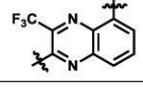
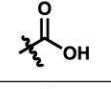
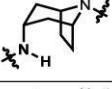
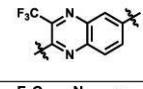
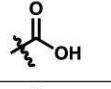
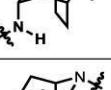
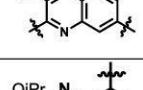
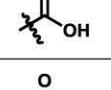
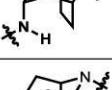
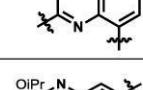
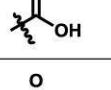
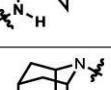
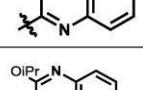
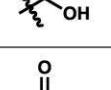
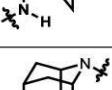
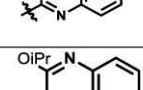
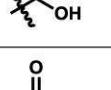
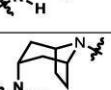
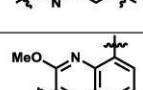
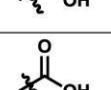
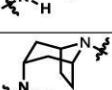
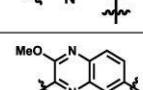
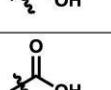
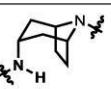
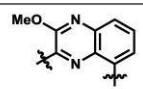
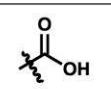
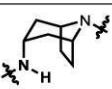
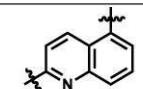
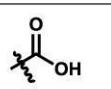
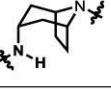
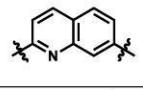
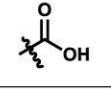
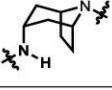
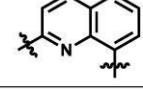
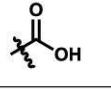
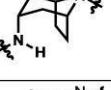
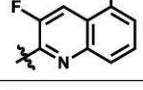
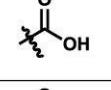
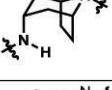
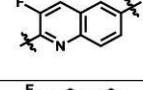
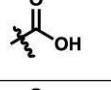
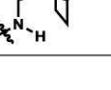
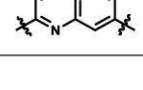
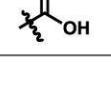
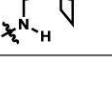
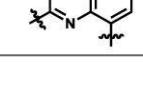
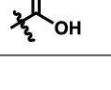
【表3 - 6】

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【表3 - 7】

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【表 3 - 8】

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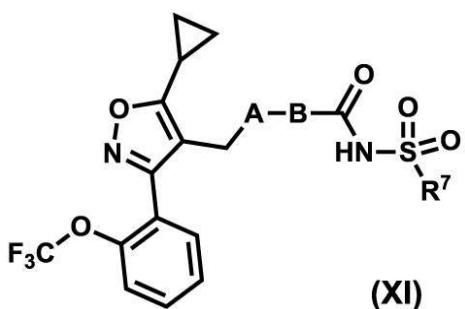
【表3 - 9】

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および

(B)式(XI)（式中、A、BおよびR⁷は表4で各化合物について描写される）による化合物：

【化24】



【表 4 - 1】

表 4

化合物	A	B	R ⁷	化合物	A	B	R ⁷
701				702			
703				704			
705				706			
707				708			
709				710			
711				712			
713				714			
715				216			
717				718			
719				720			
721				722			
723				724			
725				726			
727				728			
729				730			
731				732			
733				734			

【表4-2】

735				736			
737				738			
739				740			
741				742			
743				744			
745				746			
747				748			
749				750			
751				752			
753				754			
755				756			
757				758			
759				760			
761				762			
763				764			
765				766			
767				768			
769				770			

【表4-3】

771				772			
773				774			
775				776			
777				778			
779				780			
781				782			
783				784			
785				786			
787				788			
789				790			
791				792			
793				794			
795				796			
797				798			
799				800			
801				802			
803				804			
805				806			
807				808			
809				810			

【表4-4】

811				812			
813				814			
815				816			
817				818			
819				820			
821				822			
823				824			
825				826			
827				828			
829				830			
831				832			
833				834			
835				836			
837				838			
839				840			
841				842			
843				844			
845				846			
847				848			

【表 4 - 5】

849				850			
851				852			
853				854			
855				856			
857				858			
859				860			
861				862			
863				864			
865				866			
867				868			
869				870			
871				872			
873				874			
875				876			
877				878			
879				880			
881				882			
883				884			
885				886			

【表4-6】

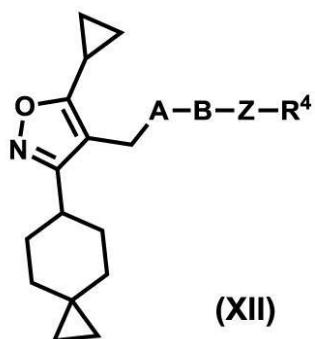
887				888			
889				890			
891				892			
893				894			
895				896			
897				898			
899				900			
900-1				900-2			
900-3				900-4			
900-5				900-6			
900-7				900-8			
900-9				900-10			
900-11				900-12			
900-13				900-14			
900-15							

から選択される請求項1に記載の化合物またはその薬学的に許容される塩。

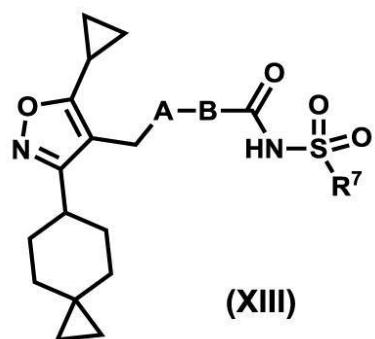
【請求項12】

式(XII)もしくは式(XIII)によって表される請求項1に記載の化合物またはその薬学的に許容される塩：

【化 2 5】



【化 2 6】

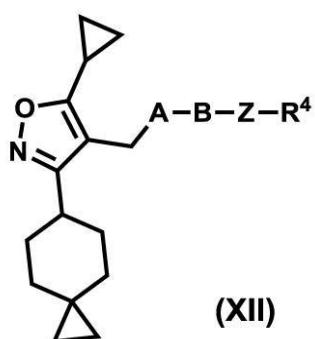


(式中、A、B、Z、R⁴およびR⁷は請求項1に定義される通りである)。

【請求項13】

(A) 式(XII) (式中、A、BおよびZ-R⁴は表5で各化合物について描写される)による化合物:

【化 2 7】



【表 5 - 1】

表 5

化合物	A	B	$-\frac{1}{2}Z-R^4$	化合物	A	B	$-\frac{1}{2}Z-R^4$
901				902			
903				904			
905				906			
907				908			
909				910			
911				912			
913				914			
915				916			
917				918			
919				920			
921				922			
923				924			
925				926			
927				928			
929				930			

【表 5 - 2】

931				932			
933				934			
935				936			
937				938			
939				940			
941				942			
943				944			
945				946			
947				948			
949				950			
951				952			
953				954			
955				956			
957				958			
959				960			
961				962			
963				964			
965				966			

【表 5 - 3】

967				968			
969				970			
971				972			
973				974			
975				976			
977				978			
979				980			
981				982			
983				984			
985				986			
987				988			
989				990			
991				992			
993				994			
995				996			
997				998			
999				1000			
1001				1002			

【表 5 - 4】

1003				1004			
1005				1006			
1007				1008			
1009				1010			
1011				1012			
1013				1014			
1015				1016			
1017				1018			
1019				1020			
1021				1022			
1023				1024			
1025				1026			
1027				1028			
1029				1030			
1031				1032			
1033				1034			
1035				1036			
1037				1038			

【表 5 - 5】

1039				1040			
1041				1042			
1043				1044			
1045				1046			
1047				1048			
1049				1050			
1051				1052			
1053				1054			
1055				1056			
1057				1058			
1059				1060			
1061				1062			
1063				1064			
1065				1066			
1067				1068			
1069				1070			
1071				1072			
1073				1074			

【表 5 - 6】

1075				1076			
1077				1078			
1079				1080			
1081				1082			
1083				1084			
1085				1086			
1087				1088			
1089				1090			
1091				1092			
1093				1094			
1095				1096			
1097				1098			
1099				1100			
1101				1102			
1103				1104			
1105				1106			
1107				1108			

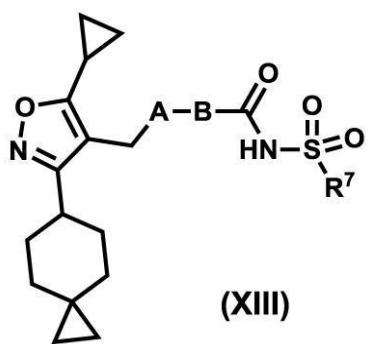
【表 5 - 7】

1109				1110			
1111				1112			
1113				1114			
1115				1116			
1117				1118			
1119				1120			
1121				1122			
1123				1124			
1125				1126			
1127				1128			
1129				1130			
1131				1132			
1133				1134			
1135				1136			

および

(B)式(XII) (式中、A、BおよびR⁷は表6で各化合物について描写される)による化合物：

【化28】



【表 6 - 1】

表 6

化合物	A	B	R ⁷	化合物	A	B	R ⁷
1201				1202			
1203				1204			
1205				1206			
1207				1208			
1209				1210			
1211				1212			
1213				1214			
1215				1216			
1217				1218			
1219				1220			
1221				1222			
1223				1224			
1225				1226			
1227				1228			
1229				1230			
1231				1232			
1233				1234			

【表 6 - 2】

1235				1236			
1237				1238			
1239				1240			
1241				1242			
1243				1244			
1245				1246			
1247				1248			
1249				1250			
1251				1252			
1253				1254			
1255				1256			
1257				1258			
1259				1260			
1261				1262			
1263				1264			
1265				1266			
1267				1268			
1269				1270			

【表 6 - 3】

1271				1272			
1273				1274			
1275				1276			
1277				1278			
1279				1280			
1281				1282			
1283				1284			
1285				1286			
1287				1288			
1289				1290			
1291				1292			
1293				1294			
1295				1296			
1297				1298			
1299				1300			
1301				1302			
1303				1304			
1305				1306			
1307				1308			

【表 6 - 4】

1309				1310			
1311				1312			
1313				1314			
1315				1316			
1317				1318			
1319				1320			
1321				1322			
1323				1324			
1325				1326			
1327				1328			
1329				1330			
1331				1332			
1333				1334			
1335				1336			
1337				1338			
1339				1340			
1341				1342			
1343				1344			

【表 6 - 5】

1345				1346			
1347				1348			
1349				1350			
1351				1352			
1353				1354			
1355				1356			
1357				1358			
1359				1360			
1361				1362			
1363				1364			
1365				1366			
1367				1368			
1369				1370			
1371				1372			
1373				1374			
1375				1376			
1377				1378			
1379				1380			
1381				1382			

【表 6 - 6】

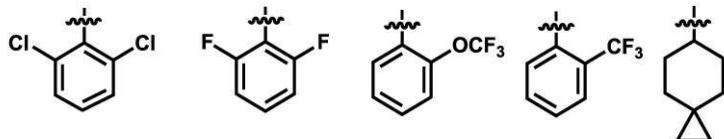
1383				1384			
1385				1386			
1387				1388			
1389				1390			
1391				1392			
1393				1394			
1395				1396			
1397				1398			
1399				1400			

から選択される請求項 1 に記載の化合物またはその薬学的に許容される塩。

【請求項 1 4】

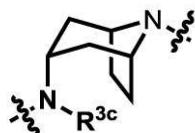
R¹ が場合により置換されたシクロプロピルであり； R² が

【化 2 9】



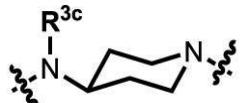
から選択され； R^{3 a} が水素であり； R^{3 b} が水素であり； A が

【化 3 0】



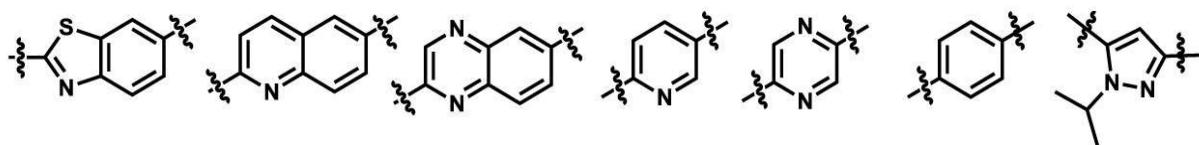
または

【化 3 1】



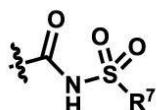
であり、 R^{3 c} が水素またはメチルであり； B が

【化32】

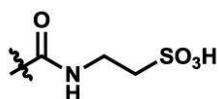


から選択され、Bが場合により置換されており；Zが存在せず；R⁴が

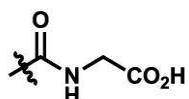
【化33】



【化34】

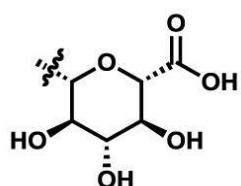


【化35】



、または-CO₂R⁵であり；R⁷が請求項1に定義されており、R⁵が水素、メチル、エチル、t-ブチルまたは

【化36】

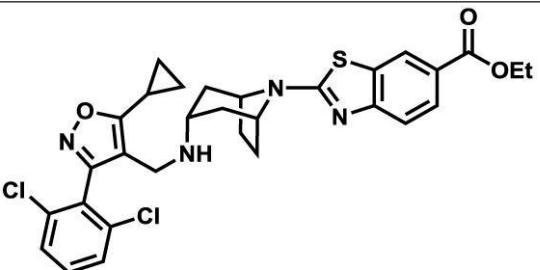
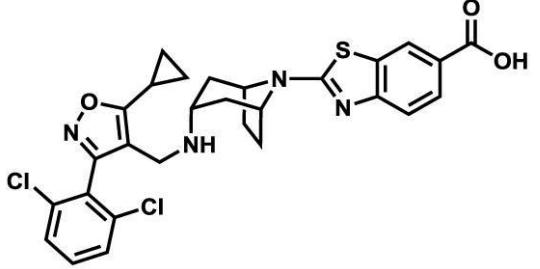
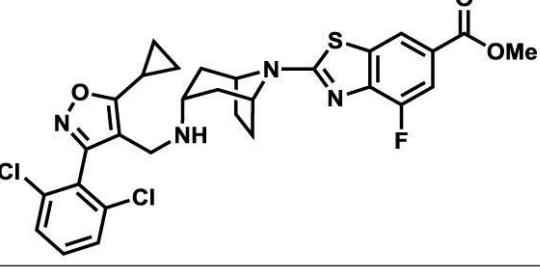
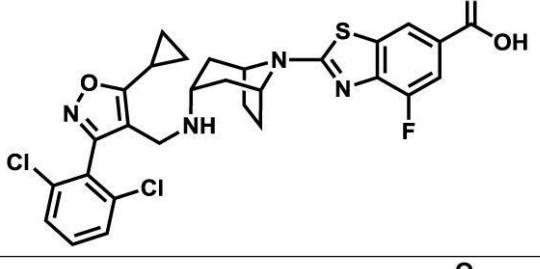
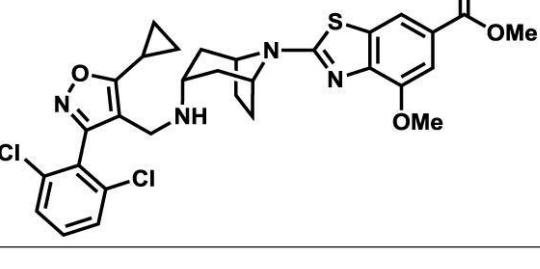


である、請求項1に記載の化合物。

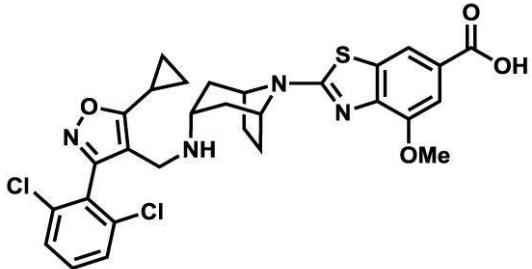
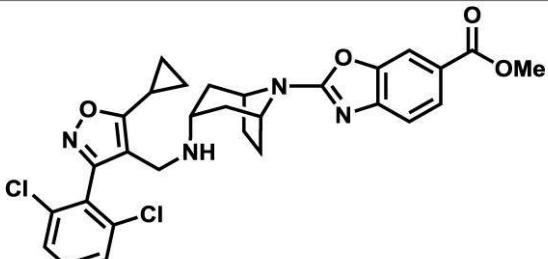
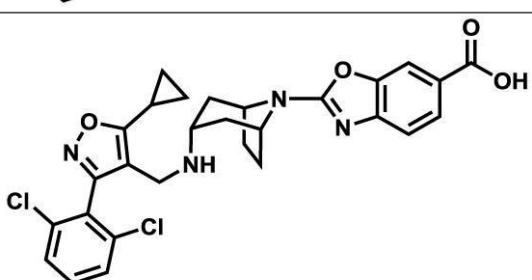
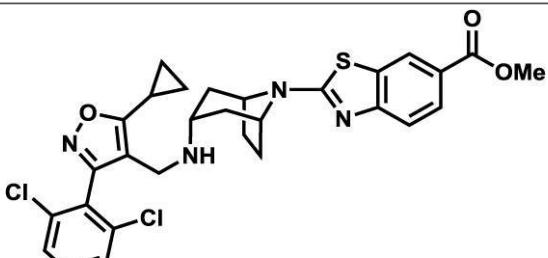
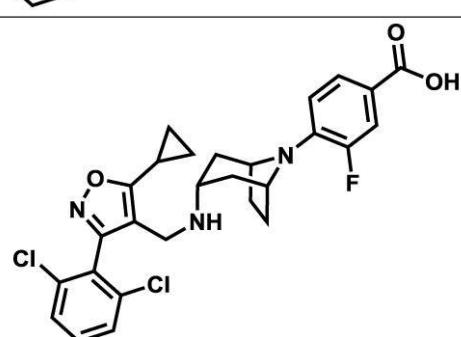
【請求項15】

以下に示される化合物から選択される請求項1に記載の化合物またはその薬学的に許容される塩：

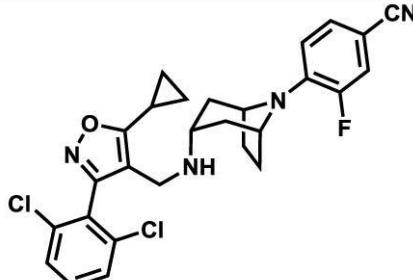
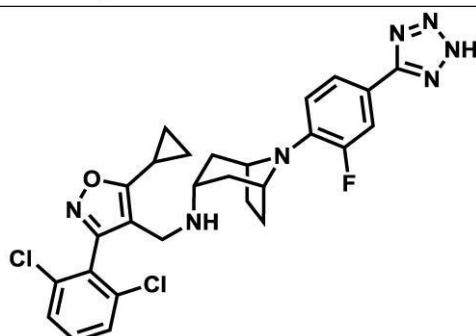
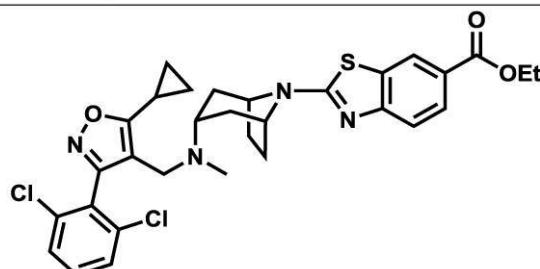
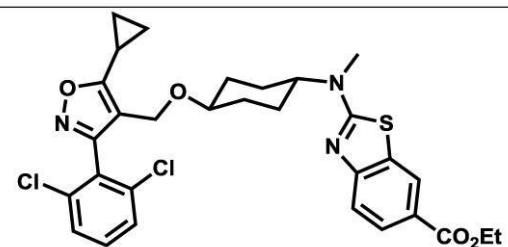
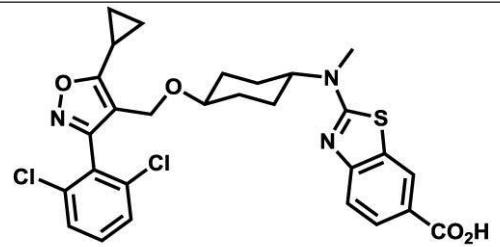
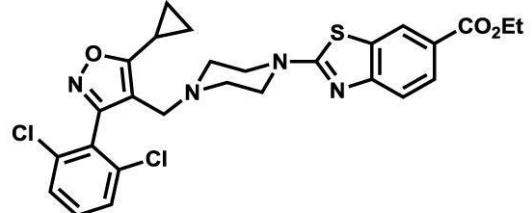
【表 7 - 1】

化合物番号	構造
1	
2	
3	
4	
5	

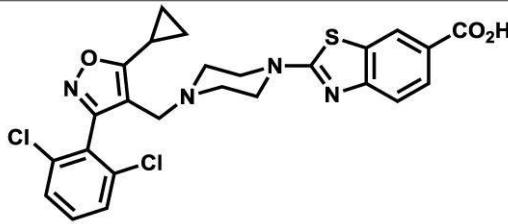
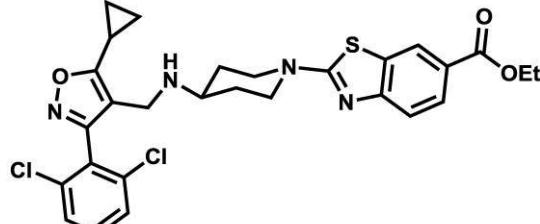
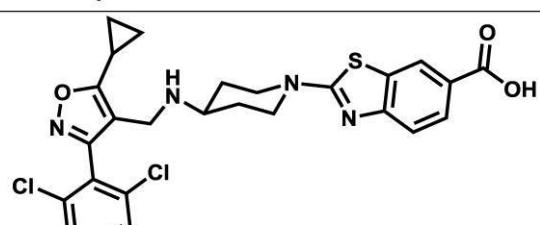
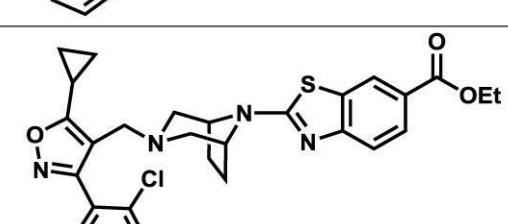
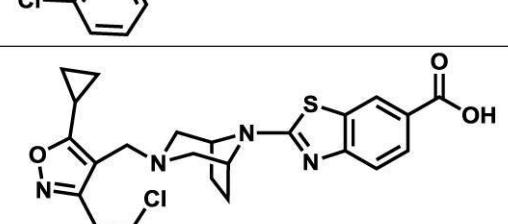
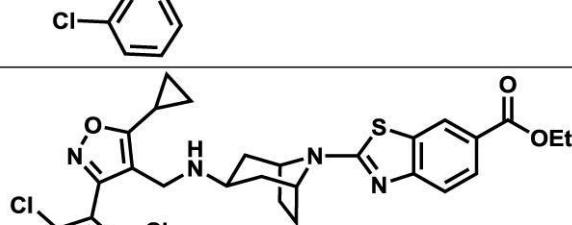
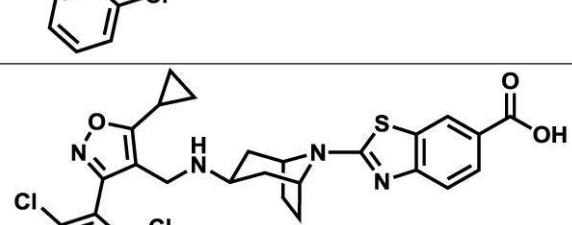
【表 7 - 2】

6	
19	
20	
73	
122	

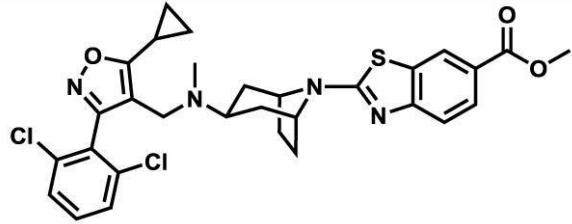
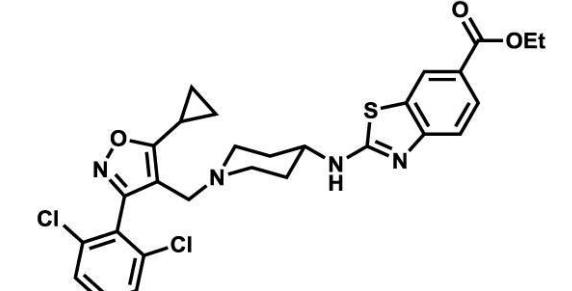
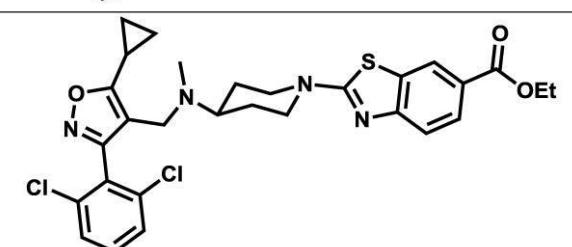
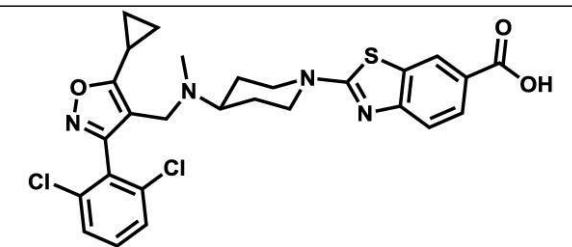
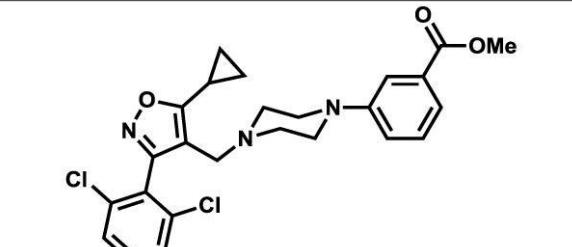
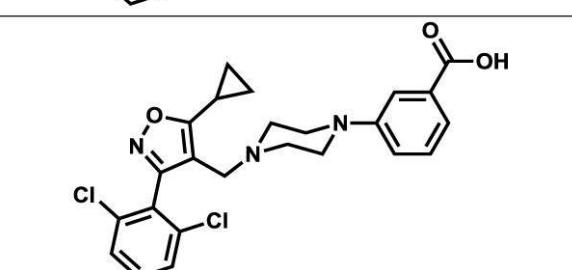
【表 7 - 3】

137	
138	
165	
169	
170	
171	

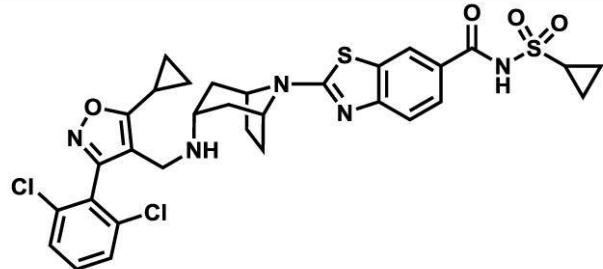
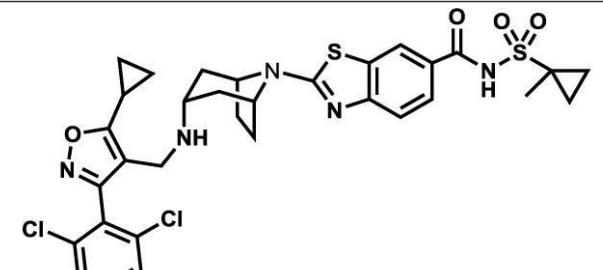
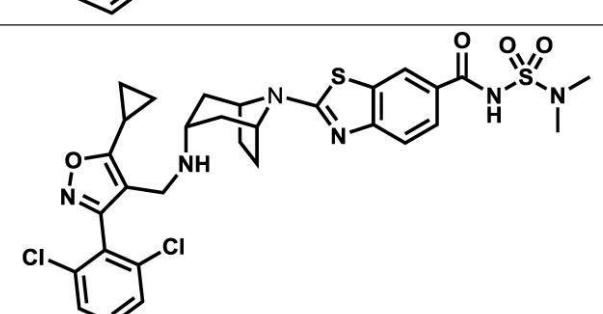
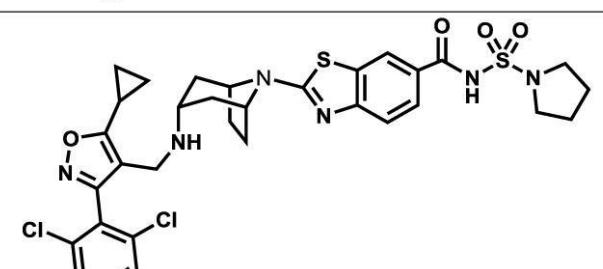
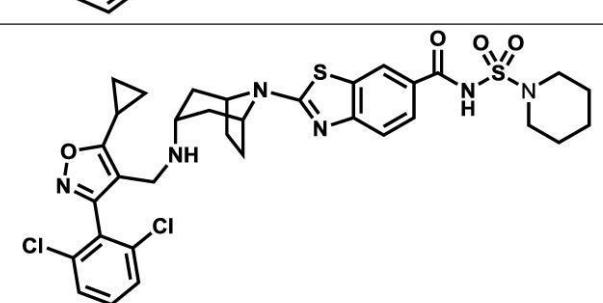
【表 7 - 4】

172	
173	
174	
175	
176	
177	
178	

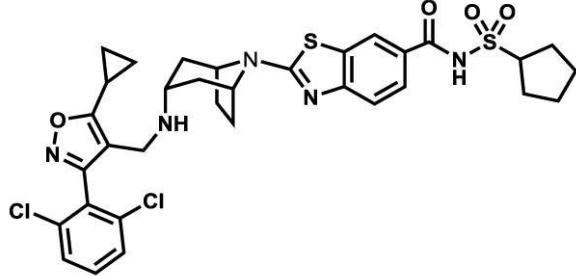
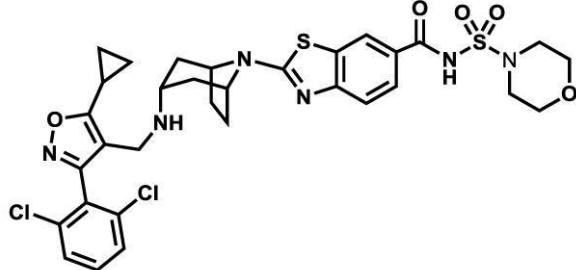
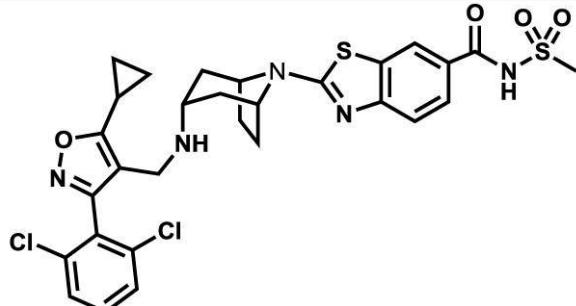
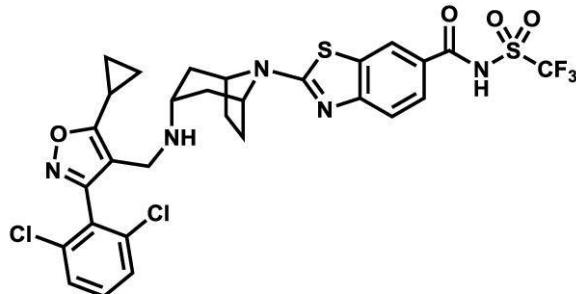
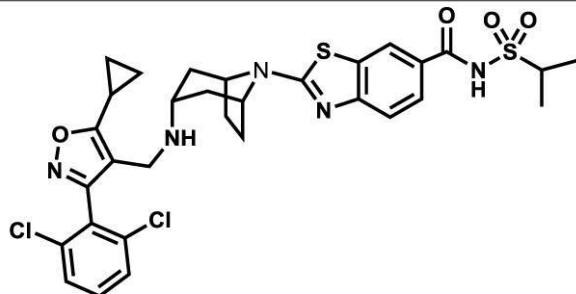
【表 7 - 5】

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【表 7 - 6】

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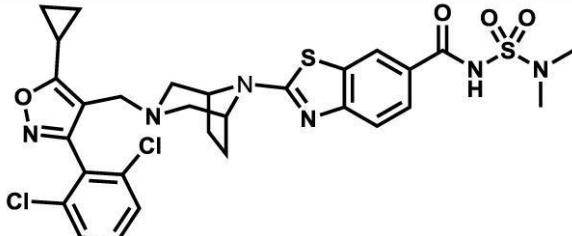
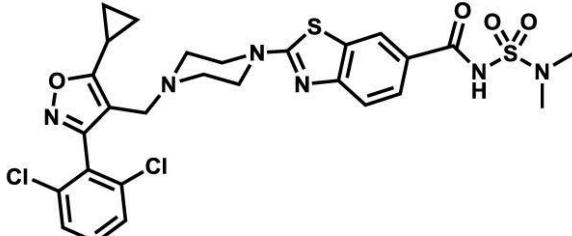
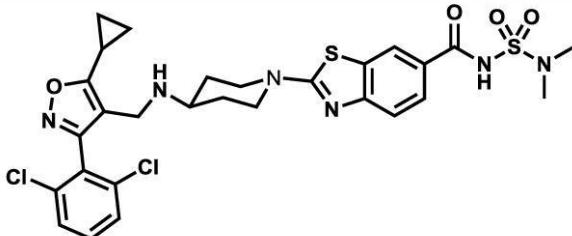
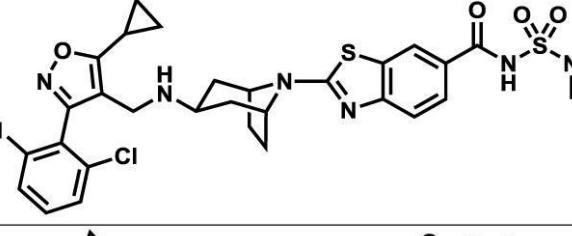
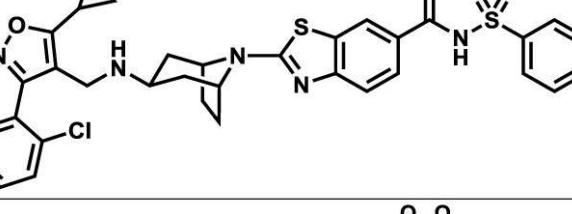
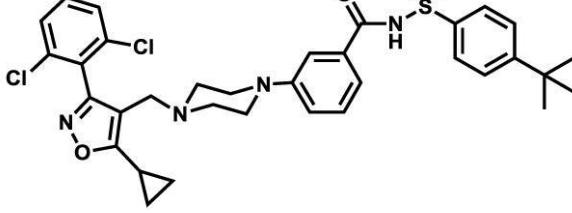
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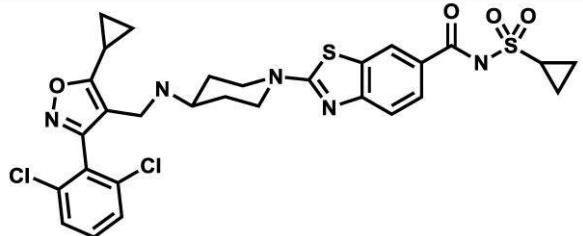
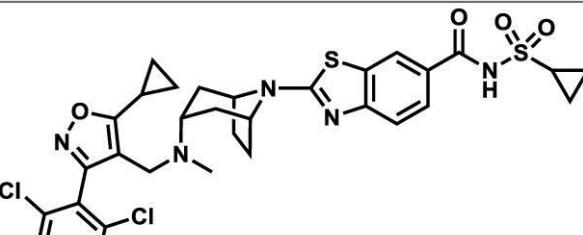
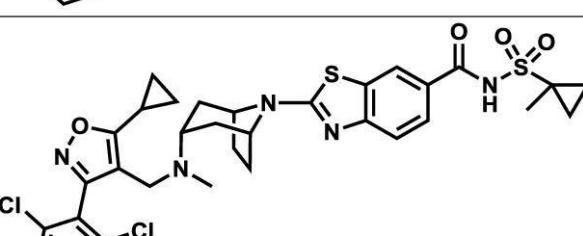
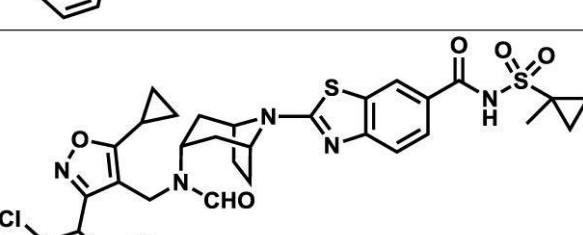
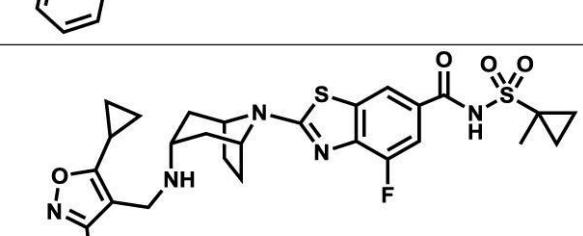
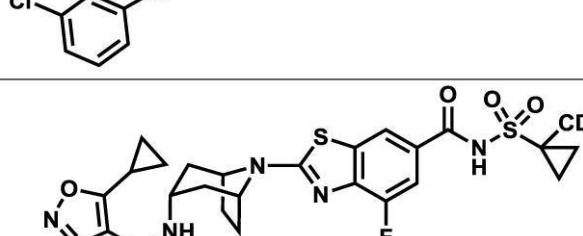
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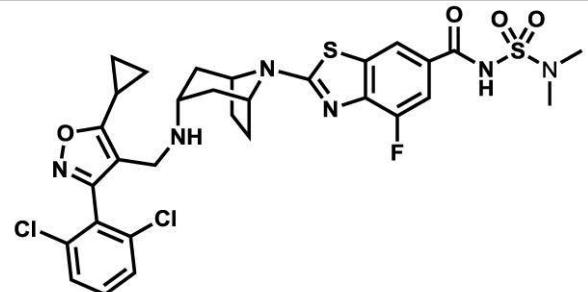
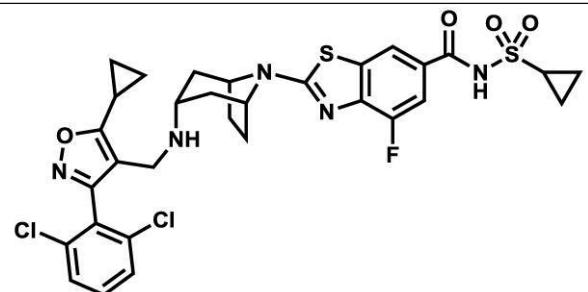
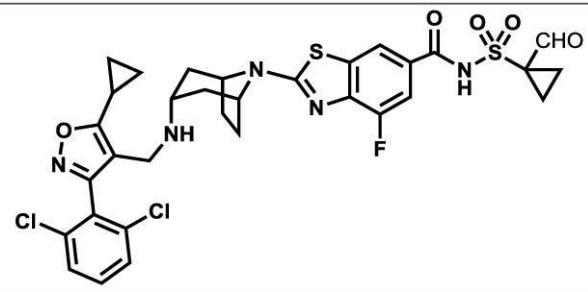
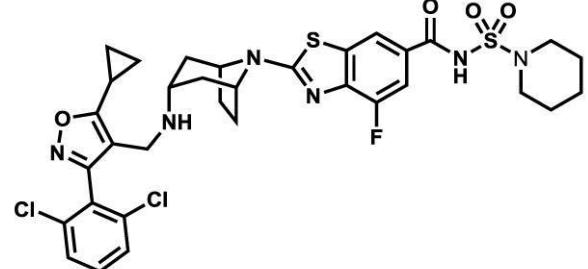
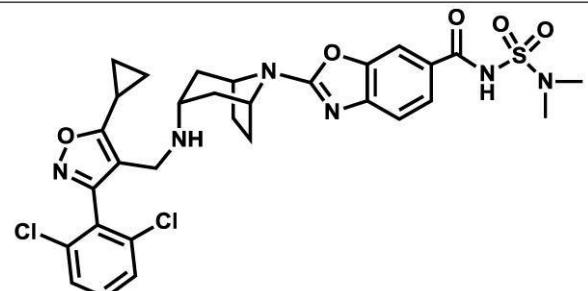
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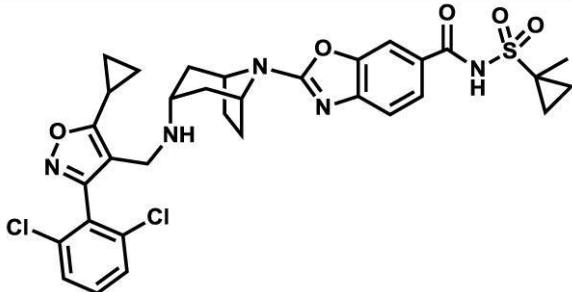
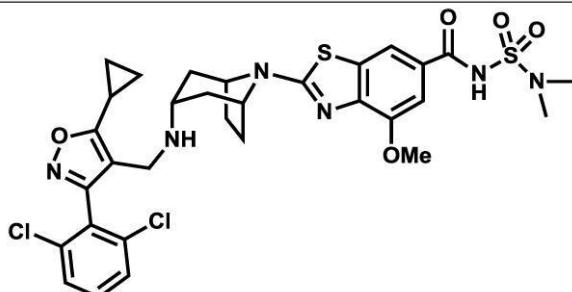
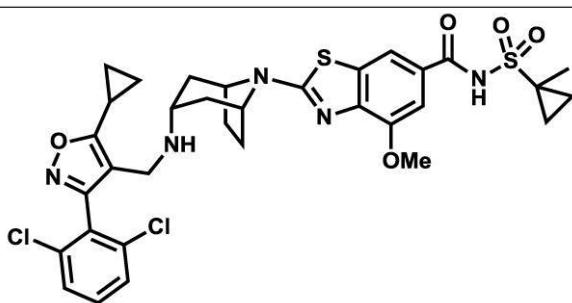
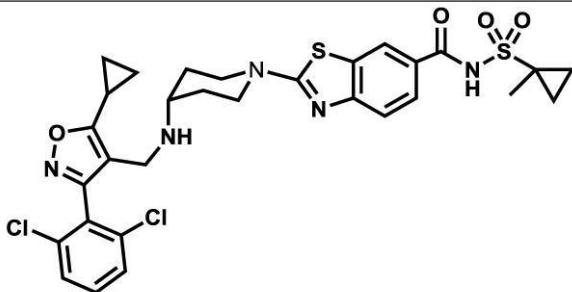
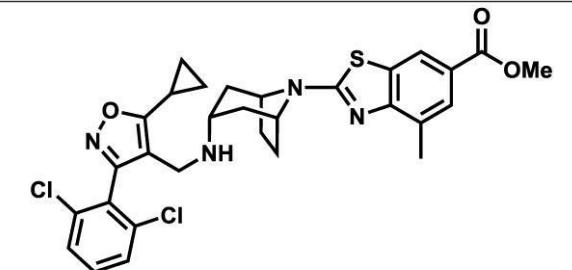
【表 7 - 1 0】

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【表 7 - 1 1】

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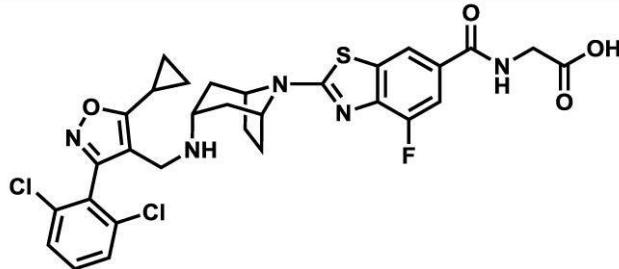
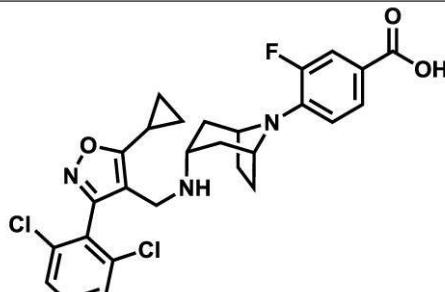
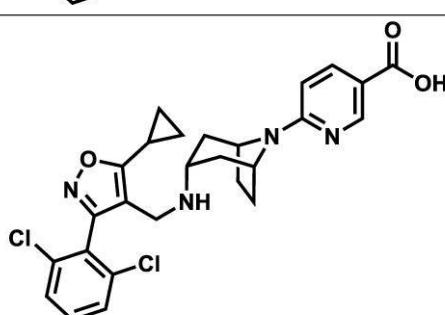
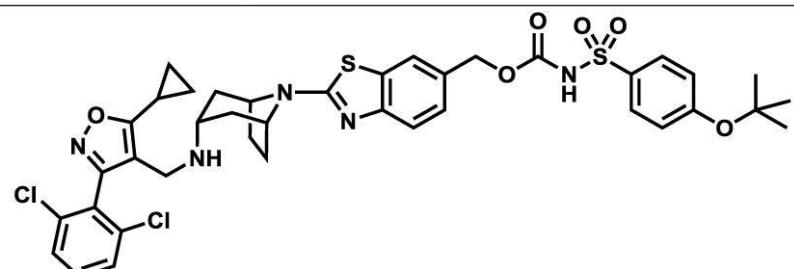
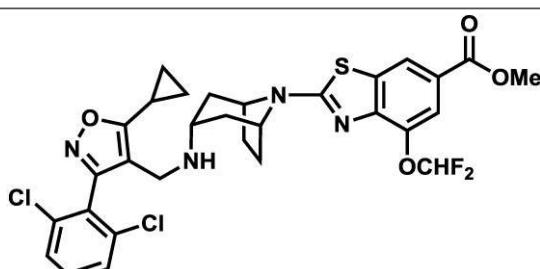
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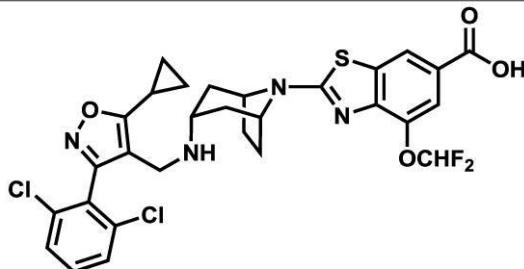
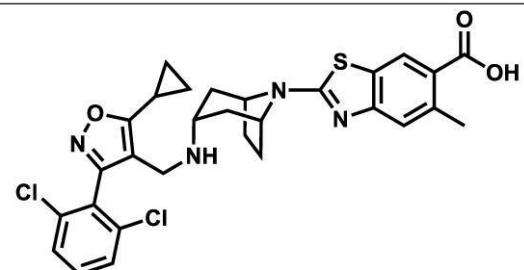
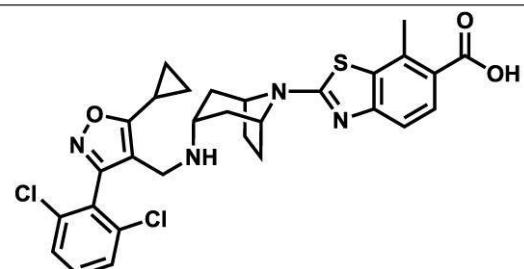
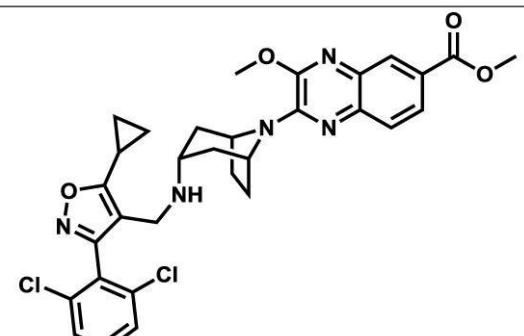
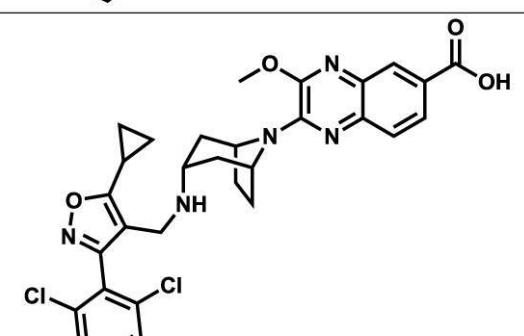
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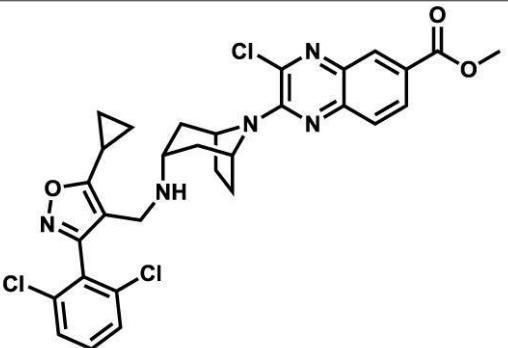
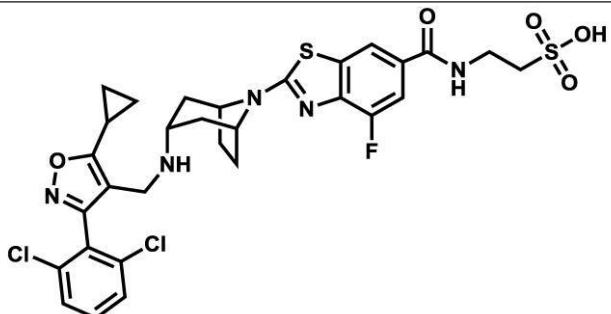
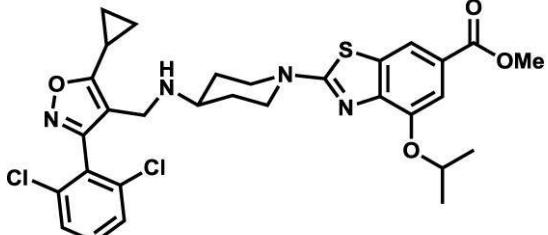
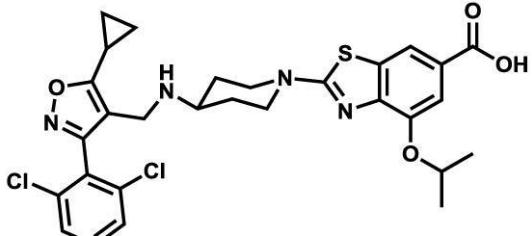
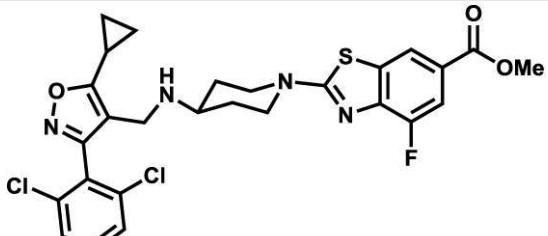
【表 7 - 1 4】

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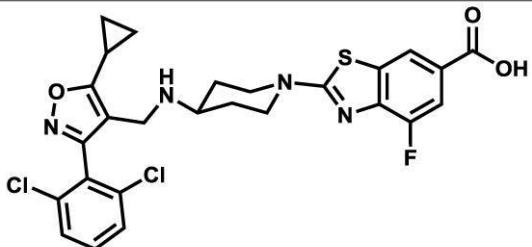
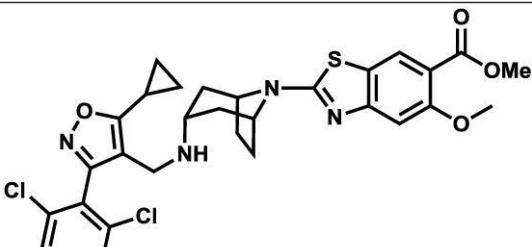
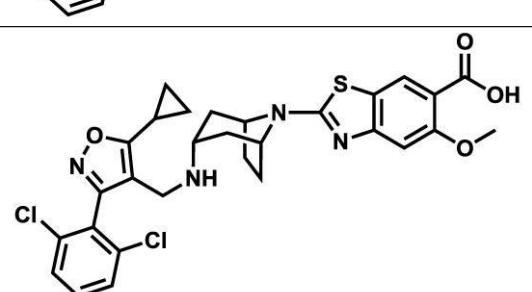
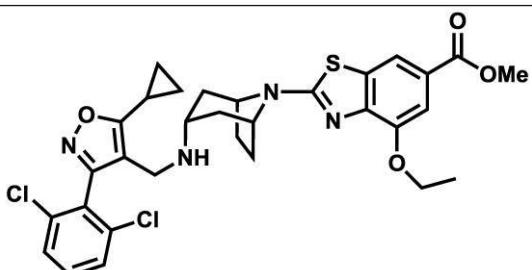
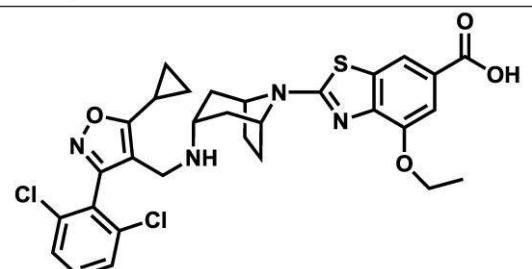
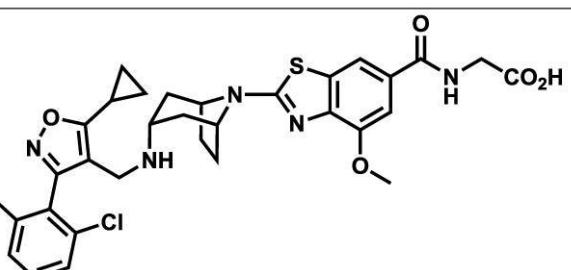
【表 7 - 15】

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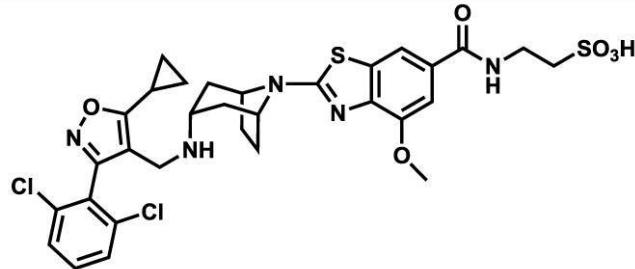
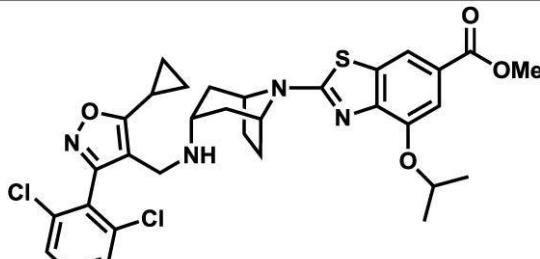
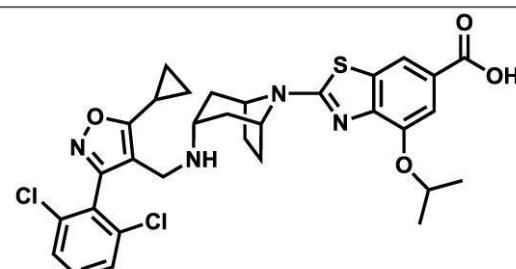
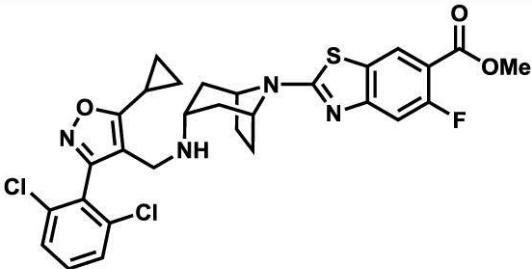
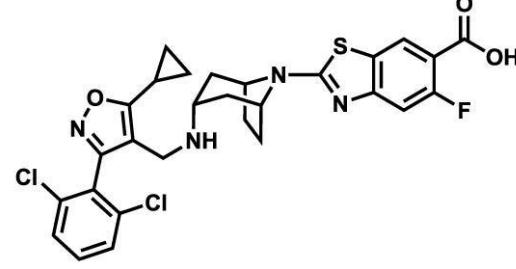
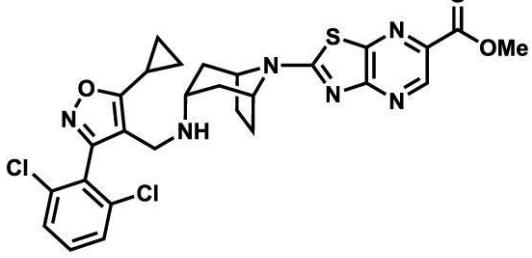
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200-4	
200-5	

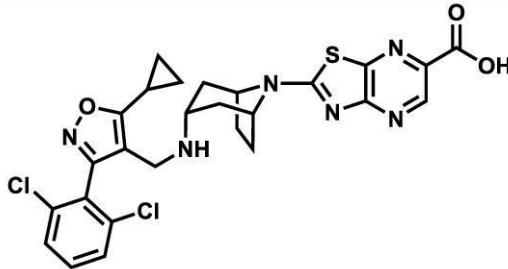
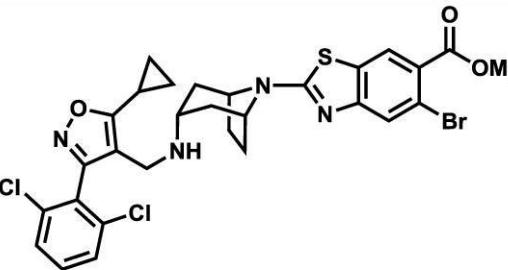
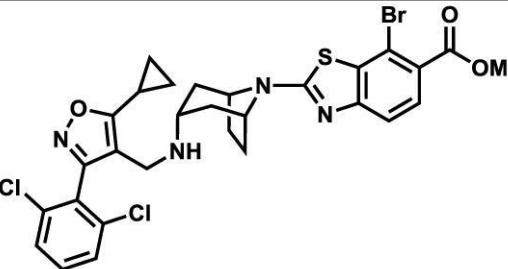
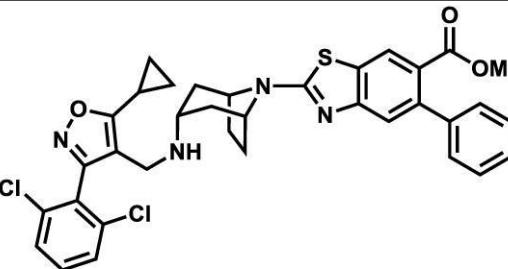
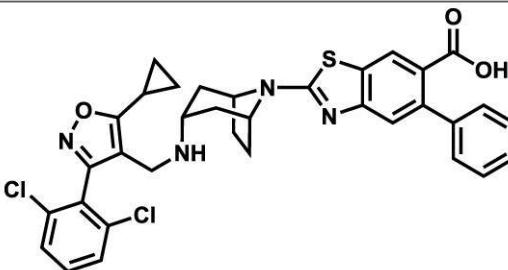
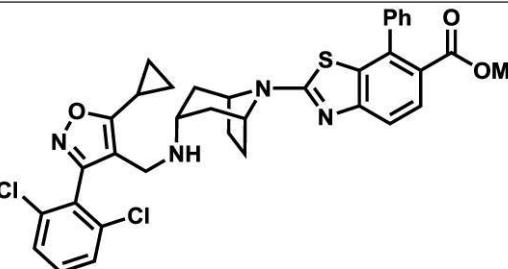
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200-11	

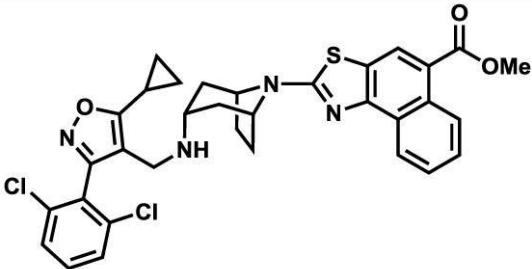
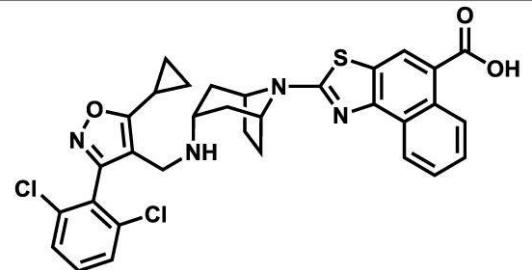
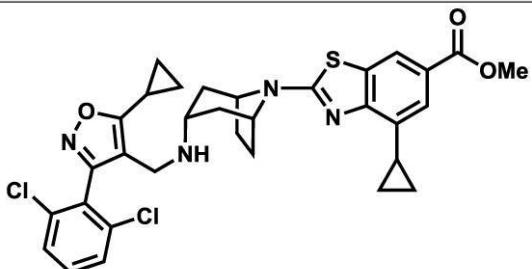
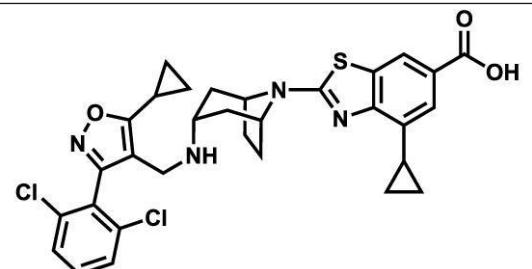
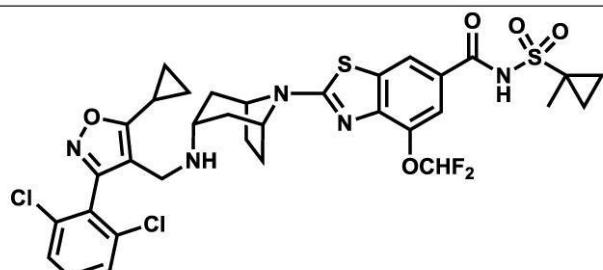
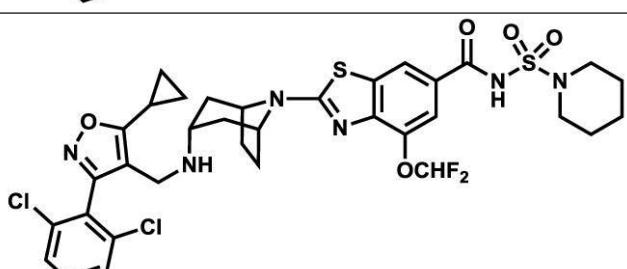
【表 7 - 1 8】

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200-13	
200-14	
200-15	
200-16	
200-17	

【表 7 - 19】

200-18	
200-19	
200-21	
200-23	
200-24	
200-25	

【表 7 - 20】

200-27	
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200-29	
200-30	
323	
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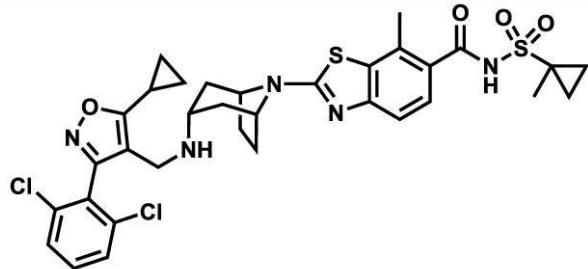
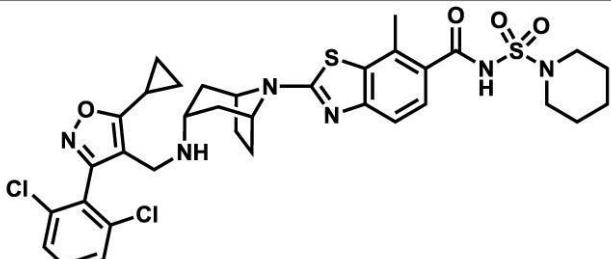
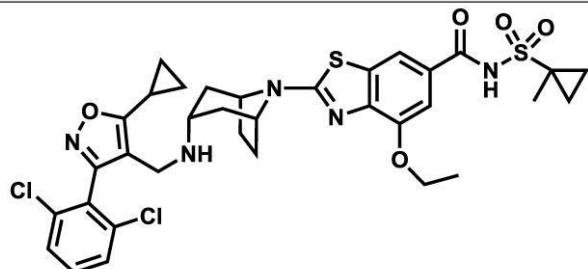
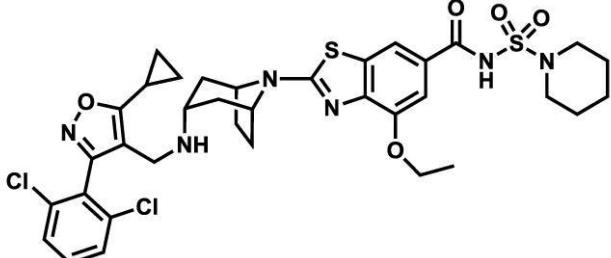
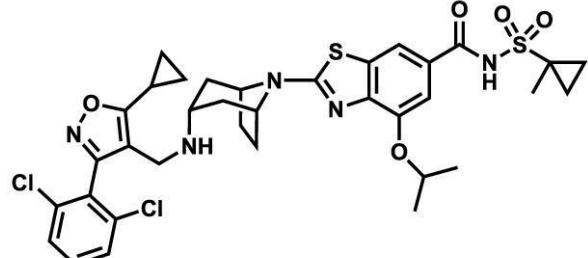
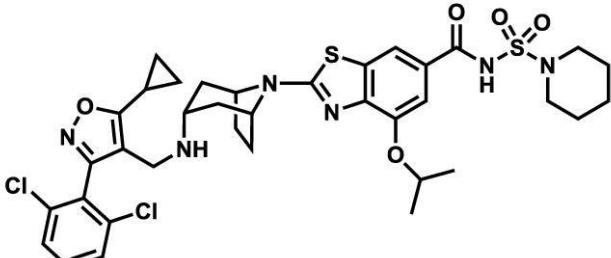
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400-4	
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400-6	

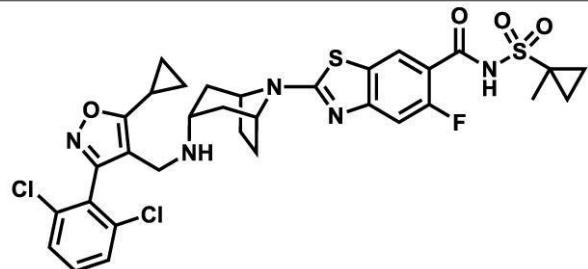
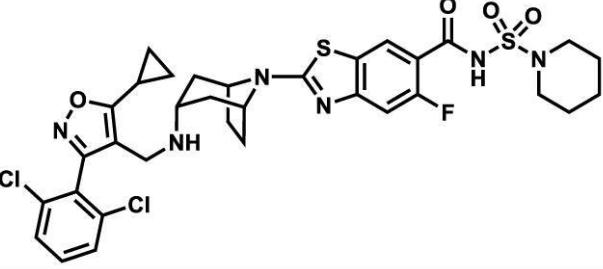
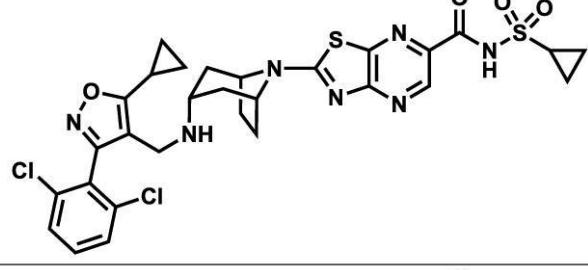
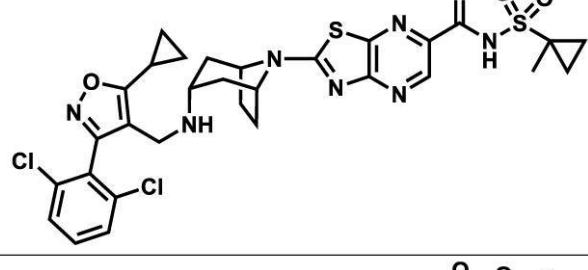
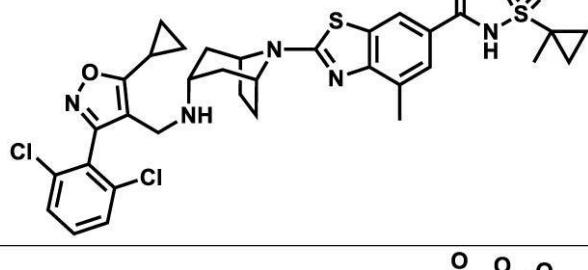
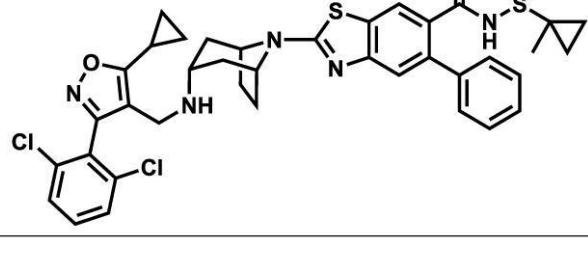
【表 7 - 2 2】

400-7	
400-8	
400-9	
400-10	
400-11	
400-12	

【表 7 - 2 3】

400-13	
400-14	
400-15	
400-16	
400-18	
400-20	

【表 7 - 24】

400-22	
400-24	
400-25	
400-26	
400-29	
400-32	

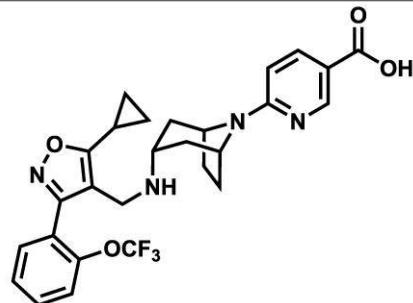
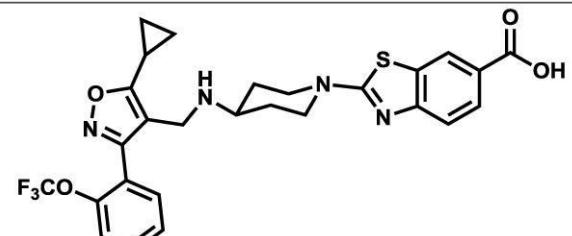
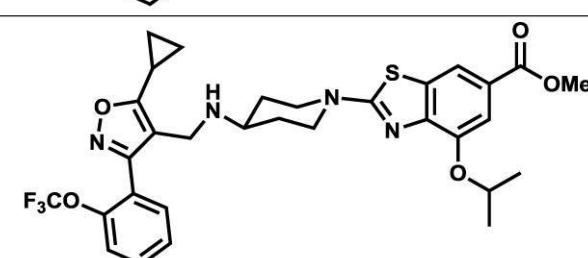
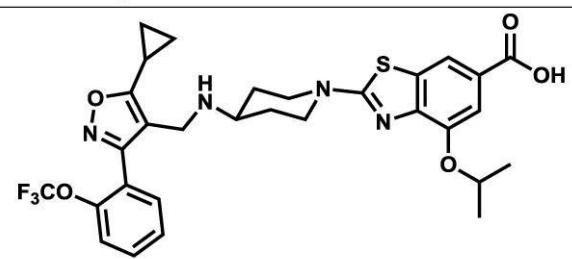
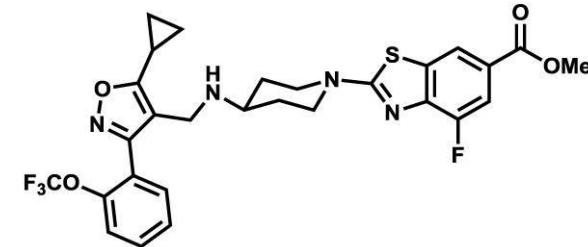
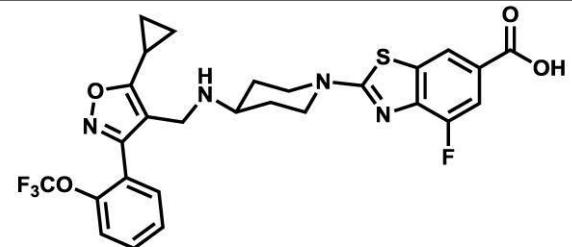
【表 7 - 2 5】

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402	
403	

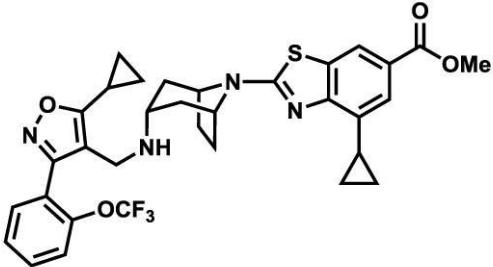
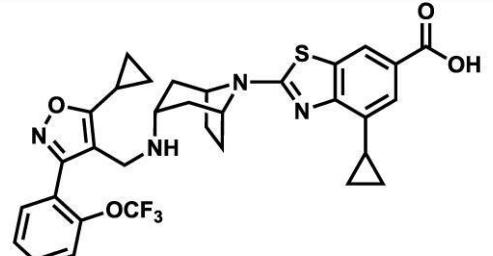
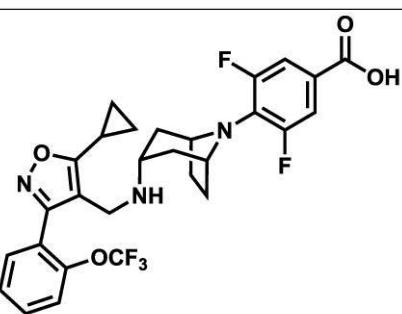
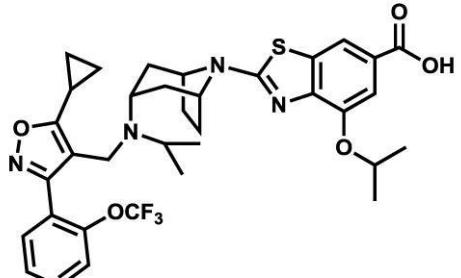
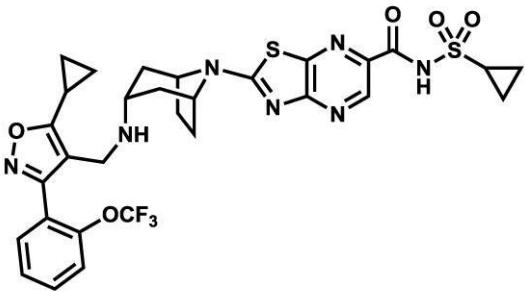
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514	

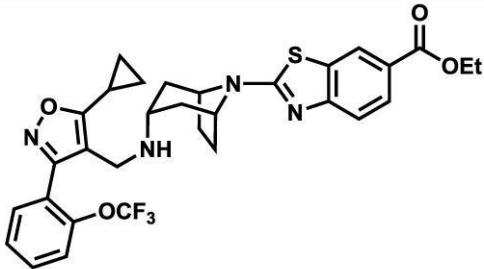
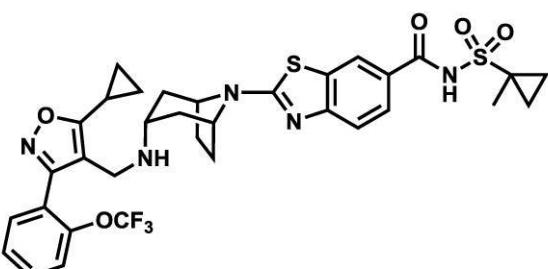
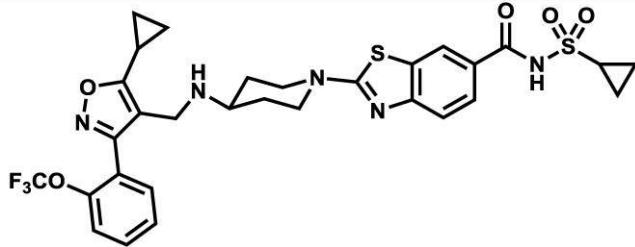
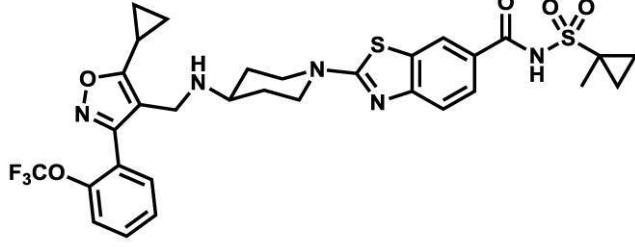
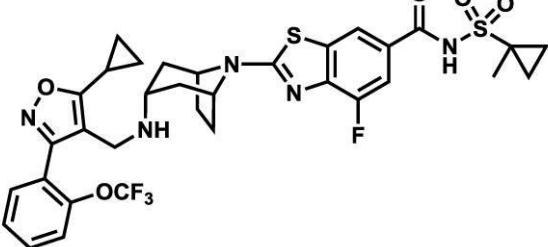
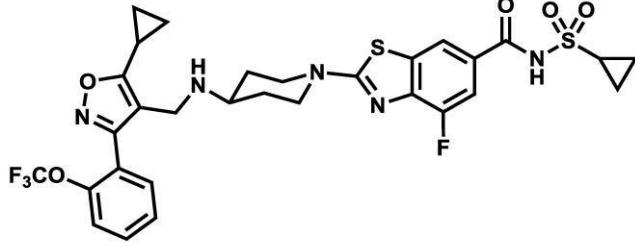
【表 7 - 27】

597	
638	
639	
640	
641	
642	

【表 7 - 28】

643	
644	
646	
693	
695	

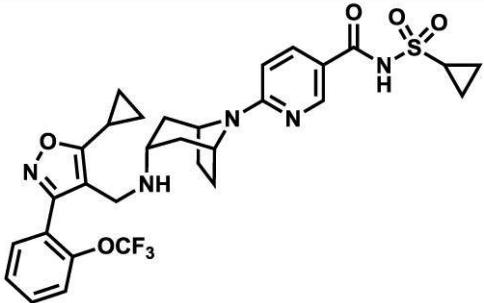
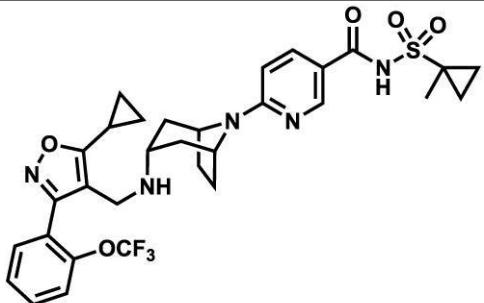
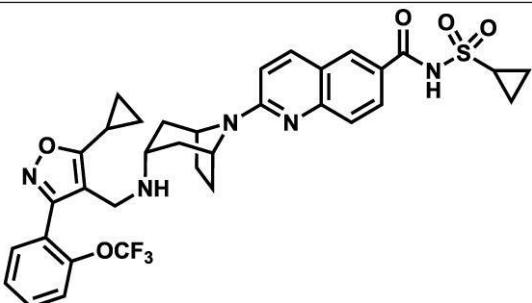
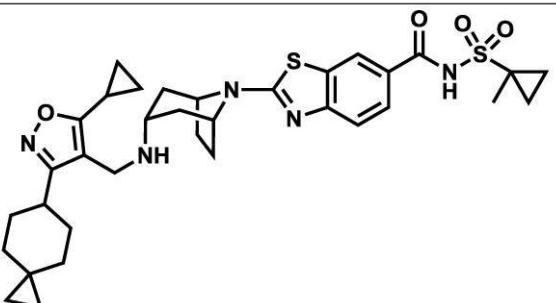
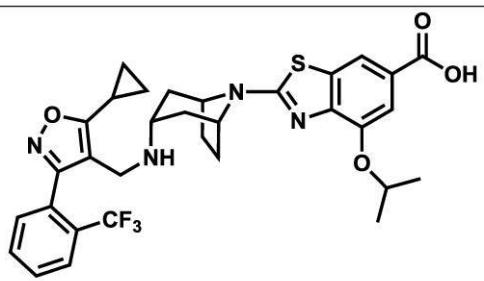
【表 7 - 29】

696	
702	
791	
900-1	
900-2	
900-3	

【表 7 - 30】

900-4	
900-5	
900-6	
900-9	
900-11	
900-12	

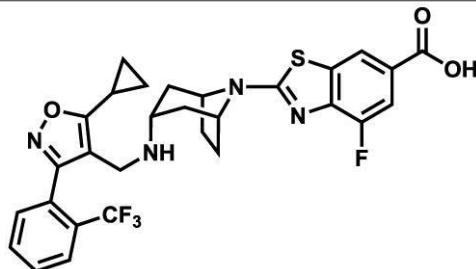
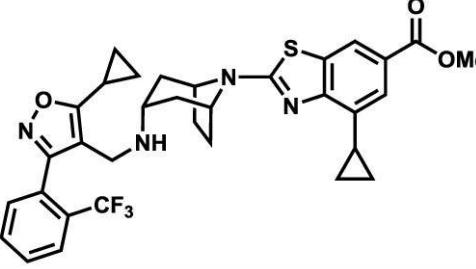
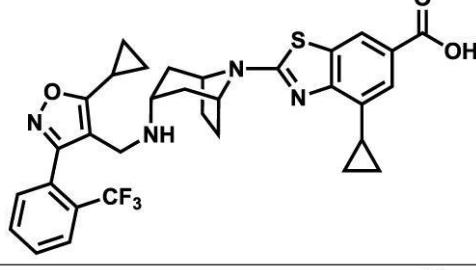
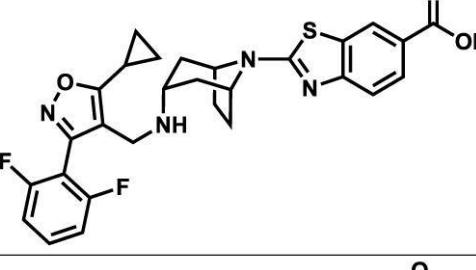
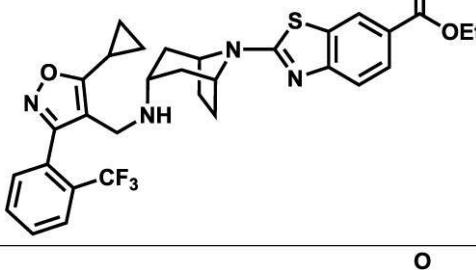
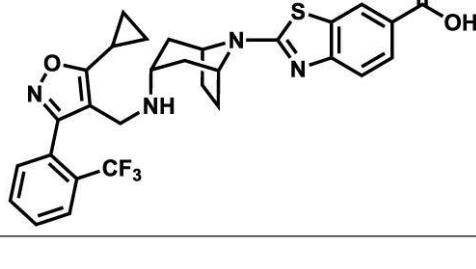
【表 7 - 3 1】

900-13	
900-14	
900-15	
1202	
1401	

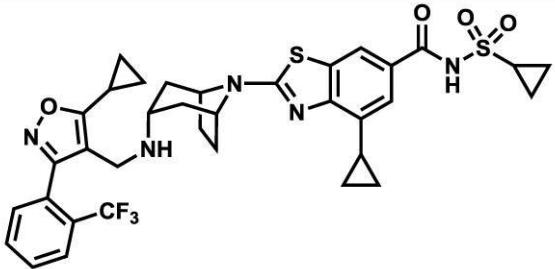
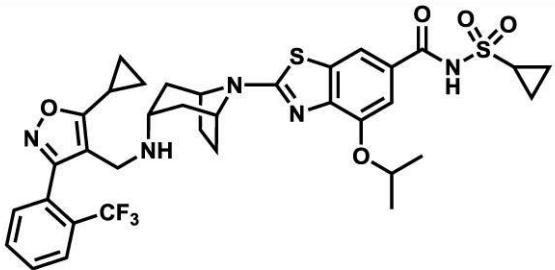
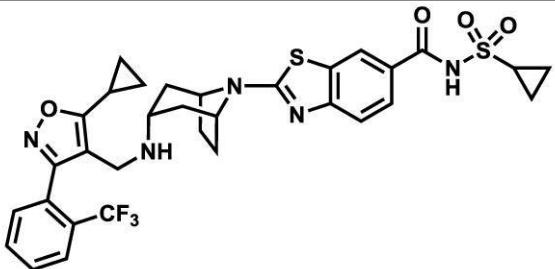
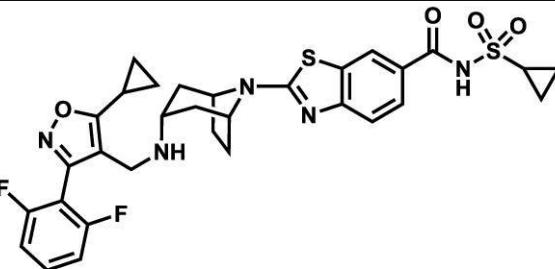
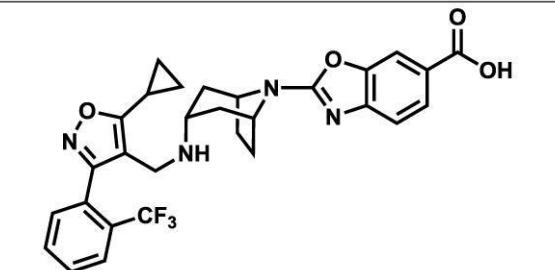
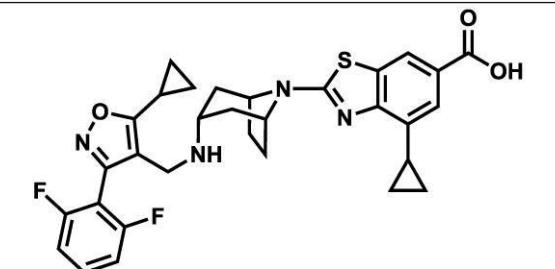
【表 7 - 3 2】

1402	
1403	
1404	
1405	
1406	
1407	

【表 7 - 3 3】

1408	
1409	
1410	
1411	
1412	
1413	

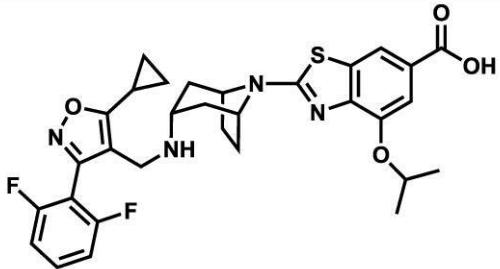
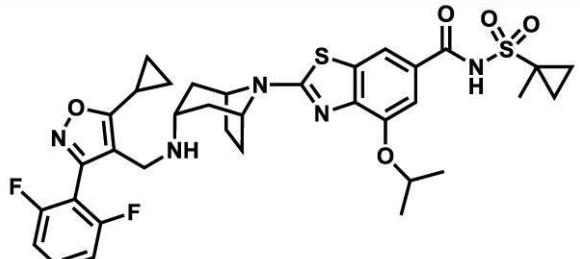
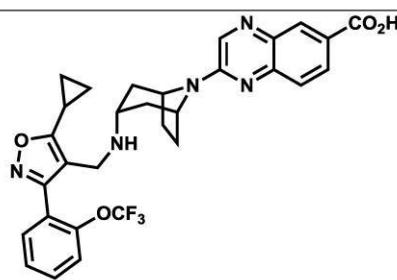
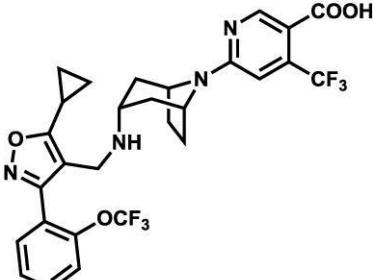
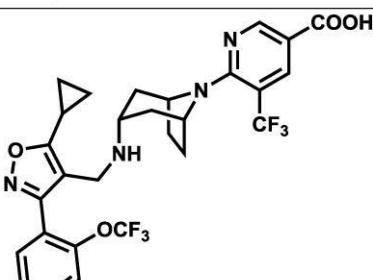
【表 7 - 3 4】

1414	
1415	
1416	
1417	
1418	
1419	

【表 7 - 3 5】

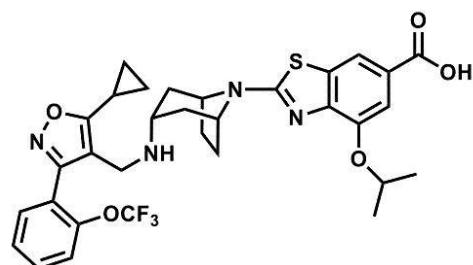
1420	
1421	
1422	
1423	
1424	
1425	

【表 7 - 3 6】

1426	
1428	
1429	
1430	
1431	

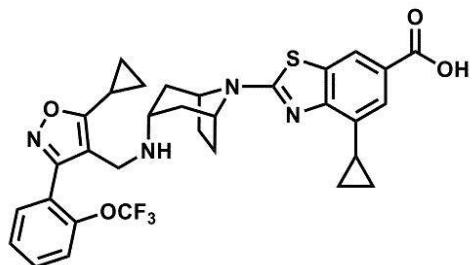
【請求項 1 6】

下記構造を有する請求項 1 5 に記載の化合物又はその薬学的に許容される塩。



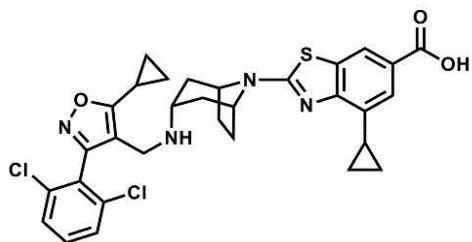
【請求項 1 7】

下記構造を有する請求項 1 5 に記載の化合物又はその薬学的に許容される塩。



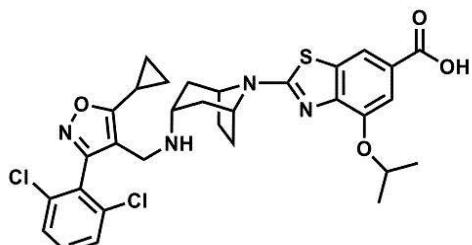
【請求項 1 8】

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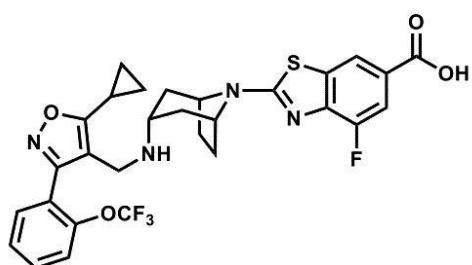
【請求項 1 9】

下記構造を有する請求項 1 5 に記載の化合物又はその薬学的に許容される塩。



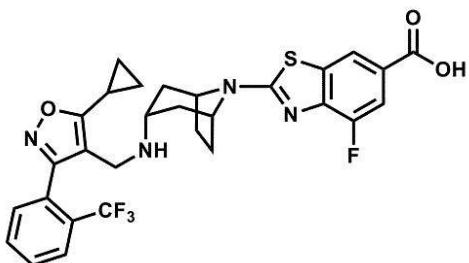
【請求項 2 0】

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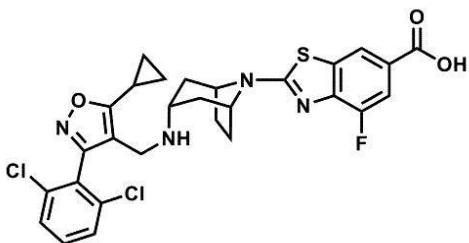


【請求項 2 1】

下記構造を有する請求項 1 5 に記載の化合物又はその薬学的に許容される塩。

**【請求項 2 2】**

下記構造を有する請求項 1 5 に記載の化合物又はその薬学的に許容される塩。

**【請求項 2 3】**

請求項 1 から 2 2 のいずれか一項に記載の化合物と、薬学的に許容される担体とを含む医薬組成物。

【請求項 2 4】

F X R 媒介疾患または状態を予防または治療するための請求項 2 3 に記載の医薬組成物。

【請求項 2 5】

前記 F X R 媒介疾患または状態が慢性肝疾患、胃腸疾患、腎疾患、心血管疾患、線維性疾患および代謝性疾患からなる群から選択される、請求項 2 4 に記載の医薬組成物。

【請求項 2 6】

前記線維性疾患が原発性胆汁性肝硬変、原発性硬化性胆管炎、肺線維症、腎線維症および肝線維症から選択される、請求項 2 5 に記載の医薬組成物。

【請求項 2 7】

前記慢性肝疾患が原発性胆汁性肝硬変 (PBC)、脳膜黄色腫症 (CTX)、原発性硬化性胆管炎 (PSC)、薬物誘発性胆汁うっ滞、妊娠性肝内胆汁うっ滞、非経口栄養関連胆汁うっ滞 (PNAC)、細菌過剰増殖もしくは敗血症関連胆汁うっ滞、自己免疫性肝炎、慢性ウイルス性肝炎、アルコール性肝疾患、非アルコール性脂肪性肝疾患 (NAFLD)、非アルコール性脂肪性肝炎 (NASH)、肝移植関連移植片対宿主病、生体肝移植肝再生、先天性肝線維症、総胆管結石、肉芽腫性肝疾患、肝内または肝外悪性腫瘍、シェーゲレン症候群、サルコイドーシス、ウィルソン病、ゴーチェ病、ヘモクロマトーシスおよび 1 - アンチトリプシン欠乏症からなる群から選択される、請求項 2 5 に記載の医薬組成物。

【請求項 2 8】

前記腎疾患が糖尿病性腎症、巢状分節性糸球体硬化症 (FSGS)、高血圧性腎硬化症、慢性糸球体腎炎、慢性移植性糸球体症、慢性間質性腎炎および多発性囊胞腎からなる群から選択される、請求項 2 5 に記載の医薬組成物。

【請求項 2 9】

前記心血管疾患が動脈硬化症、脂質異常症、高コレステロール血症および高トリグリセリド血症からなる群から選択される、請求項 2 5 に記載の医薬組成物。

【請求項 3 0】

前記代謝性疾患がインスリン抵抗性、I型およびII型糖尿病、ならびに肥満からなる

群から選択される、請求項2_5に記載の医薬組成物。

【請求項 3_1】

FXR媒介疾患または状態を予防または治療するための医薬品を調製するための、請求項1から2_2のいずれか一項に記載の化合物の使用。

【手続補正2】

【補正対象書類名】明細書

【補正対象項目名】0009

【補正方法】変更

【補正の内容】

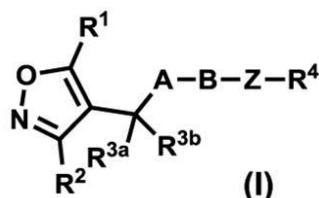
【0009】

別の実施形態では、本発明は、FXR媒介疾患または状態を予防または治療する方法を提供する。本方法は、治療上有効量の式(I)の化合物を投与するステップを含む。本発明はまた、FXR媒介疾患または状態を予防または治療するための医薬品を調製するための式(I)の化合物の使用を提供する。

【発明1】

式(I)によって表される化合物またはその薬学的に許容される塩：

[化1]



(式中、

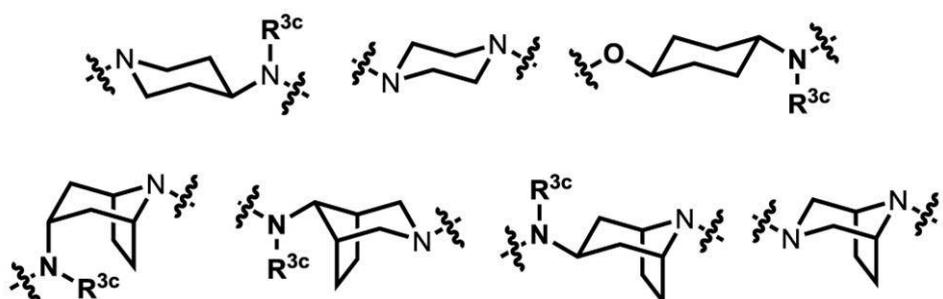
R¹は水素、ハロゲン、シアノ、場合により置換された-C₁~C₆アルキル、場合により置換された-C₂~C₆アルケニル、場合により置換された-C₂~C₆アルキニル、場合により置換されたC₃~C₆シクロアルキルまたは場合により置換された3~6員ヘテロシクロアルキルであり；

R²は場合により置換されたアリール、場合により置換されたヘテロアリール、場合により置換された-C₃~C₁₂シクロアルキルまたは場合により置換された3~12員ヘテロシクロアルキルであり；

R^{3a}、R^{3b}は水素、ハロゲン、-C₁~C₆アルキル、ハロ-C₁~C₆アルキル、-C₁~C₆アルコキシまたはハロ-C₁~C₆アルコキシ、-C₃~C₆シクロアルキルおよびハロ-C₃~C₆シクロアルキルからなる群から独立に選択される；あるいはR^{3a}およびR^{3b}は、これらが結合している炭素原子と一緒にになって、場合により置換された-C₃~C₆シクロアルキル、場合により置換された3~6員ヘテロシクロアルキルまたは場合により置換された-C₃~C₆シクロアルケニルを形成し；

Aは

[化2]



(式中、示される原子価の一方は、 $-C(H)R^{3a}R^{3b}$ の炭素原子との結合点であり、他方は B との結合点であり； R^{3c} は水素、場合により置換された $-C_1 \sim C_6$ アルキル、場合により置換された $-C_3 \sim C_6$ シクロアルキル、ホルミルおよびアセチルからなる群から選択される)

からなる群から選択され；

B は場合により置換されたアリール、場合により置換されたビアリール、場合により置換された 3 ~ 12 員複素環または場合により置換されたヘテロアリールであり；

Z は

1) 存在しない；

2) 案により置換された $-C_1 \sim C_6$ アルキル；

3) 案により置換された $-C_2 \sim C_6$ アルケニル；

4) 案により置換された $-C_2 \sim C_6$ アルキニル；

5) 案により置換された $-C_3 \sim C_8$ シクロアルキル；

6) 案により置換された 3 ~ 8 員ヘテロシクロアルキル；

7) 案により置換された $-C_3 \sim C_8$ シクロアルケニル；

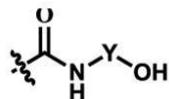
8) 案により置換されたアリール；および

9) 案により置換されたヘテロアリール

からなる群から選択され；

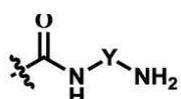
R^4 はヒドロキシ、保護ヒドロキシ、 $-O-$ (ヒドロキシプロドラッグ基)、テトラゾリル、シアノ、 $-CO_2R^5$ 、 $-O-Y-CO_2R^5$ 、 $-NR^{4b}-Y-CO_2R^5$ 、 $-CONR^{4a}R^{4b}$ 、場合により置換された

[化 3]



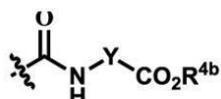
、
場合により置換された

[化 4]



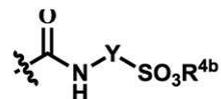
、
場合により置換された

[化 5]



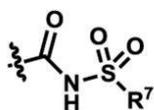
、
場合により置換された

[化 6]

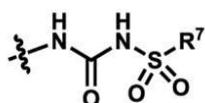


、
場合により置換された

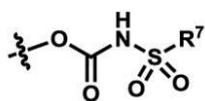
[化 7]

場合により置換された

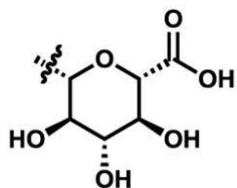
[化 8]

または場合により置換された

[化 9]

であり；Yは存在しない、または場合により置換された-C₁～C₆アルキルであり；R^{4a}およびR^{4b}は1) 水素；2) 場合により置換された-C₁～C₈アルキル；3) 場合により置換された-C₂～C₈アルケニル；4) 場合により置換された-C₂～C₈アルキニル；および5) 場合により置換された-C₃～C₈シクロアルキルからなる群から独立に選択され；R⁵は1) 水素；2)

[化 10]

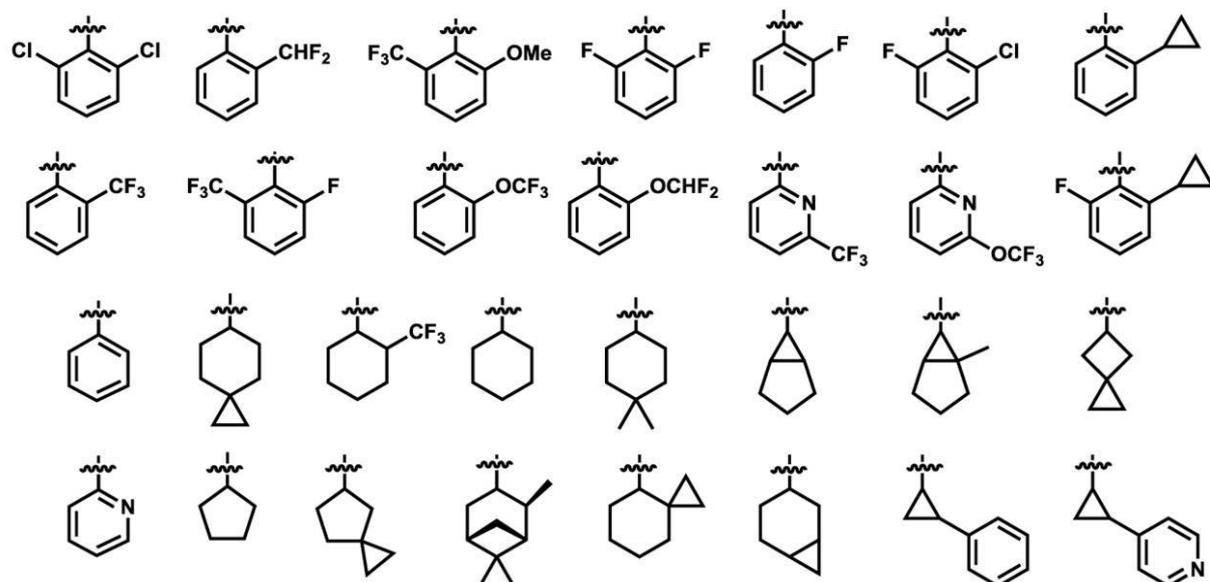
；3) 場合により置換された-C₁～C₈アルキル；4) 場合により置換された-C₂～C₈アルケニル；5) 場合により置換された-C₂～C₈アルキニル；および6) 場合により置換された-C₃～C₈シクロアルキルからなる群から選択され；R⁷は1) 場合により置換された-C₁～C₈アルキル；2) 場合により置換された-C₂～C₈アルケニル；3) 場合により置換された-C₂～C₈アルキニル；4) 場合により置換された-C₃～C₈シクロアルキル；

- 5) 場合により置換された -C₃ ~ C₈ シクロアルケニル；
 6) 場合により置換された 3 ~ 8 員ヘテロシクロアルキル；
 7) 場合により置換された 3 ~ 8 員ヘテロシクロアルケニル；
 8) 場合により置換されたアリール；
 9) 場合により置換された -C₁ ~ C₈ アリールアルキル；
 10) 場合により置換されたヘテロアリール；
 11) 場合により置換された -C₁ ~ C₈ ヘテロアリールアルキル；および
 12) NR⁹R¹⁰ (式中、R⁹ および R¹⁰ はそれぞれ独立に、水素、場合により置換された -C₁ ~ C₈ アルキル、場合により置換された -C₂ ~ C₈ アルケニル、場合により置換された -C₂ ~ C₈ アルキニル、場合により置換された -C₃ ~ C₈ シクロアルキル、場合により置換されたアリール、場合により置換されたアルキルアリール、場合により置換された 3 ~ 8 員ヘテロシクロアルキル、場合により置換されたヘテロアリールおよび場合により置換されたアルキルヘテロアリールから選択される；あるいは R⁹ および R¹⁰ は、これらが結合している窒素原子と一緒にになって、複素環を形成する)
 からなる群から選択される)。

[発明 2]

R² が以下に示される基から選択される、発明 1 に記載の化合物：

[化 1 1]

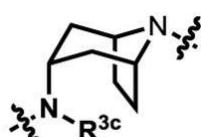


(式中、上記基の各々は場合によりさらに置換されている)。

[発明 3]

A が

[化 1 2]



または

[化 1 3]

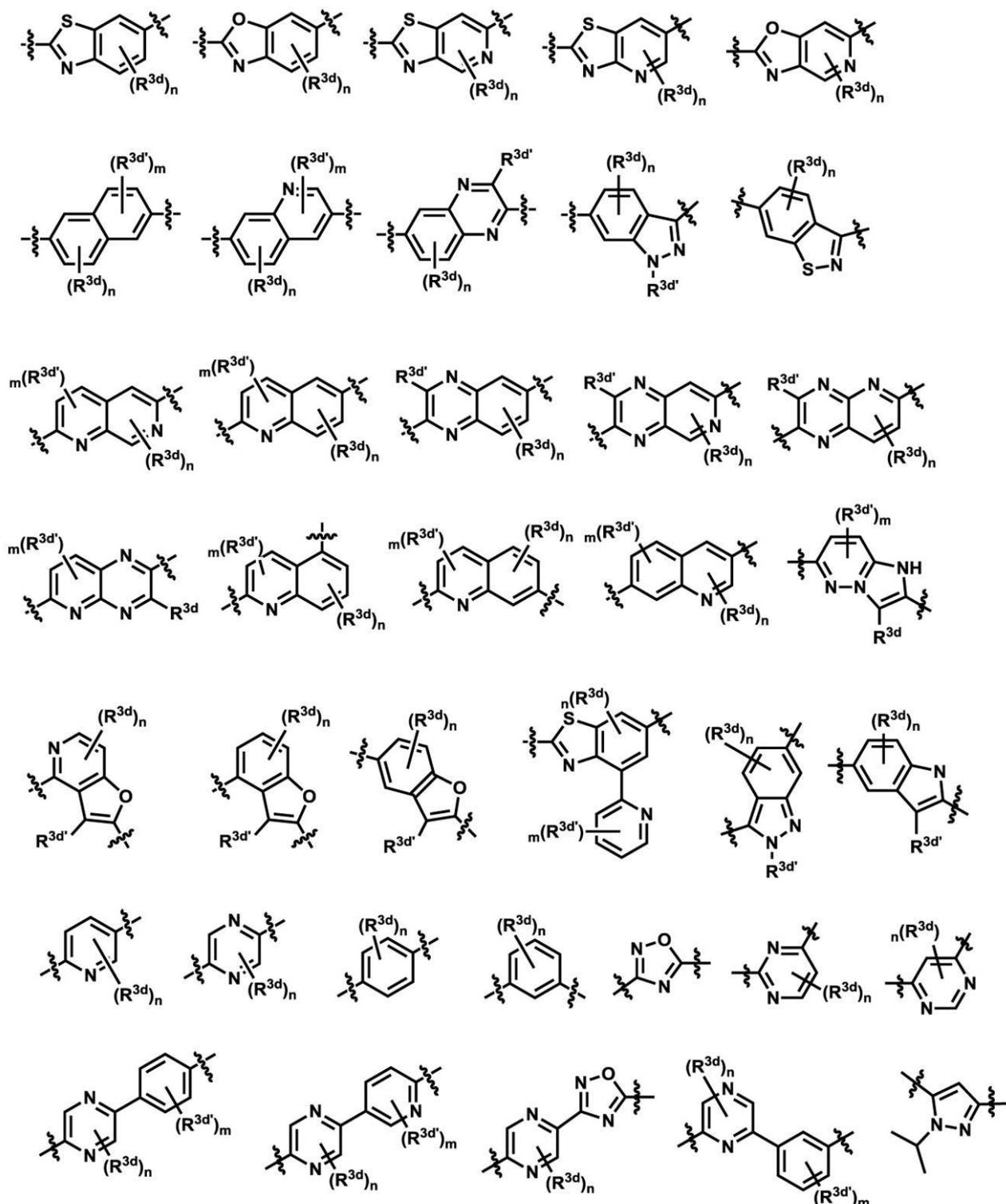


であり、 R^{3c} が発明 1 に定義される通りである、発明 1 に記載の化合物。

[発明 4]

B が以下に示される基から選択される、発明 1 に記載の化合物：

[化 1 4]



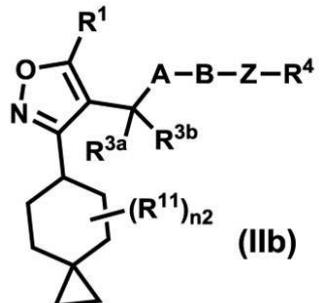
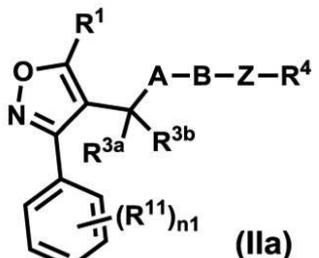
(式中、示される原子価の一方は A との結合点であり、他方は Z との結合点であり； R^{3d} および $R^{3d'}$ は水素、ハロゲン、-CN、-NO₂、-NH₂、場合により置換された C₁ ~ C₆ アルキル、場合により置換された C₁ ~ C₆ アルコキシ、場合により置換された C₃ ~ C₆ シクロアルキル、場合により置換された C₃ ~ C₆ シクロアルケニル、

場合により置換されたアリールおよび場合により置換されたヘテロアリールからなる群から独立に選択され； m および n は独立に 0、1、2 または 3 である）。

[発明 5]

式(IIa)もしくは式(IIb)によって表される発明1に記載の化合物またはその薬学的に許容される塩：

[化 1 5]

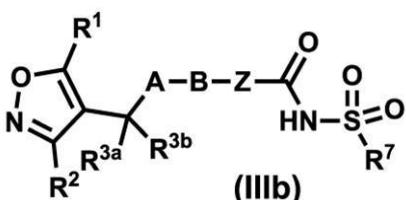
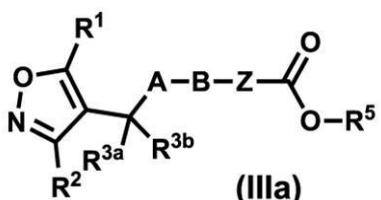


(式中、R¹、R^{3a}、R^{3b}、A、B、ZおよびR⁴は発明1に定義される通りであり；R¹⁻¹は各出現でハロゲン、場合により置換された-C₁～C₆アルキル、場合により置換された-C₁～C₆アルコキシ、場合により置換された-C₃～C₆シクロアルキル、場合により置換された-C₃～C₆シクロアルケニル、場合により置換されたアリールまたは場合により置換されたヘテロアリールからなる群から独立に選択され；n₁は0、1、2、3、4または5であり、n₂は0、1または2である)。

[発明 6]

式(IIIA)もしくは式(IIIB)によって表される発明1に記載の化合物またはその薬学的に許容される塩：

「化 1 6 1

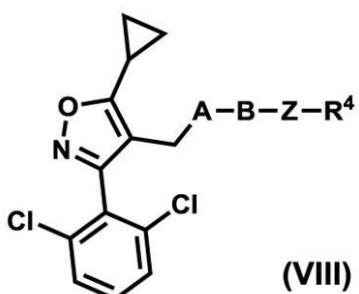


(式中、A、B、Z、R¹、R²、R^{3a}、R^{3b}、R⁵およびR⁷は発明1に定義される通りである)。

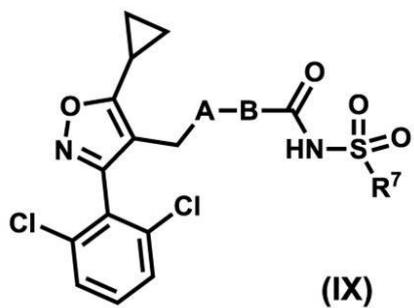
「発明 7 」

式(Ⅷ)もしくは式(IX)によって表される発明1に記載の化合物またはその薬学的に許容される塩：

[化 1 7]



「化 1 8 1

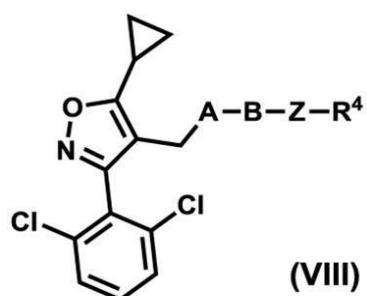


(式中、A、B、Z、R⁴およびR⁷は発明1に定義される通りである)。

[発明8]

(A)式(VIIIA) (式中、A、BおよびZ-R⁴は表1で各化合物について描写される)による化合物:

[化19]



[表1-1]

表 1

化合物	A	B	$\text{-}\ddot{\text{s}}-\text{Z}-\text{R}^4$	化合物	A	B	$\text{-}\ddot{\text{s}}-\text{Z}-\text{R}^4$
1				2			
3				4			
5				6			
7				8			
9				10			
11				12			
13				14			
15				16			
17				18			
19				20			
21				22			
23				24			
25				26			
27				28			
29				30			
31				32			

[表 1 - 2]

33				34			
35				36			
37				38			
39				40			
41				42			
43				44			
45				46			
47				48			
49				50			
51				52			
53				54			
55				56			
57				58			
59				60			
61				62			
63				64			
65				66			
67				68			

[表 1 - 3]

69				70			
71				72			
73				74			
75				76			
77				78			
79				80			
81				82			
83				84			
85				86			
87				88			
89				90			
91				92			
93				94			
95				96			
97				98			
99				100			
101				102			
103				104			
105				106			

[表 1 - 4]

107				108			
109				110			
111				112			
113				114			
115				116			
117				118			
119				120			
121				122			
123				124			
125				126			
127				128			
129				130			
131				132			
133				134			
135				136			
137				138			
139				140			

[表 1 - 5]

141				142			
143				144			
145				146			
147				148			
149				150			
151				152			
153				154			
155				156			
157				158			
159				160			
161				162			
163				164			
165				166			
167				168			
169				170			
171				172			
173				174			
175				176			

[表 1 - 6]

177				178			
179				180			
181				182			
183				184			
185				186			
187				188			
189				190			
191				192			
193				194			
195				196			
197				198			
199				200			
200-1				200-2			
200-3				200-4			
200-5				200-6			
200-7				200-8			
200-9				200-10			
200-11				200-12			
200-13				200-14			

[表 1 - 7]

200-15				200-16			
200-17				200-18			
200-19				200-20			
200-21				200-22			
200-23				200-24			
200-25				200-26			
200-27				200-28			
200-29				200-30			
200-31				200-32			
200-33				200-34			
200-35				200-36			
200-37				200-38			
200-39				200-40			
200-41				200-42			
200-43				200-44			
200-45				200-46			
200-47				200-48			
200-49				200-50			

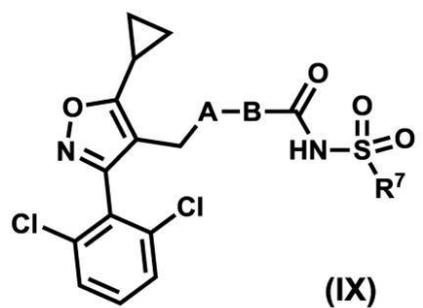
[表 1 - 8]

200-51				200-52			
200-53				200-54			
200-55				200-56			
200-57				200-58			
200-59				200-60			
200-61				200-62			
200-63				200-64			
200-65				200-66			
200-67				200-68			
200-69				200-70			
200-71				200-72			
200-73				200-74			
200-75				200-76			
200-77				200-78			

および

(B)式 (IX) (式中、A、BおよびR⁷は表2で各化合物について描写される)による化合物:

[化20]



[表 2 - 1]

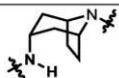
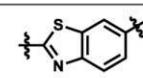
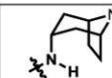
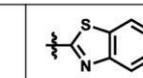
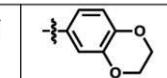
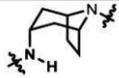
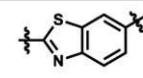
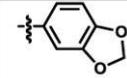
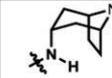
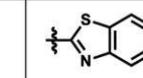
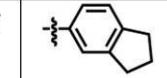
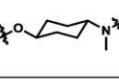
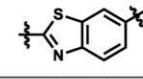
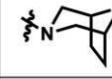
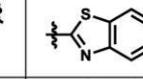
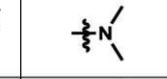
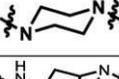
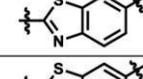
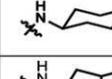
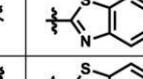
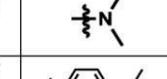
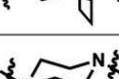
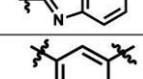
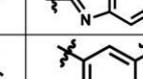
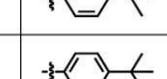
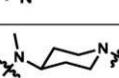
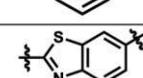
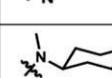
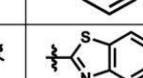
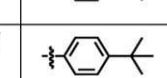
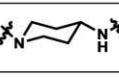
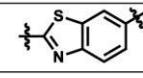
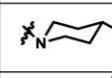
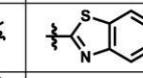
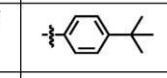
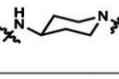
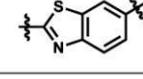
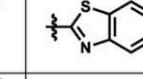
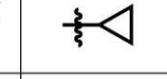
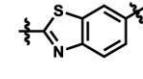
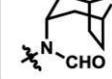
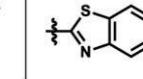
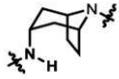
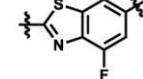
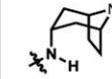
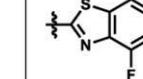
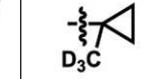
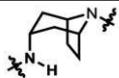
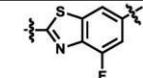
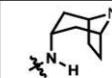
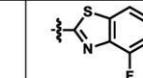
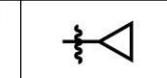
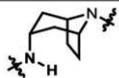
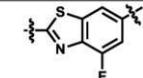
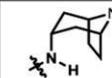
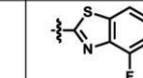
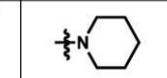
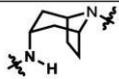
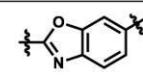
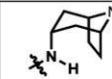
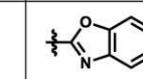
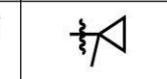
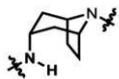
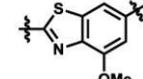
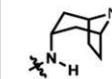
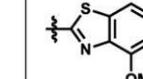
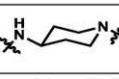
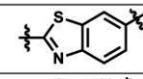
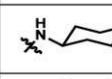
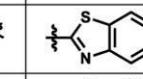
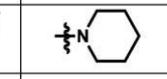
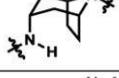
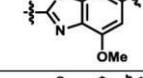
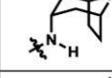
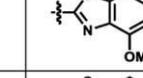
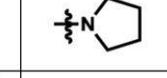
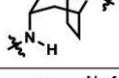
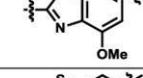
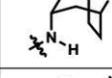
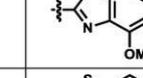
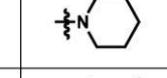
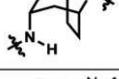
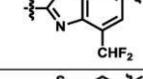
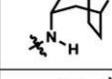
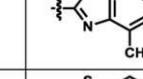
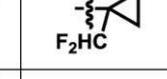
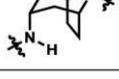
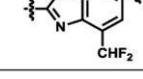
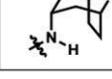
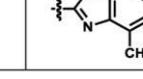
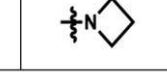
表 2

化合物	A	B	R ⁷	化合物	A	B	R ⁷
201				202			
203				204			
205				206			
207				208			
209				210			
211				212			
213				214			
215				216			
217				218			
219				220			
221				222			
223				224			
225				226			
227				228			
229				230			
231				232			
233				234			
235				236			

[表 2 - 2]

237				238			
239				240			
241				242			
243				244			
245				246			
247				248			
249				250			
251				252			
253				254			
255				256			
257				258			
259				260			
261				262			
263				264			
265				266			
267				268			
269				270			
271				272			
273				274			

[表 2 - 3]

275				276			
277				278			
279				280			
281				282			
283				284			
285				286			
287				288			
289				290			
291				292			
293				294			
295				296			
297				298			
299				300			
301				302			
303				304			
305				306			
307				308			
309				310			
311				312			
313				314			

[表 2 - 4]

315				316			
317				318			
319				320			
321				322			
323				324			
325				326			
327				328			
329				330			
331				332			
333				334			
335				336			
337				338			
339				340			
341				342			
343				344			
345				346			
347				348			
349				350			
351				352			

[表 2 - 5]

353				354			
355				356			
357				358			
359				360			
361				362			
363				364			
365				366			
367				368			
369				370			
371				372			
373				374			
375				376			
377				378			
379				380			
381				382			
383				384			
385				386			
387				388			
389				390			

[表 2 - 6]

391				392			
393				394			
395				396			
397				398			
399				400			
400-1				400-2			
400-3				400-4			
400-5				400-6			
400-7				400-8			
400-9				400-10			
400-11				400-12			
400-13				400-14			
400-15				400-16			
400-17				400-18			
400-19				400-20			
400-21				400-22			
400-23				400-24			
400-25				400-26			
400-27				400-28			

[表 2 - 7]

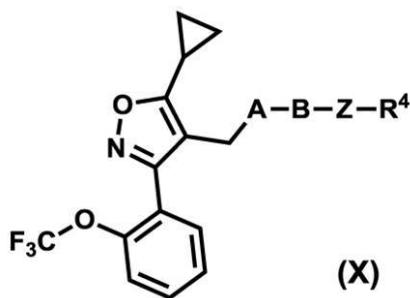
400-29				400-30			
400-31				400-32			
400-33				400-34			
400-35				400-36			
400-37				400-38			
400-39				400-40			

から選択される発明 1 に記載の化合物またはその薬学的に許容される塩。

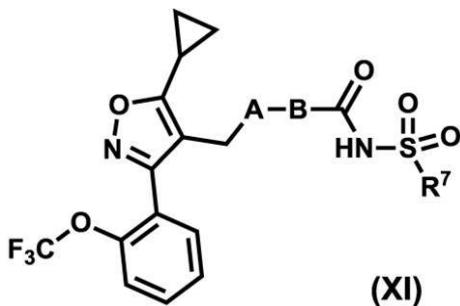
[発明 9]

式 (X) もしくは式 (XI) によって表される発明 1 に記載の化合物またはその薬学的に許容される塩：

[化 2 1]



[化 2 2]

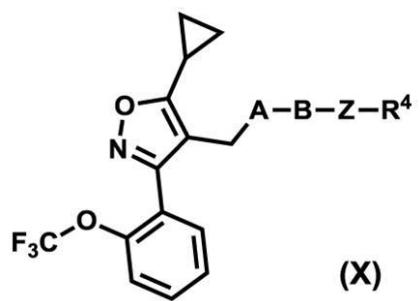


(式中、R⁴ および R⁷ は発明 1 に定義される通りである)。

[発明 10]

(A) 式 (X) (式中、A、B および Z - R⁴ は表 3 で各化合物について描写される)による化合物：

[化 2 3]



[表 3 - 1]

表3

化合物	A	B	---Z---R^4	化合物	A	B	---Z---R^4
401				402			
403				404			
405				406			
407				408			
409				410			
411				412			
413				414			
415				416			
417				418			
419				420			
421				422			
423				424			
425				426			
427				428			
429				430			

[表 3 - 2]

431				432			
433				434			
435				436			
437				438			
439				440			
441				442			
443				444			
445				446			
447				448			
449				450			
451				452			
453				454			
455				456			
457				458			
459				460			
461				462			
463				464			
465				466			

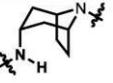
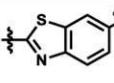
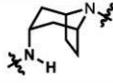
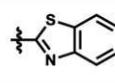
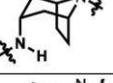
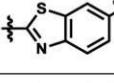
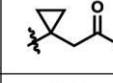
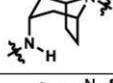
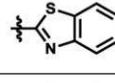
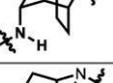
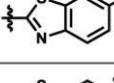
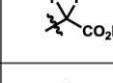
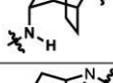
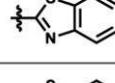
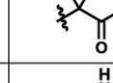
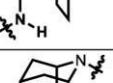
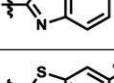
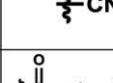
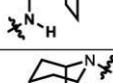
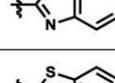
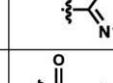
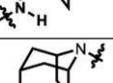
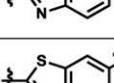
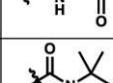
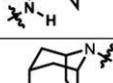
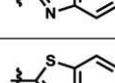
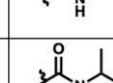
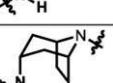
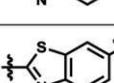
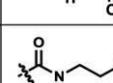
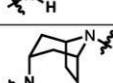
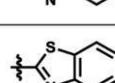
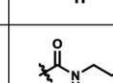
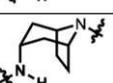
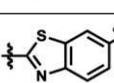
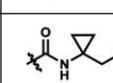
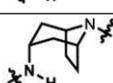
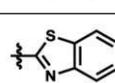
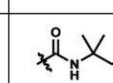
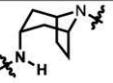
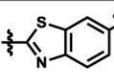
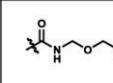
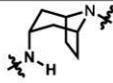
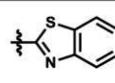
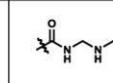
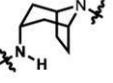
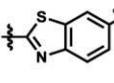
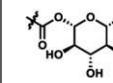
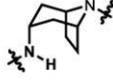
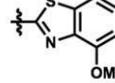
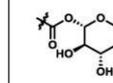
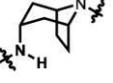
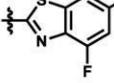
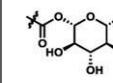
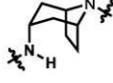
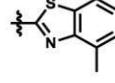
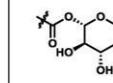
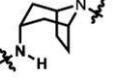
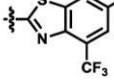
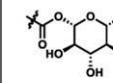
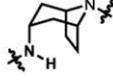
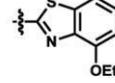
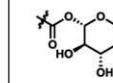
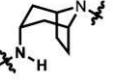
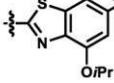
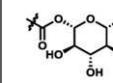
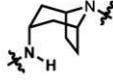
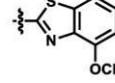
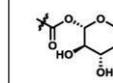
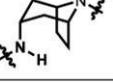
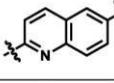
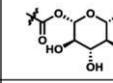
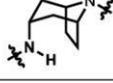
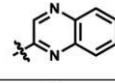
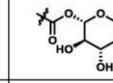
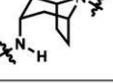
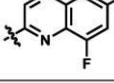
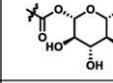
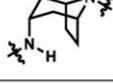
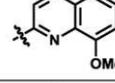
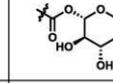
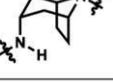
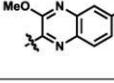
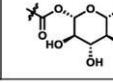
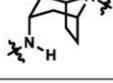
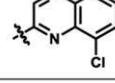
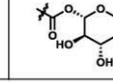
[表 3 - 3]

467				468			
469				470			
471				472			
473				474			
475				476			
477				478			
479				480			
481				482			
483				484			
485				486			
487				488			
489				490			
491				492			
493				494			
495				496			
497				498			
499				500			
501				502			

[表 3 - 4]

503				504			
505				506			
507				508			
509				510			
511				512			
513				514			
515				516			
517				518			
519				520			
521				522			
523				524			
525				526			
527				528			
529				530			
531				532			
533				534			
535				536			
537				538			

[表 3 - 5]

539				540			
541				542			
543				544			
545				546			
547				548			
549				550			
551				552			
553				554			
555				556			
557				558			
559				560			
561				562			
563				564			
565				566			
567				568			
569				570			
571				572			
573				574			

[表 3 - 6]

575				576			
577				578			
579				580			
581				582			
583				584			
585				586			
587				588			
589				590			
591				592			
593				594			
595				596			
597				598			
599				600			
601				602			
603				604			
605				606			
607				608			

[表3-7]

609				610			
611				612			
613				614			
615				616			
617				618			
619				620			
621				622			
623				624			
625				626			
627				628			
629				630			
631				632			
633				634			
635				636			
637				638			
639				640			
641				642			

[表 3 - 8]

643				644			
645				646			
647				648			
649				650			
651				652			
653				654			
655				656			
657				658			
659				660			
661				662			
663				664			
665				666			
667				668			
669				670			
671				672			
673				674			
675				676			

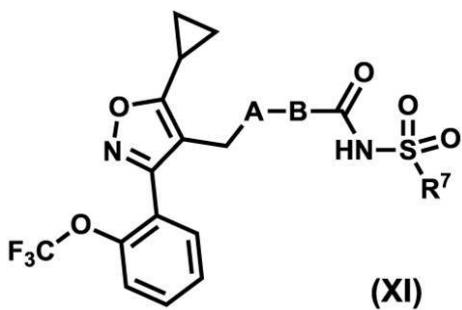
[表 3 - 9]

677				678			
679				680			
681				682			
683				684			
685				686			
687				688			
689				690			
691				692			
693				694			
695				696			

および

(B)式(XI)(式中、A、BおよびR⁷は表4で各化合物について描写される)による化合物:

[化24]



[表4-1]

表 4

化合物	A	B	R ⁷	化合物	A	B	R ⁷
701				702			
703				704			
705				706			
707				708			
709				710			
711				712			
713				714			
715				216			
717				718			
719				720			
721				722			
723				724			
725				726			
727				728			
729				730			
731				732			
733				734			

[表 4 - 2]

735				736			
737				738			
739				740			
741				742			
743				744			
745				746			
747				748			
749				750			
751				752			
753				754			
755				756			
757				758			
759				760			
761				762			
763				764			
765				766			
767				768			
769				770			

[表4-3]

771				772			
773				774			
775				776			
777				778			
779				780			
781				782			
783				784			
785				786			
787				788			
789				790			
791				792			
793				794			
795				796			
797				798			
799				800			
801				802			
803				804			
805				806			
807				808			
809				810			

[表 4 - 4]

811				812			
813				814			
815				816			
817				818			
819				820			
821				822			
823				824			
825				826			
827				828			
829				830			
831				832			
833				834			
835				836			
837				838			
839				840			
841				842			
843				844			
845				846			
847				848			

[表4-5]

849				850			
851				852			
853				854			
855				856			
857				858			
859				860			
861				862			
863				864			
865				866			
867				868			
869				870			
871				872			
873				874			
875				876			
877				878			
879				880			
881				882			
883				884			
885				886			

[表4-6]

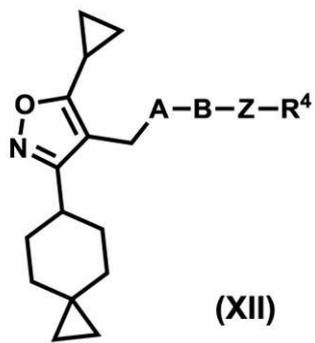
887				888			
889				890			
891				892			
893				894			
895				896			
897				898			
899				900			
900-1				900-2			
900-3				900-4			
900-5				900-6			
900-7				900-8			
900-9				900-10			
900-11				900-12			
900-13				900-14			
900-15							

から選択される発明 1 に記載の化合物またはその薬学的に許容される塩。

[発明 1 1]

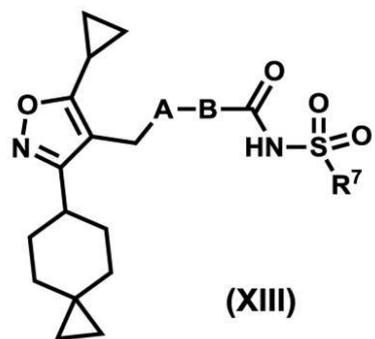
式 (XIII) もしくは式 (XIII') によって表される発明 1 に記載の化合物またはその薬学的に許容される塩：

[化 25]



(XII)

[化 2 6]



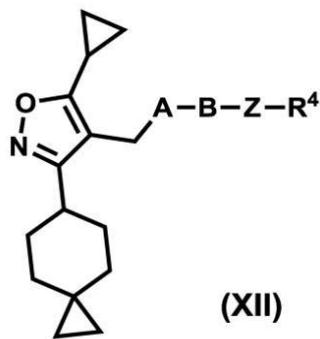
(XIII)

(式中、A、B、Z、R⁴およびR⁷は発明1に定義される通りである)。

[発明 1 2]

(A) 式(XII) (式中、A、BおよびZ-R⁴は表5で各化合物について描写される)による化合物:

[化 2 7]



(XII)

[表 5 - 1]

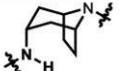
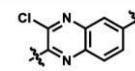
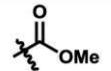
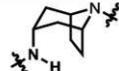
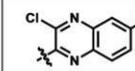
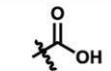
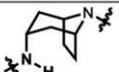
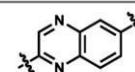
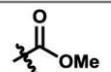
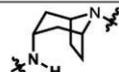
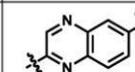
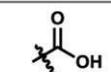
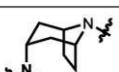
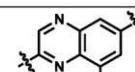
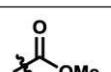
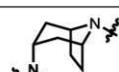
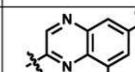
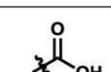
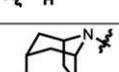
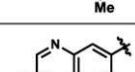
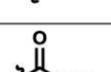
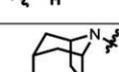
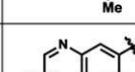
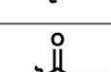
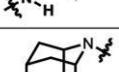
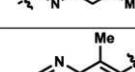
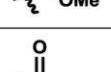
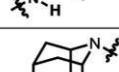
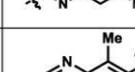
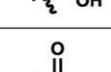
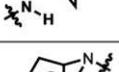
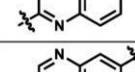
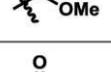
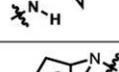
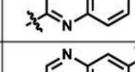
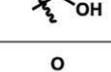
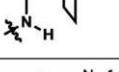
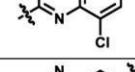
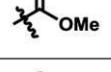
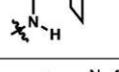
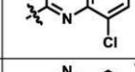
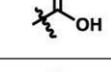
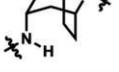
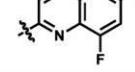
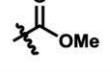
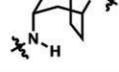
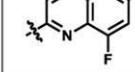
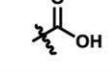
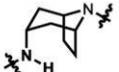
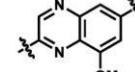
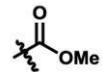
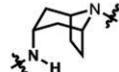
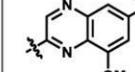
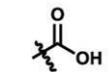
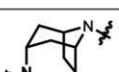
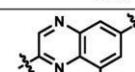
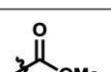
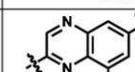
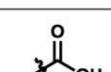
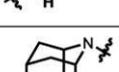
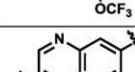
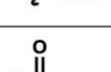
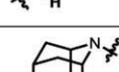
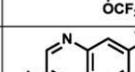
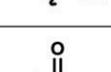
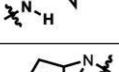
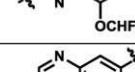
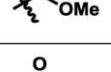
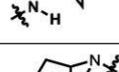
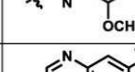
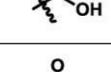
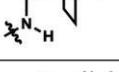
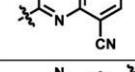
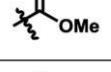
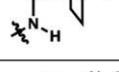
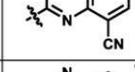
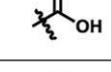
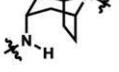
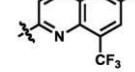
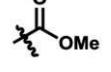
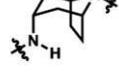
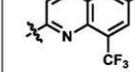
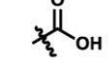
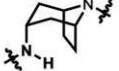
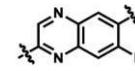
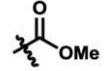
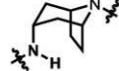
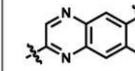
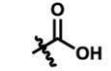
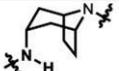
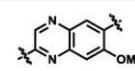
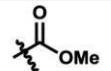
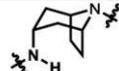
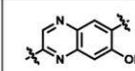
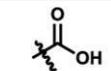
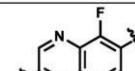
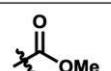
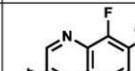
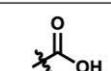
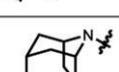
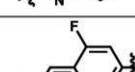
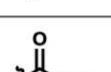
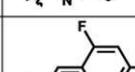
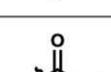
表 5

化合物	A	B	---Z---R^4	化合物	A	B	---Z---R^4
901				902			
903				904			
905				906			
907				908			
909				910			
911				912			
913				914			
915				916			
917				918			
919				920			
921				922			
923				924			
925				926			
927				928			
929				930			

[表 5 - 2]

931				932			
933				934			
935				936			
937				938			
939				940			
941				942			
943				944			
945				946			
947				948			
949				950			
951				952			
953				954			
955				956			
957				958			
959				960			
961				962			
963				964			
965				966			

[表 5 - 3]

967				968			
969				970			
971				972			
973				974			
975				976			
977				978			
979				980			
981				982			
983				984			
985				986			
987				988			
989				990			
991				992			
993				994			
995				996			
997				998			
999				1000			
1001				1002			

[表 5 - 4]

1003				1004			
1005				1006			
1007				1008			
1009				1010			
1011				1012			
1013				1014			
1015				1016			
1017				1018			
1019				1020			
1021				1022			
1023				1024			
1025				1026			
1027				1028			
1029				1030			
1031				1032			
1033				1034			
1035				1036			
1037				1038			

[表5-5]

1039				1040			
1041				1042			
1043				1044			
1045				1046			
1047				1048			
1049				1050			
1051				1052			
1053				1054			
1055				1056			
1057				1058			
1059				1060			
1061				1062			
1063				1064			
1065				1066			
1067				1068			
1069				1070			
1071				1072			
1073				1074			

[表 5 - 6]

1075				1076			
1077				1078			
1079				1080			
1081				1082			
1083				1084			
1085				1086			
1087				1088			
1089				1090			
1091				1092			
1093				1094			
1095				1096			
1097				1098			
1099				1100			
1101				1102			
1103				1104			
1105				1106			
1107				1108			

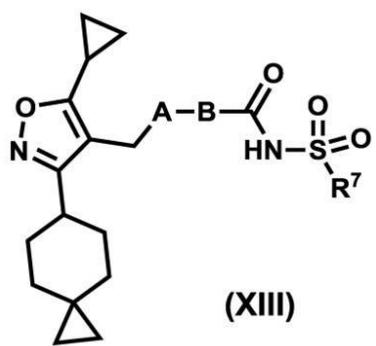
[表 5 - 7]

1109				1110			
1111				1112			
1113				1114			
1115				1116			
1117				1118			
1119				1120			
1121				1122			
1123				1124			
1125				1126			
1127				1128			
1129				1130			
1131				1132			
1133				1134			
1135				1136			

および

(B)式(XII) (式中、A、BおよびR⁷は表6で各化合物について描写される)による化合物:

[化28]



[表 6 - 1]

表 6

化合物	A	B	R ⁷	化合物	A	B	R ⁷
1201				1202			
1203				1204			
1205				1206			
1207				1208			
1209				1210			
1211				1212			
1213				1214			
1215				1216			
1217				1218			
1219				1220			
1221				1222			
1223				1224			
1225				1226			
1227				1228			
1229				1230			
1231				1232			
1233				1234			

[表 6 - 2]

1235				1236			
1237				1238			
1239				1240			
1241				1242			
1243				1244			
1245				1246			
1247				1248			
1249				1250			
1251				1252			
1253				1254			
1255				1256			
1257				1258			
1259				1260			
1261				1262			
1263				1264			
1265				1266			
1267				1268			
1269				1270			

[表 6 - 3]

1271				1272			
1273				1274			
1275				1276			
1277				1278			
1279				1280			
1281				1282			
1283				1284			
1285				1286			
1287				1288			
1289				1290			
1291				1292			
1293				1294			
1295				1296			
1297				1298			
1299				1300			
1301				1302			
1303				1304			
1305				1306			
1307				1308			

[表6-4]

1309				1310			
1311				1312			
1313				1314			
1315				1316			
1317				1318			
1319				1320			
1321				1322			
1323				1324			
1325				1326			
1327				1328			
1329				1330			
1331				1332			
1333				1334			
1335				1336			
1337				1338			
1339				1340			
1341				1342			
1343				1344			

[表 6 - 5]

1345				1346			
1347				1348			
1349				1350			
1351				1352			
1353				1354			
1355				1356			
1357				1358			
1359				1360			
1361				1362			
1363				1364			
1365				1366			
1367				1368			
1369				1370			
1371				1372			
1373				1374			
1375				1376			
1377				1378			
1379				1380			
1381				1382			

[表 6 - 6]

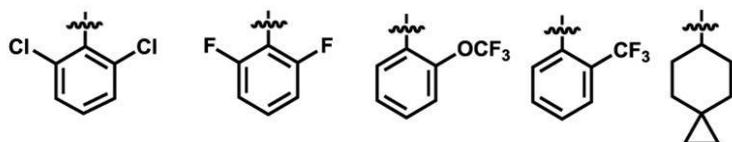
1383				1384			
1385				1386			
1387				1388			
1389				1390			
1391				1392			
1393				1394			
1395				1396			
1397				1398			
1399				1400			

から選択される発明 1 に記載の化合物またはその薬学的に許容される塩。

[発明 1 3]

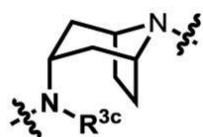
R¹ が場合により置換されたシクロプロピルであり； R² が

[化 2 9]



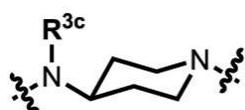
から選択され； R^{3a} が水素であり； R^{3b} が水素であり； A が

[化 3 0]



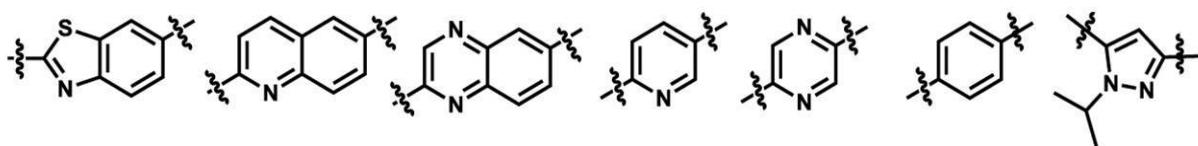
または

[化 3 1]

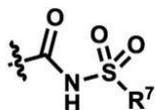


であり、 R^{3c} が水素またはメチルであり； B が

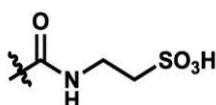
[化 3 2]



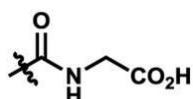
から選択され、Bが場合により置換されており；Zが存在せず；R⁴が
[化33]



[化34]

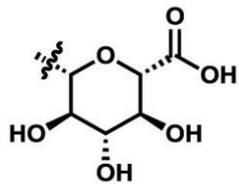


[化35]



、または-CO₂R⁵であり；R⁷が発明1に定義されており、R⁵が水素、メチル、エチル、t-ブチルまたは

[化36]

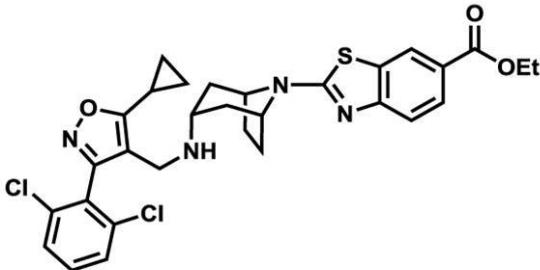
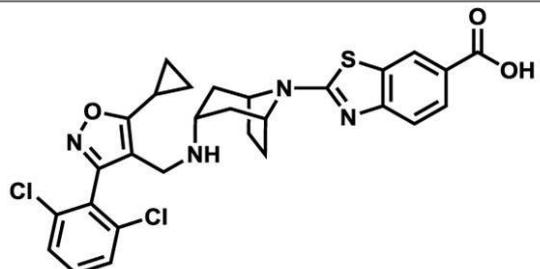
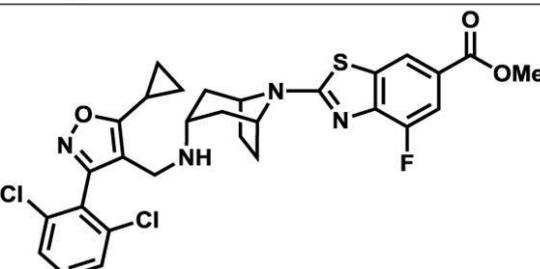
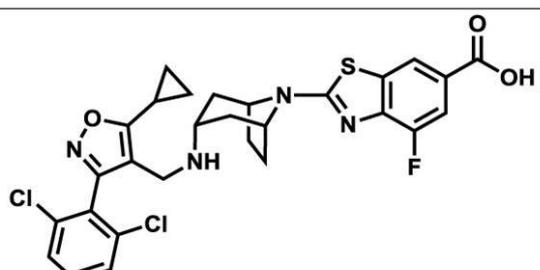
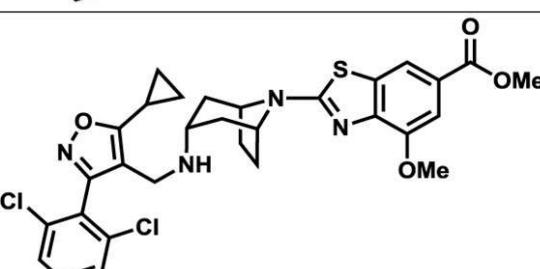


である、発明1に記載の化合物。

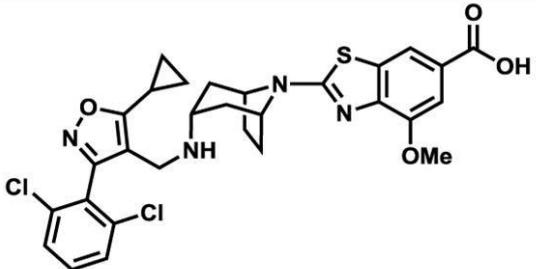
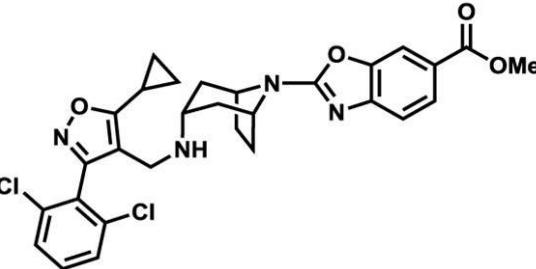
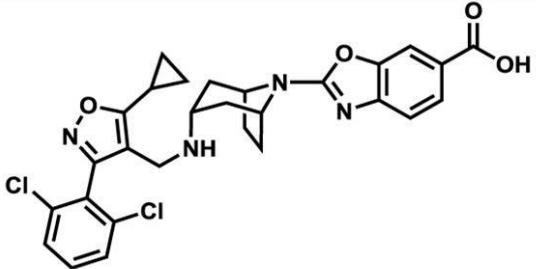
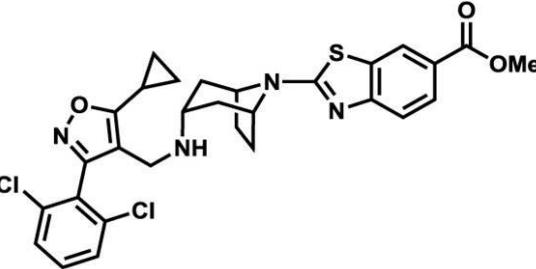
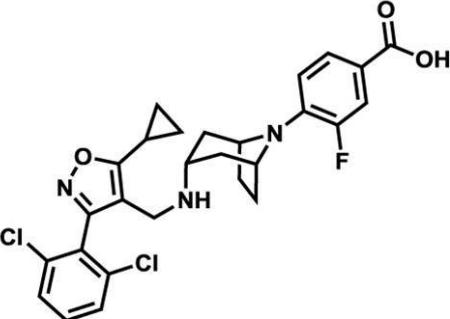
[発明14]

以下に示される化合物から選択される発明1に記載の化合物またはその薬学的に許容される塩：

[表7-1]

化合物番号	構造
1	
2	
3	
4	
5	

[表 7 - 2]

6	
19	
20	
73	
122	

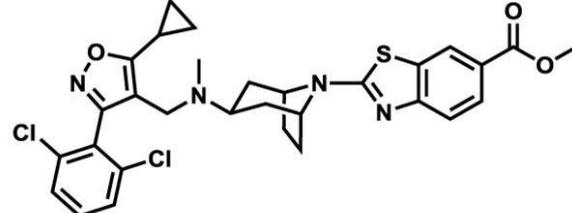
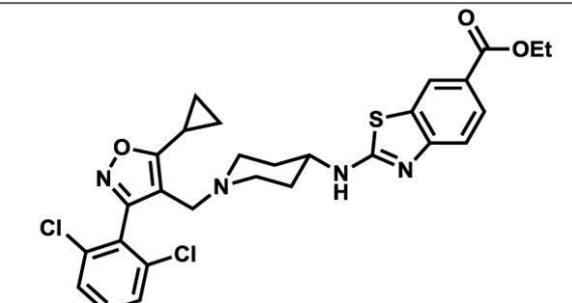
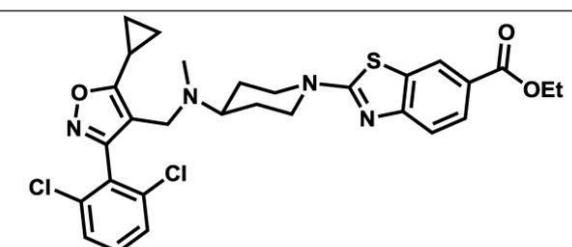
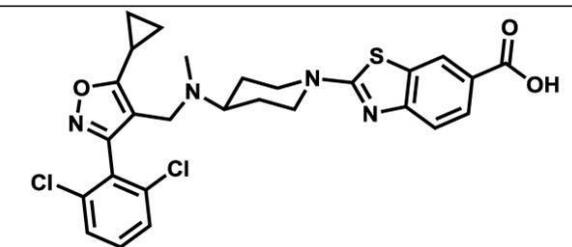
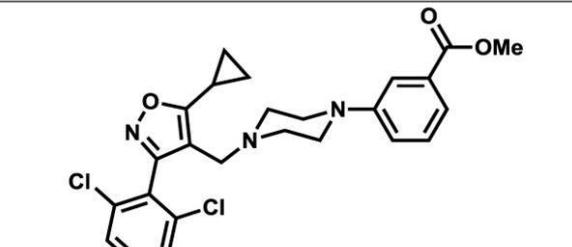
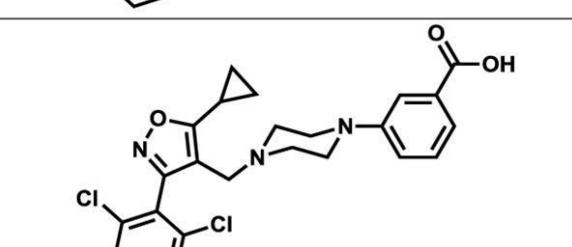
[表 7 - 3]

137	
138	
165	
169	
170	
171	

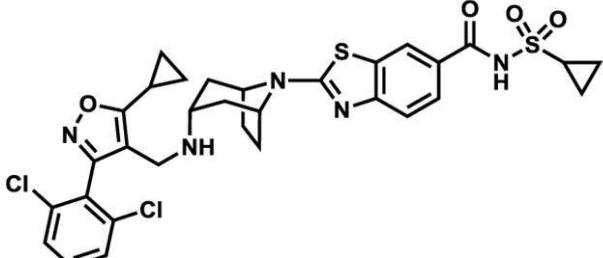
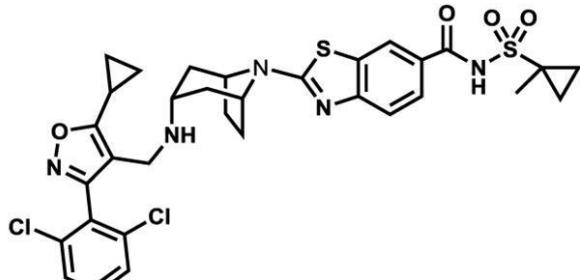
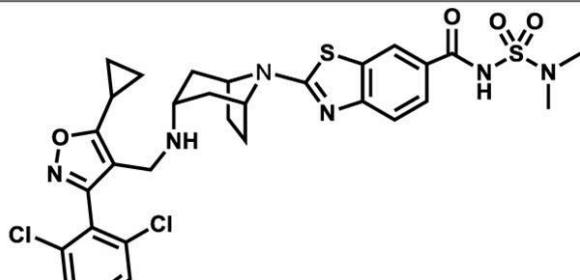
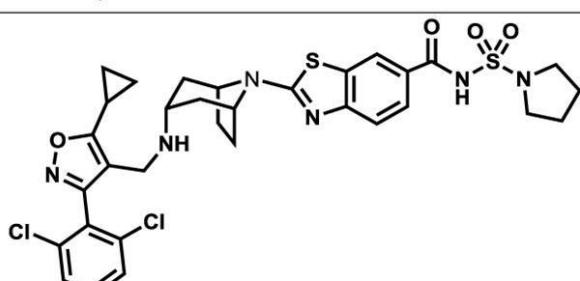
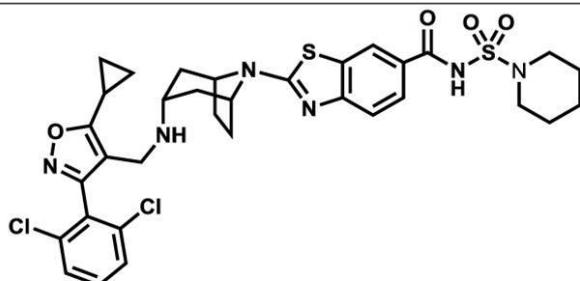
[表 7 - 4]

172	
173	
174	
175	
176	
177	
178	

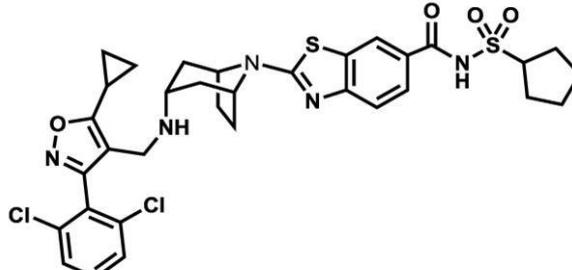
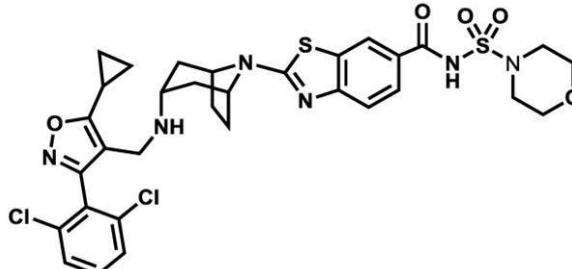
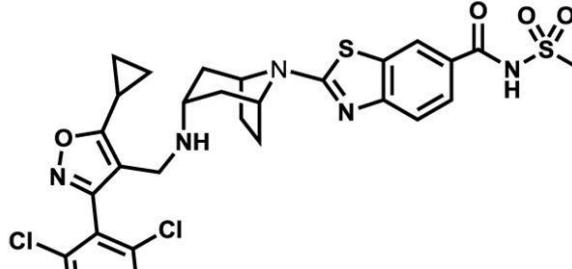
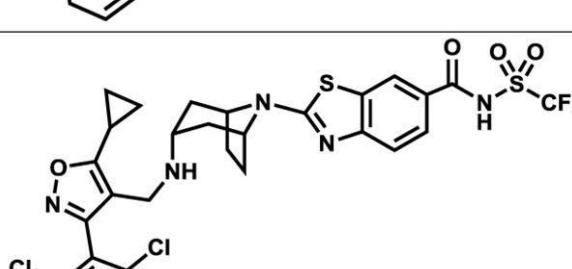
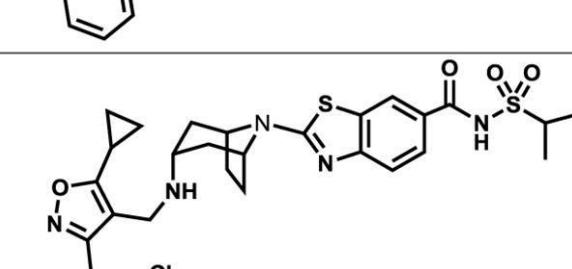
[表 7 - 5]

179	
183	
185	
186	
187	
188	

[表 7 - 6]

201	
202	
225	
227	
228	

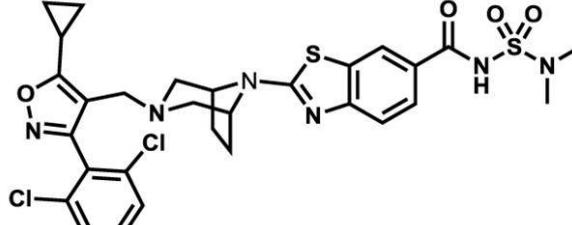
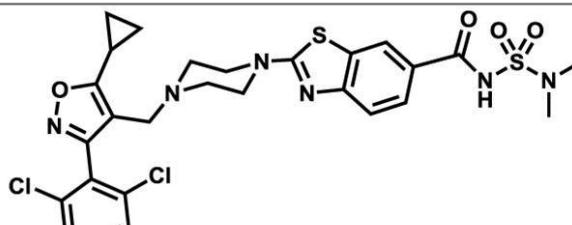
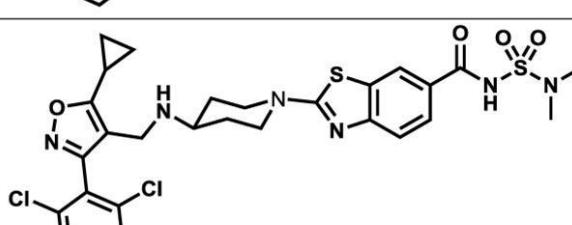
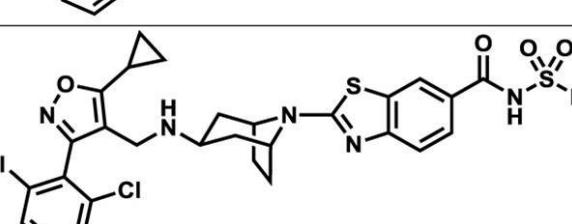
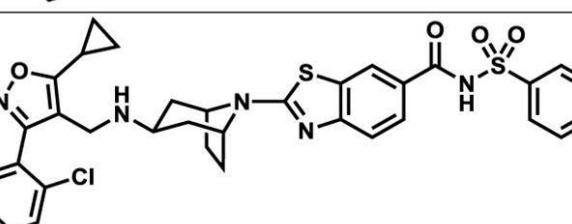
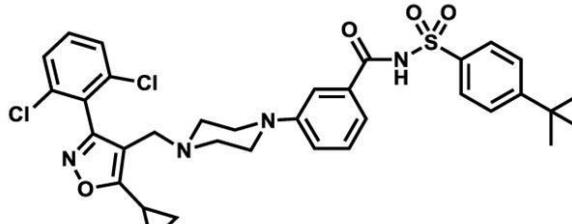
[表 7 - 7]

231	
234	
235	
236	
237	

[表 7 - 8]

239	
240	
257	
262	
268	
279	

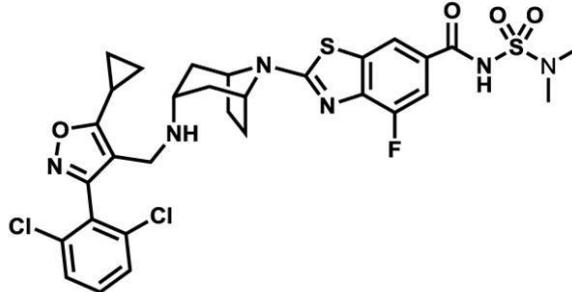
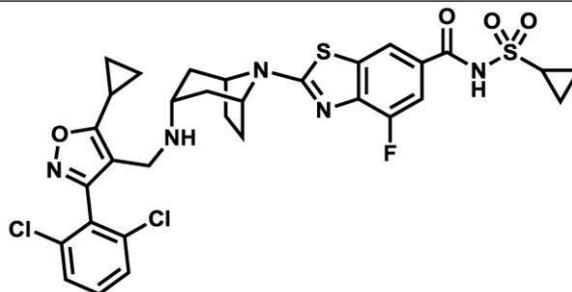
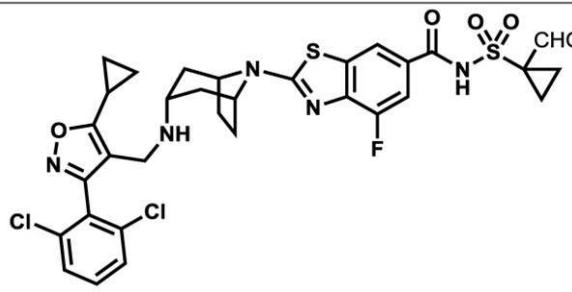
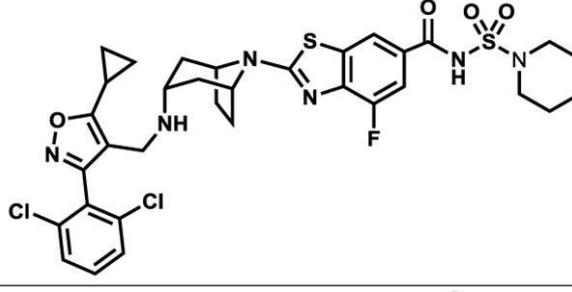
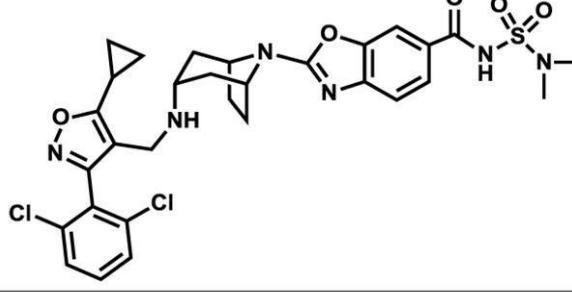
[表 7 - 9]

280	
281	
282	
283	
284	
285	

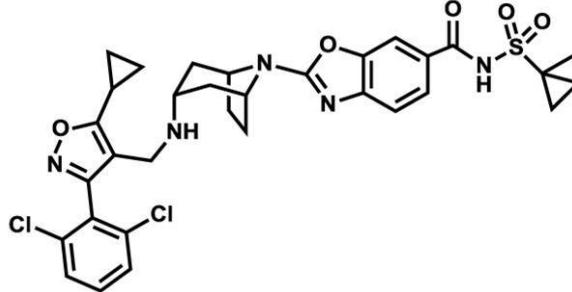
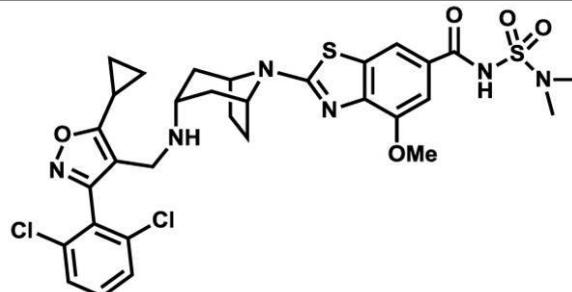
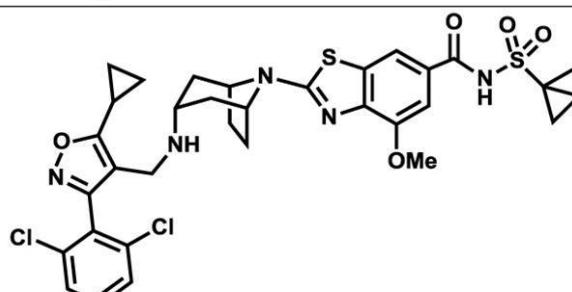
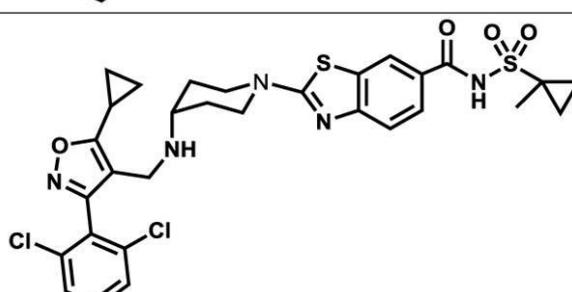
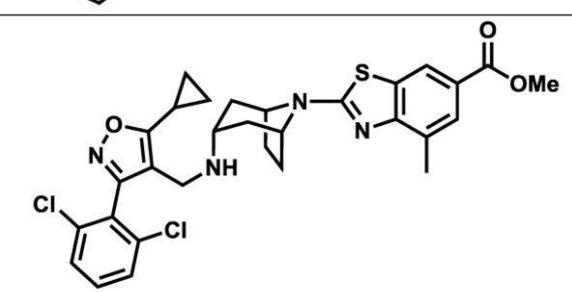
[表 7 - 10]

291	
292	
293	
294	
295	
296	

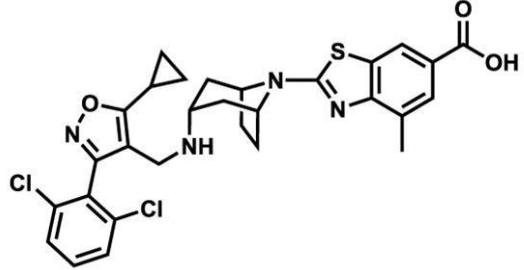
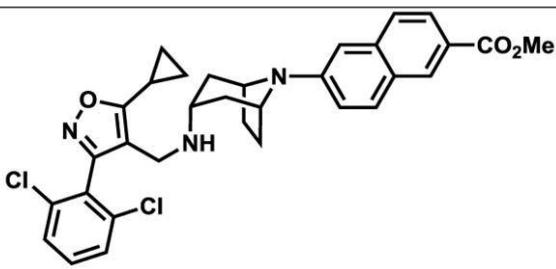
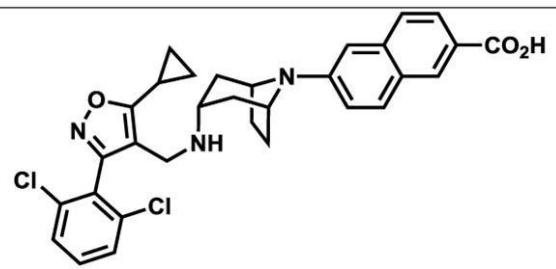
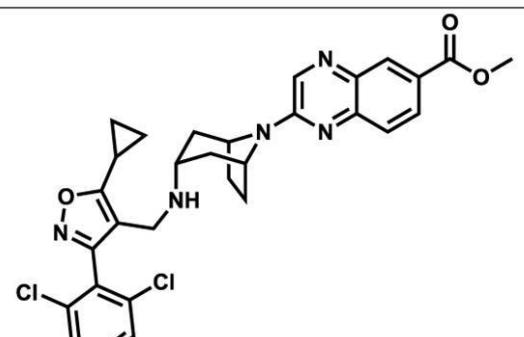
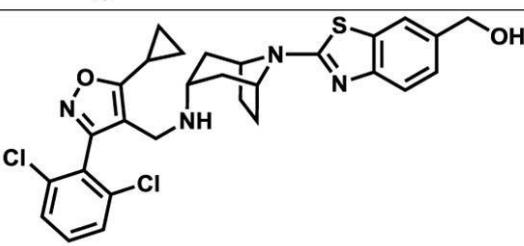
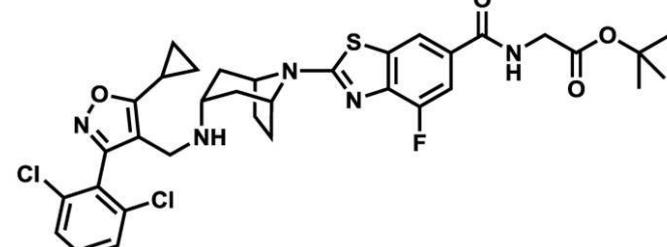
[表 7 - 1 1]

297	
298	
299	
300	
301	

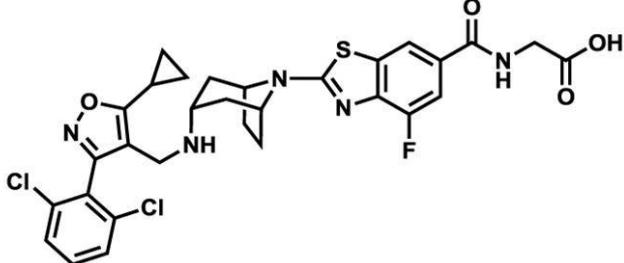
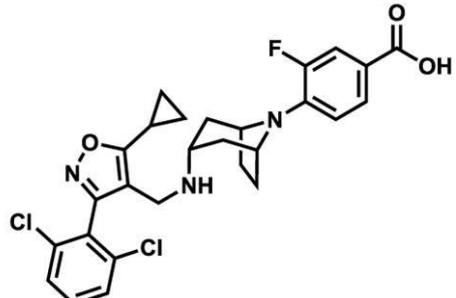
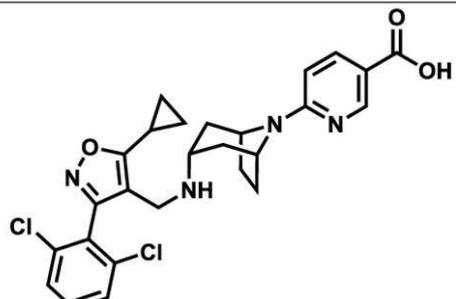
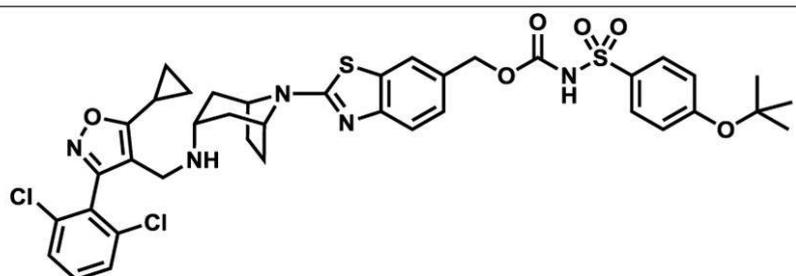
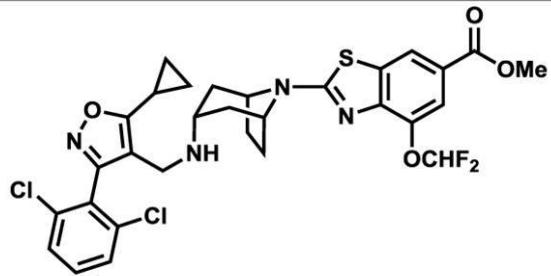
[表 7 - 1 2]

302	
303	
304	
305	
7	

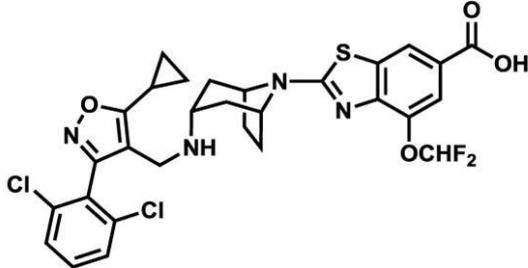
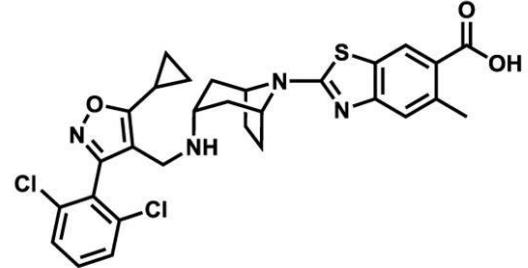
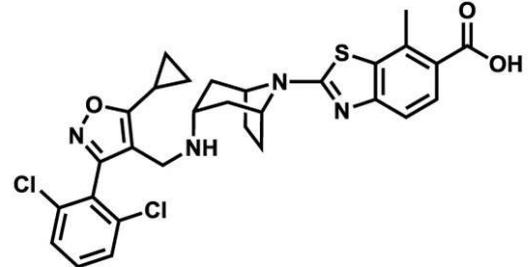
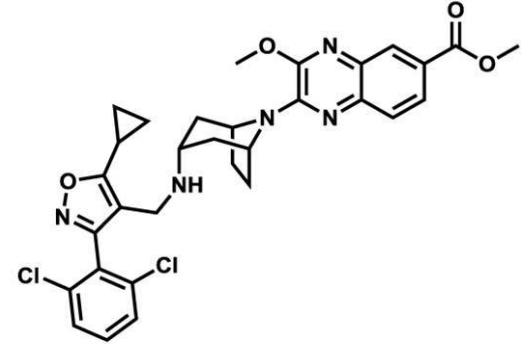
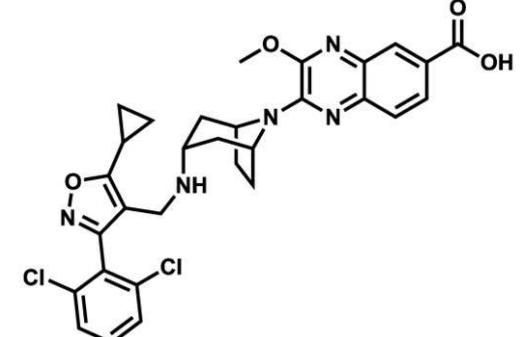
[表 7 - 1 3]

8	
49	
50	
64	
85	
95	

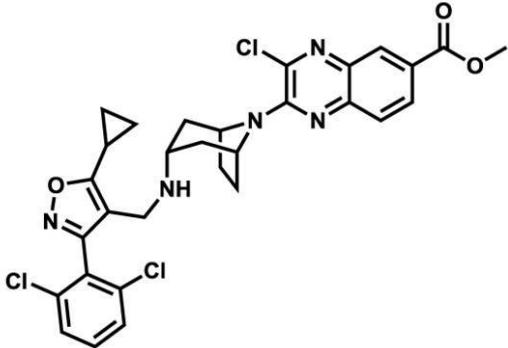
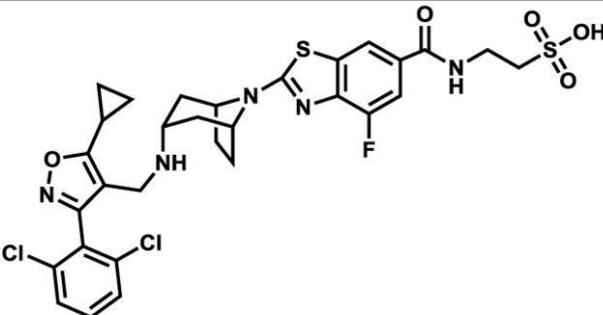
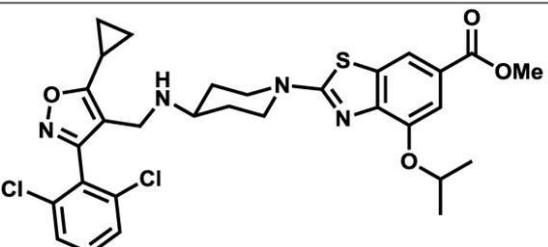
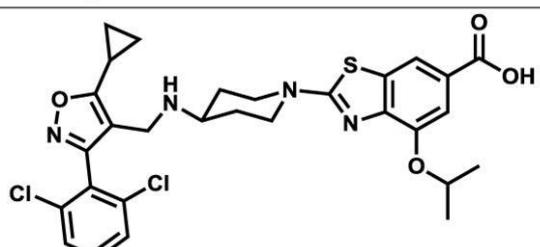
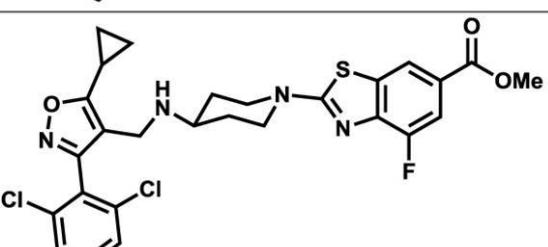
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153	
189	

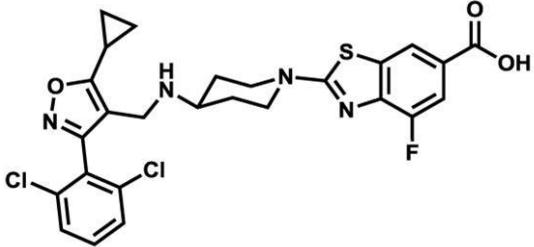
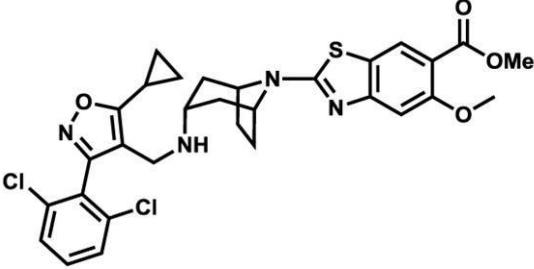
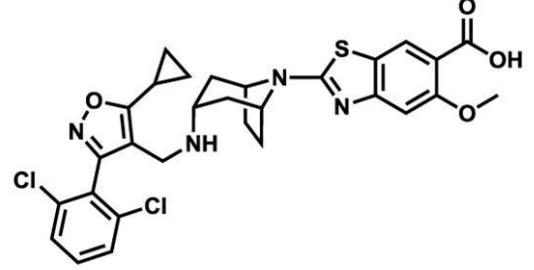
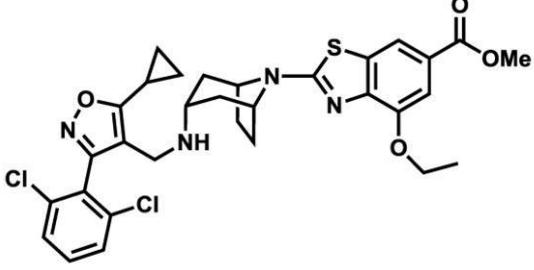
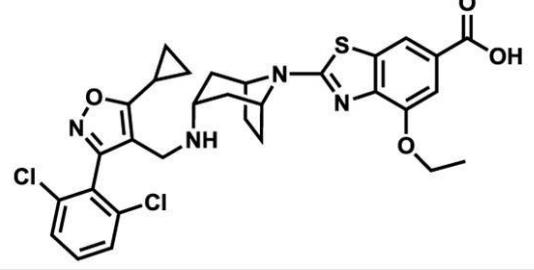
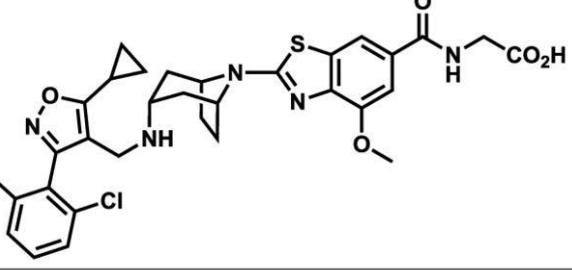
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190	
194	
196	
197	
198	

[表 7 - 1 6]

199	
200-1	
200-3	
200-4	
200-5	

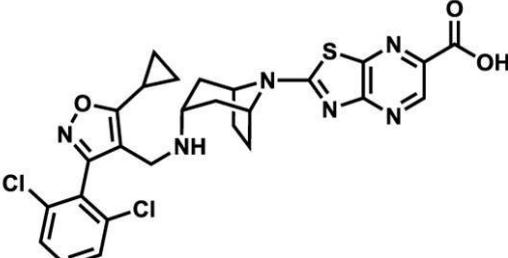
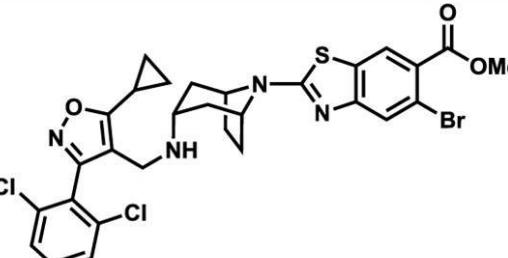
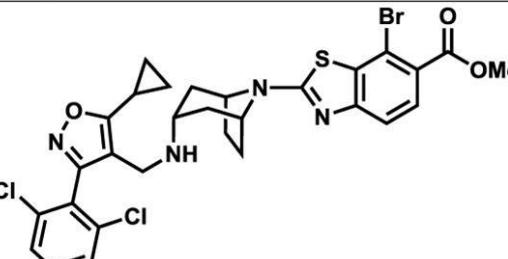
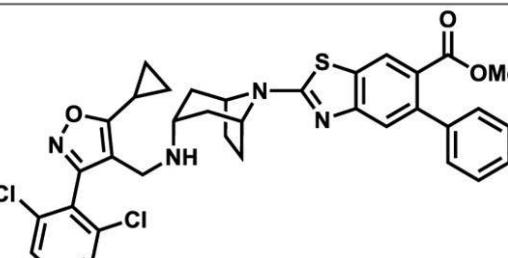
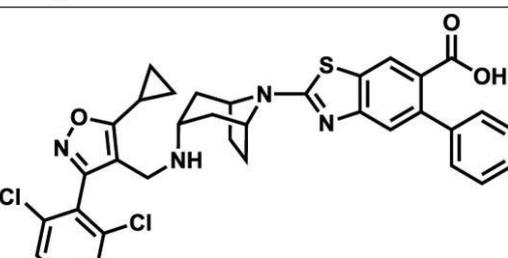
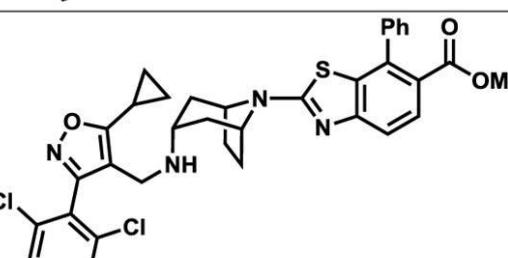
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200-9	
200-10	
200-11	

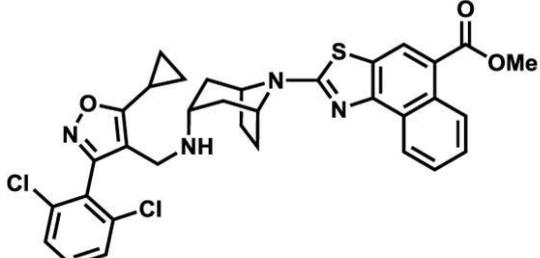
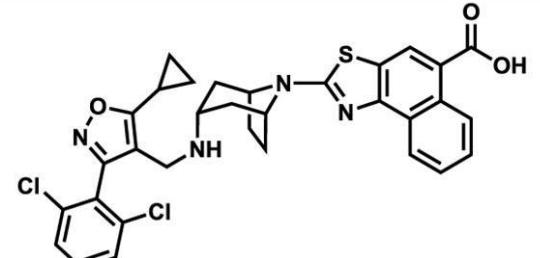
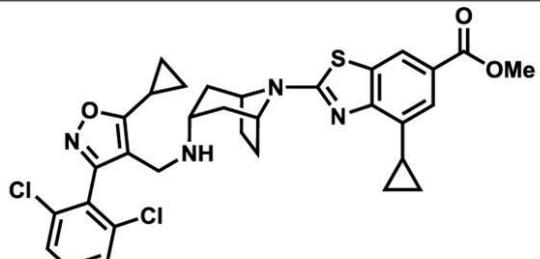
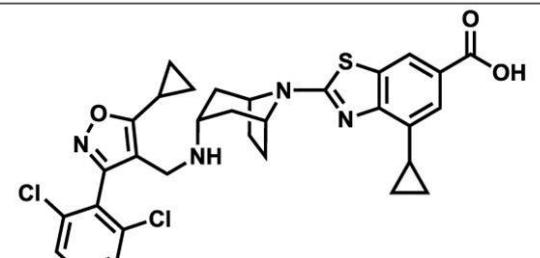
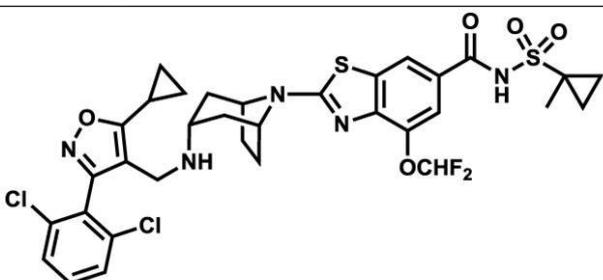
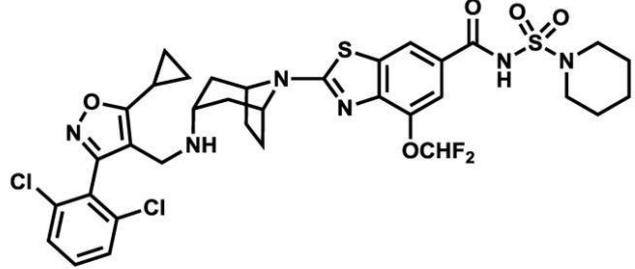
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200-16	
200-17	

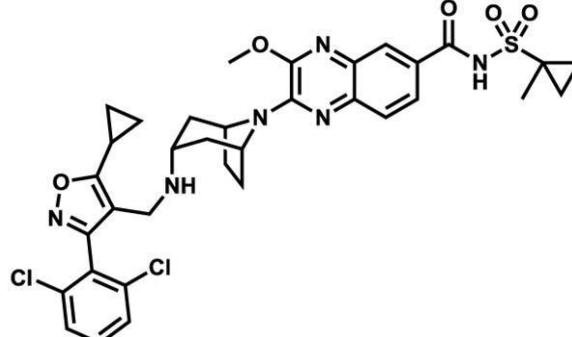
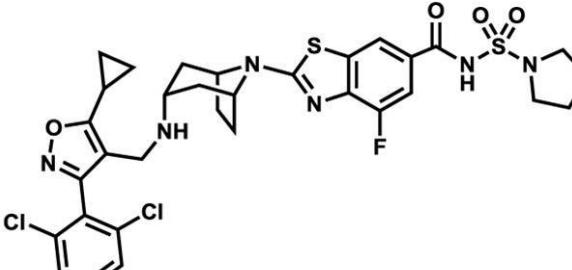
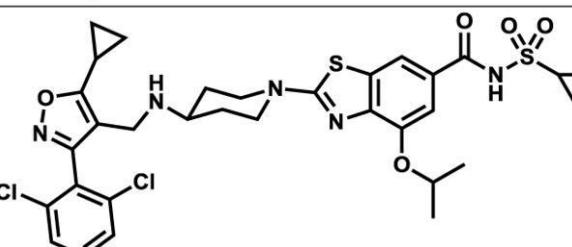
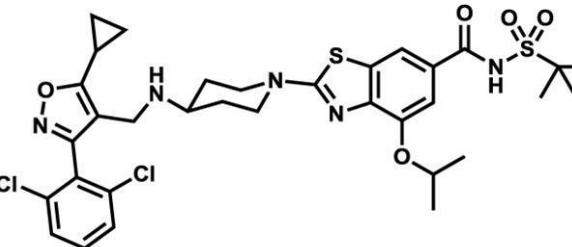
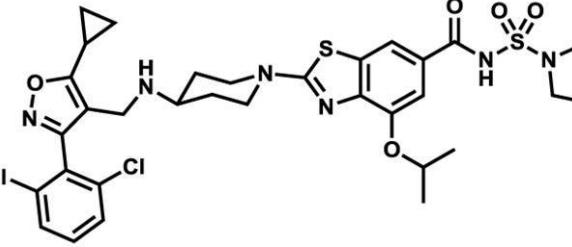
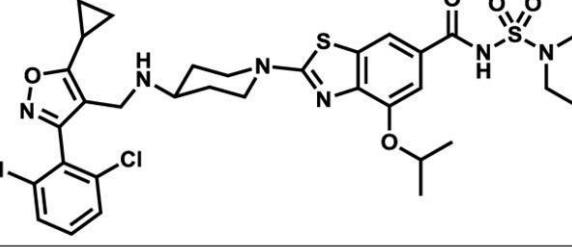
[表 7 - 1 9]

200-18	
200-19	
200-21	
200-23	
200-24	
200-25	

[表 7 - 20]

200-27	
200-28	
200-29	
200-30	
323	
328	

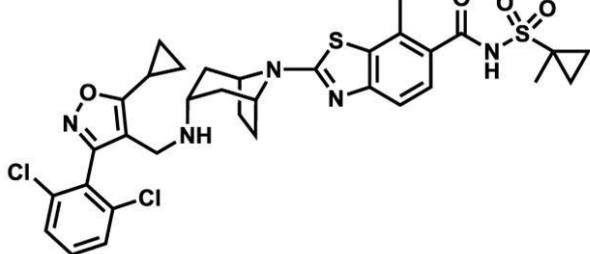
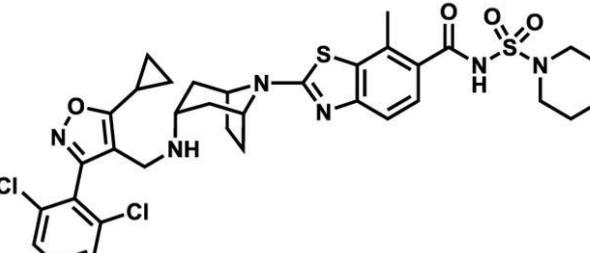
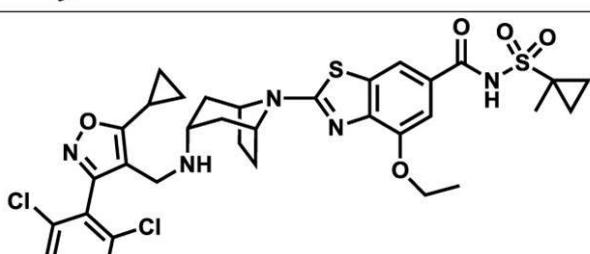
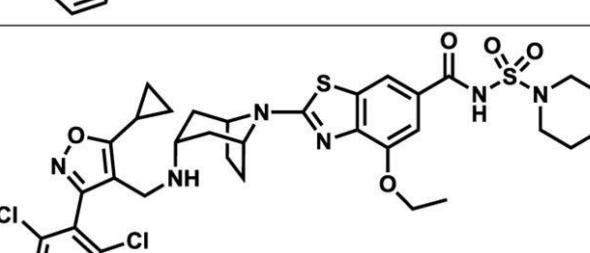
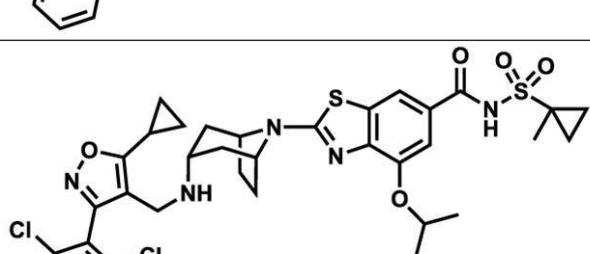
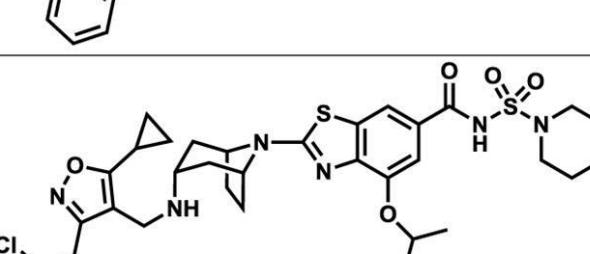
[表 7 - 21]

342	
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400-3	
400-4	
400-5	
400-6	

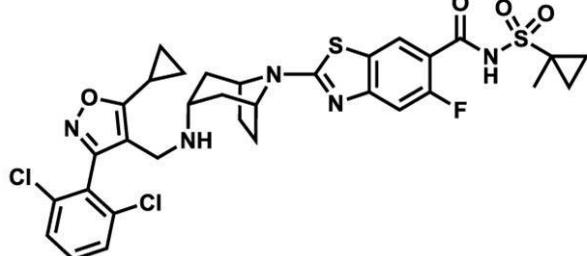
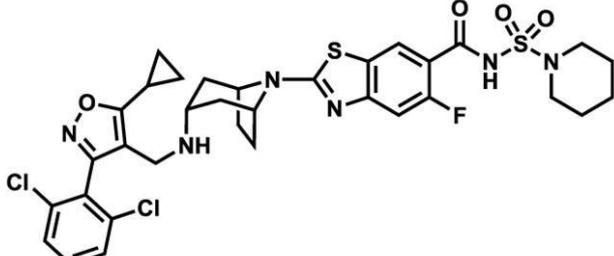
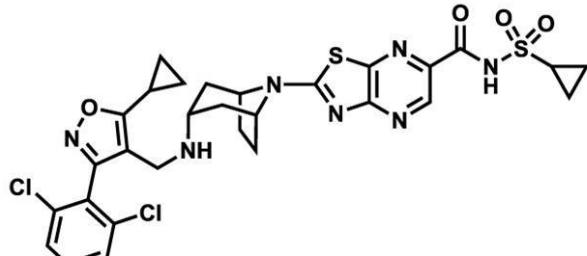
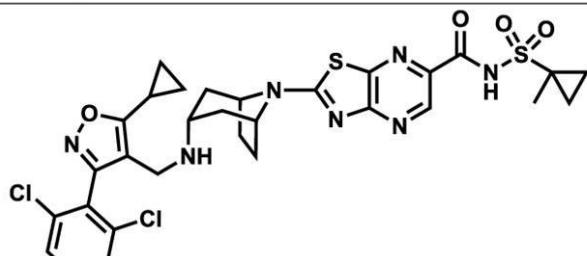
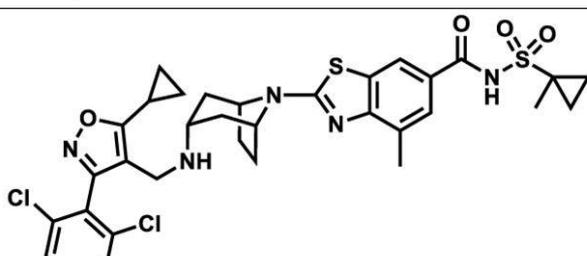
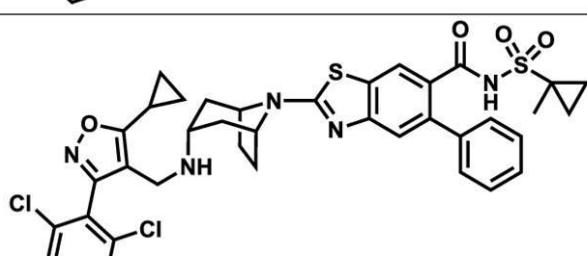
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400-8	
400-9	
400-10	
400-11	
400-12	

[表 7 - 2 3]

400-13	
400-14	
400-15	
400-16	
400-18	
400-20	

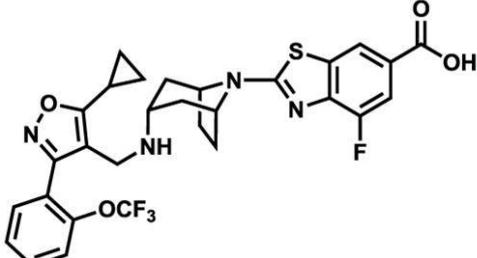
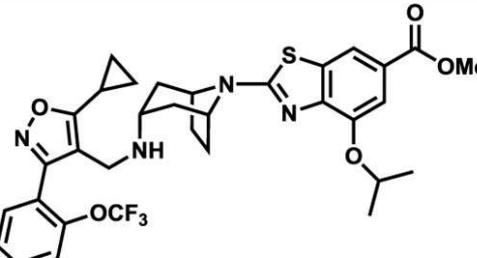
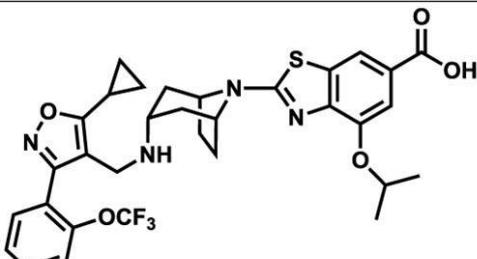
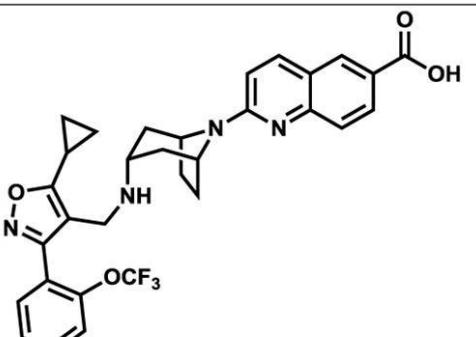
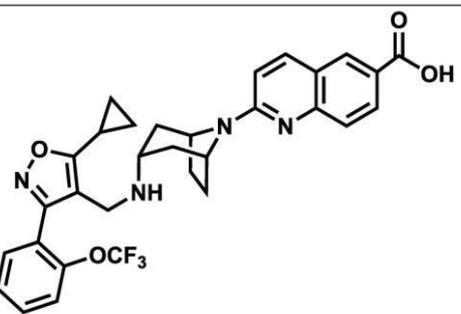
[表 7 - 24]

400-22	
400-24	
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400-26	
400-29	
400-32	

[表 7 - 25]

400-33	
400-35	
400-37	
402	
403	

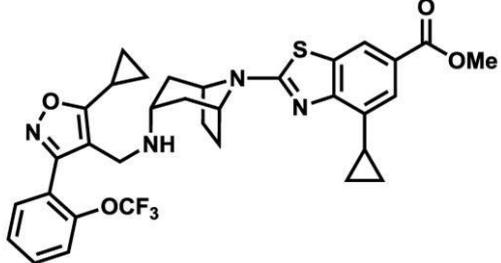
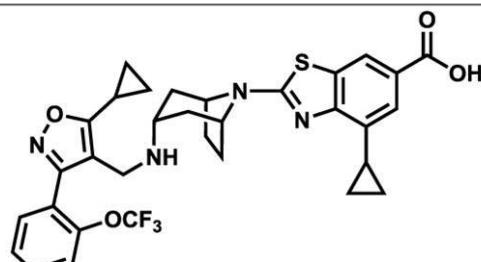
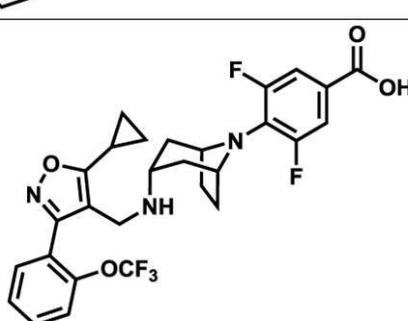
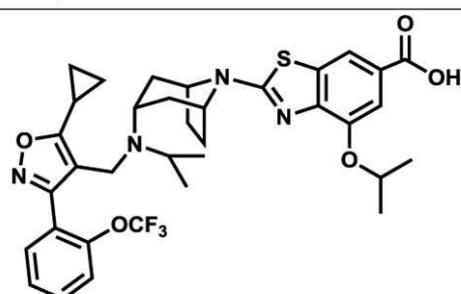
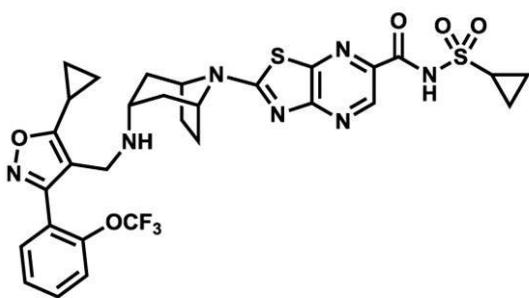
[表 7 - 2 6]

404	
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414	
510	
514	

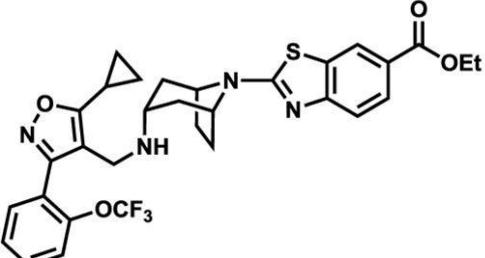
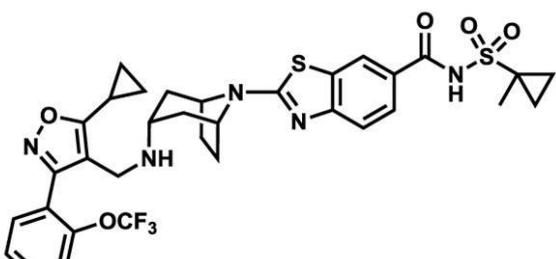
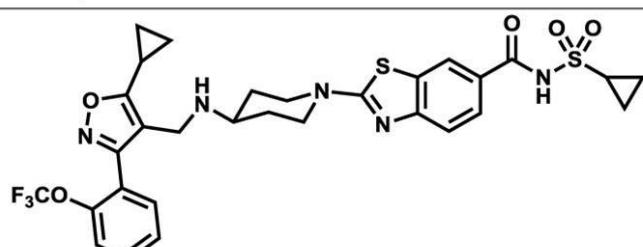
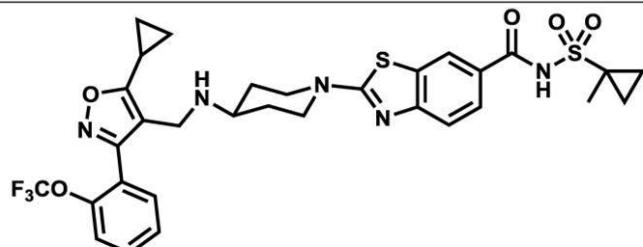
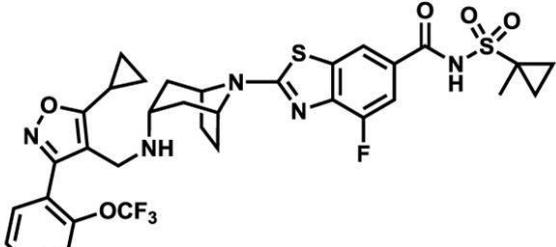
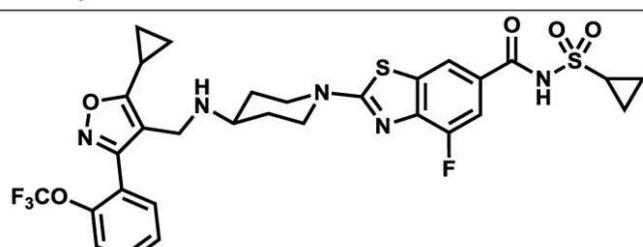
[表 7 - 27]

597	
638	
639	
640	
641	
642	

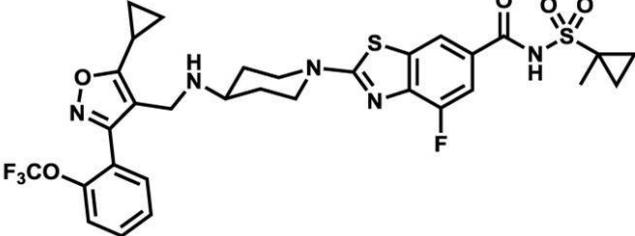
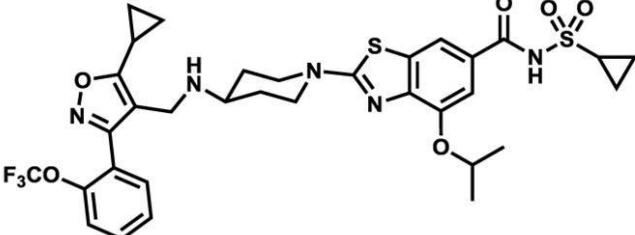
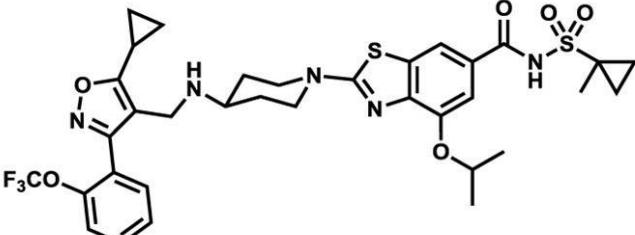
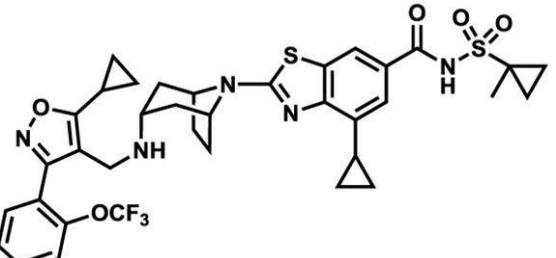
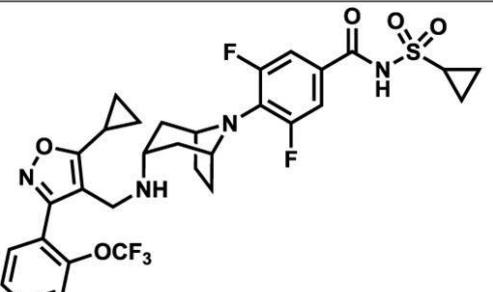
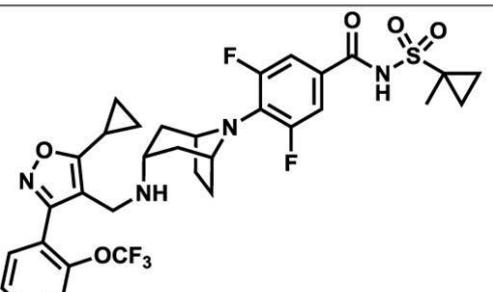
[表 7 - 2 8]

643	
644	
646	
693	
695	

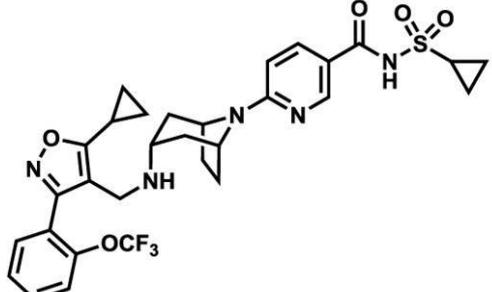
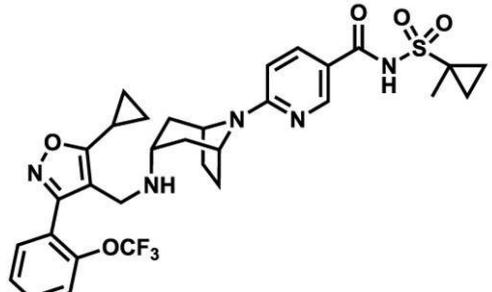
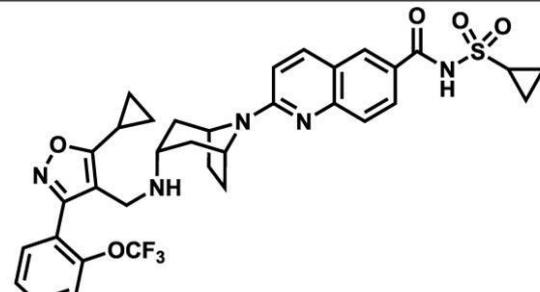
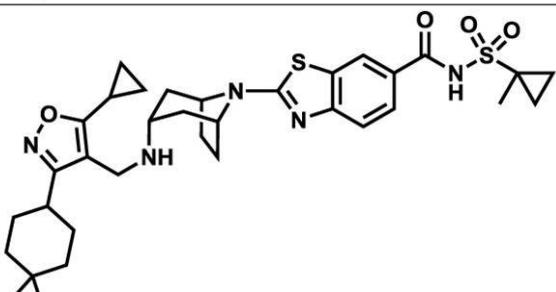
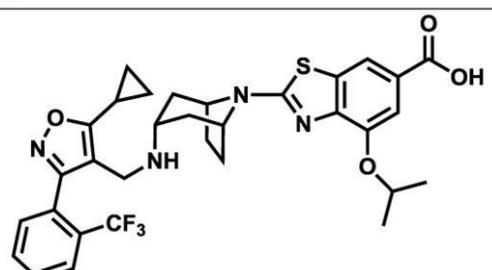
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696	
702	
791	
900-1	
900-2	
900-3	

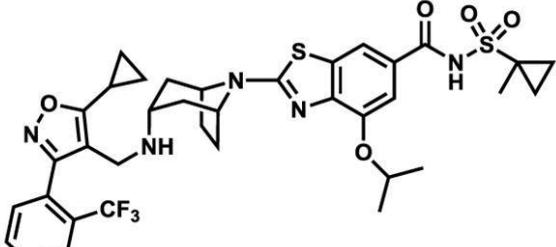
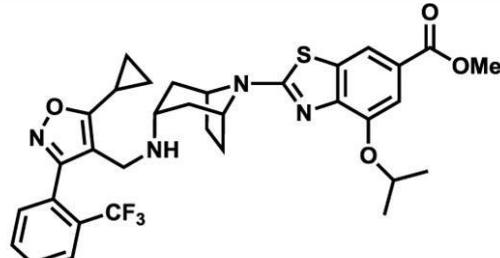
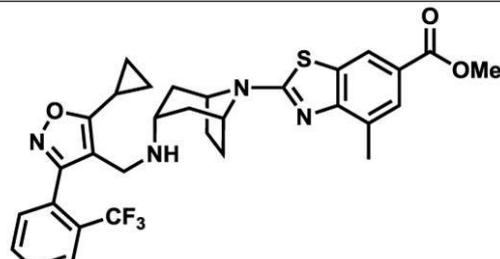
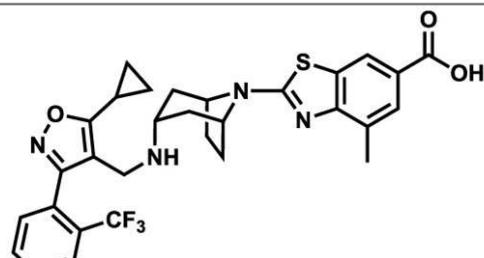
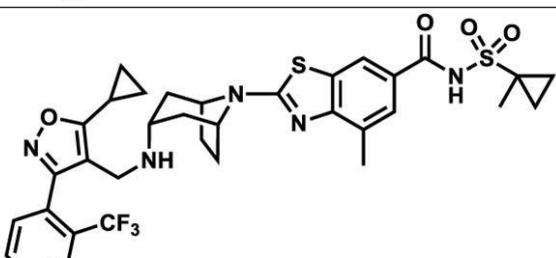
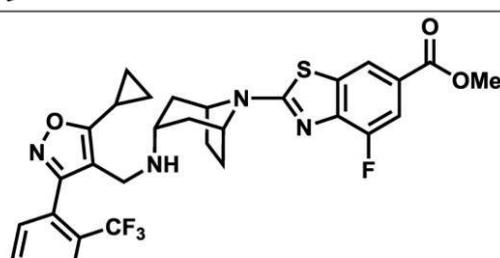
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900-5	
900-6	
900-9	
900-11	
900-12	

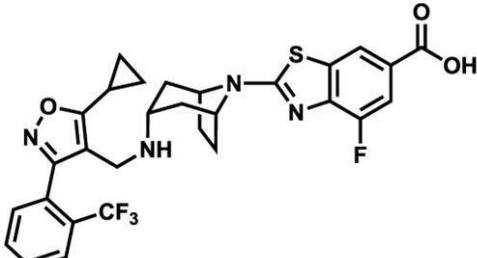
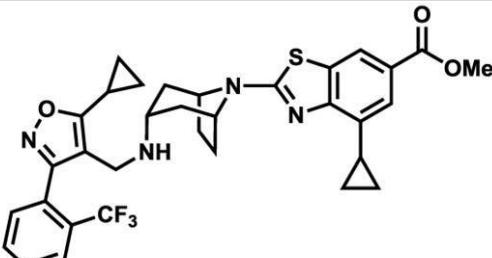
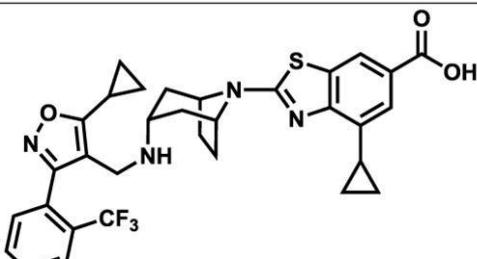
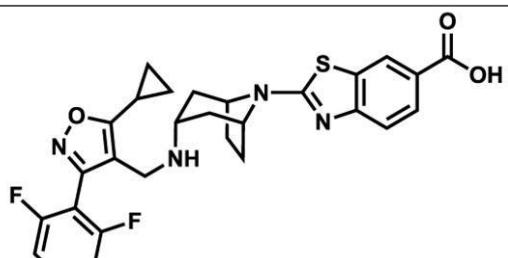
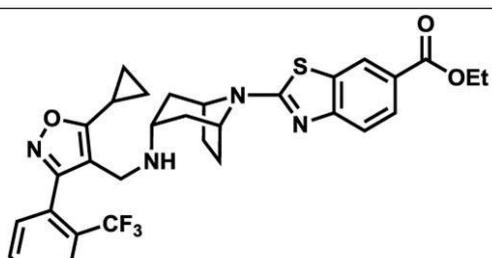
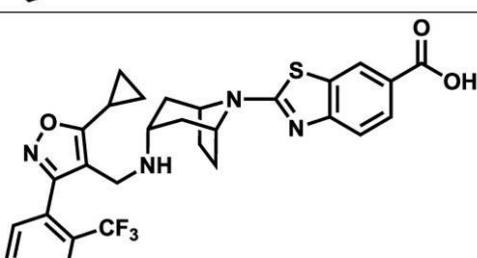
[表 7 - 3 1]

900-13	
900-14	
900-15	
1202	
1401	

[表 7 - 3 2]

1402	
1403	
1404	
1405	
1406	
1407	

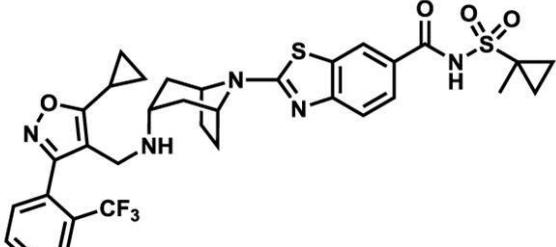
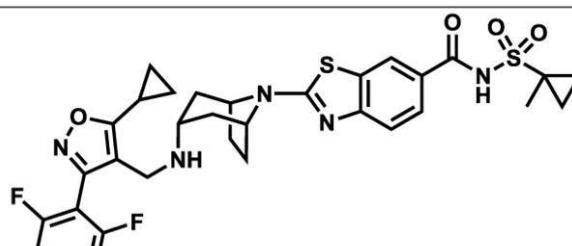
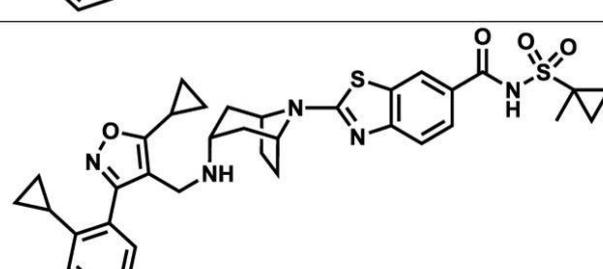
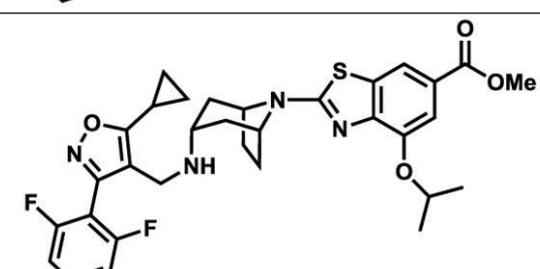
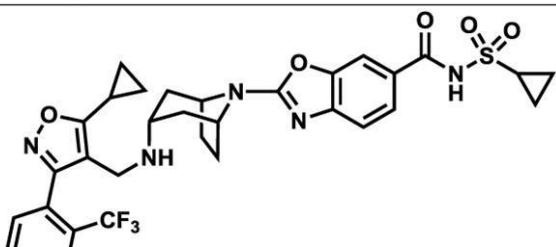
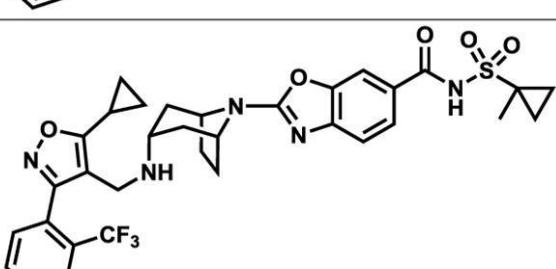
[表 7 - 3 3]

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1409	
1410	
1411	
1412	
1413	

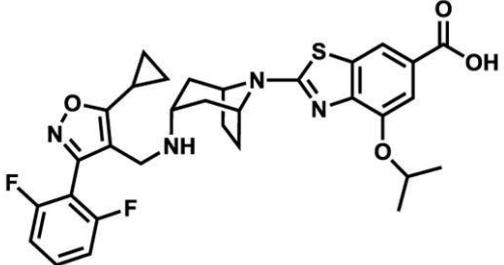
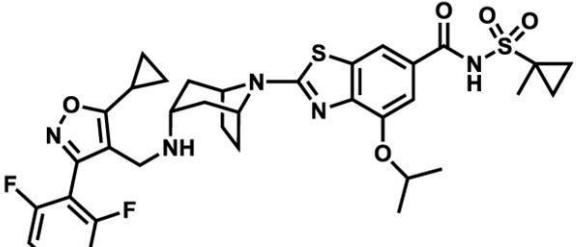
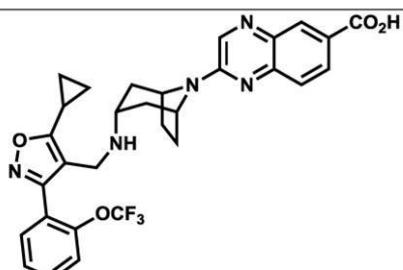
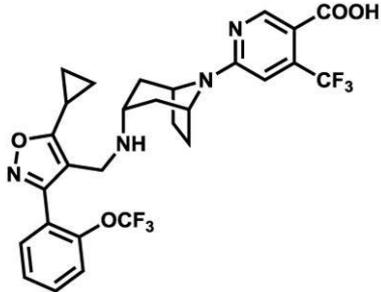
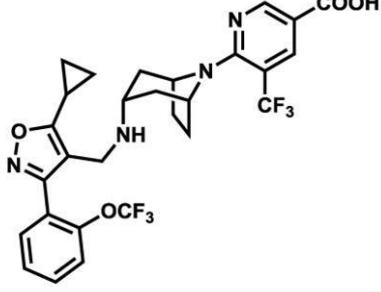
[表 7 - 3 4]

1414	
1415	
1416	
1417	
1418	
1419	

[表 7 - 35]

1420	
1421	
1422	
1423	
1424	
1425	

[表 7 - 3 6]

1426	
1428	
1429	
1430	
1431	

[発明 15]

治療上有効量の発明 1 から 14 のいずれか一項に記載の化合物を対象に投与するステップを含む、それを必要とする前記対象における FXR 媒介疾患または状態を予防または治療する方法。

[発明 16]

前記 FXR 媒介疾患または状態が慢性肝疾患、胃腸疾患、腎疾患、心血管疾患、線維性疾患および代謝性疾患からなる群から選択される、発明 15 に記載の方法。

[発明 17]

前記線維性疾患が原発性胆汁性肝硬変、原発性硬化性胆管炎、肺線維症、腎線維症および肝線維症から選択される、発明 16 に記載の方法。

[発明 18]

前記慢性肝疾患が原発性胆汁性肝硬変（PBC）、脳膜黄色腫症（CTX）、原発性硬化性胆管炎（PSC）、薬物誘発性胆汁うっ滞、妊娠性肝内胆汁うっ滞、非経口栄養関連胆汁うっ滞（PNAC）、細菌過剰増殖もしくは敗血症関連胆汁うっ滞、自己免疫性肝炎、慢性ウイルス性肝炎、アルコール性肝疾患、非アルコール性脂肪性肝疾患（NAFLD）、非アルコール性脂肪性肝炎（NASH）、肝移植関連移植片対宿主病、生体肝移植肝再生、先天性肝線維症、総胆管結石、肉芽腫性肝疾患、肝内または肝外悪性腫瘍、シェーゲレン症候群、サルコイドーシス、ウィルソン病、ゴーチェ病、ヘモクロマトーシスおよび1-アンチトリプシン欠乏症からなる群から選択される、発明16に記載の方法。

[発明19]

前記腎疾患が糖尿病性腎症、巢状分節性糸球体硬化症（FSGS）、高血圧性腎硬化症、慢性糸球体腎炎、慢性移植性糸球体症、慢性間質性腎炎および多発性囊胞腎からなる群から選択される、発明16に記載の方法。

[発明20]

前記心血管疾患がアテローム性動脈硬化症、動脈硬化症、脂質異常症、高コレステロール血症および高トリグリセリド血症からなる群から選択される、発明16に記載の方法。

[発明21]

前記代謝性疾患がインスリン抵抗性、I型およびII型糖尿病、ならびに肥満からなる群から選択される、発明16に記載の方法。

[発明22]

発明1から14のいずれか一項に記載の化合物と、薬学的に許容される担体とを含む医薬組成物。

[発明23]

FXR媒介疾患または状態を予防または治療するための医薬品を調製するための、発明1から14のいずれか一項に記載の化合物の使用。