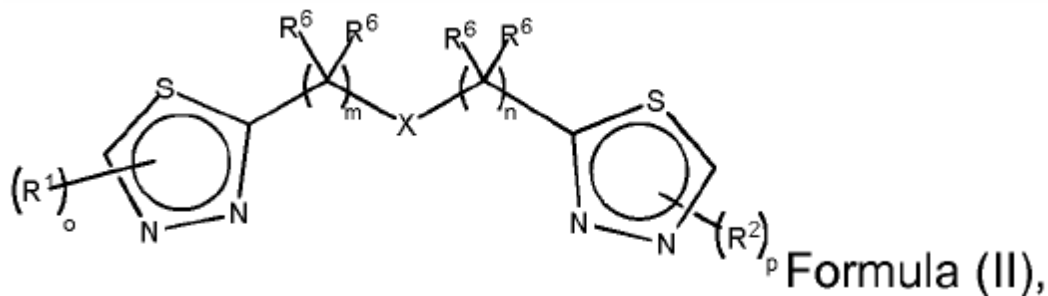


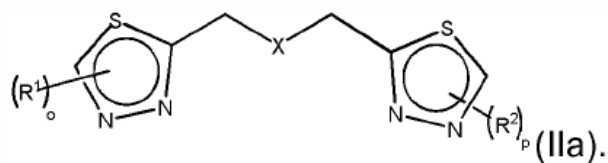
PATENTNI ZAHTJEVI

1. Spoj formule (II) ili njegova farmaceutski prihvatljiva sol:

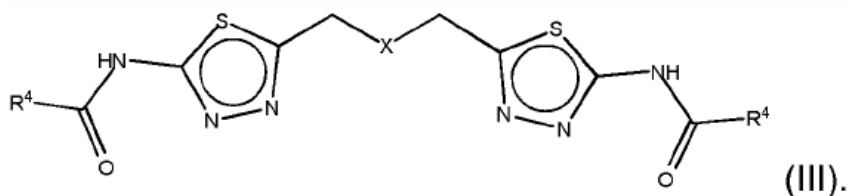


- 5 naznačen time što
- X je C₃-C₇ cikloalkilen
- svaki R¹ i R² je nezavisno -NH₂, -N(R³)-C(O)-R⁴, -C(O)-N(R³)-R⁴, -N(R³)-C(O)-O-R⁴, -N(R³)-C(O)-N(R³)-R⁴ ili -N(R³)-C(O)-SR⁴;
- svaki R³ je nezavisno vodik, C₁₋₆ alkil ili aril;
- 10 svaki R⁴ je nezavisno C₁₋₆ alkil, aril, heteroaril, aralkil, heteroaralkil, cikloalkil, cikloalkilalkil, heterociklilalkil ili heterociklil, od kojih je svaki supstituiran sa 0-3 R⁵;
- svaki R⁵ je nezavisno C₁₋₆ alkil, C₁₋₆ alkoksi, -O-C₁₋₆ alkilenC₁₋₆ alkoksi, -O-heterociklil, C₁₋₆ tioalkoksi, C₁₋₆ haloalkil, C₃₋₇ cikloalkil, C₃₋₇ cikloalkilalkil, aril, heteroaril, aralkil, heteroaralkil, heterociklilalkil, heterociklil, cijano, halo, okso, -OH, -OCF₃, -OCHF₂, -SO₂-C₁₋₆ alkil, -NO₂, -N(R⁷)-C(O)-C₁₋₆ alkil, -C(O)N(R⁷)₂, -N(R⁷)S(O)₁₋₂-C₁₋₆ alkil, -S(O)₂N(R⁷)₂, -N(R⁷)₂ ili -C₁₋₆ alkilen-N(R⁷)₂, pri čemu je navedeni alkil, C₁₋₆ alkoksi, -OC₁₋₆alkilenC₁₋₆ alkoksi, -O-heterociklil, C₁₋₆ tioalkoksi, C₁₋₆ haloalkil, C₃₋₇ cikloalkil, C₃₋₇ cikloalkilalkil, aril, heteroaril, aralkil, heteroaralkil, heterociklilalkil, heterociklil, -SO₂-C₁₋₆ alkil, -NO₂, -N(R⁷)-C(O)-C₁₋₆ alkil, -C(O)N(R⁷)₂, -N(R⁷)S(O)₁₋₂-C₁₋₆ alkil, -S(O)₂N(R⁷)₂, -N(R⁷)₂ ili -C₁₋₆ alkilen-N(R⁷)₂ izborno supstituiran sa 0-3 R⁸; ili dvije susjedne R⁵ grupe, uzete zajedno s atomima za koje su vezane formiraju cikloalkil ili heterociklil;
- 15 svaki R₆ je nezavisno vodik, fluoro, C₁₋₆ alkil, -OH, -NH₂, -NH(CH₃), -N(CH₃)₂ ili C₁₋₆ alkoksi;
- svaki R⁷ je nezavisno vodik ili C₁₋₆ alkil;
- svaki R⁸ je nezavisno halo, C₁₋₆ alkil, C₁₋₆ haloalkil, -OH, -N(R⁷)₂ ili C₁₋₆ alkoksi, -O-C₁₋₆alkilenC₁₋₆ alkoksi, CN, NO₂, -N(R⁷)-C(O)-C₁₋₆ alkil, -C(O)N(R⁷)₂, -N(R⁷)S(O)₁₋₂-C₁₋₆ alkil ili -S(O)₂N(R⁷)₂;
- m je 0, 1 ili 2;
- 25 n je 0, 1 ili 2;
- o je 1
- p je 1 i pri čemu
- "alkil" označava zasićeni ugljikovodični lanac koji može biti ravni lanac ili razgranati lanac, koji sadrži naznačeni broj atoma ugljika;
- 30 "aril" označava fenil, naftil ili antraceni;
- "heteroaril" označava 5-14 -člani aromatični sistem prstena koji ima 1-3 heteroatoma u prstenu ako je monocikličan, 1-6 heteroatoma u prstenu ako je bicikličan ili 1-9 heteroatoma u prstenu ako je tricikličan, pri čemu su navedeni heteroatomi prstena nezavisno izabrani od O, N i S;
- "aralkil" označava C₁-C₁₂ alkil grupu u kojoj je alkil atom vodika zamijenjen aril grupom;
- 35 "heteroaralkil", označava C₁-C₁₂ alkil grupu u kojoj je alkil atom vodika zamijenjen heteroaril grupom;
- "cikloalkil" označava ciklične, biciklične, triciklične ili policiklične nearomatične ugljikovodične grupe koje imaju 3 do 12 ugljika.
- "cikloalkilalkil" označava C₁-C₁₂ alkil grupu u kojoj alkil atom vodika je zamijenjen cikloalkil grupom;
- 40 "heterociklilalkil", označava C₁-C₁₂ alkil grupu u kojoj je alkil atom vodika zamijenjen heterocikličnom grupom; i
- "heterociklil" označava 3 do 14-člane nearomatične strukture prstena, čije strukture prstena obuhvaćaju jedan do četiri heteroatoma nezavisno izabranih od O, N i S;
- uz uvjet da (1) kada je X nesupstituirani ciklopropil, R¹ i R² nisu oba -NH₂ ili -NH-C(O)-fenil; (2) kada je X nesupstituirani ciklopropil, i m i n su oba 1, tada R¹ i R² nisu oba -NH-C(O)-benzil.
- 45 2. Spoj prema patentnom zahtjevu 1 ili njegova farmaceutski prihvatljiva sol, naznačen time što m je 0 i n je 0.
3. Spoj prema patentnom zahtjevu 1 ili njegova farmaceutski prihvatljiva sol, naznačen time što n je 1 i m je 1.
4. Spoj prema patentnom zahtjevu 3 ili njegova farmaceutski prihvatljiva sol, naznačen time što je svaki R⁶ vodik.
5. Spoj prema patentnom zahtjevu 1 ili njegova farmaceutski prihvatljiva sol, naznačen time što su R¹ i R² isti.
6. Spoj prema patentnom zahtjevu 1 ili njegova farmaceutski prihvatljiva sol, naznačen time što su R¹ i R² različiti.
- 50 7. Spoj prema patentnom zahtjevu 1 ili njegova farmaceutski prihvatljiva sol, naznačena time što R¹ i R² su svaki -N(R³)-C(O)-R⁴ pri čemu svaki R³ je vodik i svaki R⁴ je aralkil ili heteroaralkil, od kojih je svaki supstituiran s 0-3 R⁵.

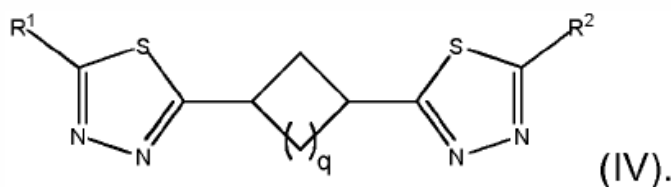
8. Spoj prema patentnom zahtjevu 1 ili njegova farmaceutski prihvatljiva sol, naznačen time što spoj je spoj formule (IIa):



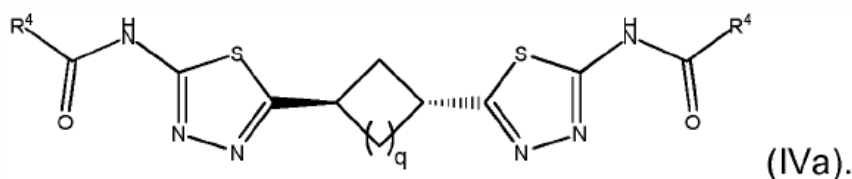
9. Spoj prema patentnom zahtjevu 1 ili njegova farmaceutski prihvatljiva sol, naznačen time što spoj je spoj formule (III):



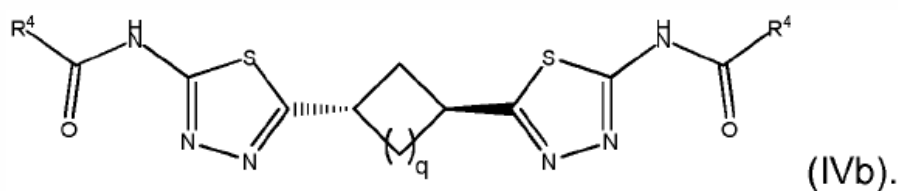
10. Spoj prema patentnom zahtjevu 1 ili njegova farmaceutski prihvatljiva sol, naznačen time što spoj je spoj formule (IV) i q je 0, 1, 2, 3 ili 4:



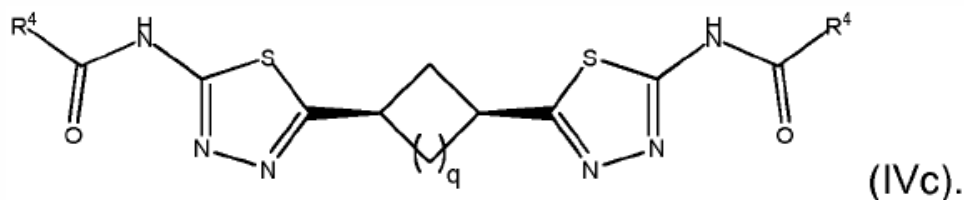
11. Spoj prema patentnom zahtjevu 1 ili njegova farmaceutski prihvatljiva sol, naznačena time što spoj je spoj formule (IVa) i q je 0, 1, 2, 3 ili 4:



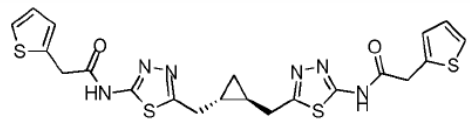
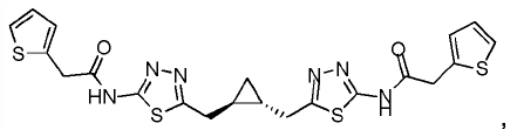
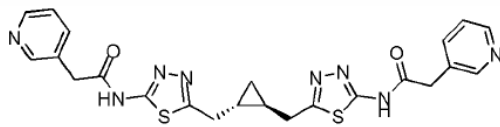
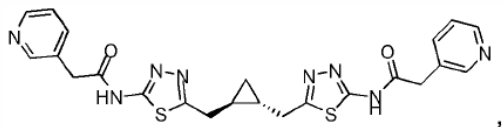
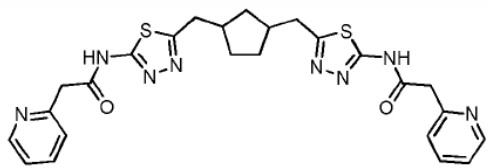
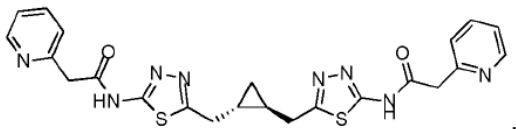
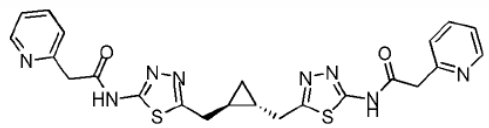
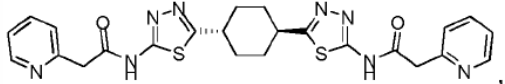
12. Spoj prema patentnom zahtjevu 1 ili njegova farmaceutski prihvatljiva sol, naznačena time što spoj je spoj formule (IVb) i q je 0, 1, 2, 3 ili 4:

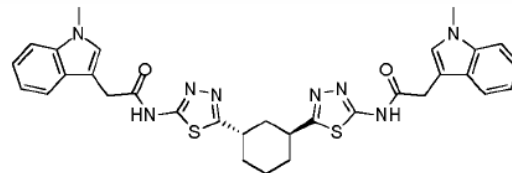
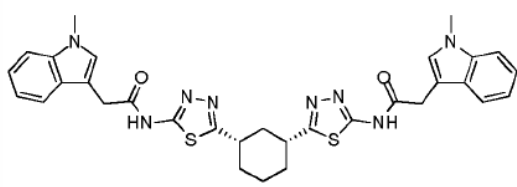
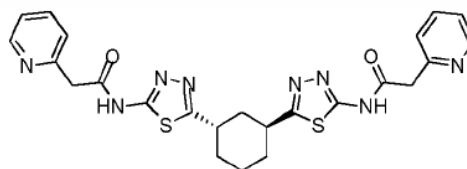
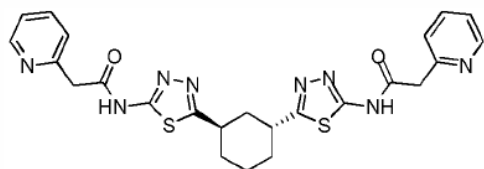
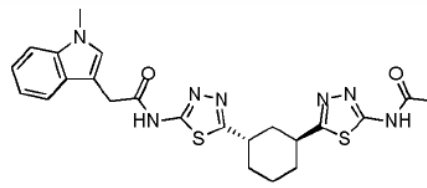
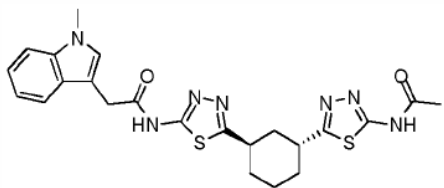
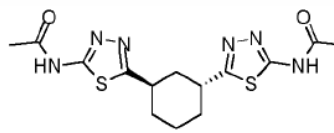
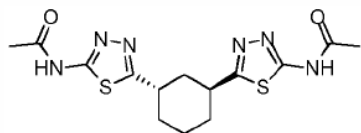
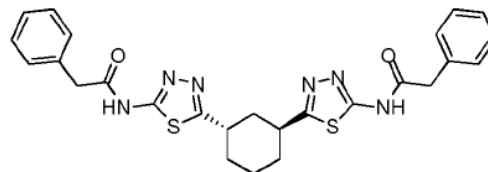
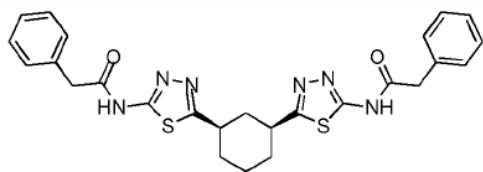
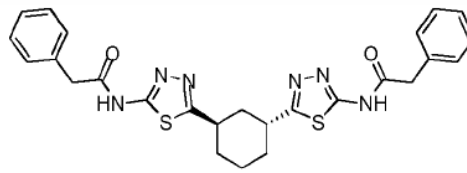
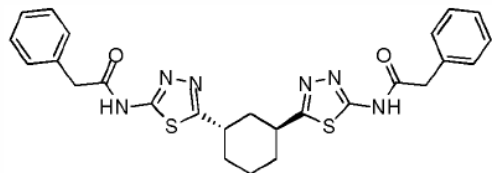
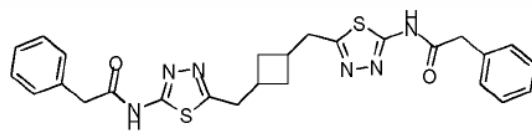
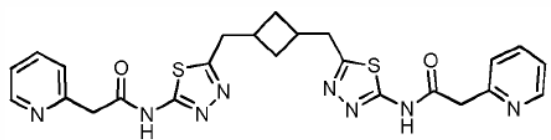


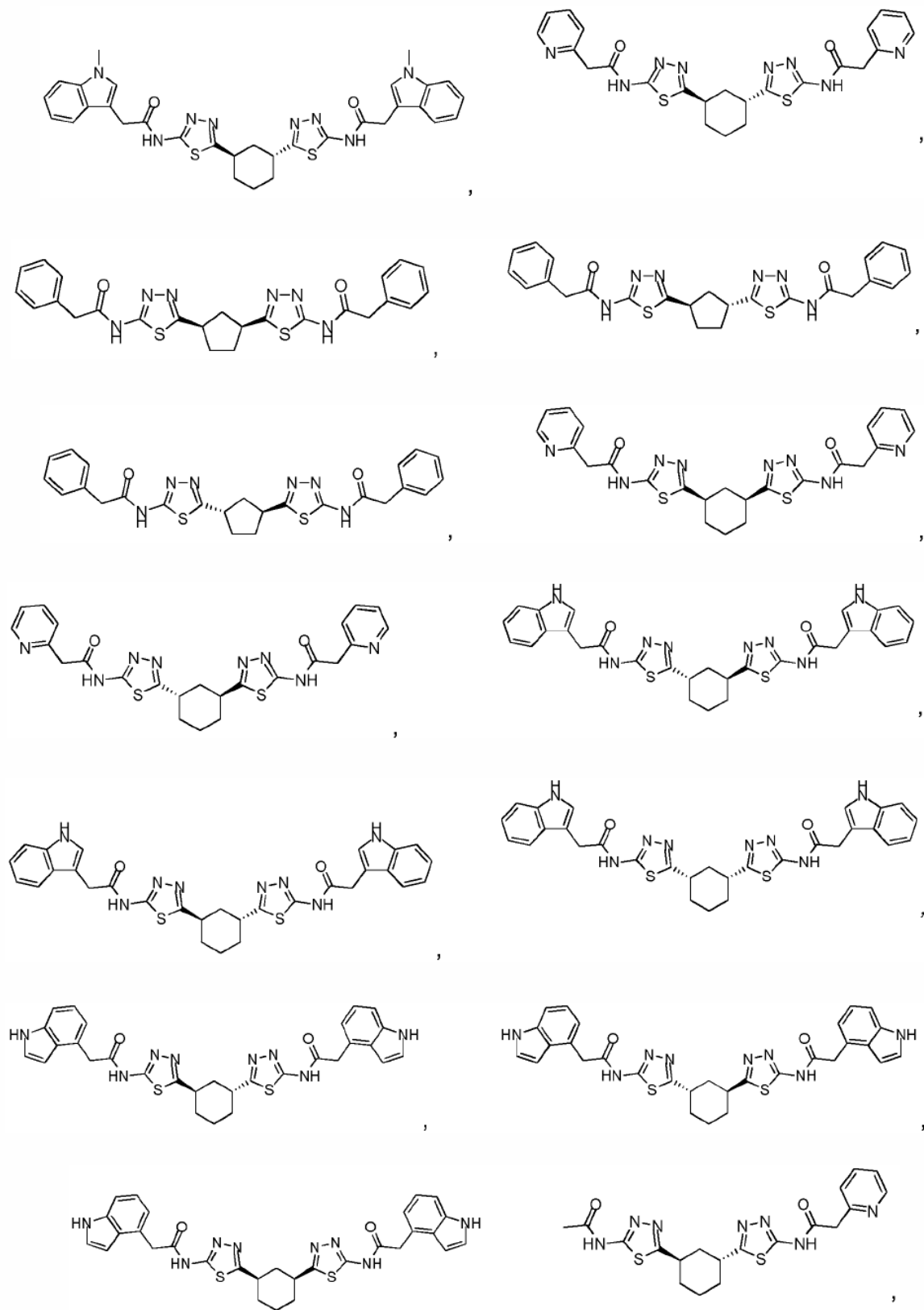
13. Spoj prema patentnom zahtjevu 1 ili njegova farmaceutski prihvatljiva sol, naznačena time što spoj je spoj formule (IVc) i q je 0, 1, 2, 3 ili 4:

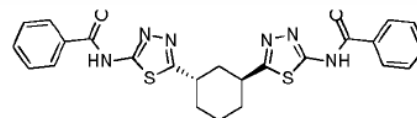
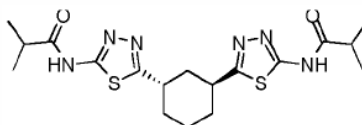
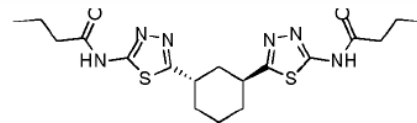
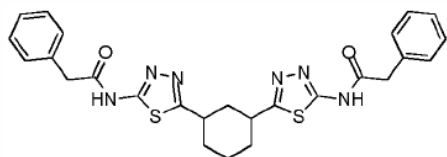
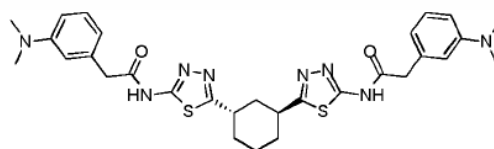
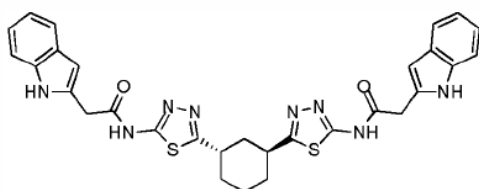
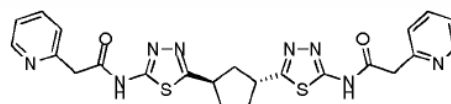
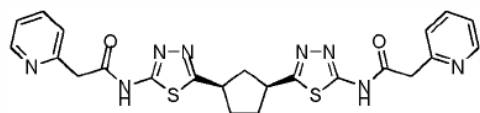
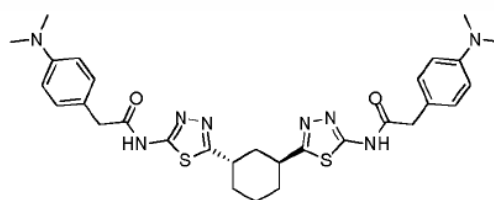
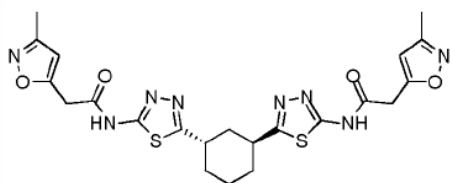
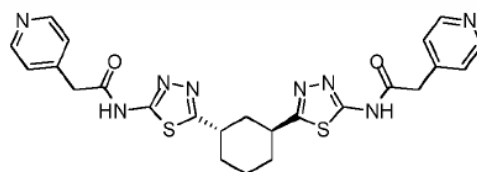
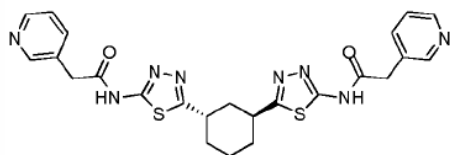
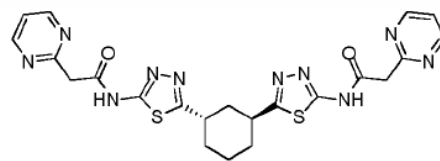
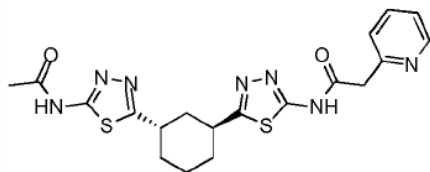


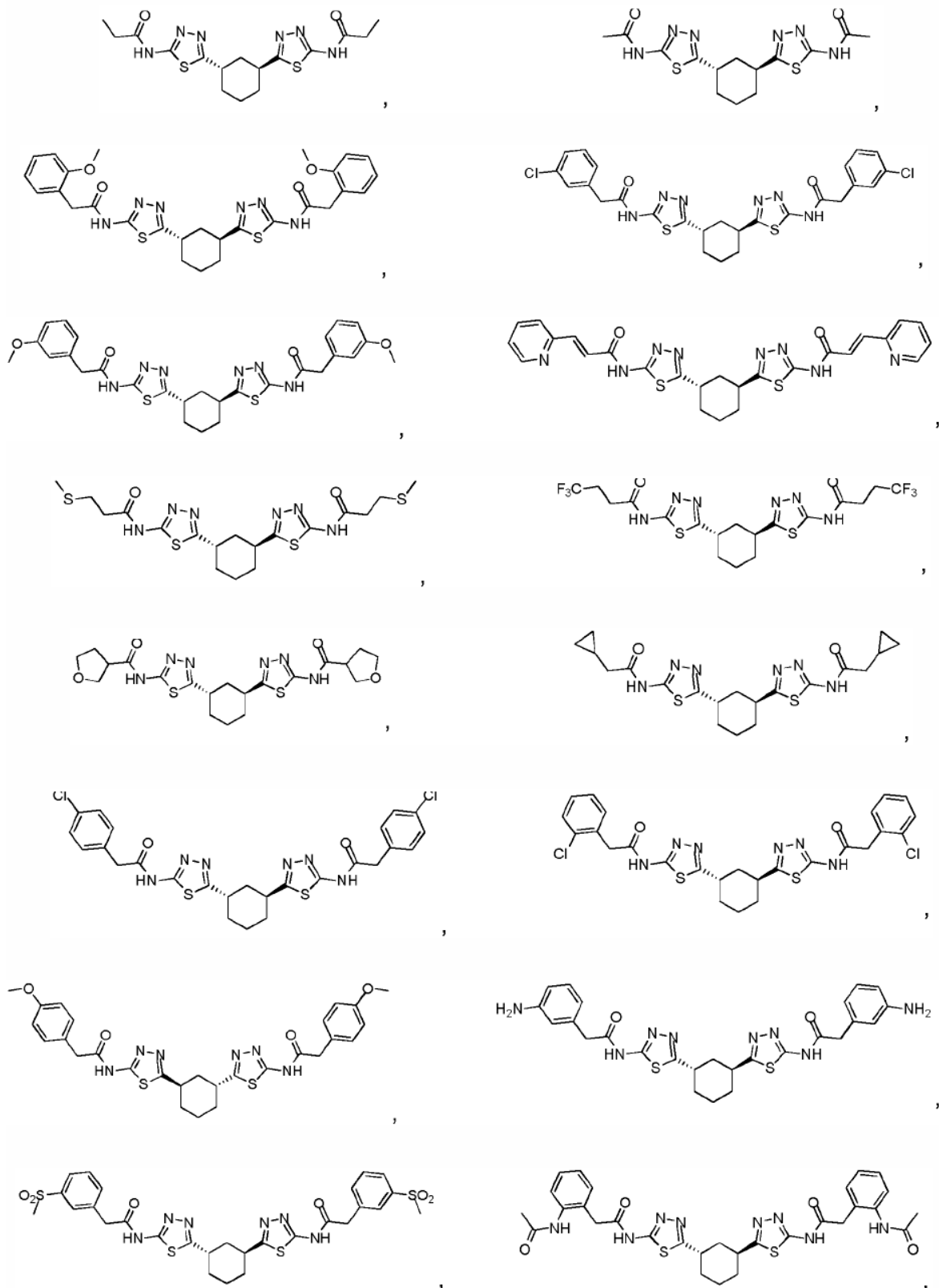
14. Spoj prema patentnom zahtjevu 1 ili njegova farmaceutski prihvatljiva sol, koji je izabran iz grupe koja se sastoji od:

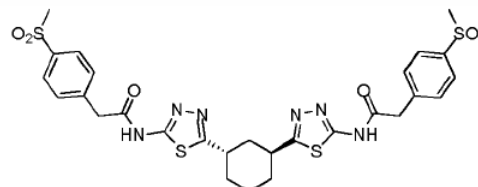
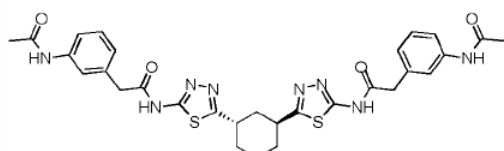
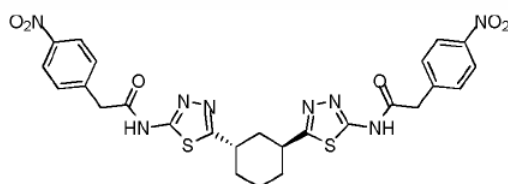
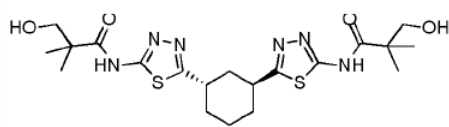
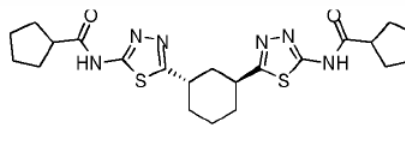
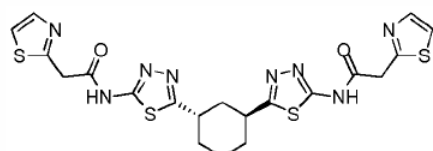
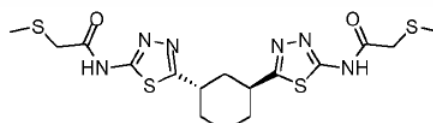
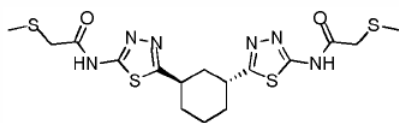
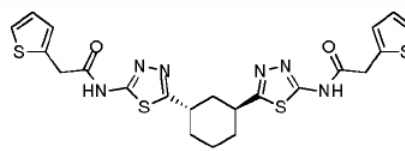
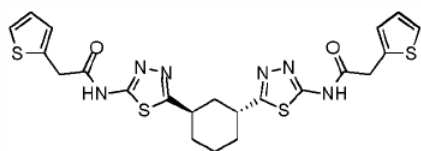
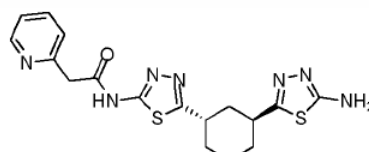
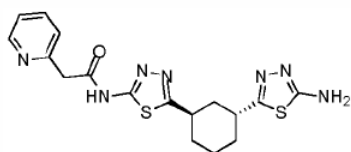
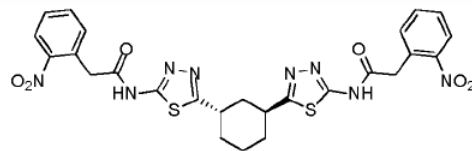
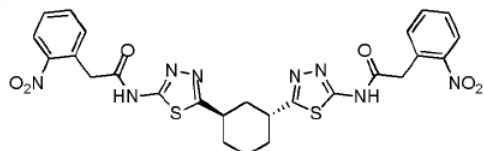
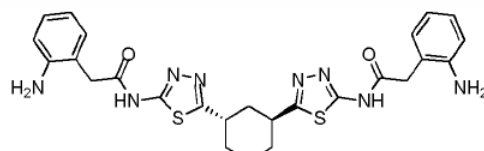
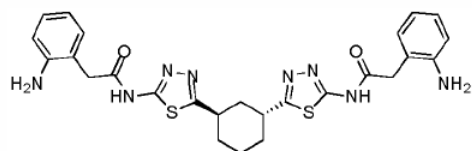


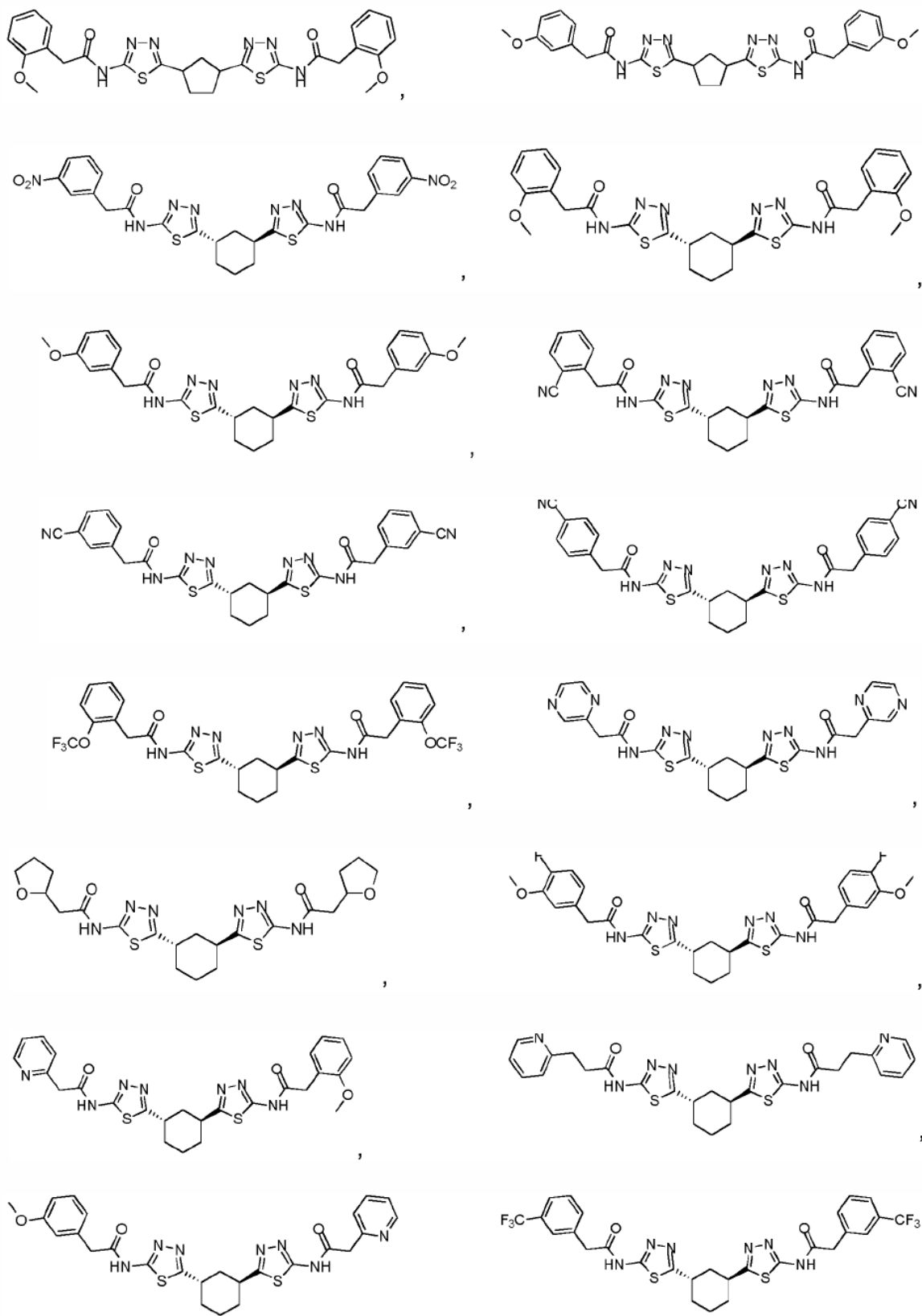


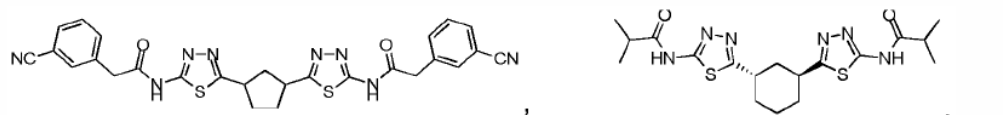
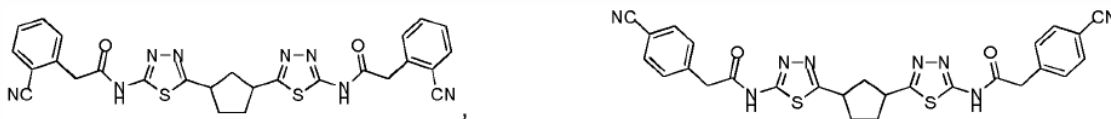
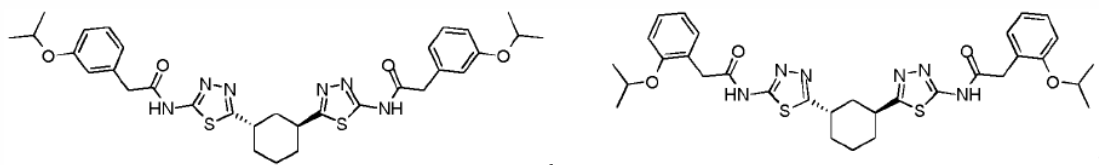
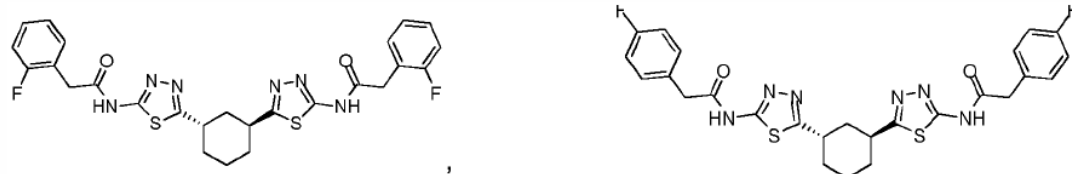
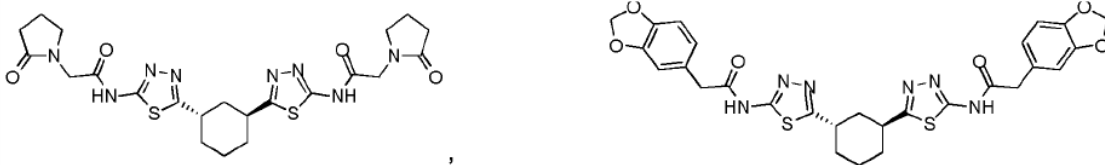
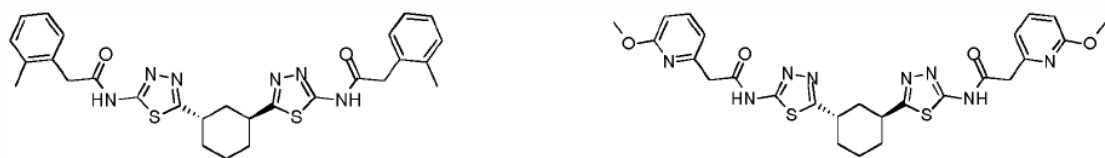


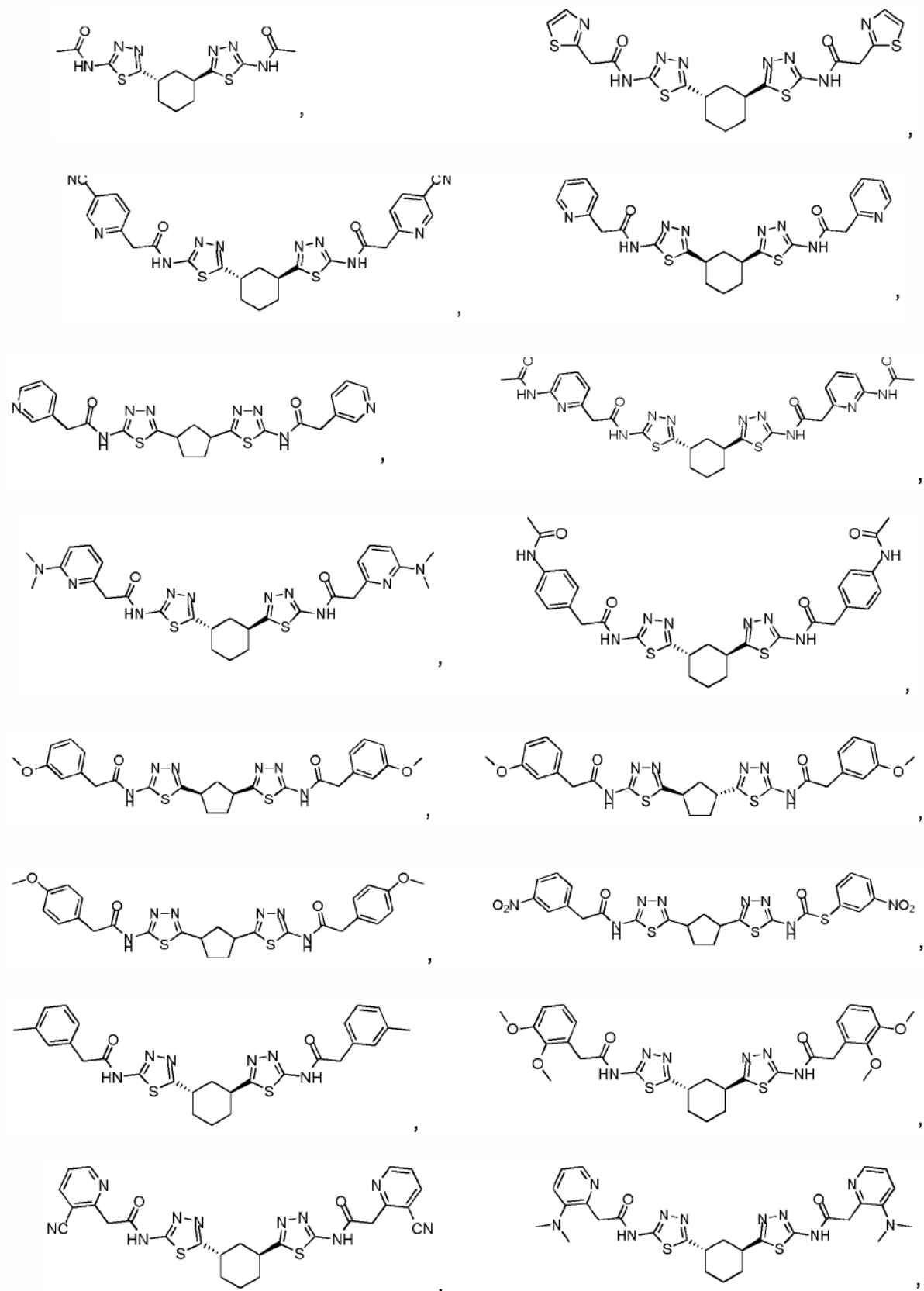


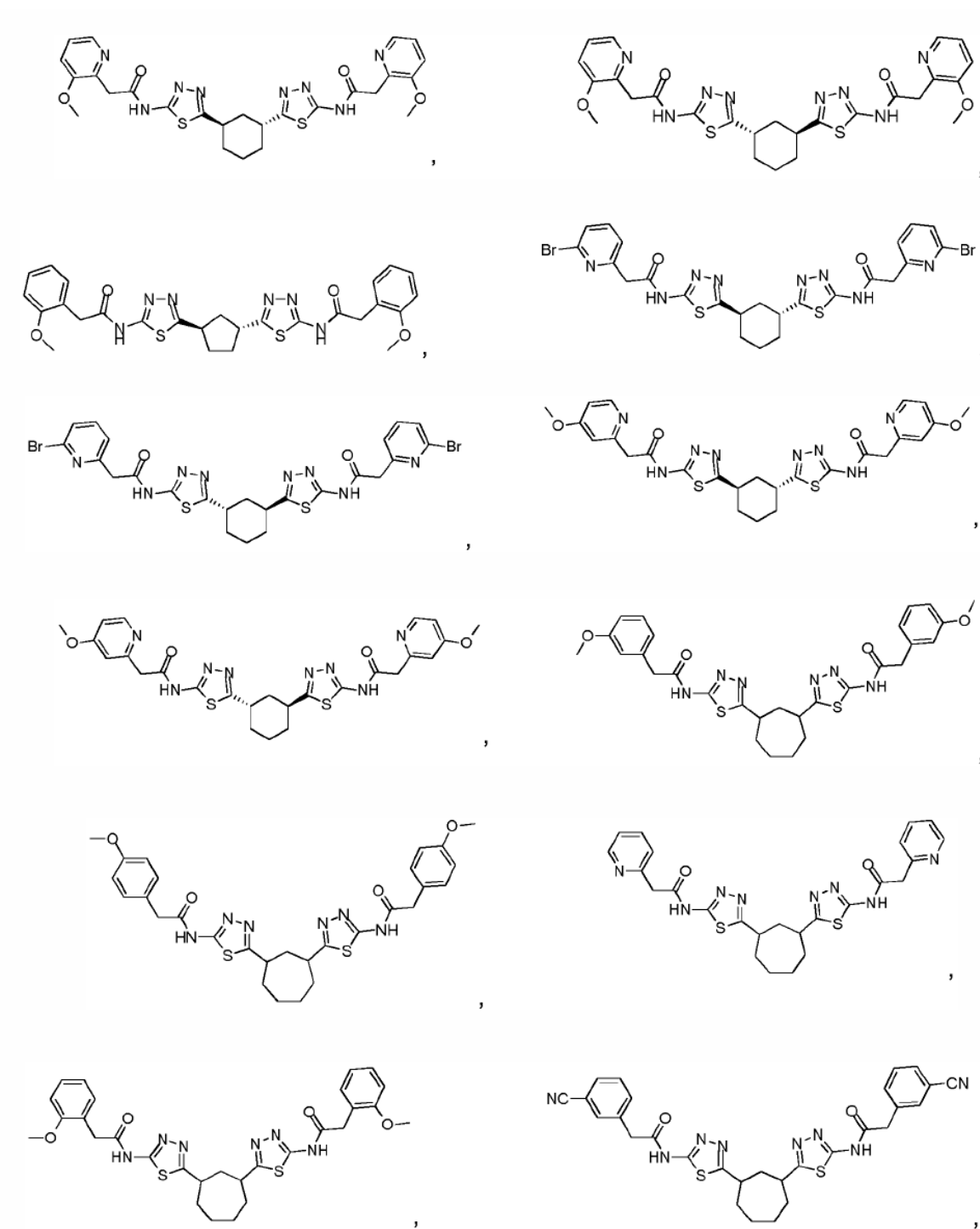


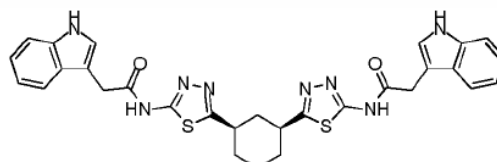
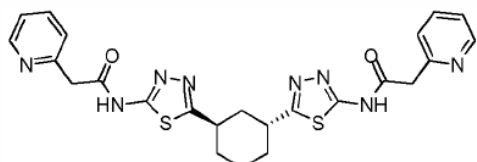
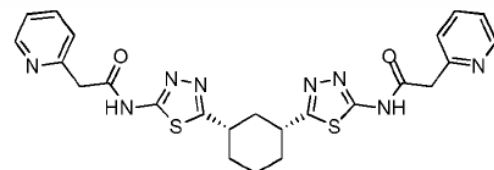
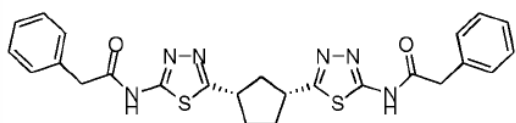
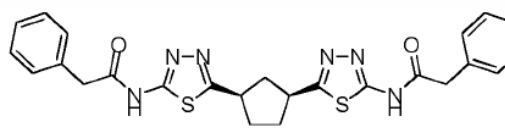
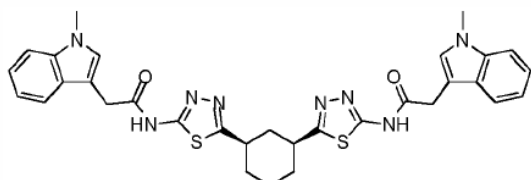
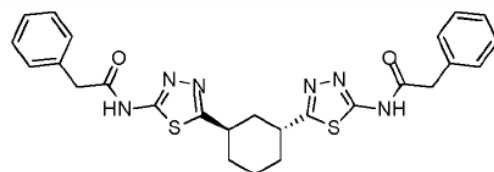
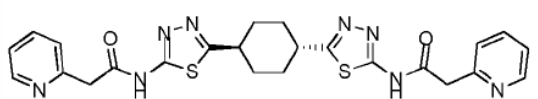
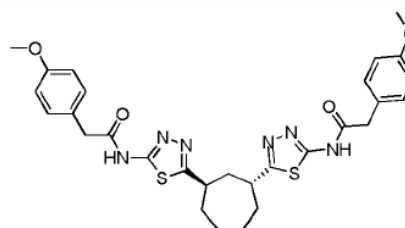
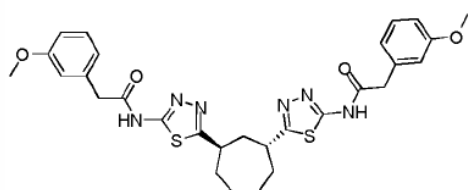
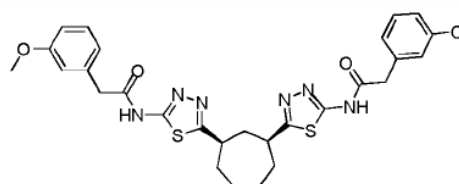
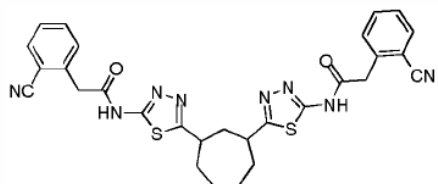
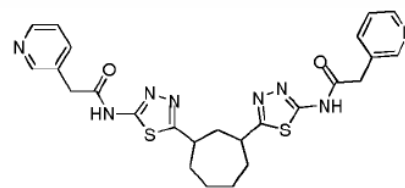
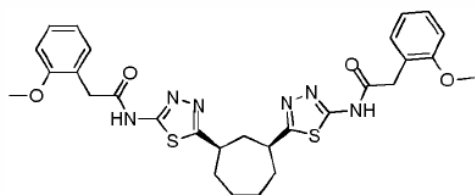


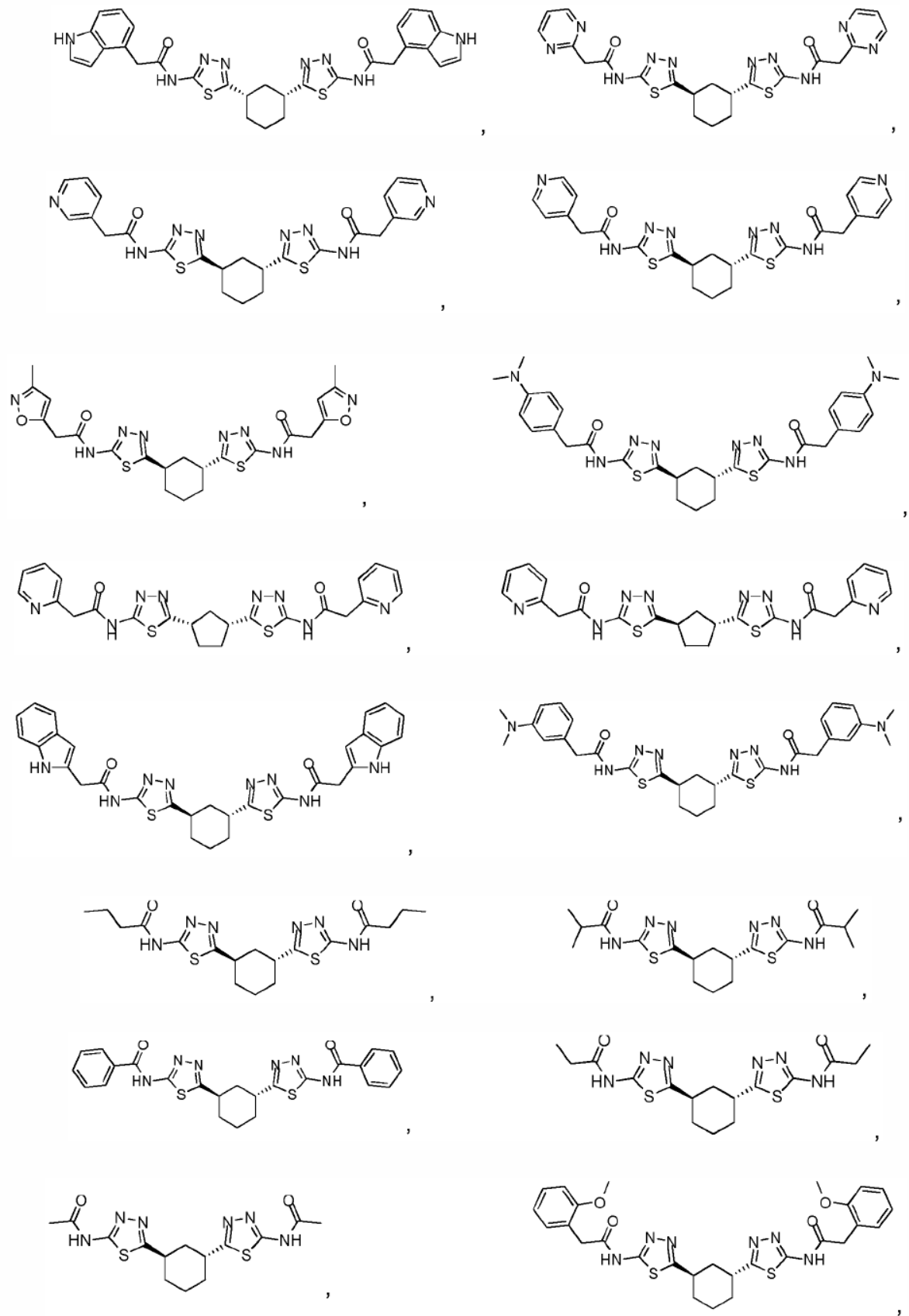


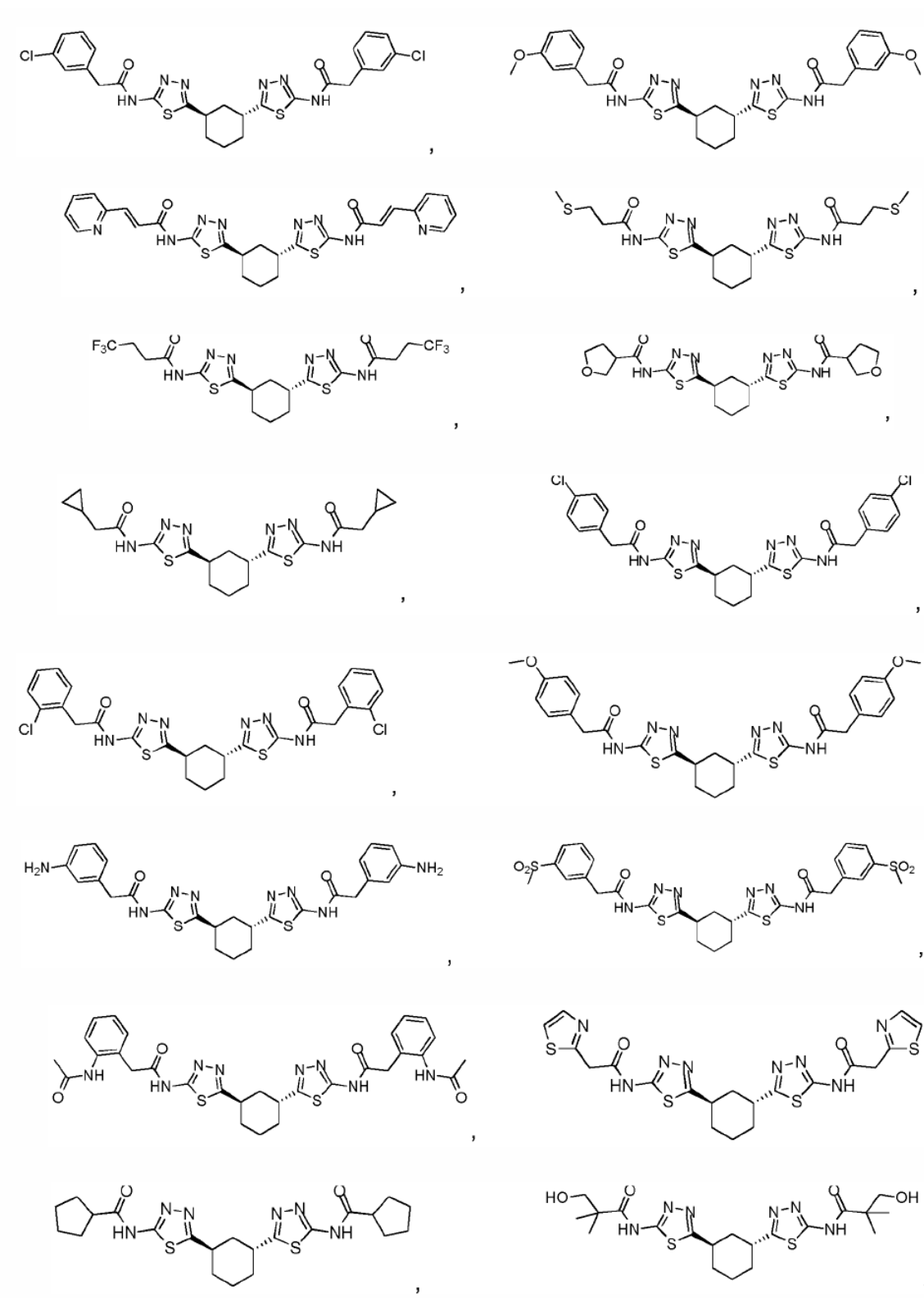


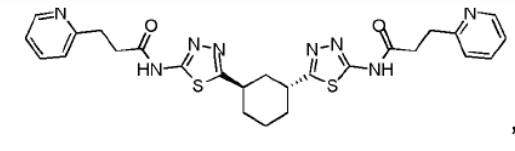
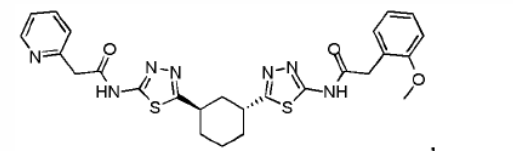
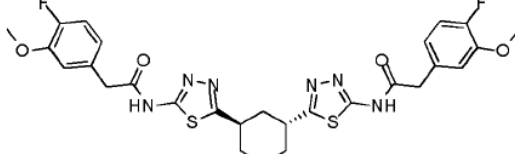
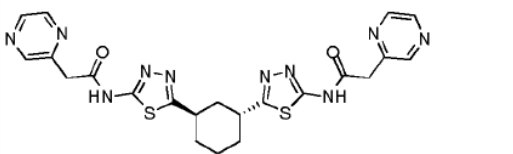
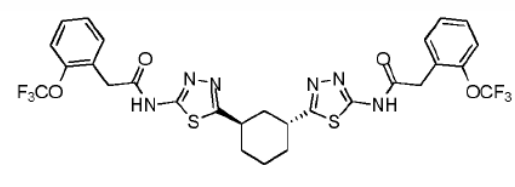
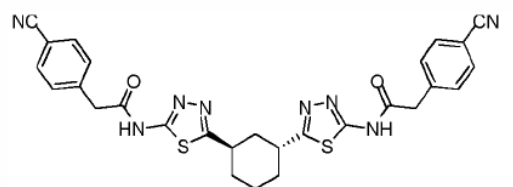
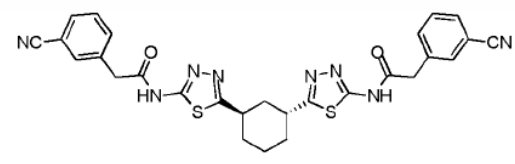
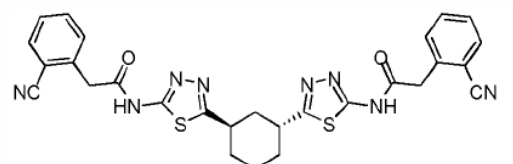
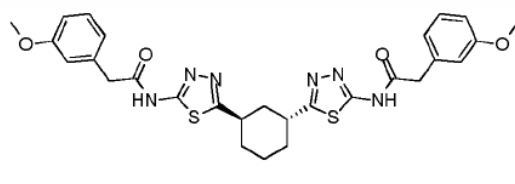
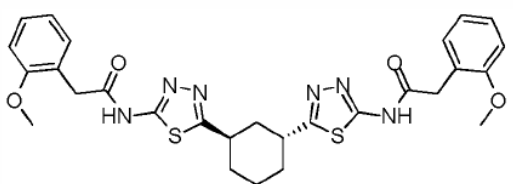
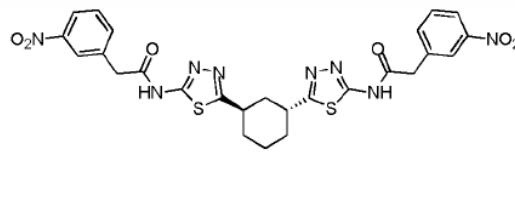
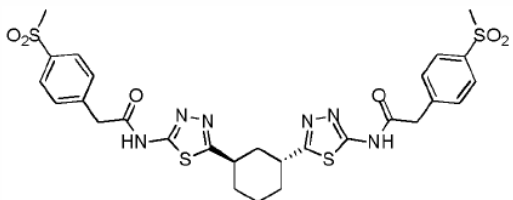
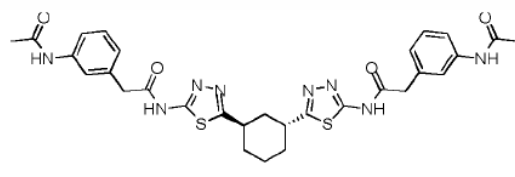
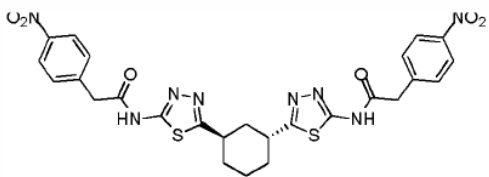


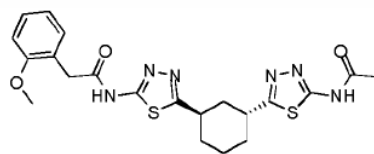
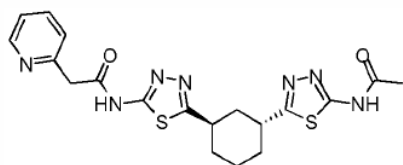
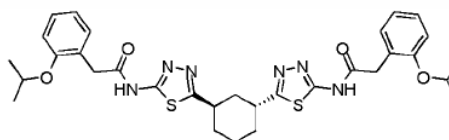
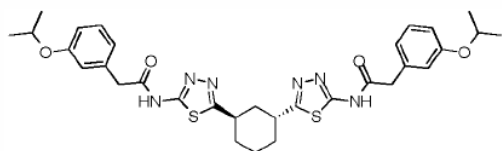
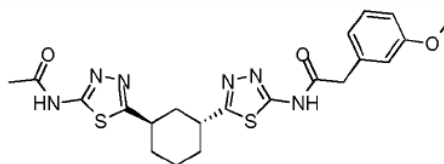
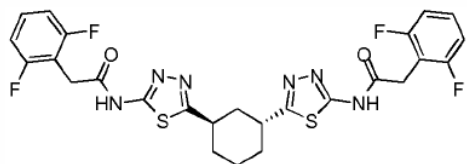
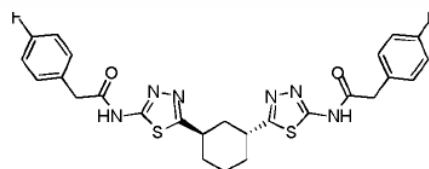
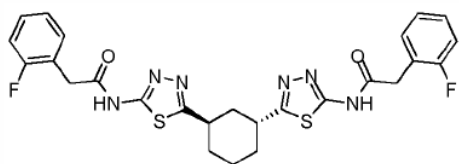
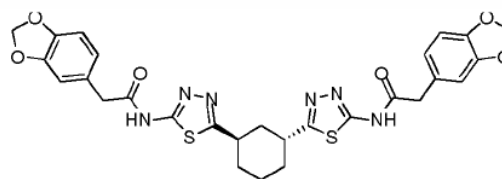
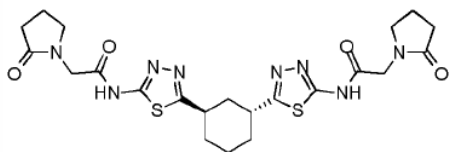
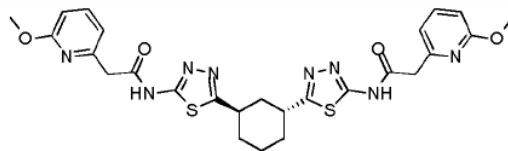
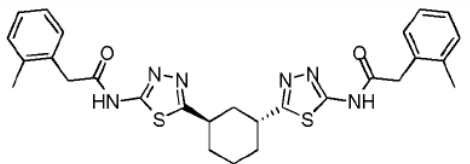
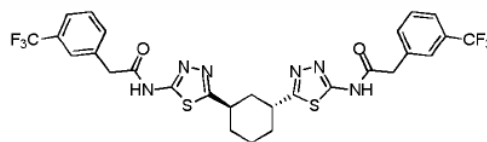
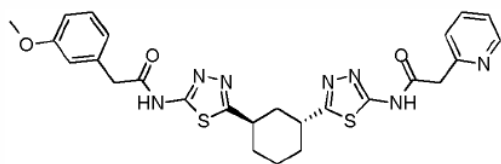


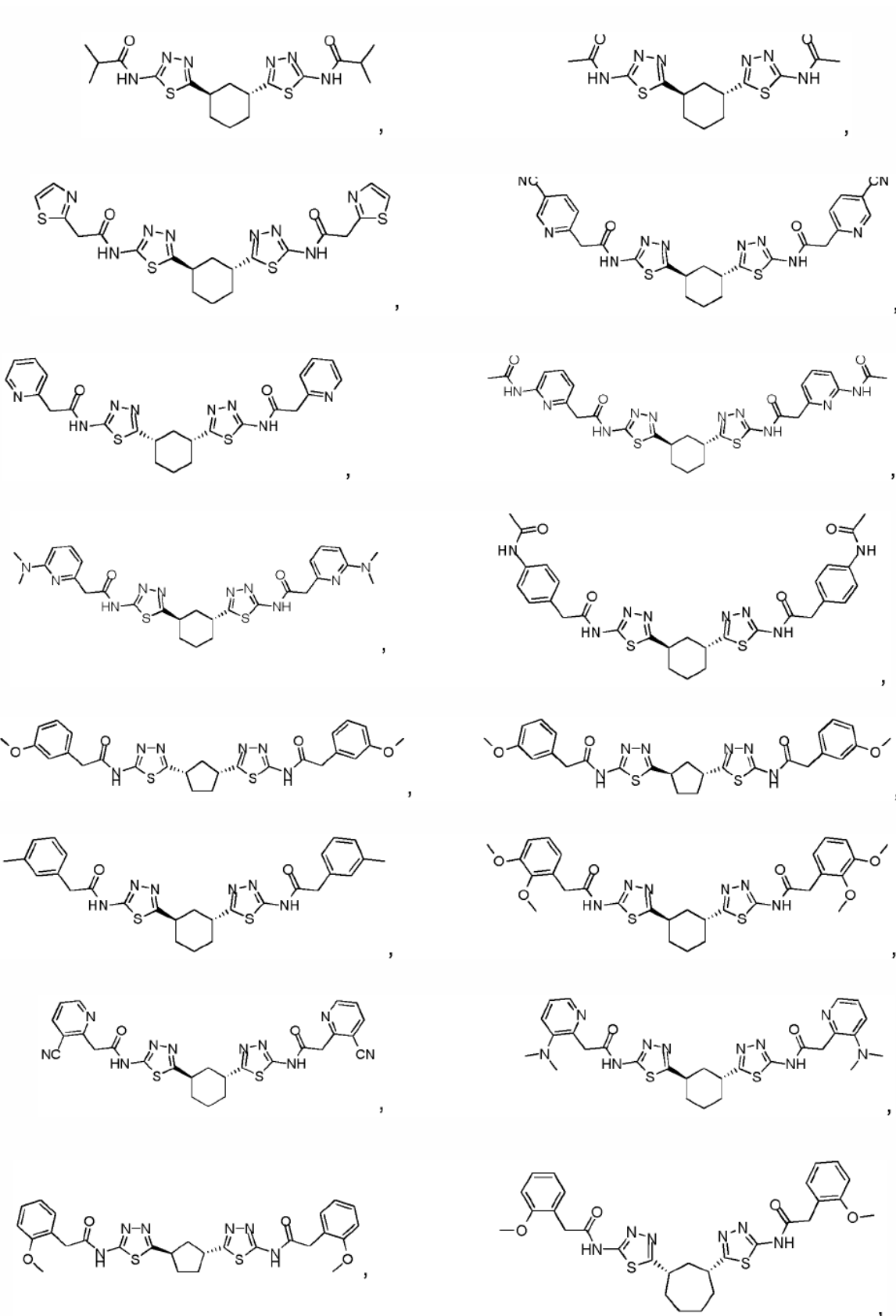


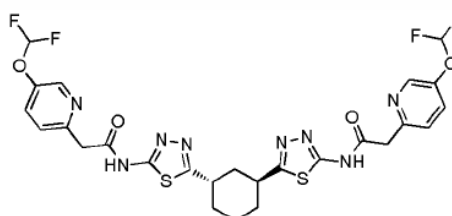
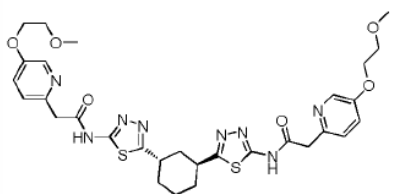
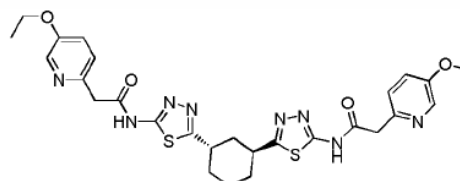
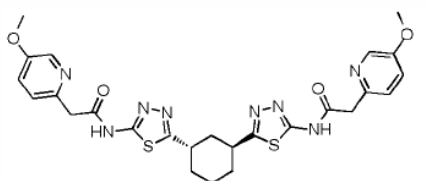
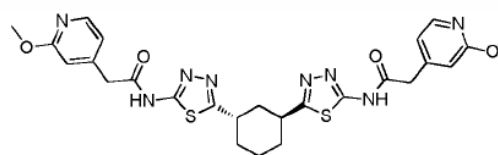
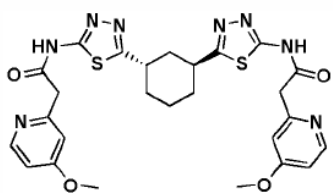
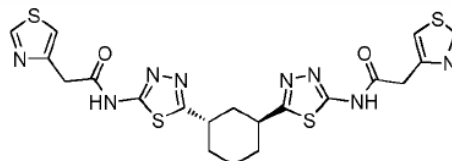
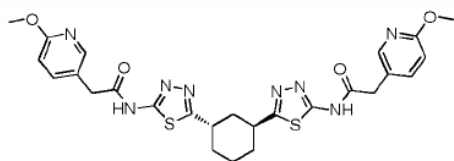
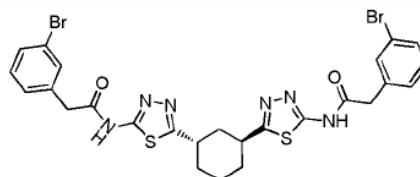
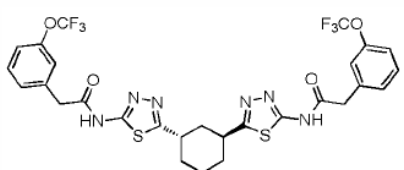
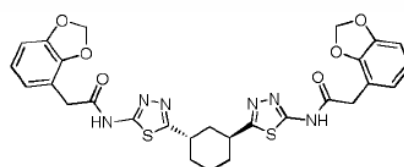
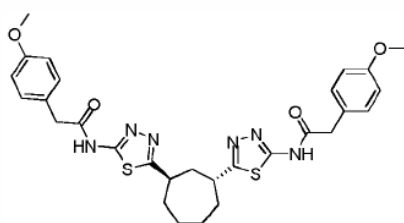
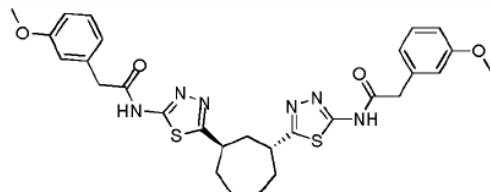
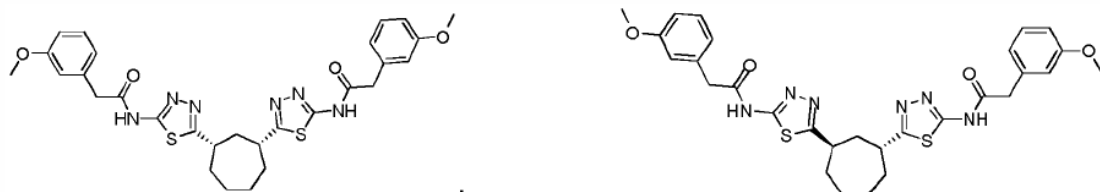


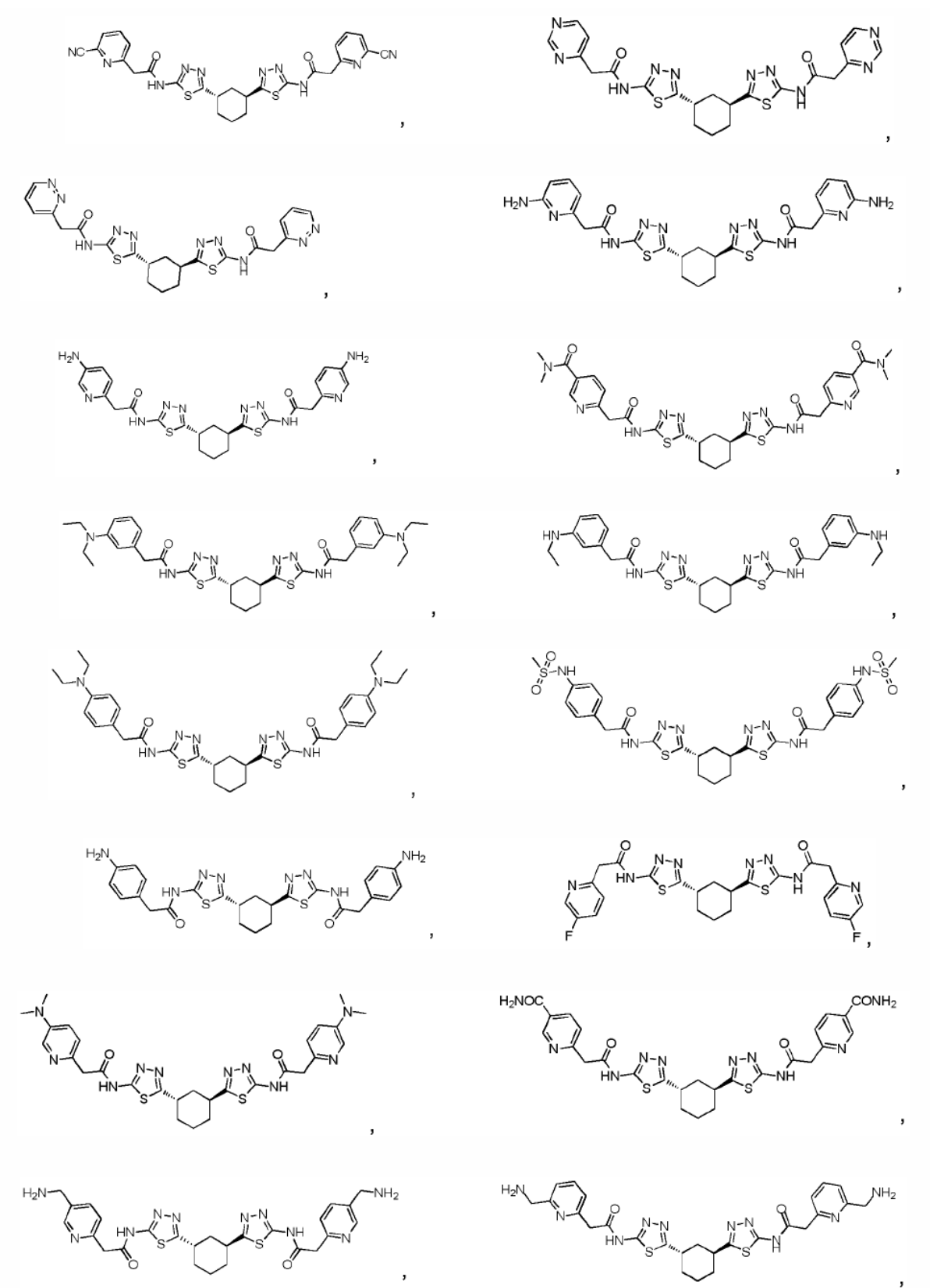


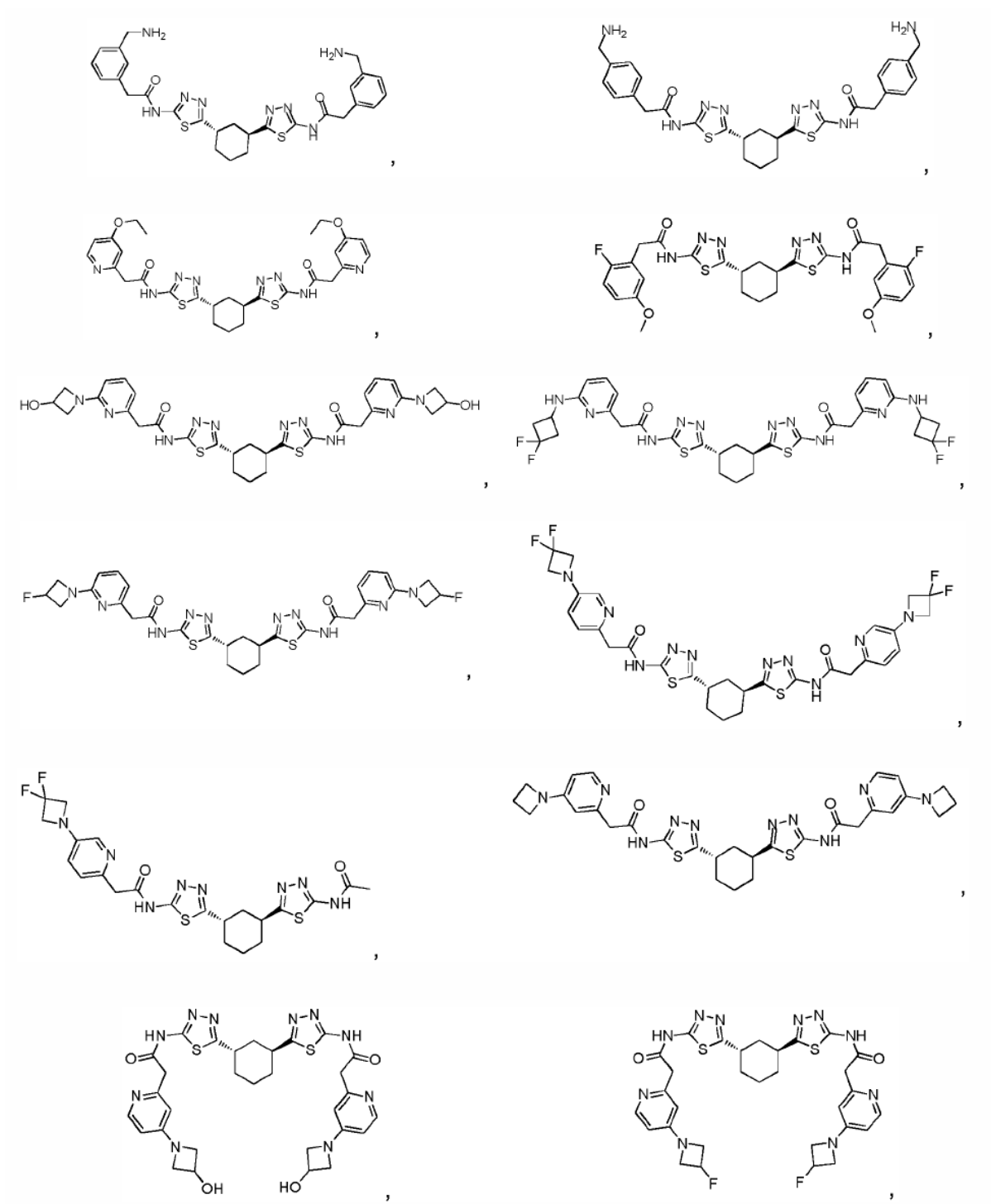


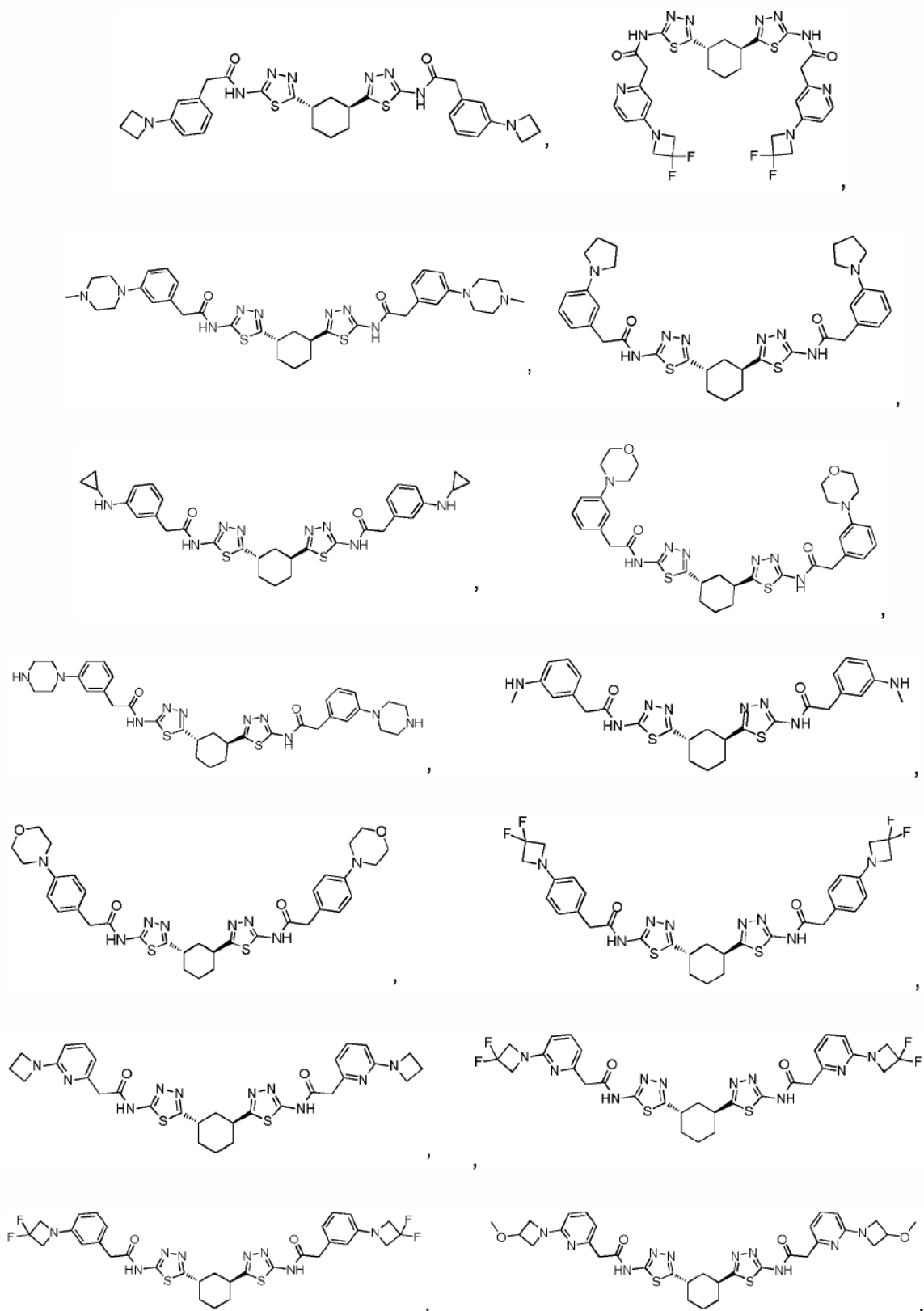


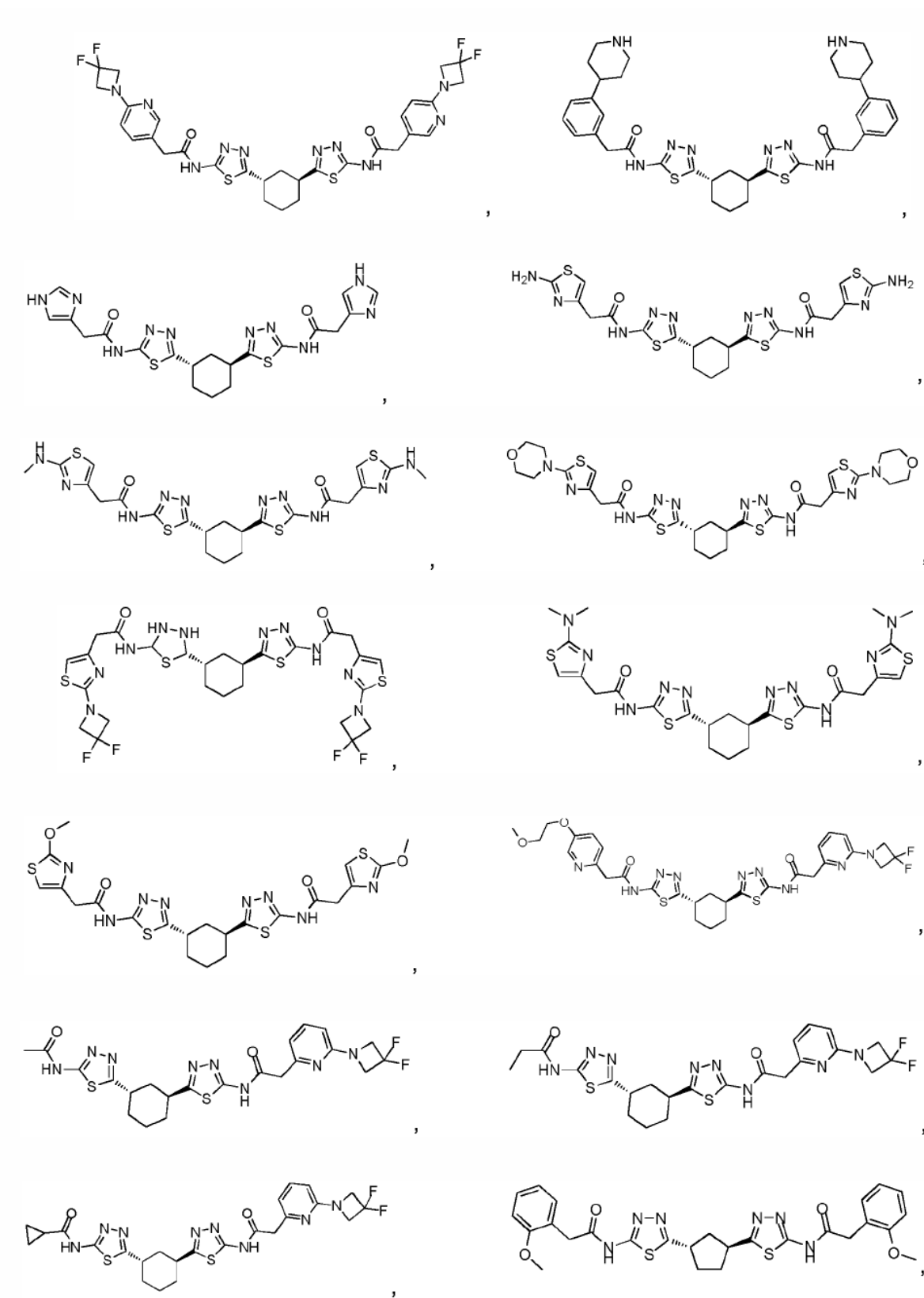


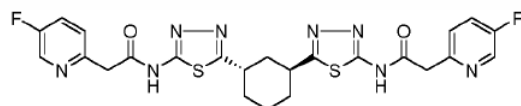
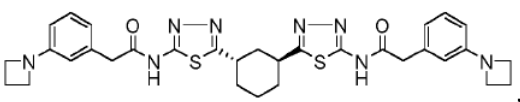
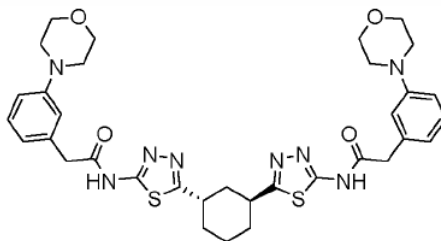
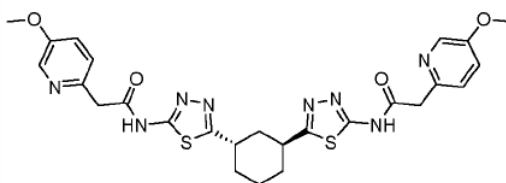
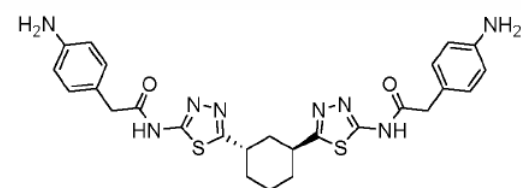
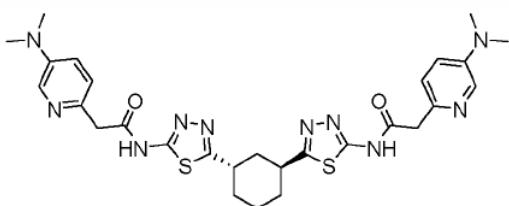
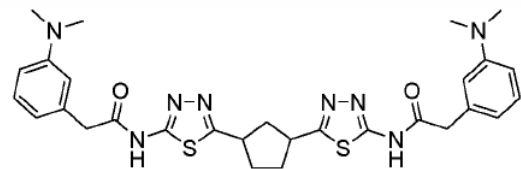
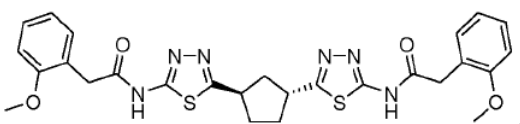
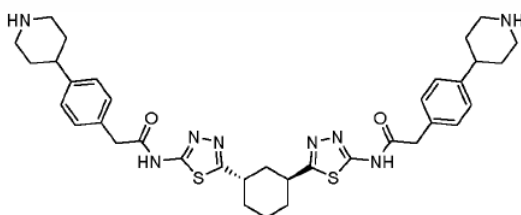
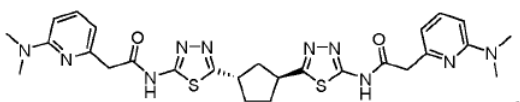
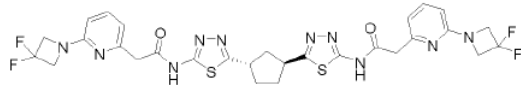
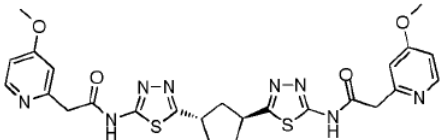
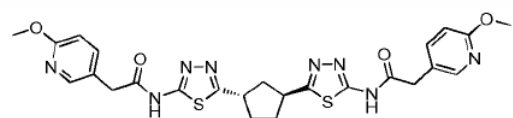
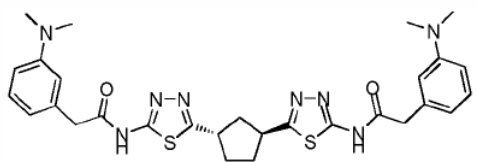


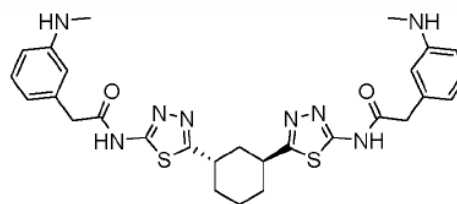
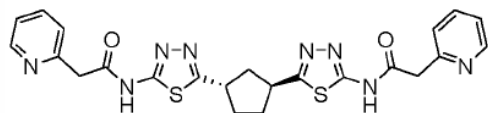
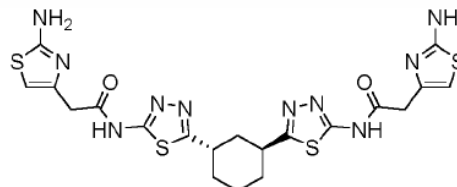
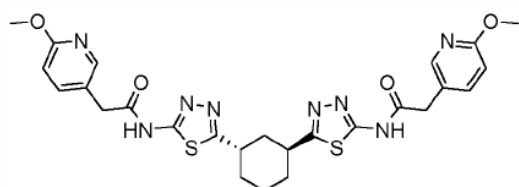
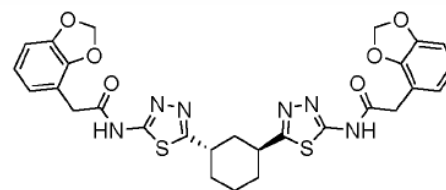
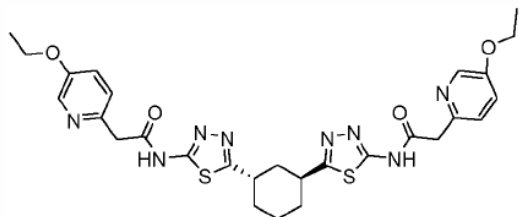
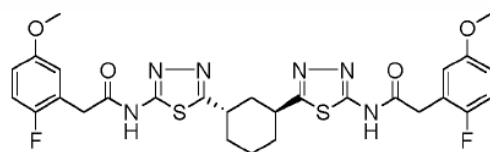
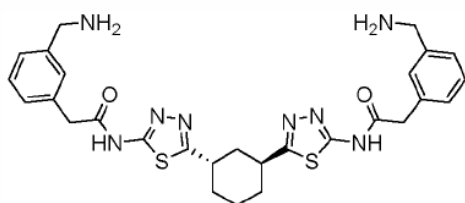
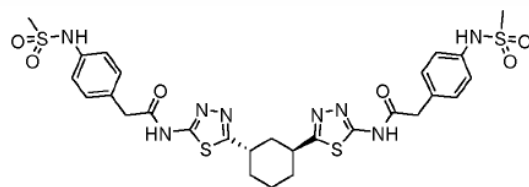
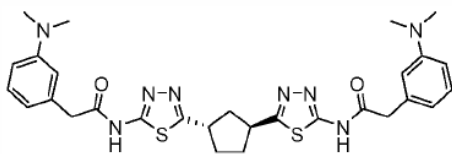
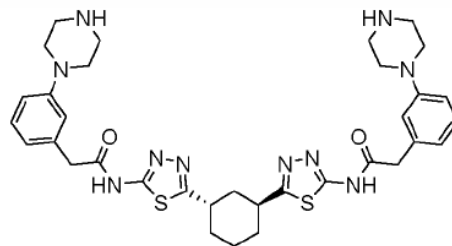
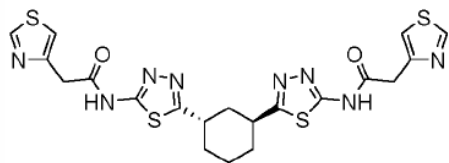


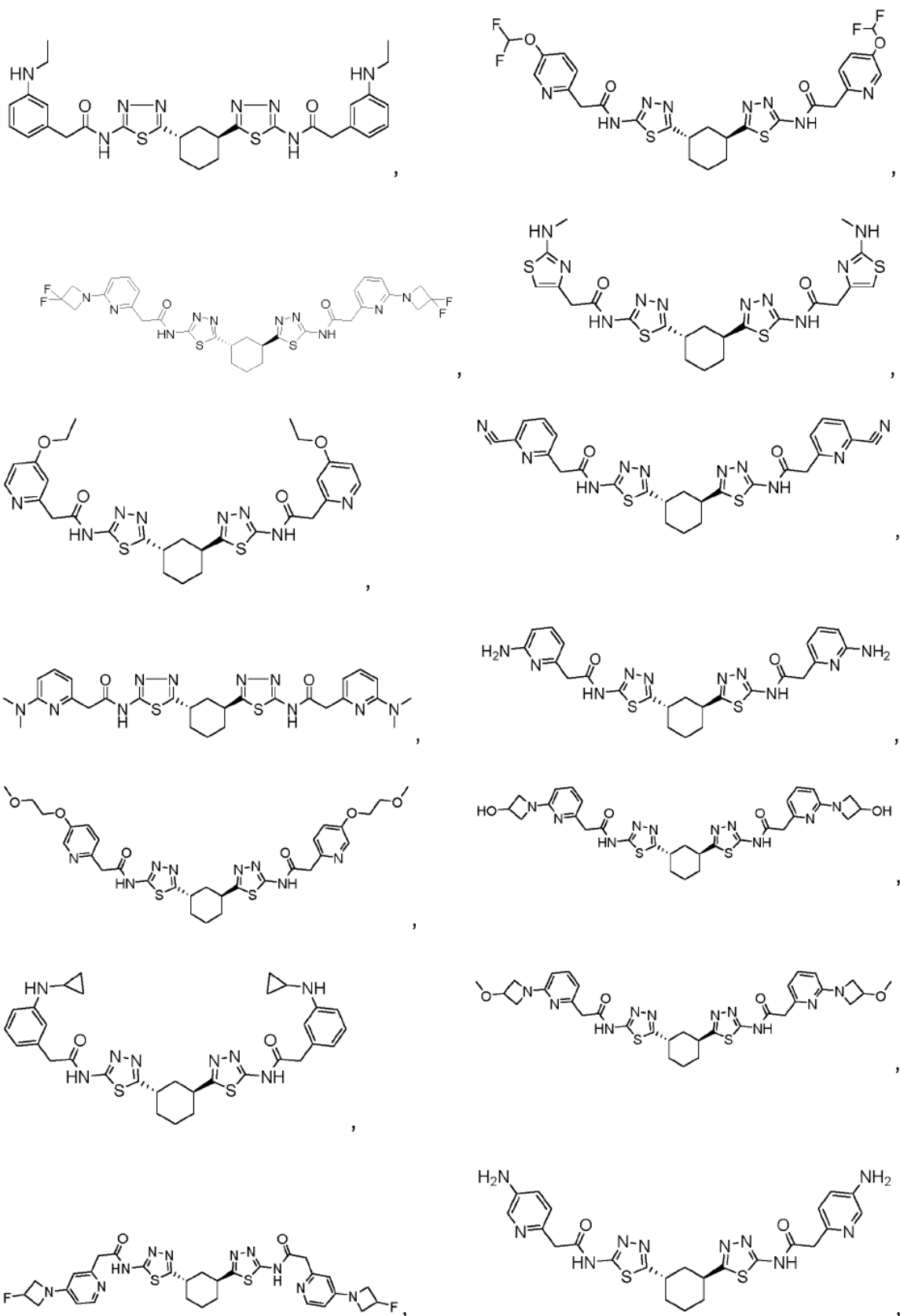


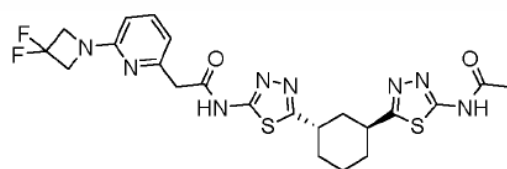
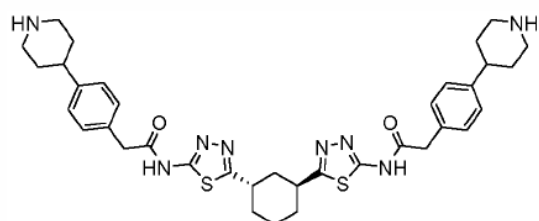
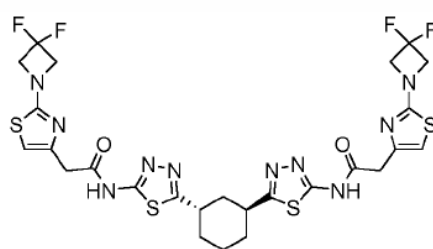
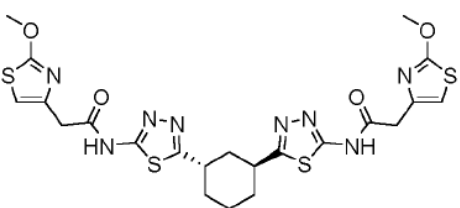
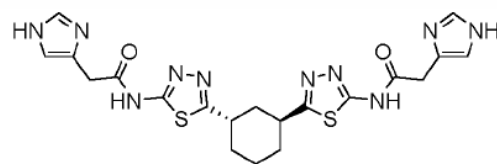
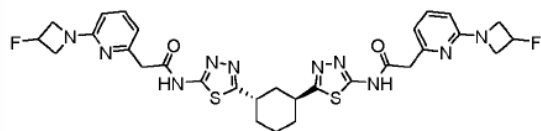
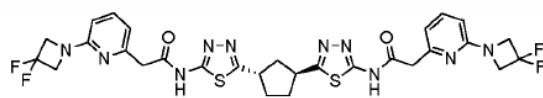
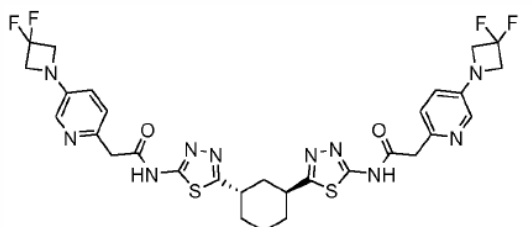
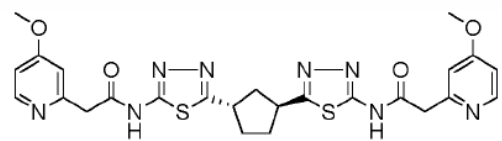
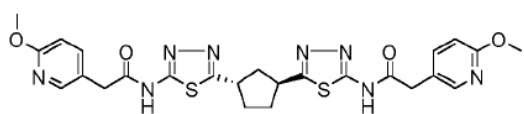
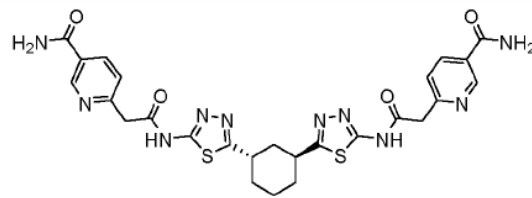
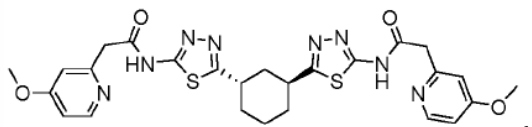
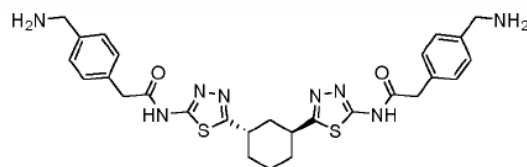
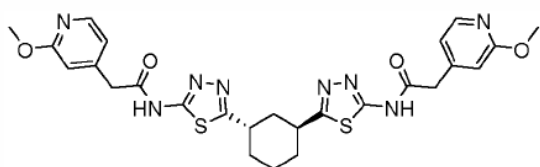


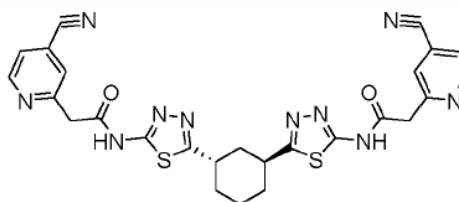
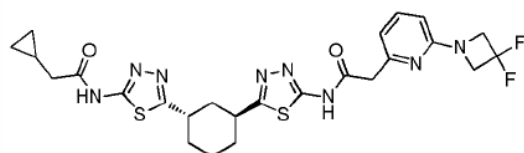
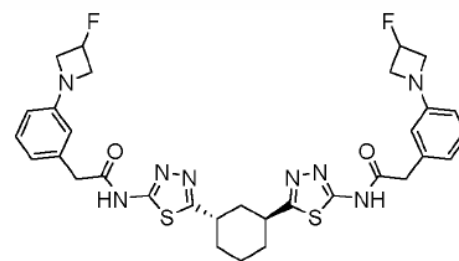
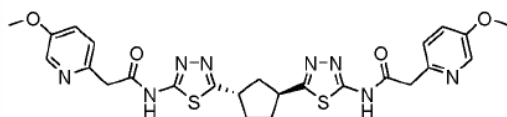
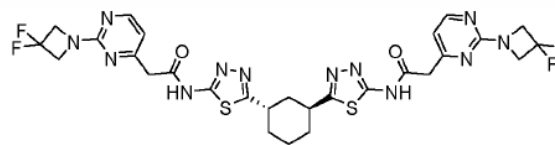
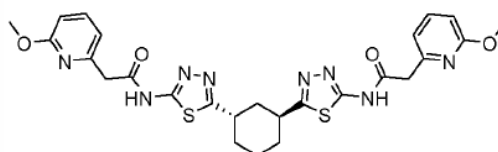
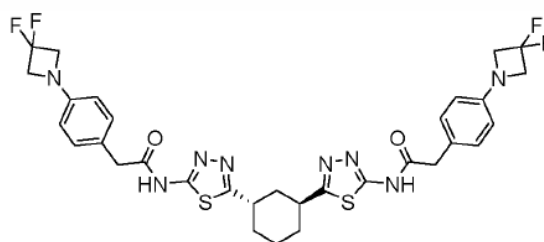
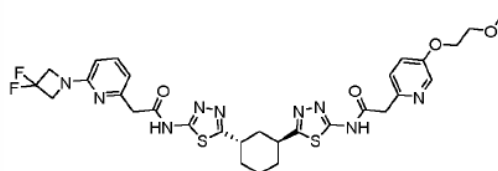
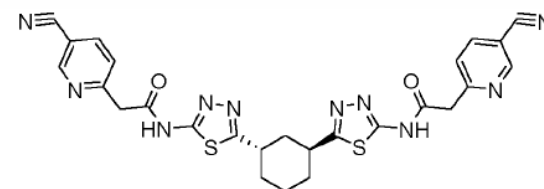
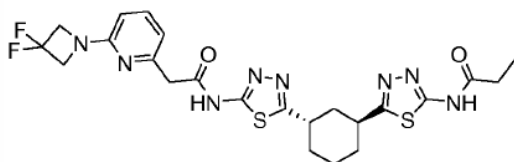
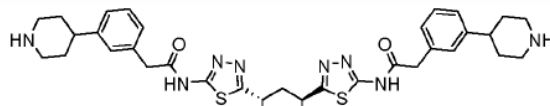
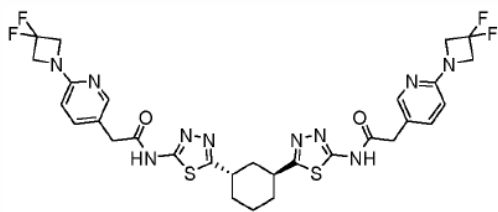


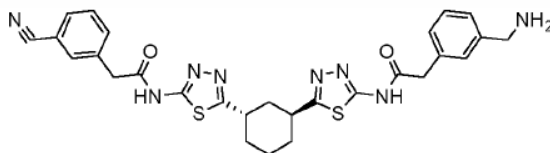
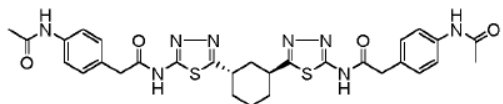
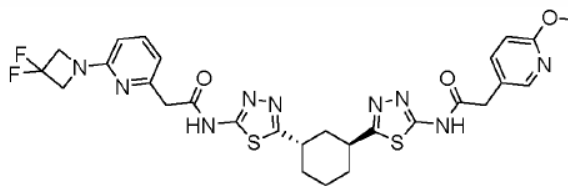
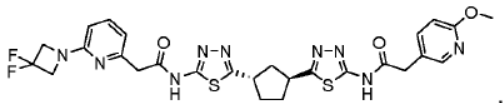
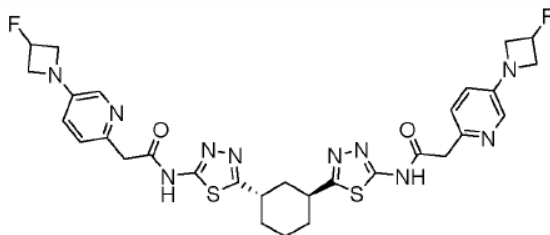
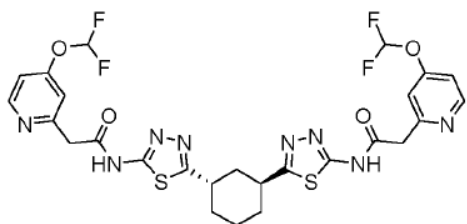
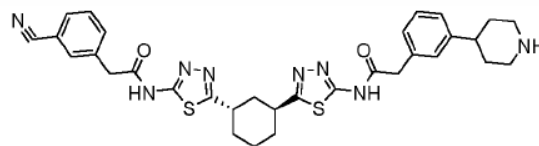
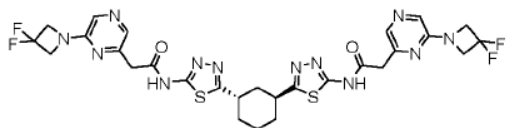
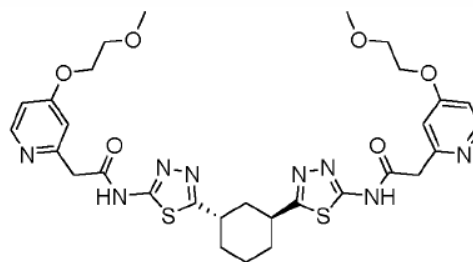
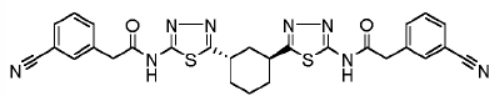
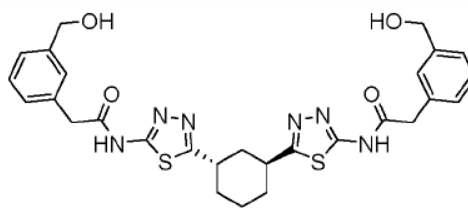
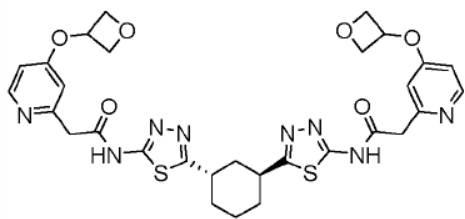


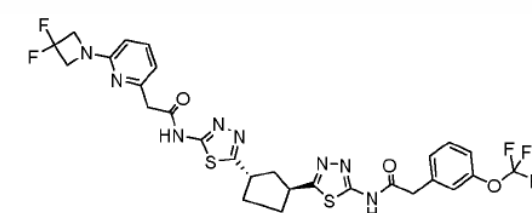
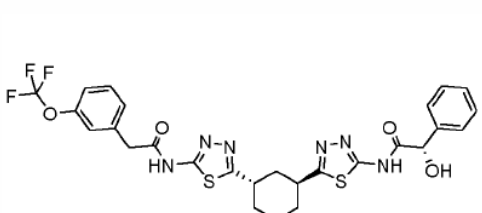
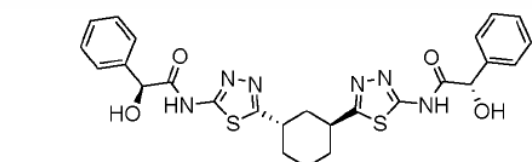
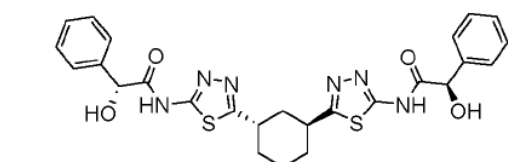
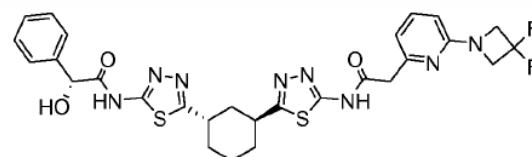
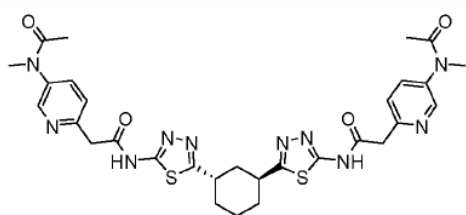
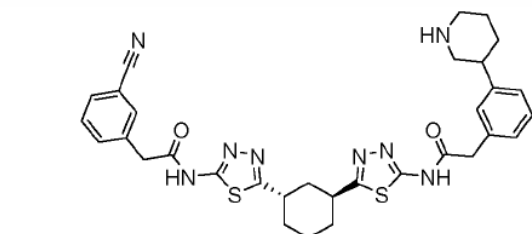
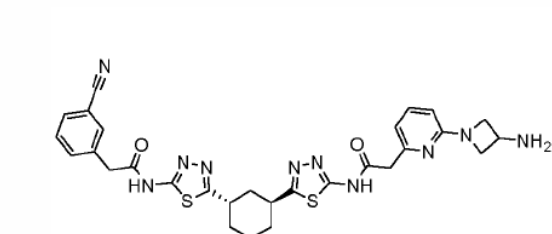
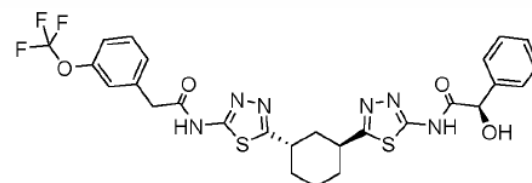
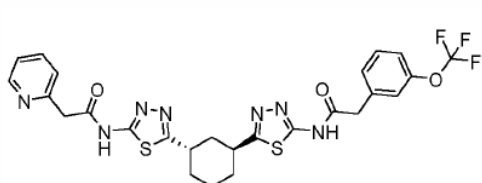
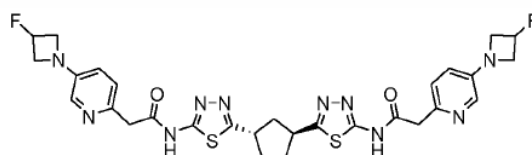
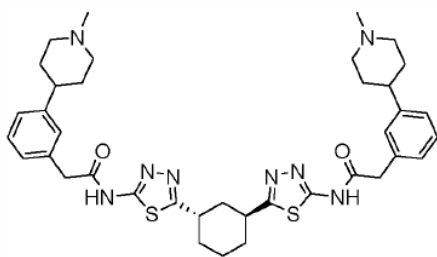


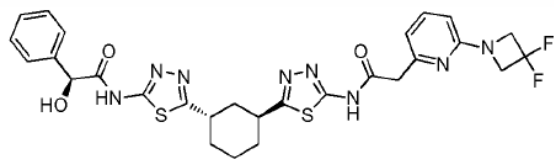
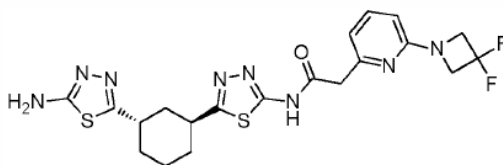
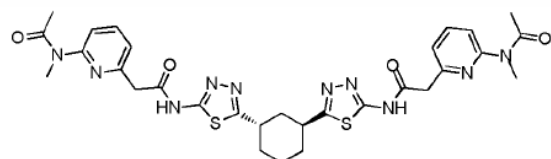
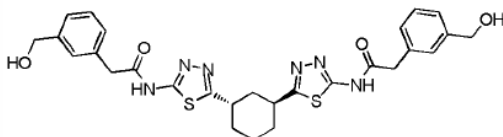
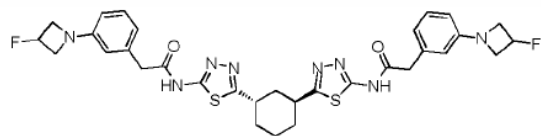
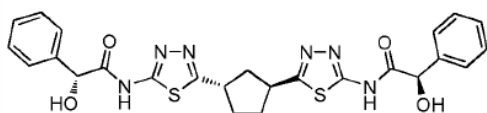
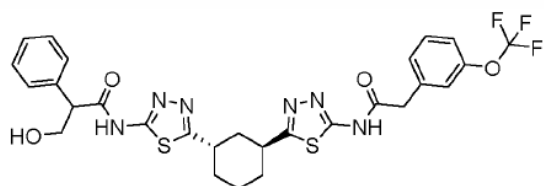
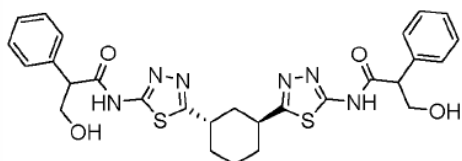
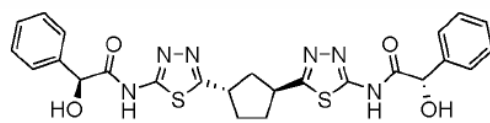
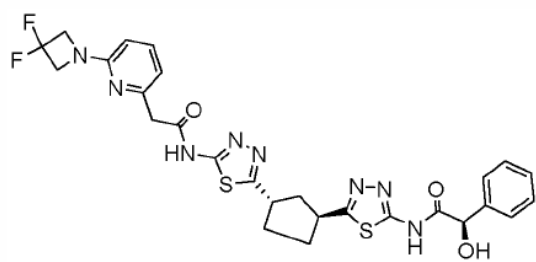
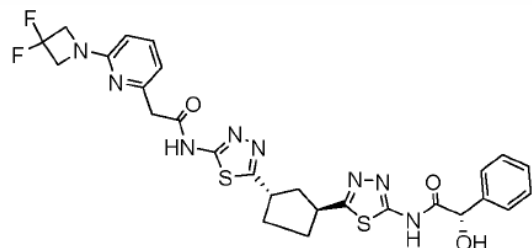
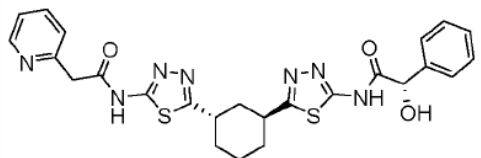
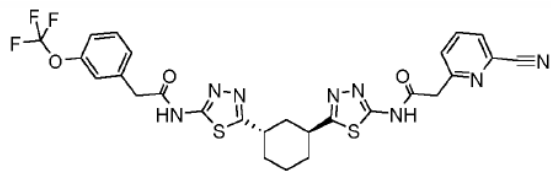
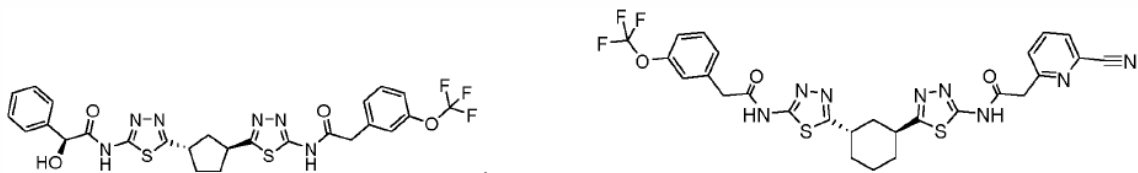


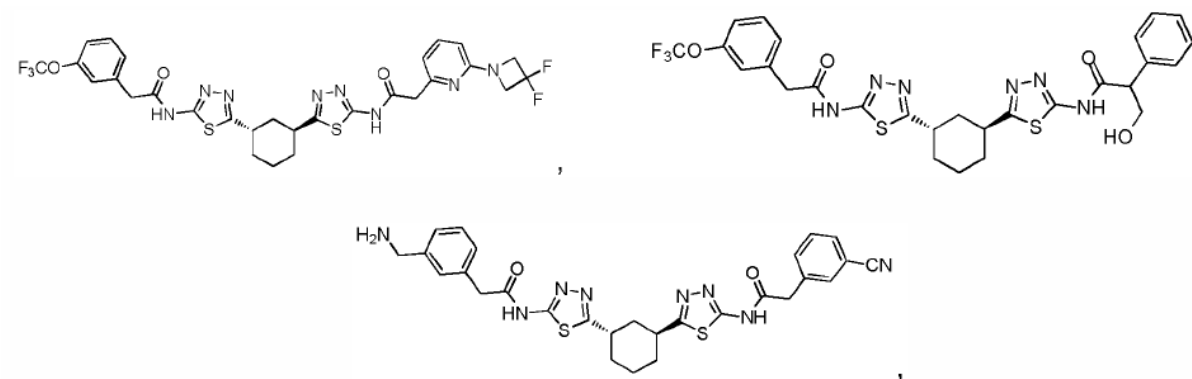




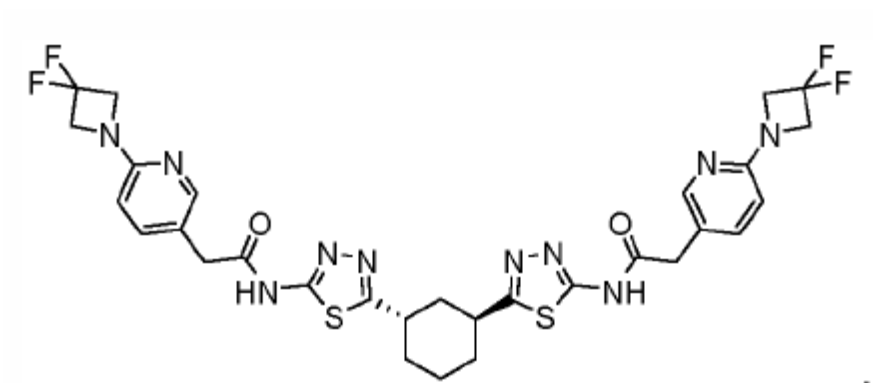




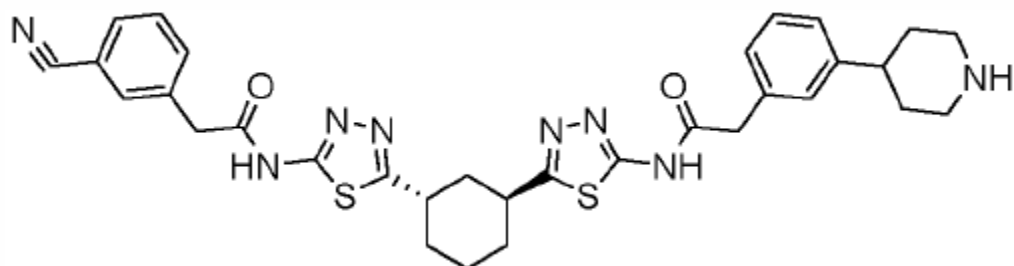




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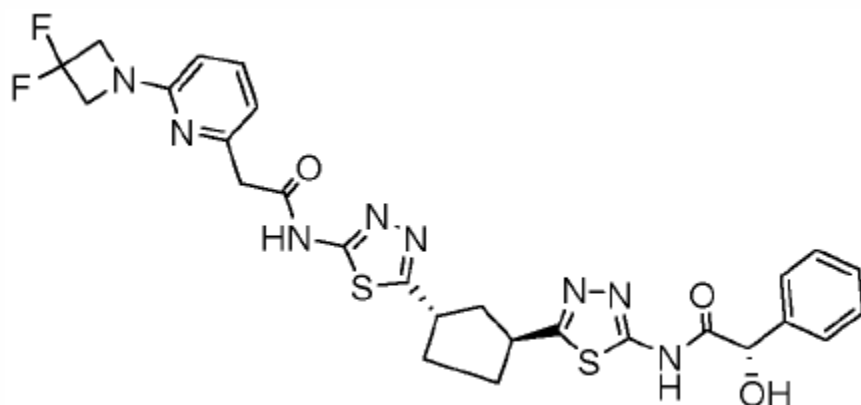


15. Spoj prema patentnom zahtjevu 1 ili njegova farmaceutski prihvatljiva sol, koji je

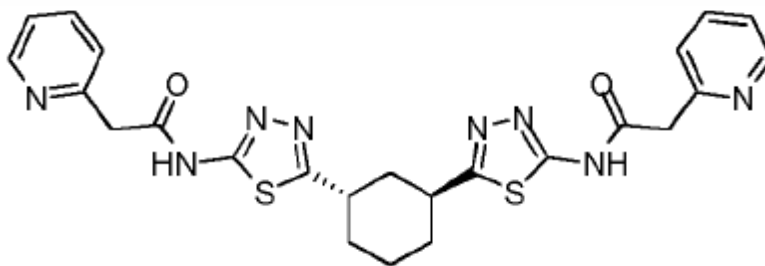


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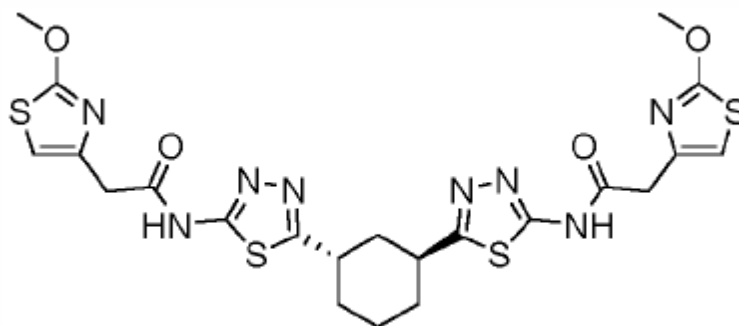
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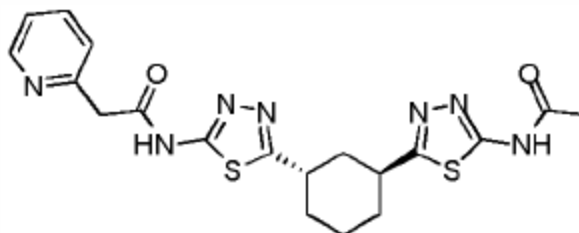
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18. Spoj prema patentnom zahtjevu 1 ili njegova farmaceutski prihvatljiva sol, koji je

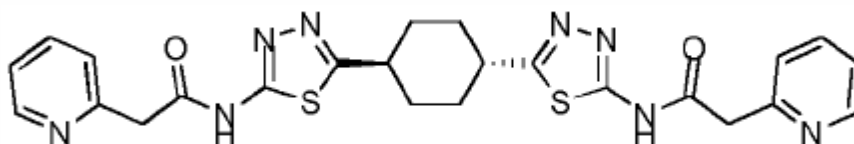


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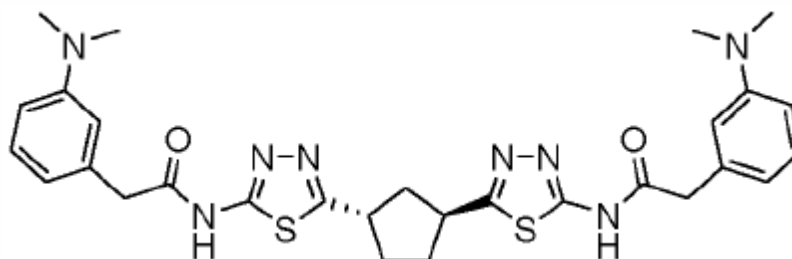


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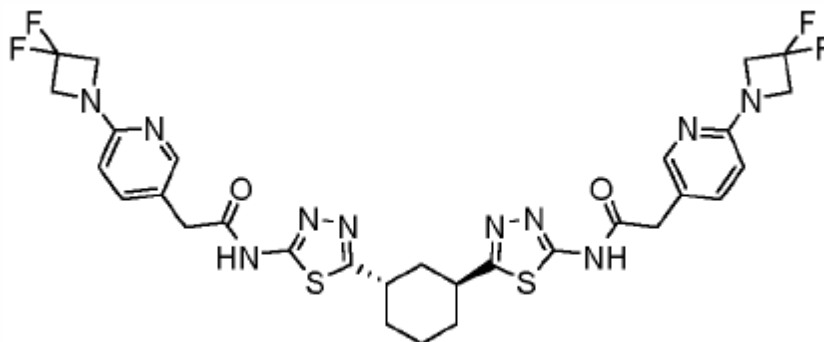
20. Spoj prema patentnom zahtjevu 1 ili njegova farmaceutski prihvatljiva sol, koji je



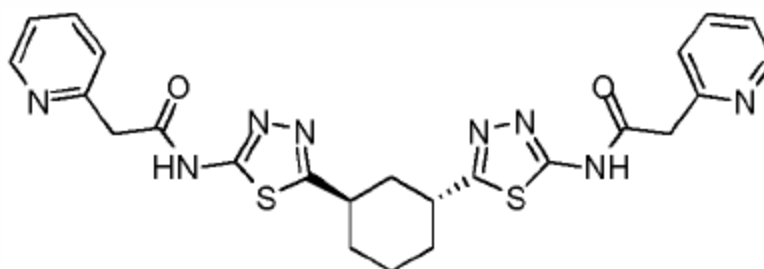
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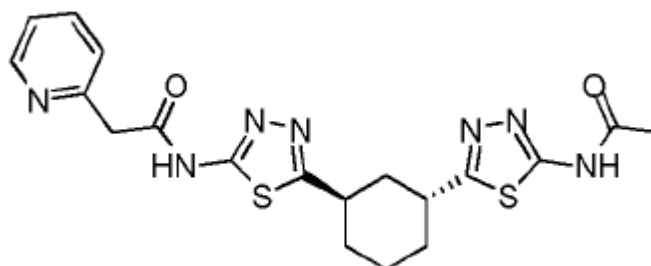
10 22. Spoj prema patentnom zahtjevu 1 ili njegova farmaceutski prihvatljiva sol, koji je



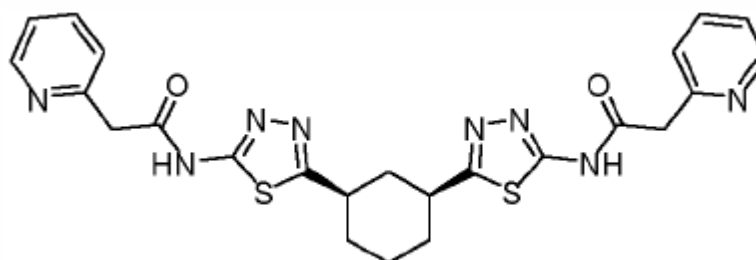
23. Spoj prema patentnom zahtjevu 1 ili njegova farmaceutski prihvatljiva sol, koji je



24. Spoj prema patentnom zahtjevu 1 ili njegova farmaceutski prihvatljiva sol, koji je



5 25. Spoj prema patentnom zahtjevu 1 ili njegova farmaceutski prihvatljiva sol, koji je



26. Farmaceutska kompozicija koja sadrži spoj formule (II) prema bilo kojem od patentnih zahtjeva 1 do 25 ili njegovu farmaceutski prihvatljivu sol i farmaceutski prihvatljiv nosač.

10 27. Spoj prema bilo kojem od patentnih zahtjeva 1 do 25 ili njegova farmaceutski prihvatljiva sol za upotrebu u liječenju raka kod subjekta kod kojeg postoji potreba za tim.

28. Spoj za upotrebu prema patentnom zahtjevu 27, naznačen time što je rak izabran od raka **naznačenog** i) niskim nivoom ekspresije E-kadherina u usporedbi s referentnim standardom, ii) visokim nivoom ekspresije vimentina u usporedbi s referentnim standardom, ili iii) niskim ili smanjenim nivoom ekspresije piruvat karboksilaze.

15 29. Spoj za upotrebu prema patentnom zahtjevu 27 ili 28, naznačen time što je navedeni rak izabran od malostaničnog raka pluća, raka dojke, hepatostaničnog karcinoma, osteosarkoma, lipoma, hondrosarkoma, mezotelioma, raka debelog crijeva, karcinoma bubrežnih stanica, akutne mijeloidne leukemije (AML), melanoma i multiplog mijeloma.