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Datum podnošenja europske prijave patenta: 08.03.2017.

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Datum međunarodne objave: 14.09.2017.

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Datum objave europske prijave patenta: 16.01.2019.

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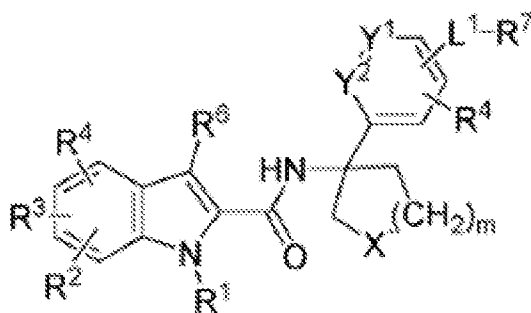
(74) Zastupnik: **Modrušan & Filipčić IP d.o.o., 10000 Zagreb, HR**

(54) Naziv izuma: **INHIBITORI 3-FOSFOGLICERAT DEHIDROGENAZE I NJIHOVA UPOTREBA**

HR P20211220 T1

## PATENTNI ZAHTJEVI

## 1. Spoj formule I:



I

5 ili njegova farmaceutski prihvatljiva sol, gdje je:

R1 is C<sub>1-4</sub> alkil,

svaki od R<sup>2</sup> i R<sup>3</sup> neovisno je halogen, -OR, -CN, C<sub>1-6</sub> alifatska skupina koja može biti supstituirana s 1, 2 ili 3 halogena ili -L-R', ili R<sup>2</sup> i R<sup>3</sup> se mogu uzeti zajedno s ugljikovim atomima na koje su vezani i s bilo kojim brojem atoma između njih tvoreći petero- do osmeročlani djelomično nezasićeni prsten koji ima 0-2

heteroatoma neovisno odabranih od dušika, kisika ili sumpora,

R<sup>4</sup> je vodik, halogen, -OR<sup>5</sup>, -CN, C<sub>1-6</sub> alifatska skupina koja može biti supstituirana s 1, 2 ili 3 halogena ili -L-R',

svaki R je neovisno vodik ili skupina koja može biti supstituirana odabrana od sljedećih: C<sub>1-6</sub> alifatska skupina, tro- do osmeročlani zasićeni ili djelomično nezasićeni monociklički karbociklički prsten, fenil, osmero- do desetoročlani biciklički aromatski karbociklički prsten, četvero- do osmeročlani zasićeni ili djelomično nezasićeni monociklički heterociklički prsteni koji ima 1-2 heteroatoma neovisno odabranih od dušika, kisika ili sumpora, petero- do šestoročlani monociklički heteroaromatski prsten koji ima 1-4 heteroatoma neovisno odabranih od dušika, kisika ili sumpora, ili osmero- do desetoročlani biciklički heteroaromatski prsten koji ima 1-5 heteroatoma neovisno odabranih od dušika, kisika ili sumpora,

R<sup>5</sup> je vodik, -(CH<sub>2</sub>)<sub>n</sub>-fenil, -(CH<sub>2</sub>)<sub>n</sub>-Cy' ili C<sub>1-6</sub> alkil koji može biti supstituiran s 1, 2 ili 3 halogena,

svaki L neovisno jest C<sub>1-6</sub> bivalentni ravnolančani ili razgranati ugljikovodični lanac u kojem su 1-4 metilnskih skupina zamijenjeni sa sljedećim: -O-, -C(O)-, -C(O)O-, -OC(O)-, -OC(O)N(R)-, -(R)NC(O)O-, -C(O)N(R)-, -(R)NC(O)-, -N(R)-, -N(R)C(O)N(R)-, -S-, -SO- ili -SO<sub>2</sub>-,

svaki R' neovisno jest vodik, C<sub>1-6</sub> alifatska skupina ili četvero- do osmeročlani člani zasićeni ili djelomično nezasićeni moguće supstituirani monociklički heterociklički prsten koji ima 1-3 heteroatoma neovisno odabranih od dušika, kisika ili sumpora,

R<sup>6</sup> je vodik ili C<sub>1-4</sub> alkil,

R<sup>7</sup> je vodik, -CO<sub>2</sub>R, C<sub>1-6</sub> alifatska skupina koja može biti supstituirana, -Cy- ili bivalentni tro- do sedmeričlani prsten,

L1 je kovalentna veza ili C<sub>1-8</sub> bivalentni ravnolančani ili razgranati ugljikovodični lanac u kojem su 1-5 metilnskih skupina lanca su neovisno i opcionalno zamijenjeni sa sljedećim: -O-, -C(O)-, -C(O)O-, -OC(O)-, -N(R)-, -C(O)N(R)-, -(R)NC(O)-, -OC(O)N(R)-, -(R)NC(O)O-, -N(R)C(O)N(R)-, -S-, -SO-, -SO<sub>2</sub>-, -SO<sub>2</sub>N(R)-, -(R)NSO<sub>2</sub>-, -C(S)-, -C(S)O-, -OC(S)-, -C(S)N(R)-, -(R)NC(S)-, -(R)NC(S)N(R)- ili -Cy-,

svaki -Cy- neovisno je bivalentni šestoročlani arilenski prsten koji sadrži 0-2 dušikovih atoma ili bivalentni peteročlani heteroarilni prsten s 1-4 heteroatoma neovisno odabranih od dušika, kisika ili sumpora, ili bivalentni djelomično nezasićeni osmero- do desetoročlani biciklički heterociklenski prsten s 1-4 heteroatoma neovisno odabranih od dušika, kisika ili sumpora, pri čemu -Cy- može biti supstituiran s 1 ili 2 supstituenata neovisno odabranih od sljedećih: C<sub>1-4</sub> alkil ili -OR, -Cy' je četvero- do osmeročlani zasićeni ili djelomično nezasićeni monociklički heterociklički prsten koji ima 1-2 heteroatoma neovisno odabranih od dušika, kisika ili sumpora,

R<sup>10</sup> je C<sub>1-6</sub> alifatska skupina koja može biti supstituirana sa sljedećim: 1, 2 ili 3 halogena, -C(O)CH<sub>3</sub>, ili -SO<sub>2</sub>-N(R<sup>1</sup>)(R<sup>11</sup>),

R<sup>11</sup> je -C(O)CH<sub>3</sub>, -C(O)NHR<sup>1</sup> ili pirazinil,

n je neovisno 0, 1, 2, 3, 4 ili 5,

m je neovisno 0, 1 ili 2,

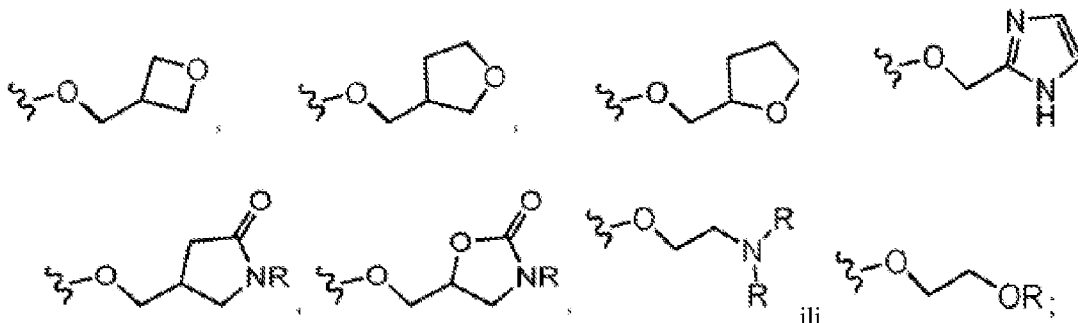
X je O, S ili -N(R<sup>10</sup>)-, te

svaki od Y<sup>1</sup> i Y<sup>2</sup> neovisno je =N- ili =C(R<sup>4</sup>)-.

2. Spoj prema patentom zahtjevu 1, **naznačen time** da R<sup>1</sup> jest metil.

3. Spoj prema patentom zahtjevu 2, **naznačen time** da (I) R<sup>2</sup> jest halogen, -OR-CN ili -L-R', može biti naznačen time da oba R<sup>2</sup> i R<sup>3</sup> jesu halogeni, ili

naznačen time da (II) R<sup>2</sup> jest F, Cl, -CF<sub>3</sub>, -OCF<sub>3</sub>, -OCHF<sub>2</sub>, -OCH<sub>2</sub>Ph, -OCH<sub>3</sub>, -CN, -CH<sub>3</sub>,

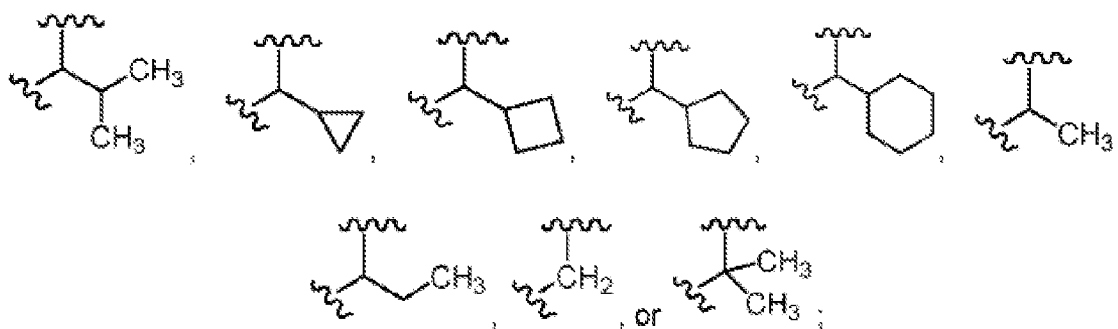
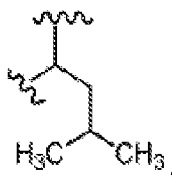


naznačen time da (III) R<sup>3</sup> jest halogen ili -OR, ili:

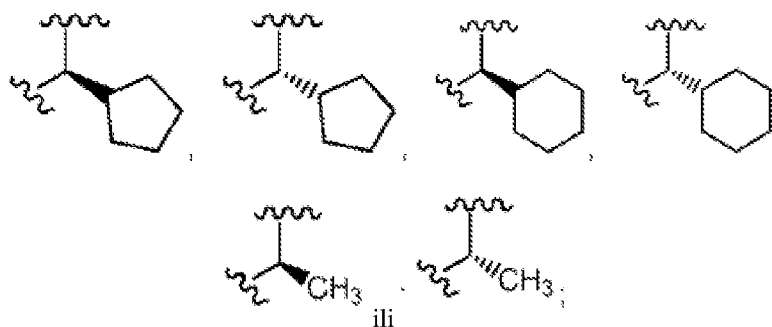
naznačen time da (IV) R<sup>4</sup> jest vodik.

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4. Spoj prema patentom zahtjevu 2, **naznačen time** da L<sup>1</sup> jest SO<sub>2</sub>NH-



moгуće naznačen time da (i) L<sup>1</sup> jest



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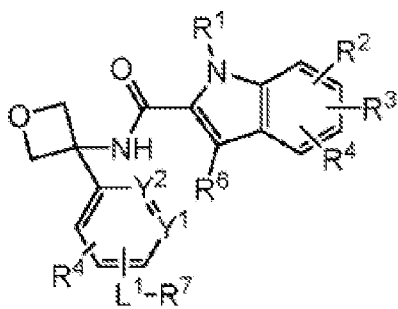
ili naznačen time da (ii) R<sup>7</sup> jest vodik, metil, etil, ciklopropil, ciklobutil, tetrazolil ili -CO<sub>2</sub>H.

5. Spoj prema bilo kojem od patentnih zahtjeva 1 do 4, **naznačen time** da X jest O, ili naznačen time da X jest S, ili naznačen time da X jest -N(R<sup>10</sup>)-.

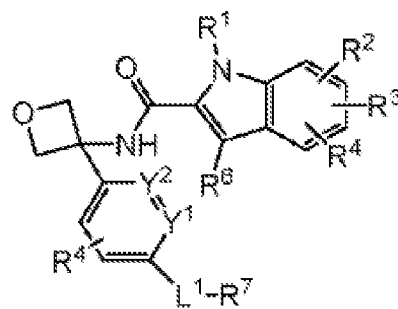
6. Spoj prema bilo kojem od patentnih zahtjeva 1 do 5, **naznačen time** da Y<sup>1</sup> jest N te Y<sup>2</sup> jest =(CH)-, , ili naznačen time da Y<sup>1</sup> jest =(CH)- te Y<sup>2</sup> jest N.

15

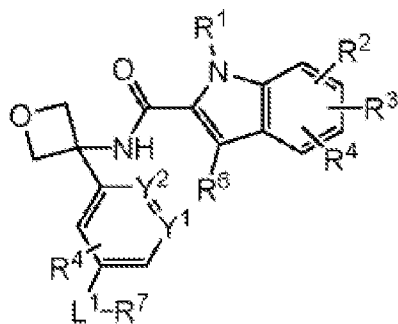
7. Spoj prema patentom zahtjevu 1, **naznačen time** da je spomenuti spoj odabran od formula II-a, II-b, II-c, II-d, or II-e:



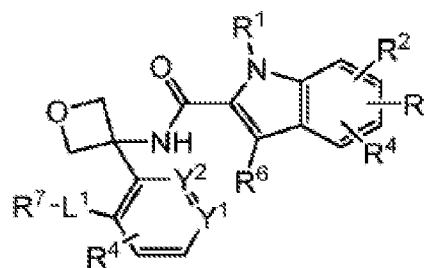
II-a



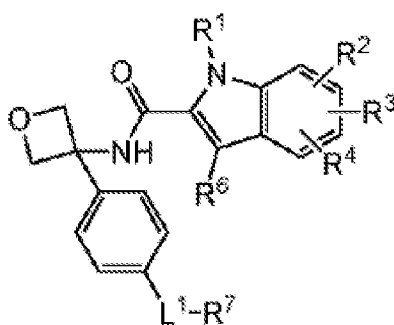
II-b



II-c



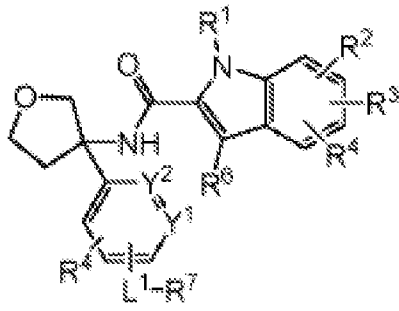
II-d



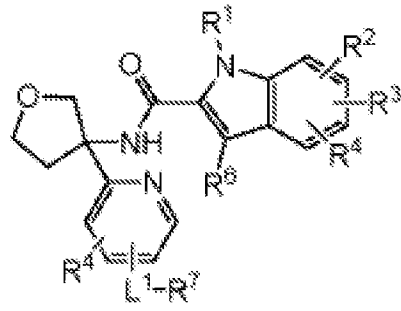
II-e

ili njegova farmaceutski prihvatljiva sol.

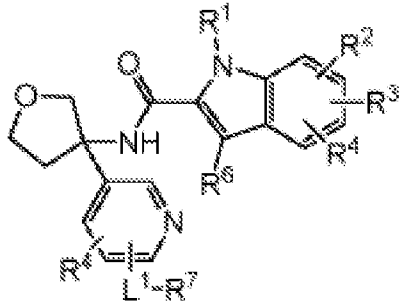
8. Spoj prema patentom zahtjevu 1, **naznačen time** da je spomenuti spoj ima bilo koju od formula **III-a, III-b, III-c, III-d, III-e, III-f, IIIg, III-h, ili III-i**:



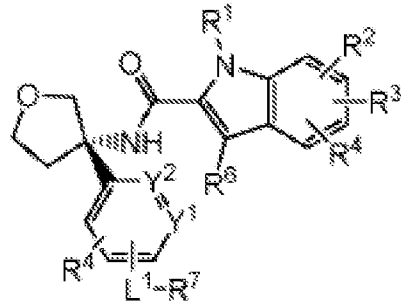
III-a



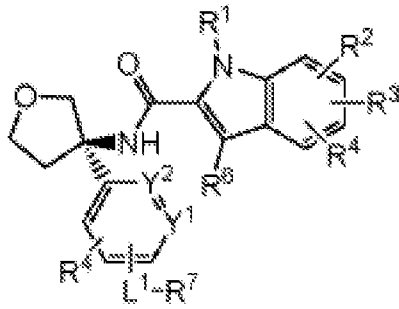
III-b



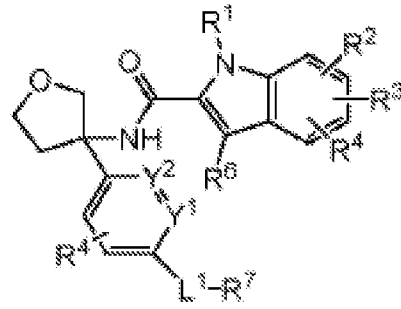
III-c



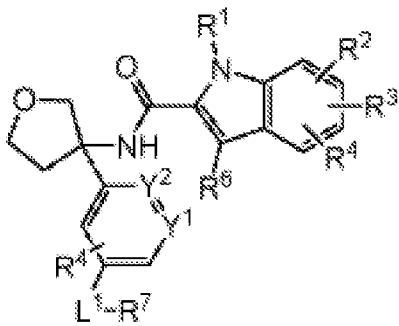
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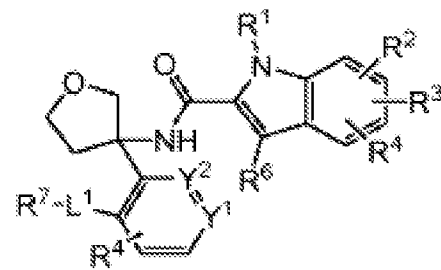
III-e



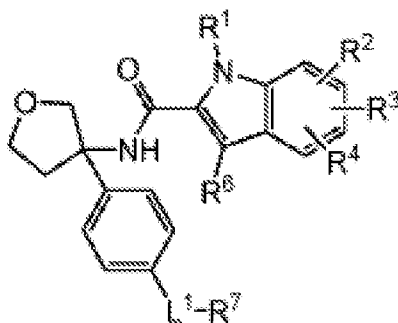
III-f



III-g



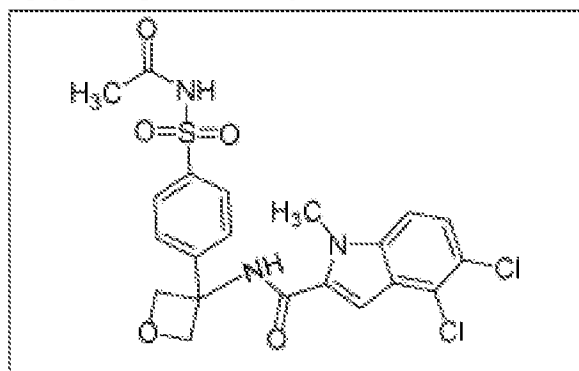
III-h



III-I

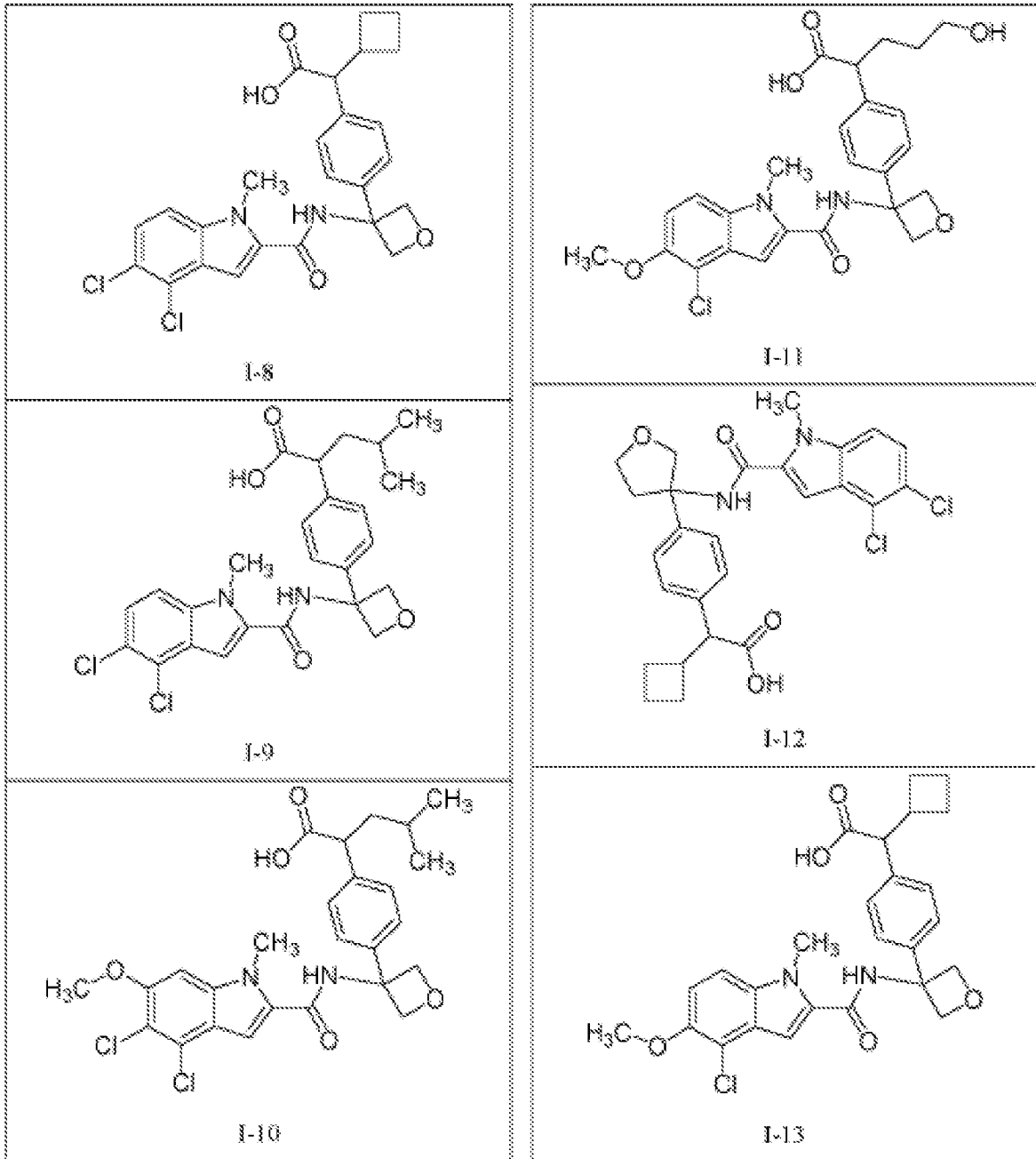
ili njegova farmaceutski prihvatljiva sol.

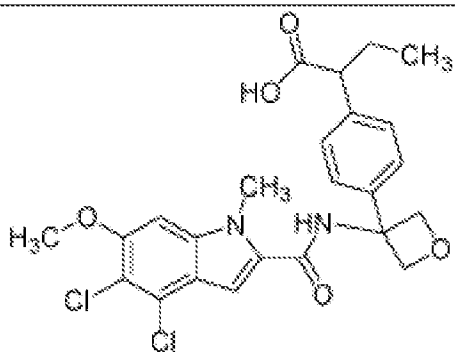
9. Spoj prema patentom zahtjevu 1, **naznačen time** da spoj jest odabran od sljedećih:



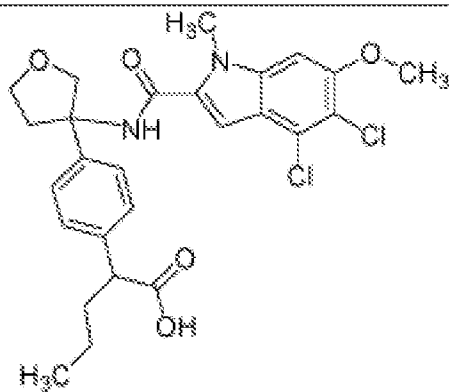
I-I



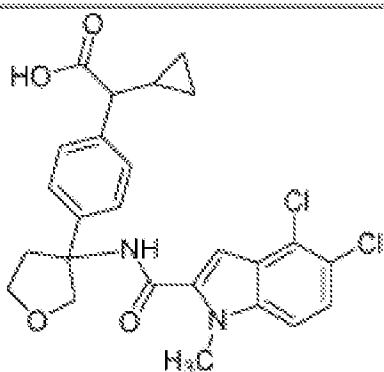




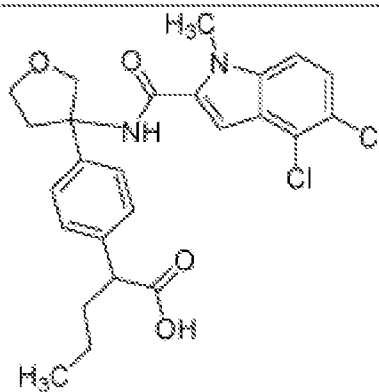
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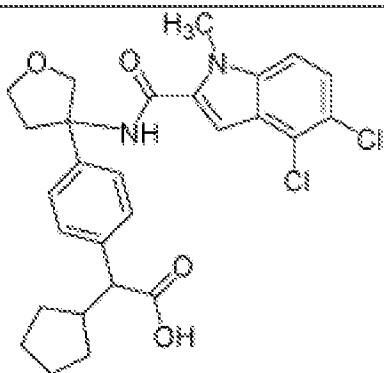
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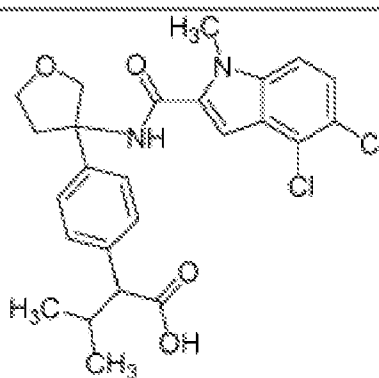
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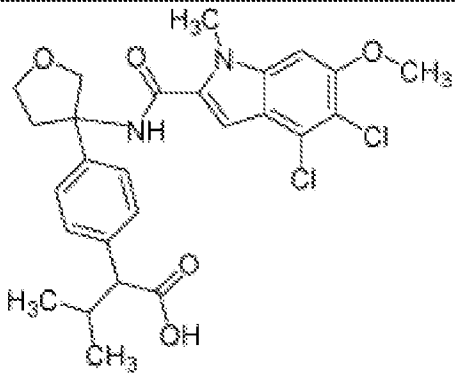
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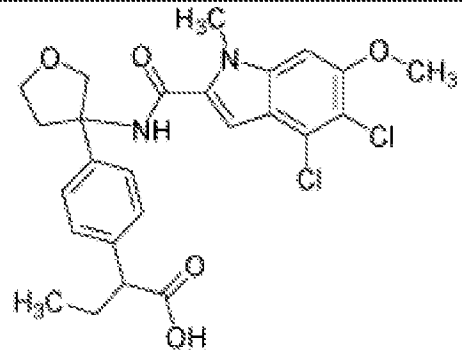
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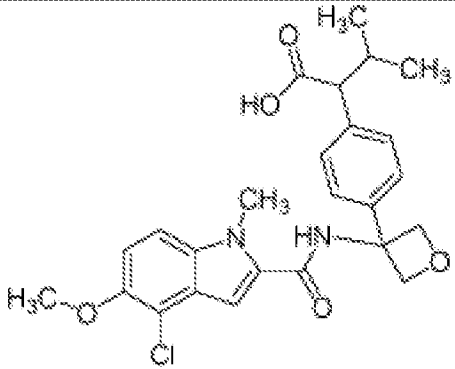
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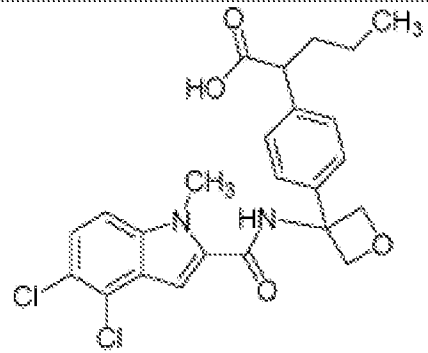
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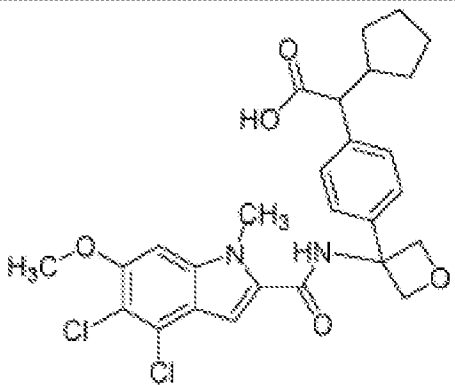
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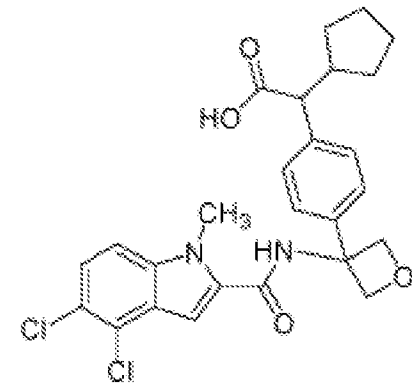
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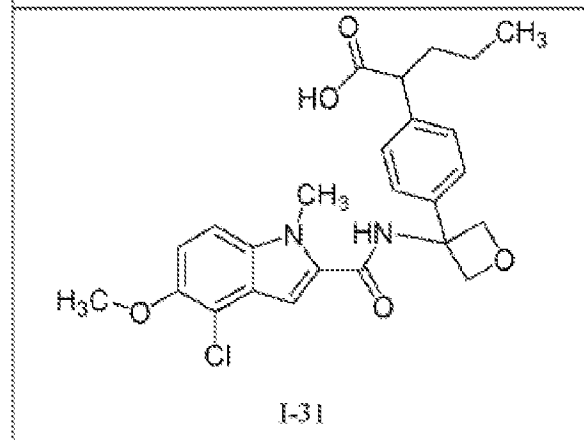
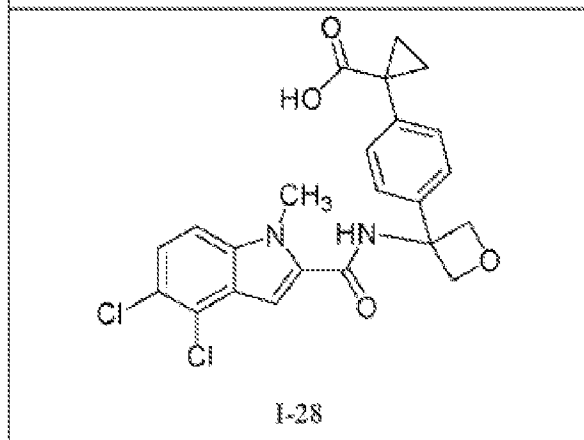
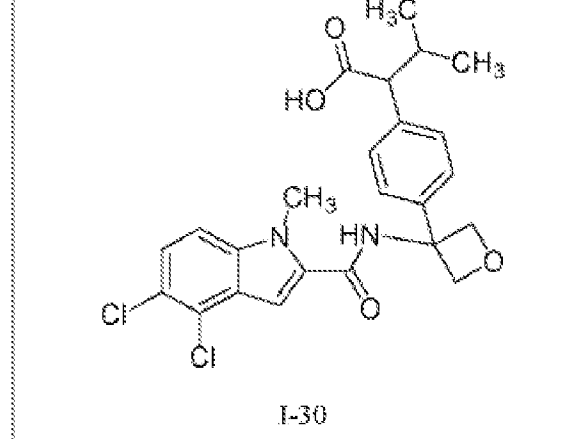
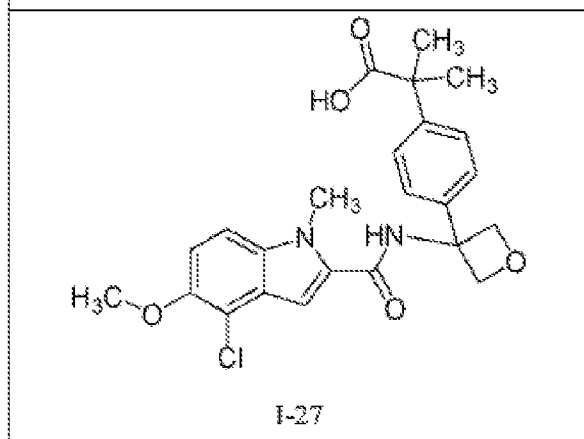
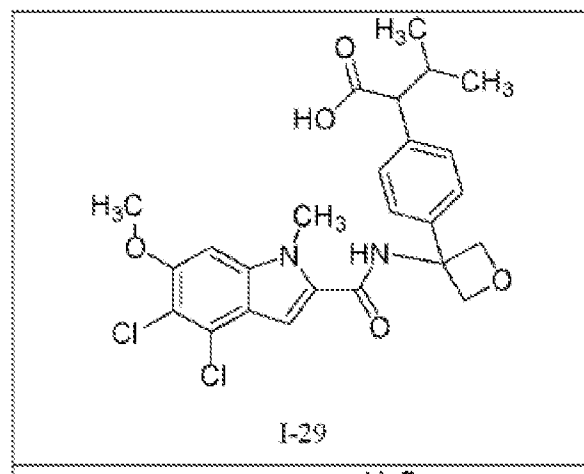
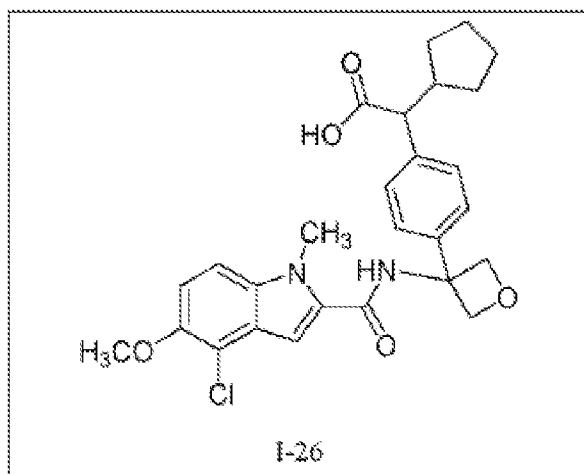
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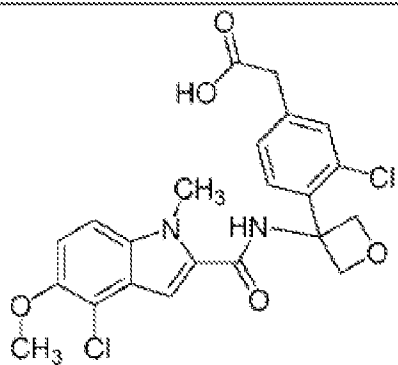


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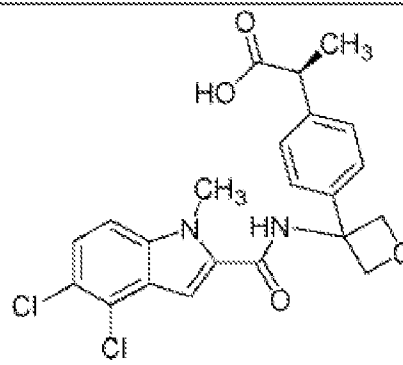


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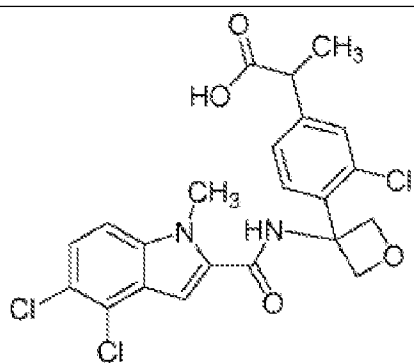




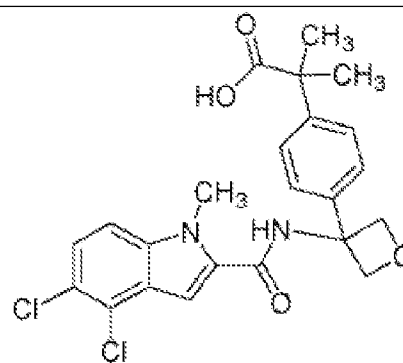
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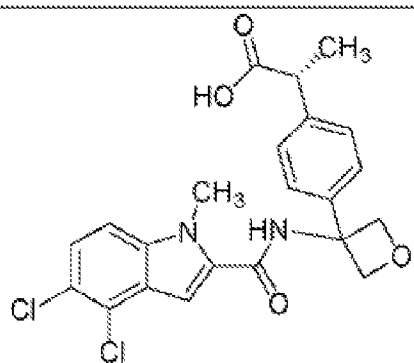
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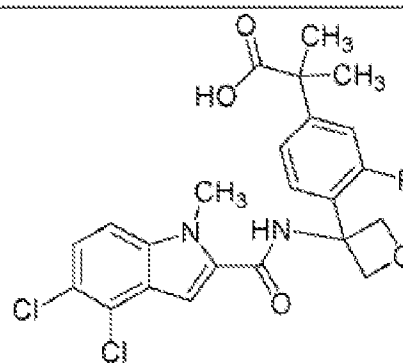
I-33



I-36

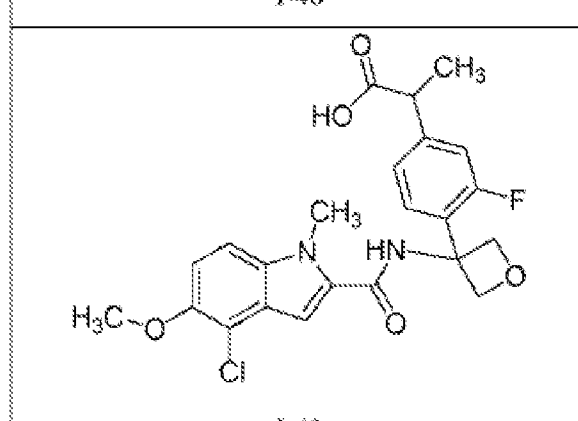
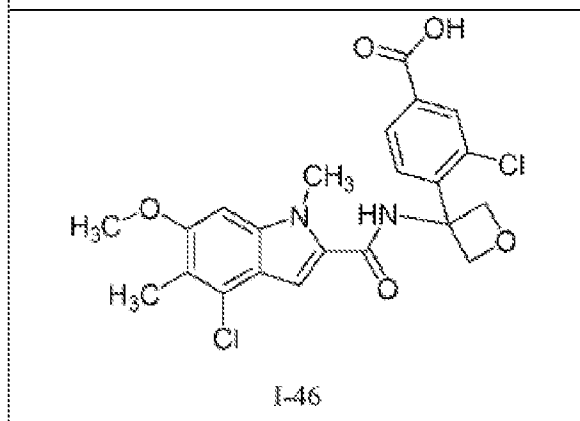
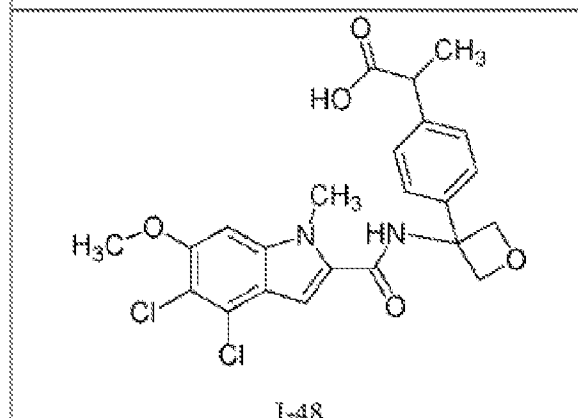
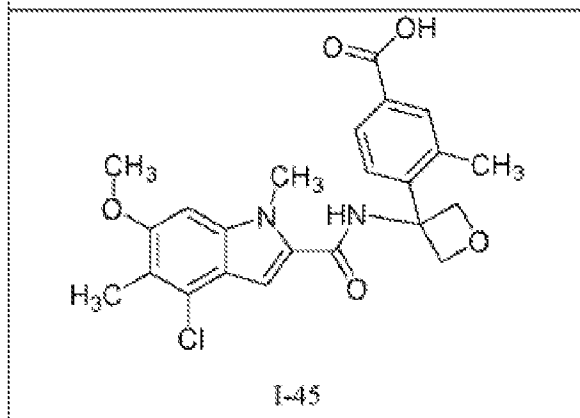
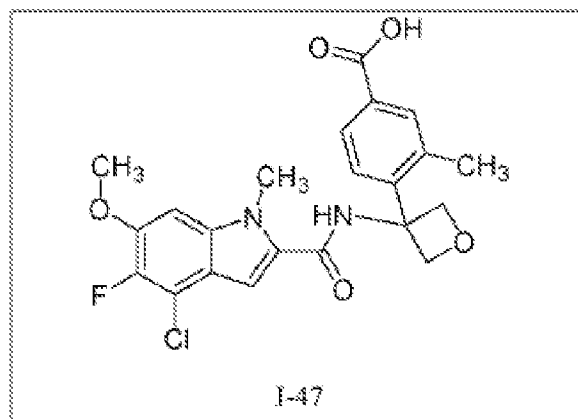
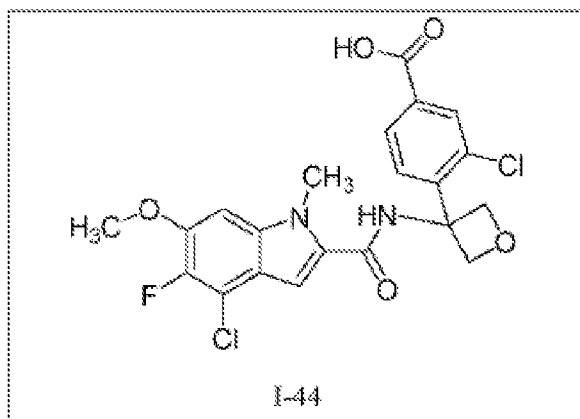


I-34

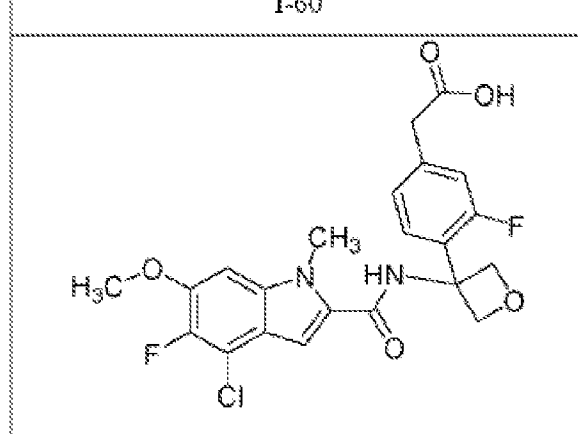
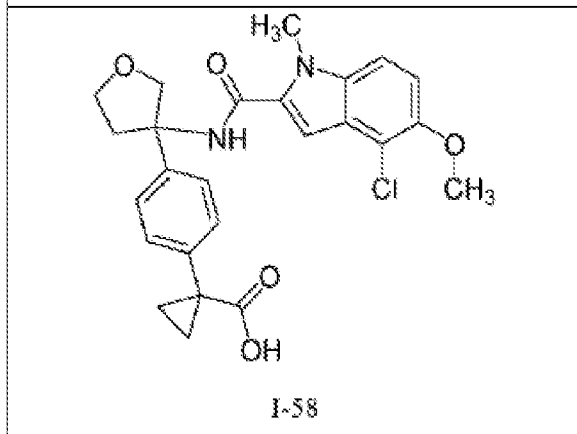
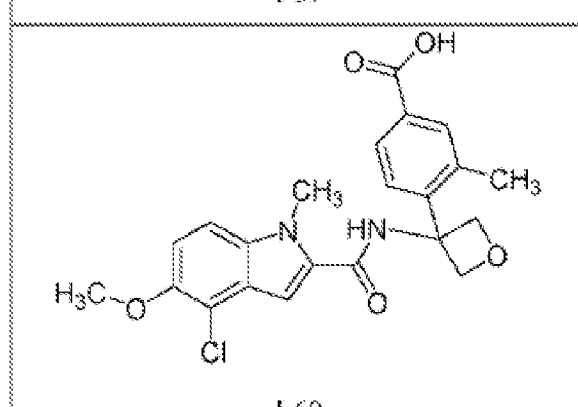
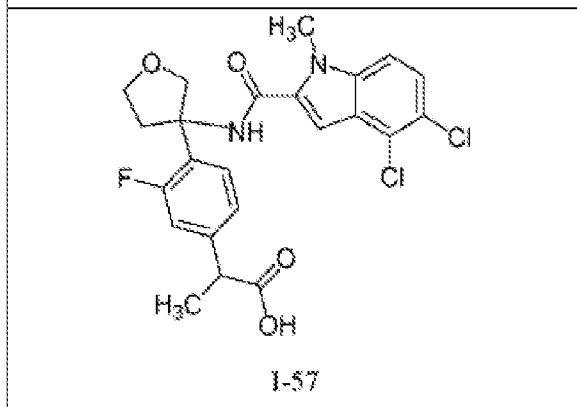
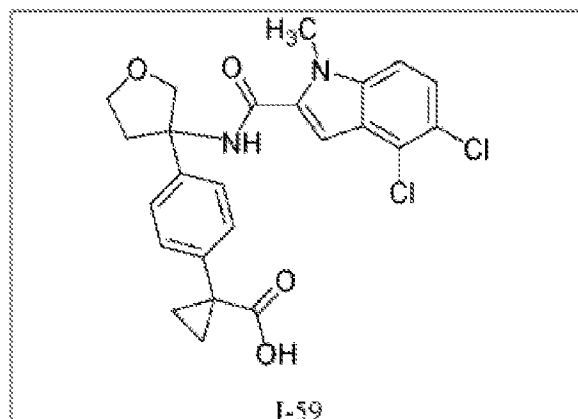
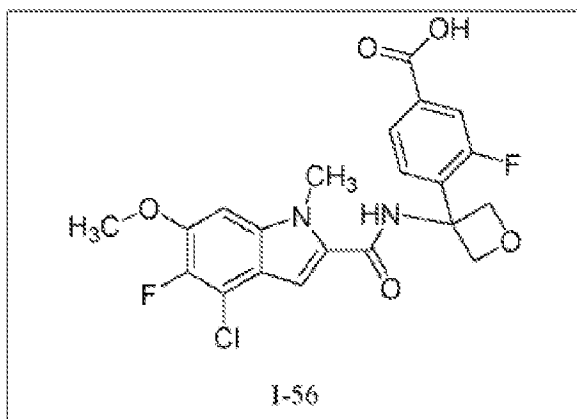


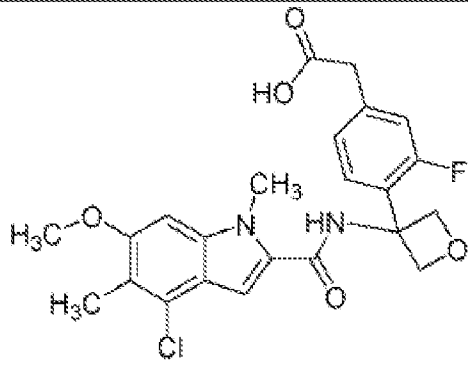
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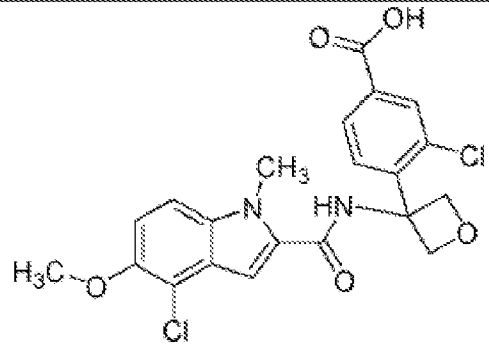




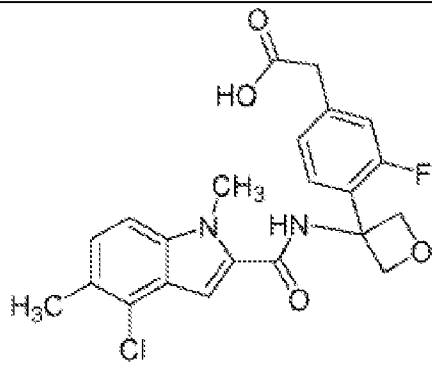




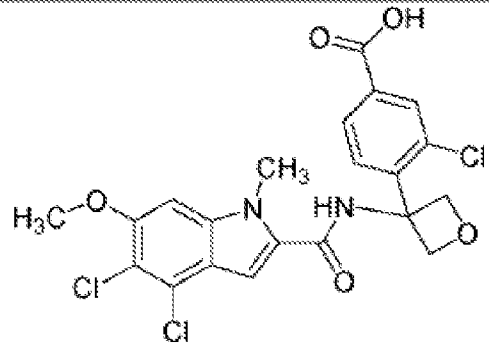
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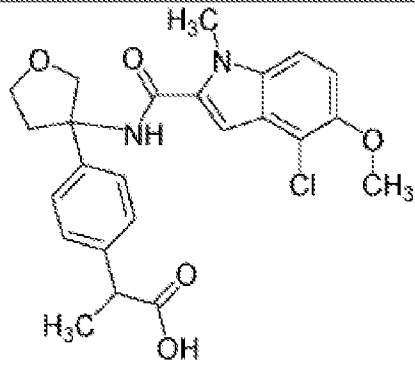
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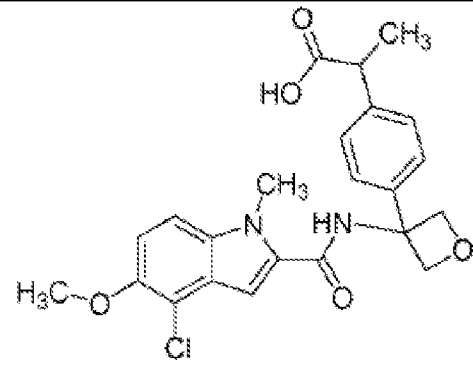
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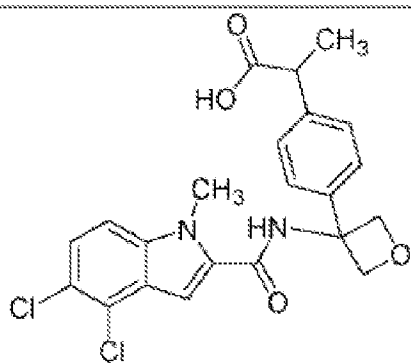
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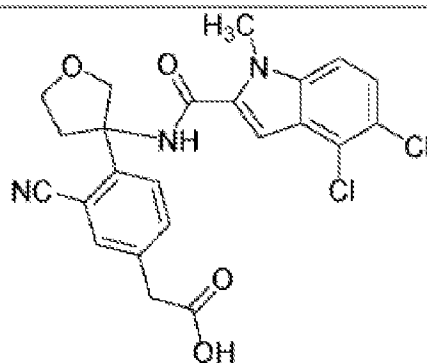
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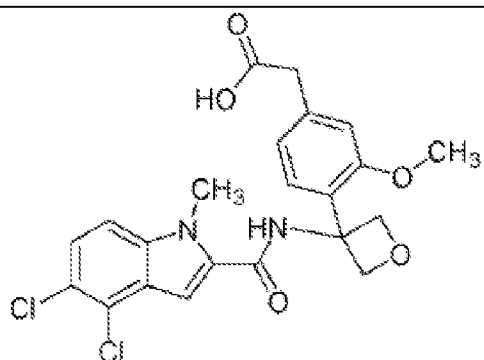
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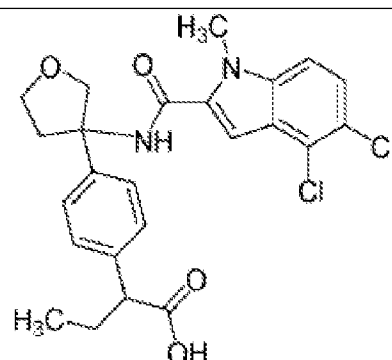
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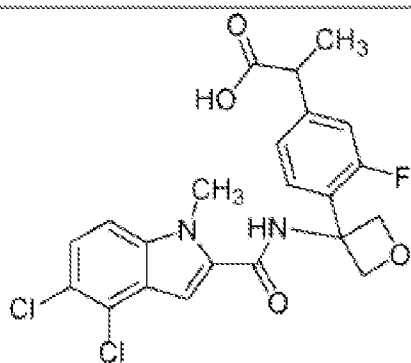
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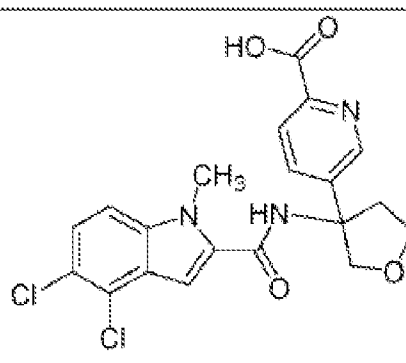
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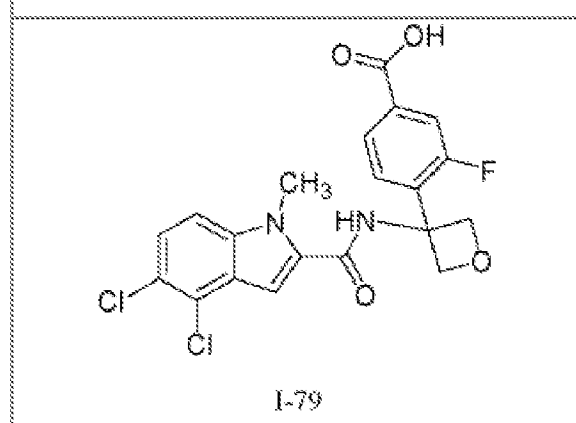
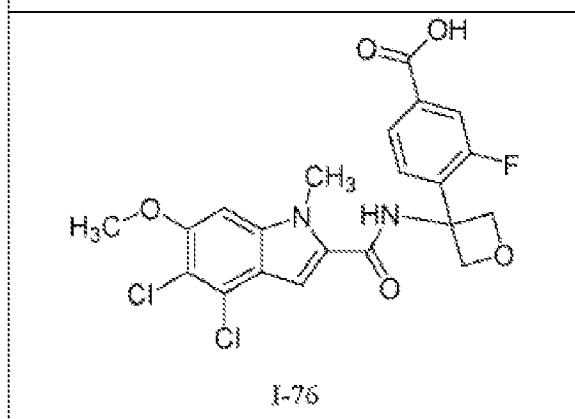
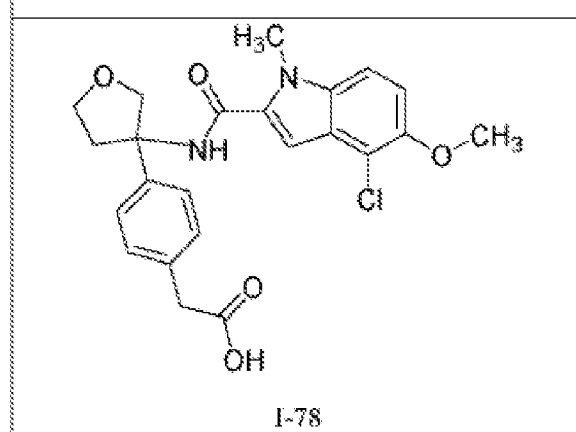
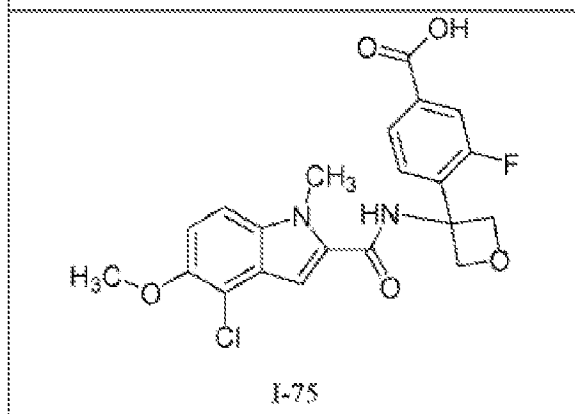
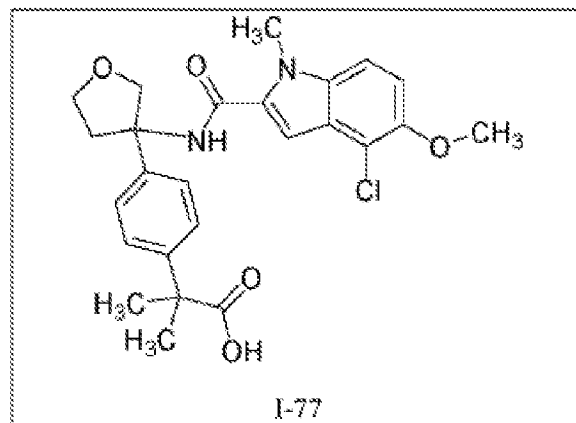
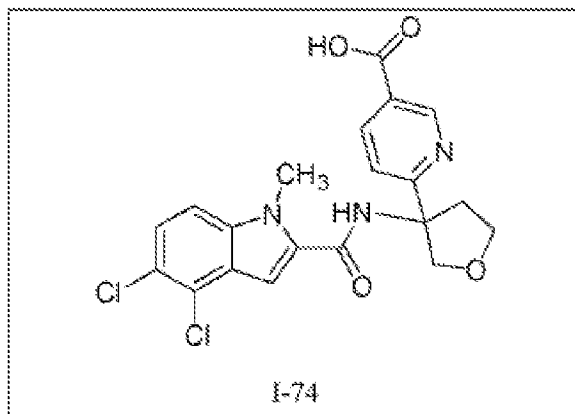
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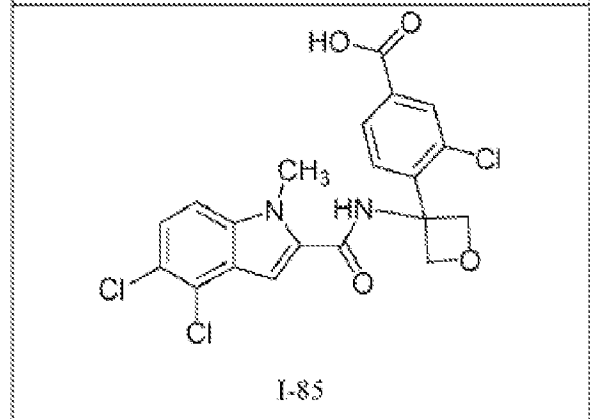
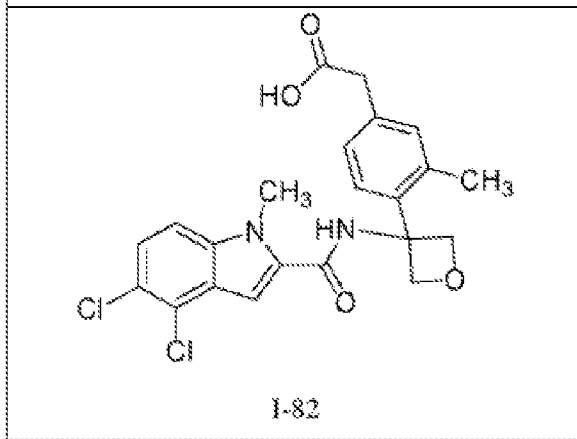
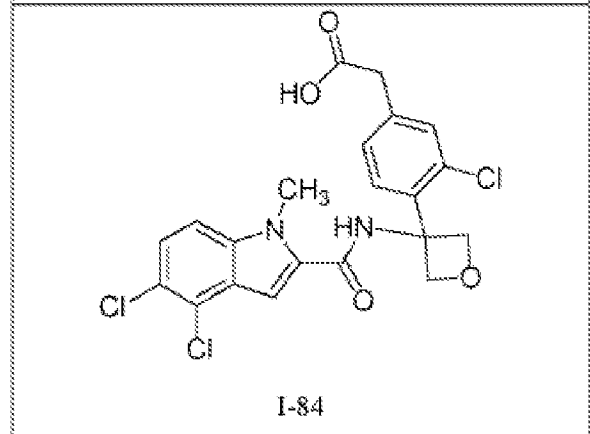
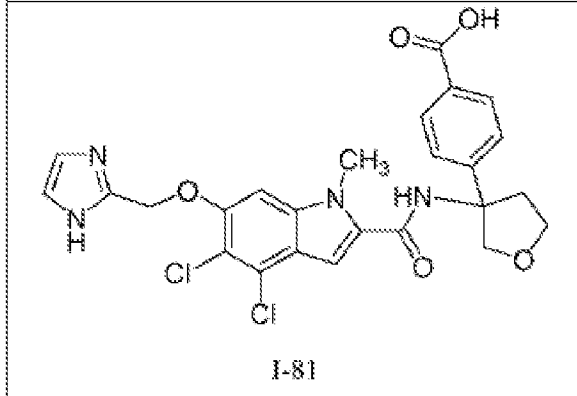
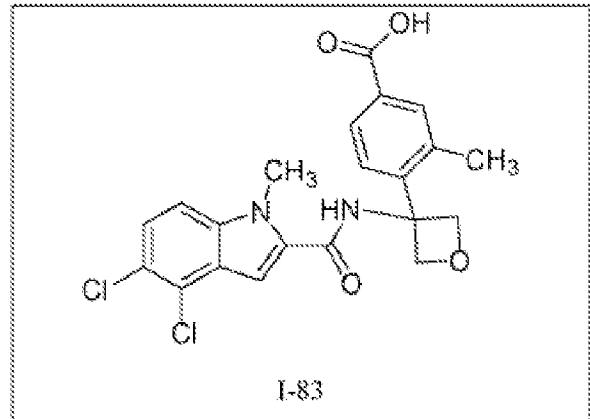
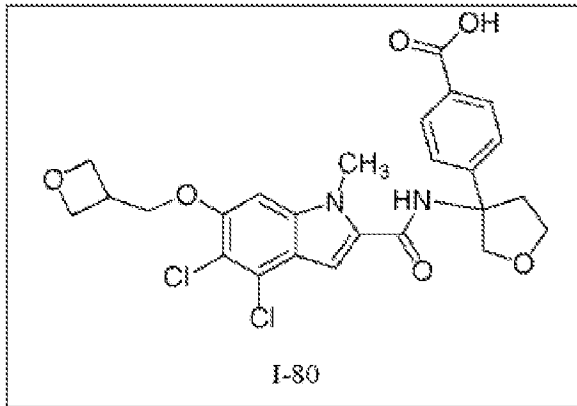


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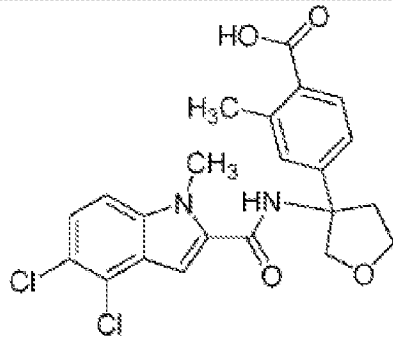
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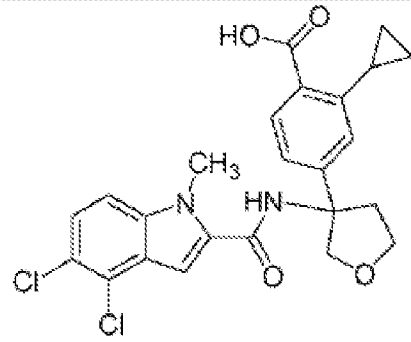




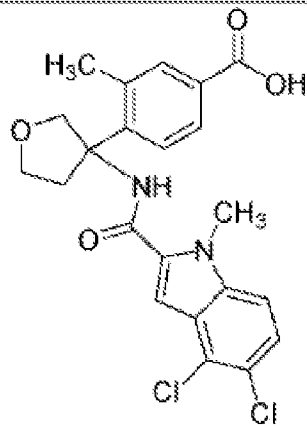




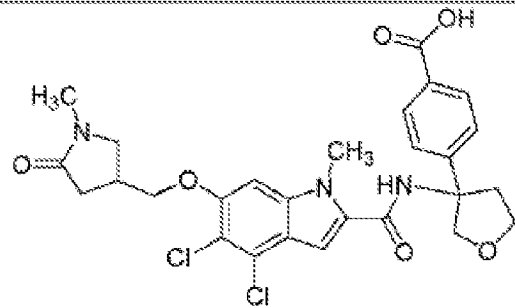
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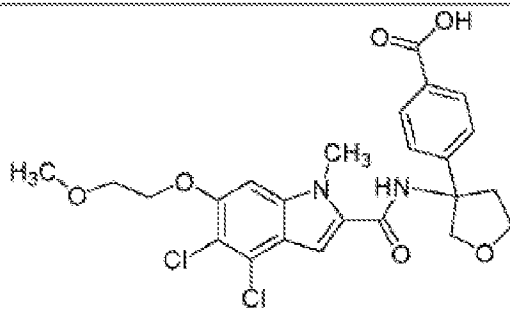
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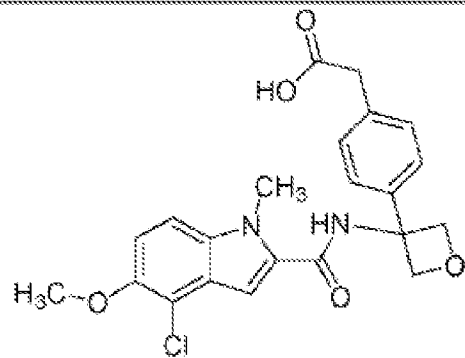
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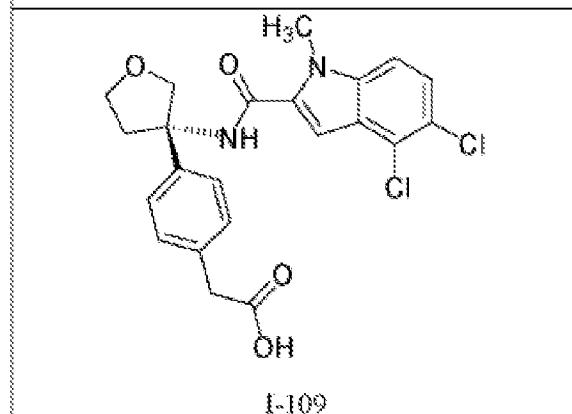
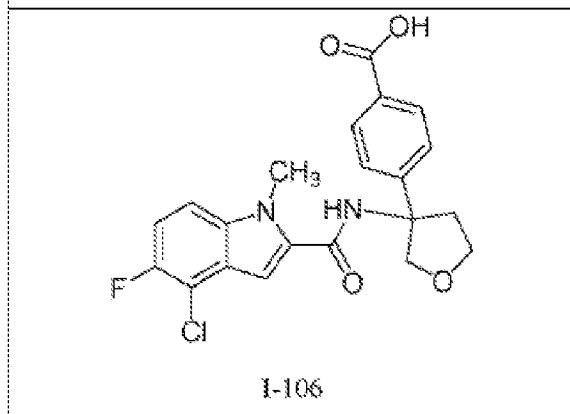
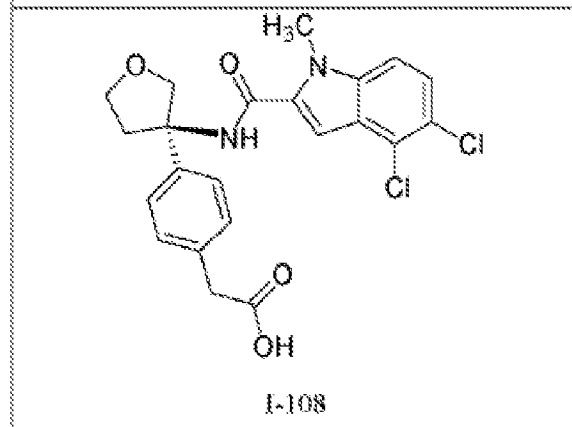
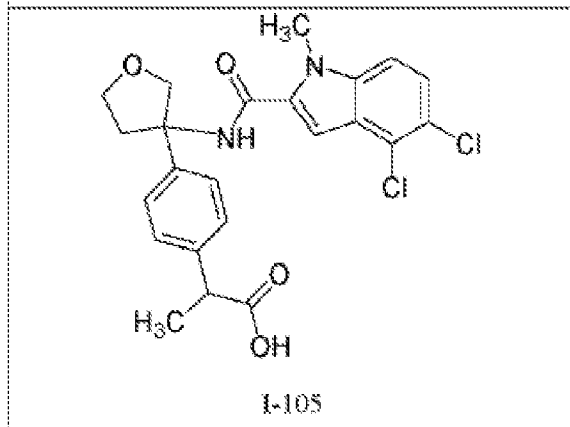
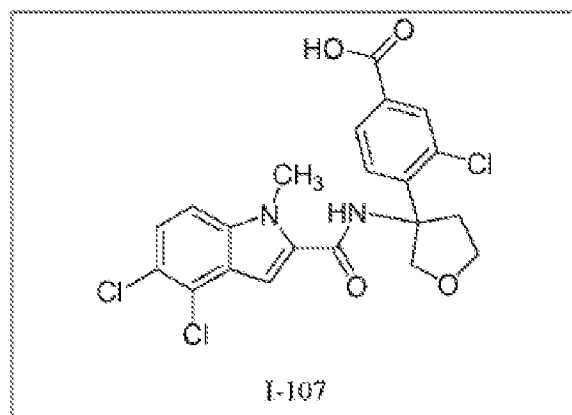
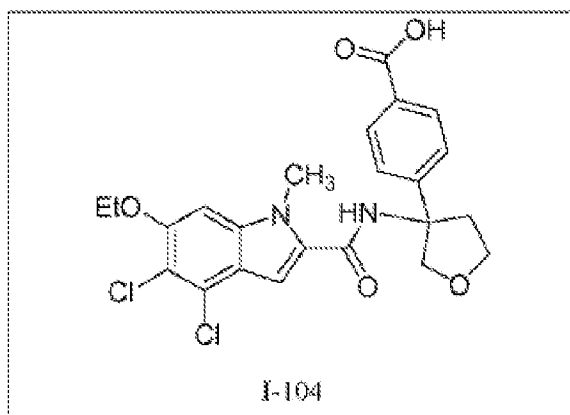
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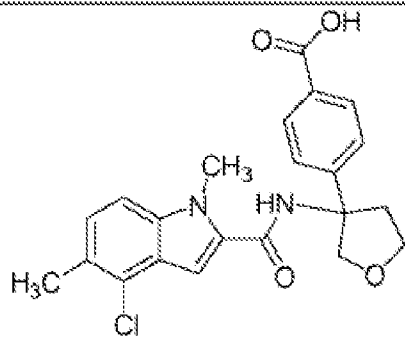
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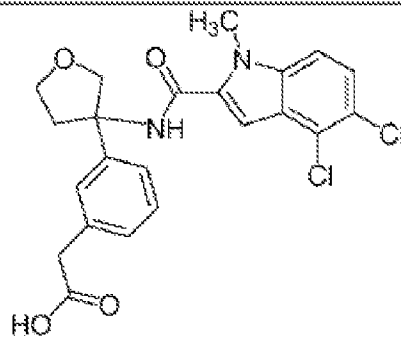
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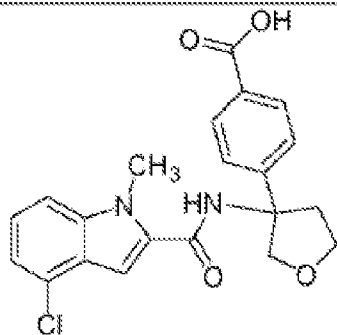




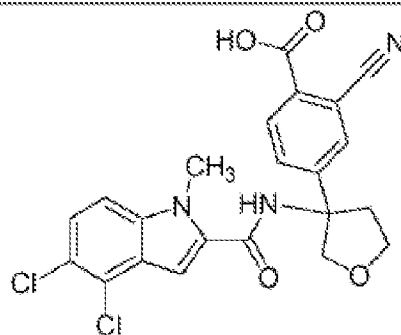
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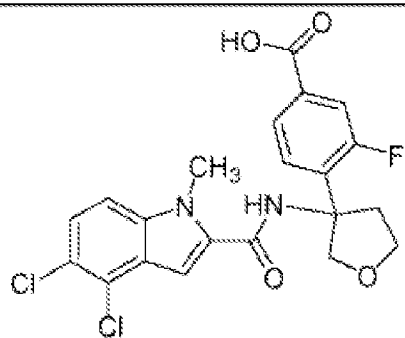
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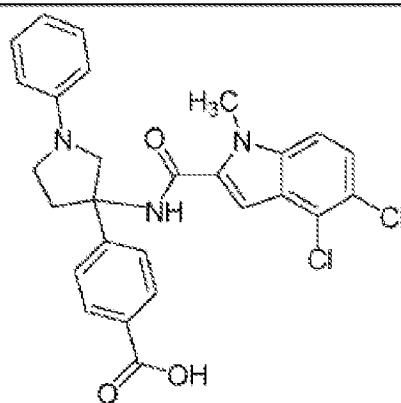
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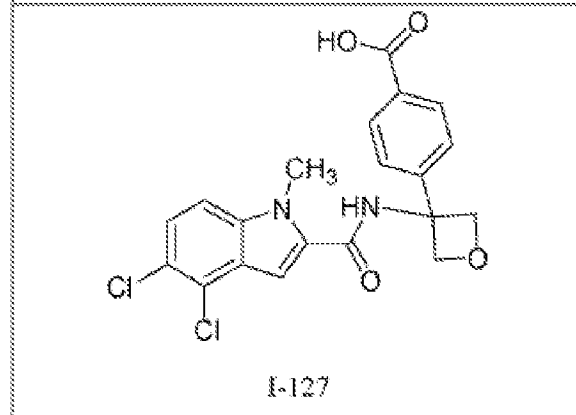
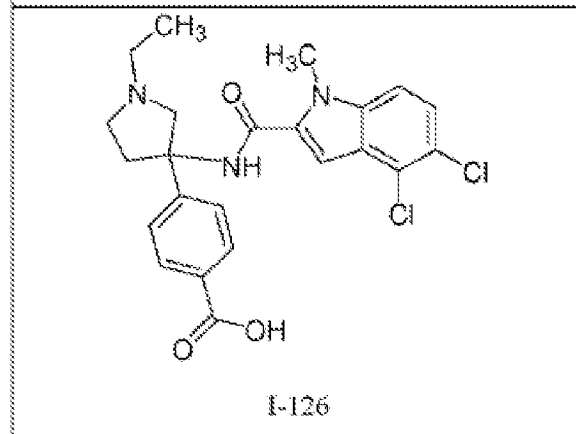
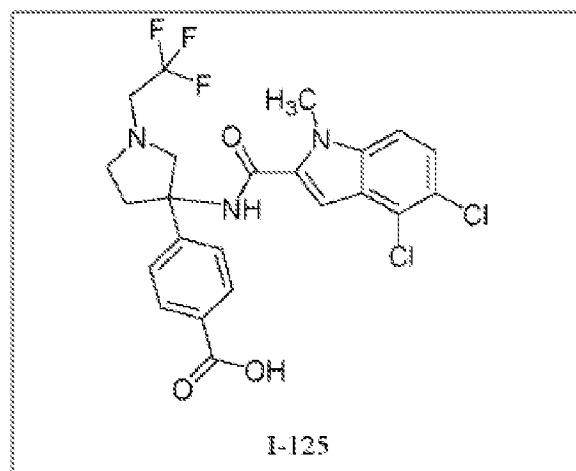
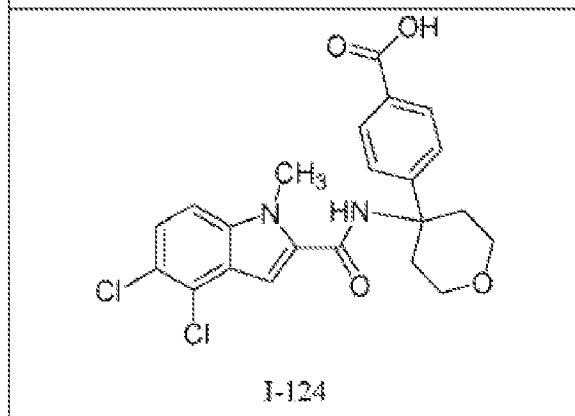
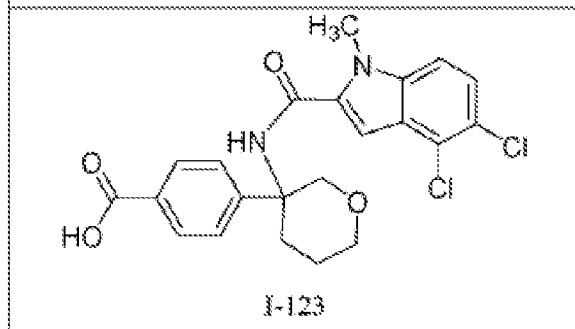
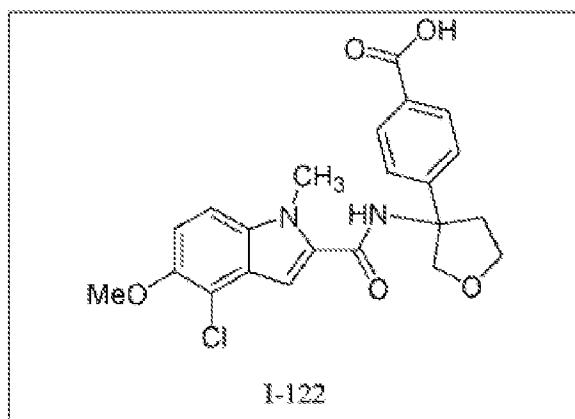
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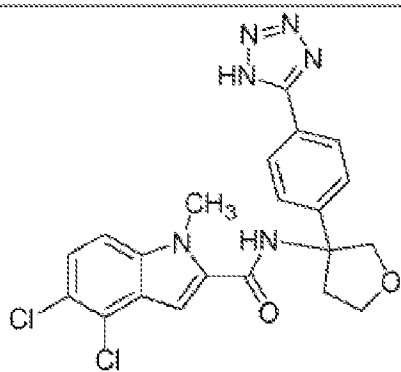


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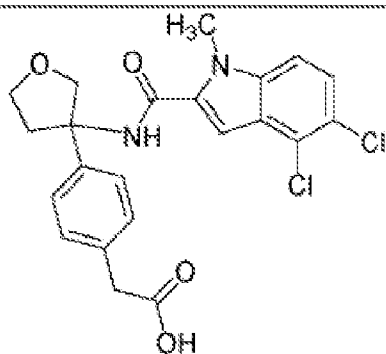


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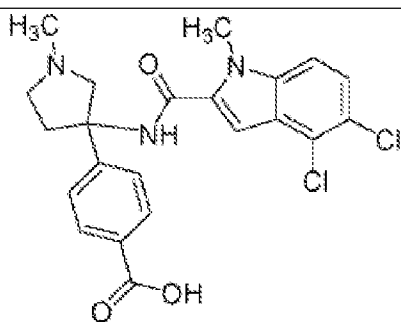




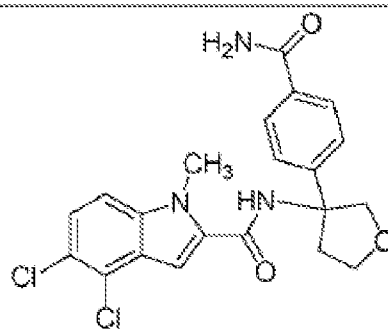
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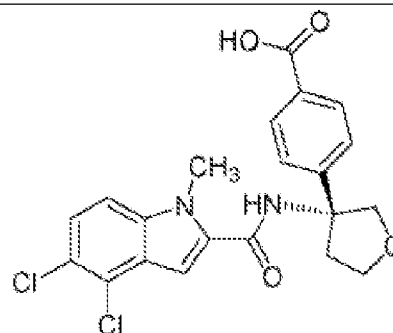
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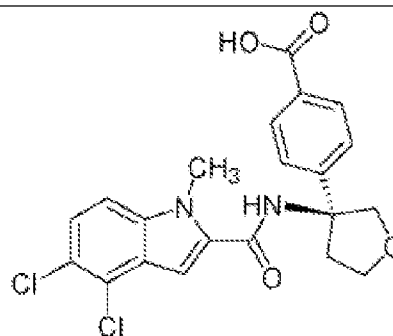
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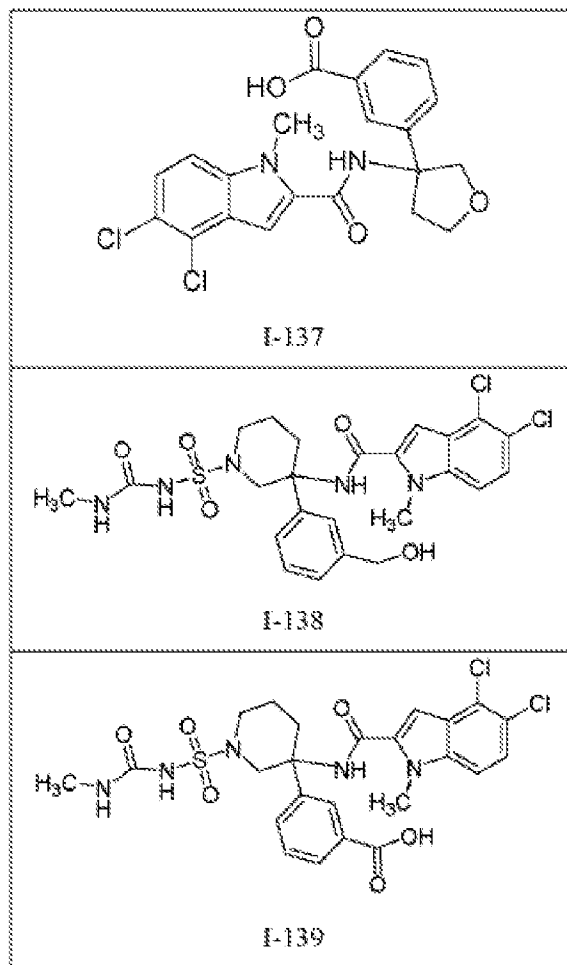
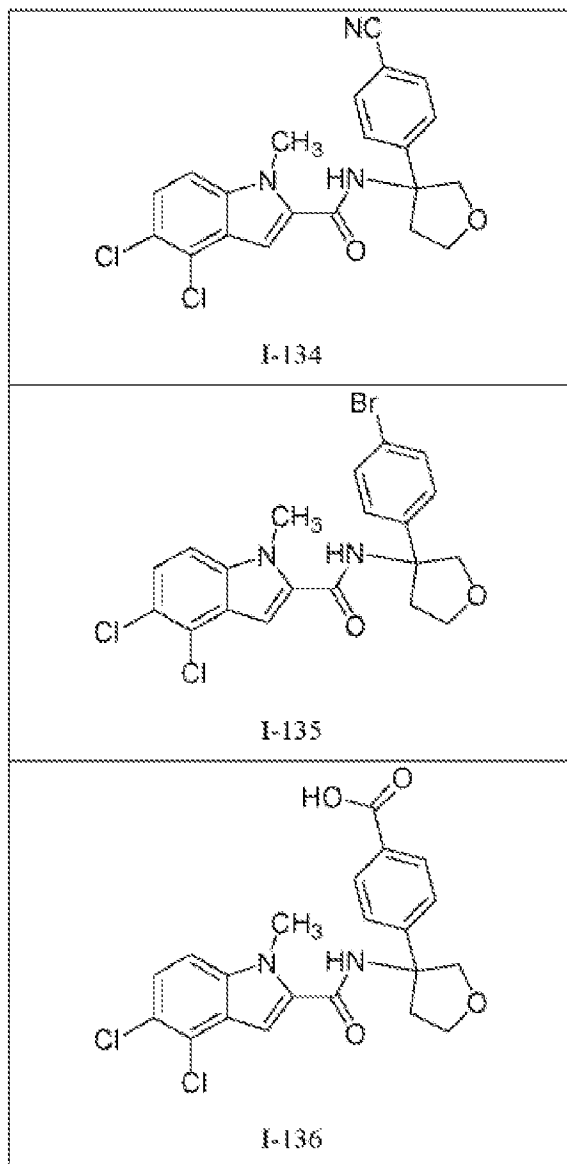
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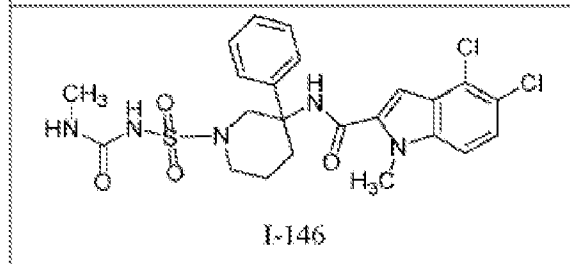
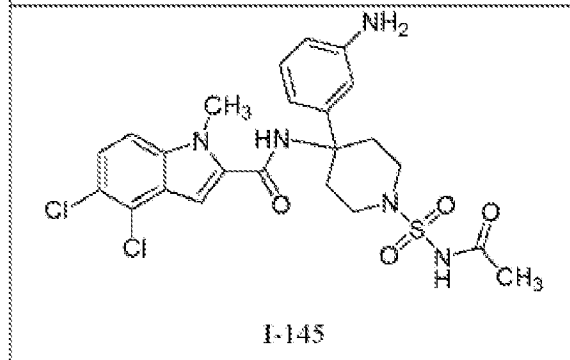
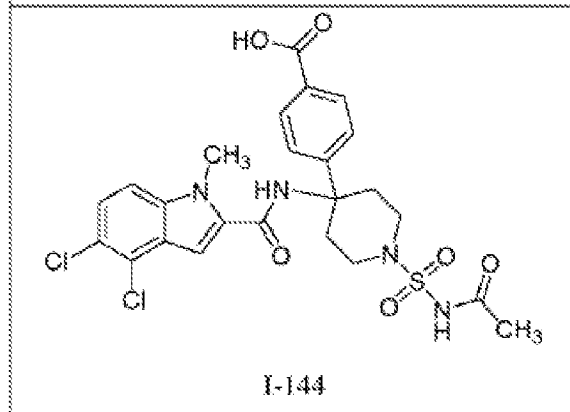
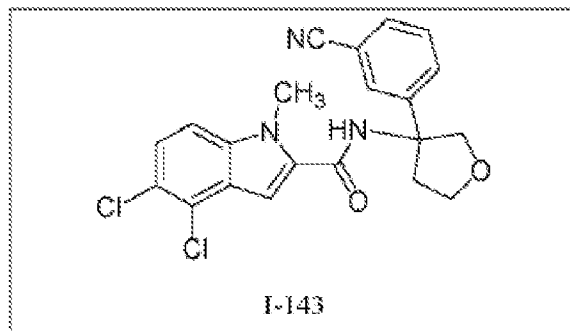
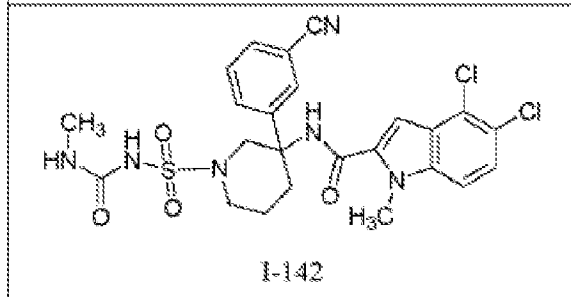
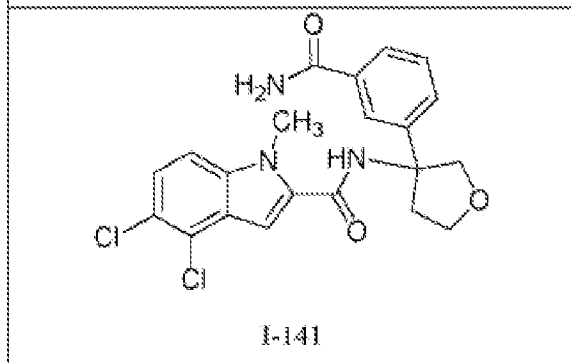
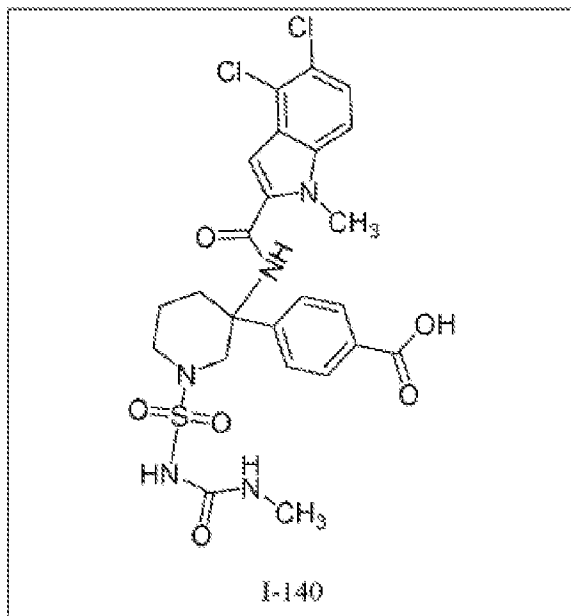


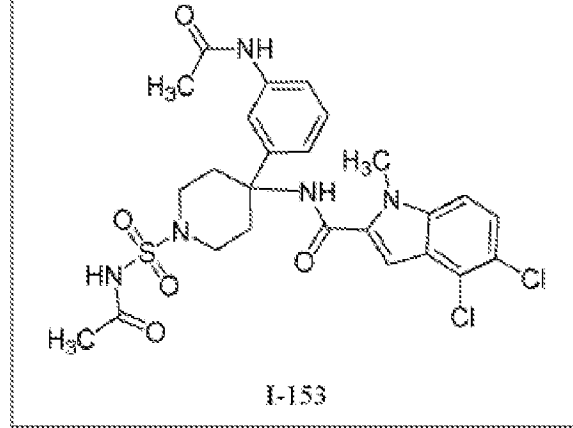
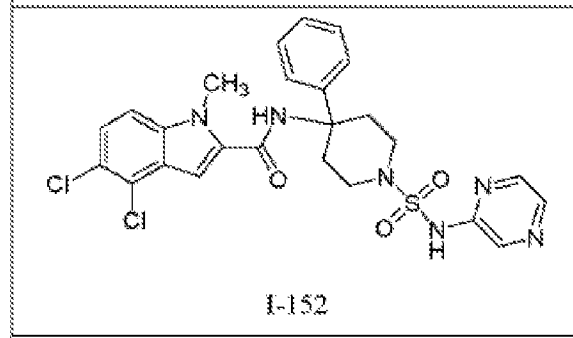
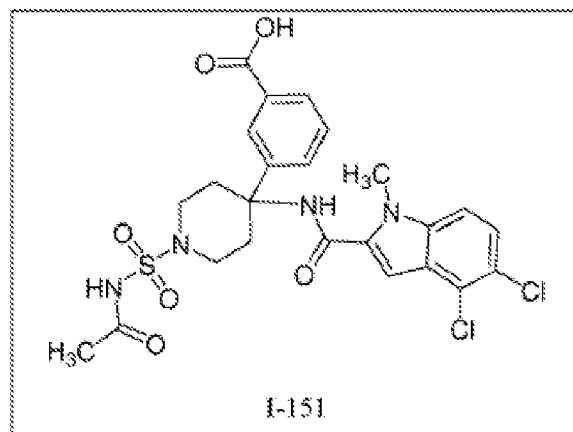
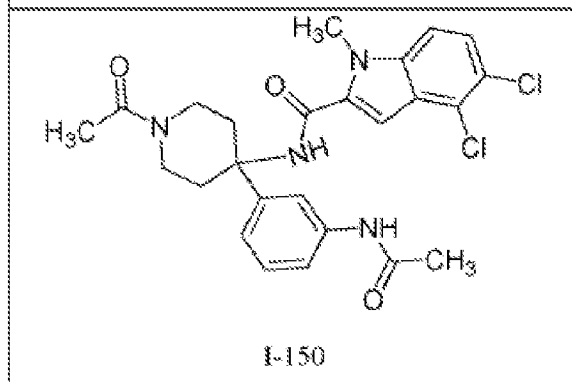
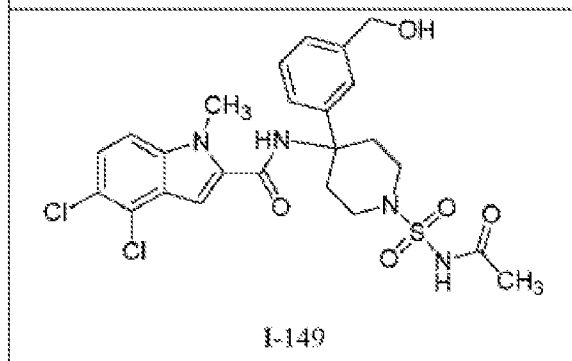
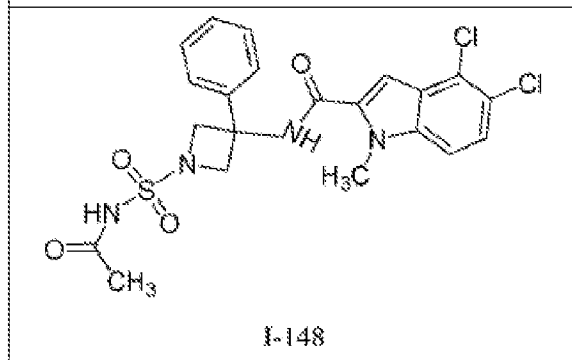
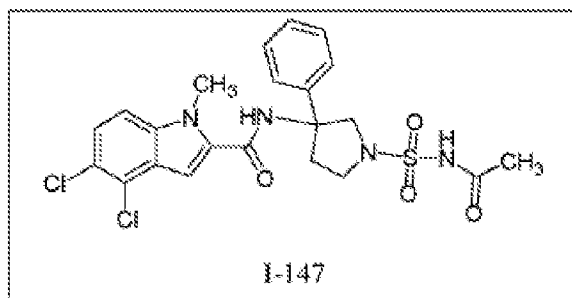
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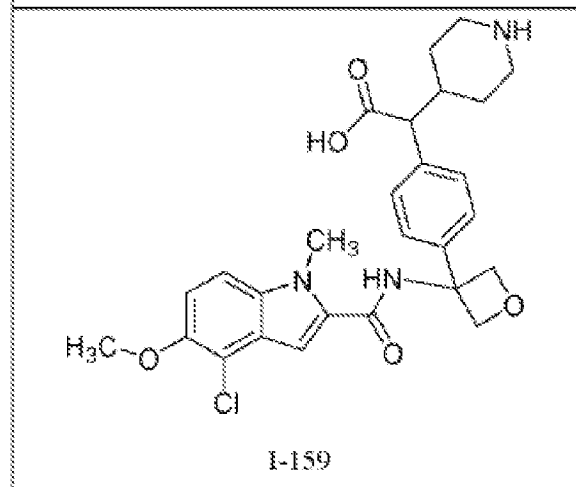
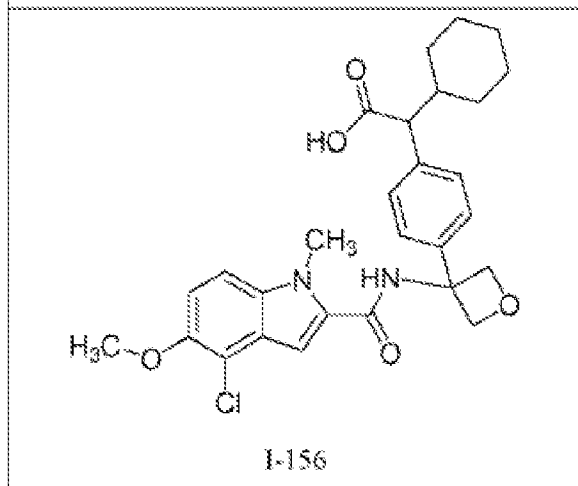
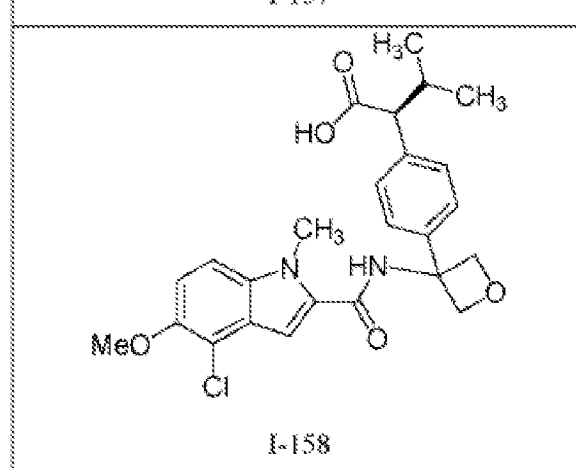
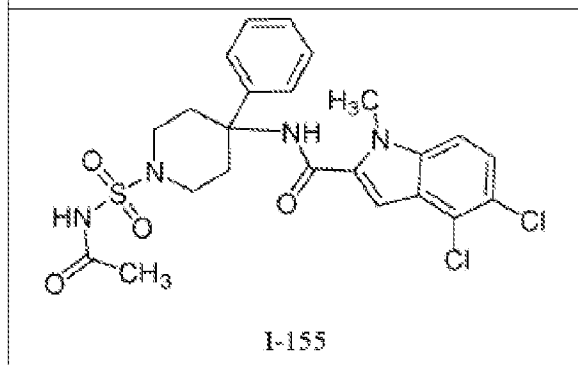
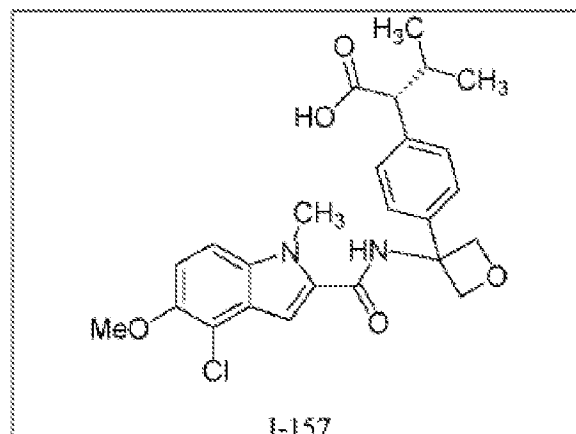
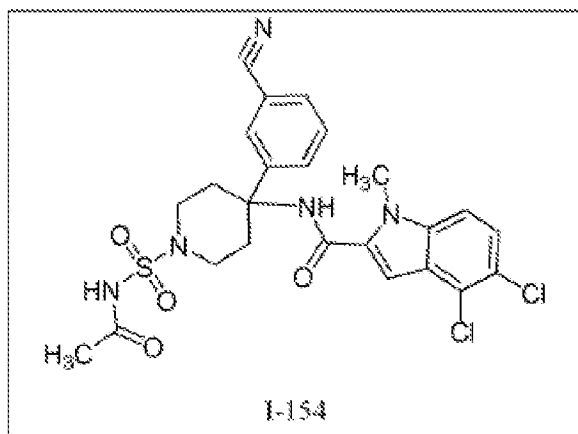


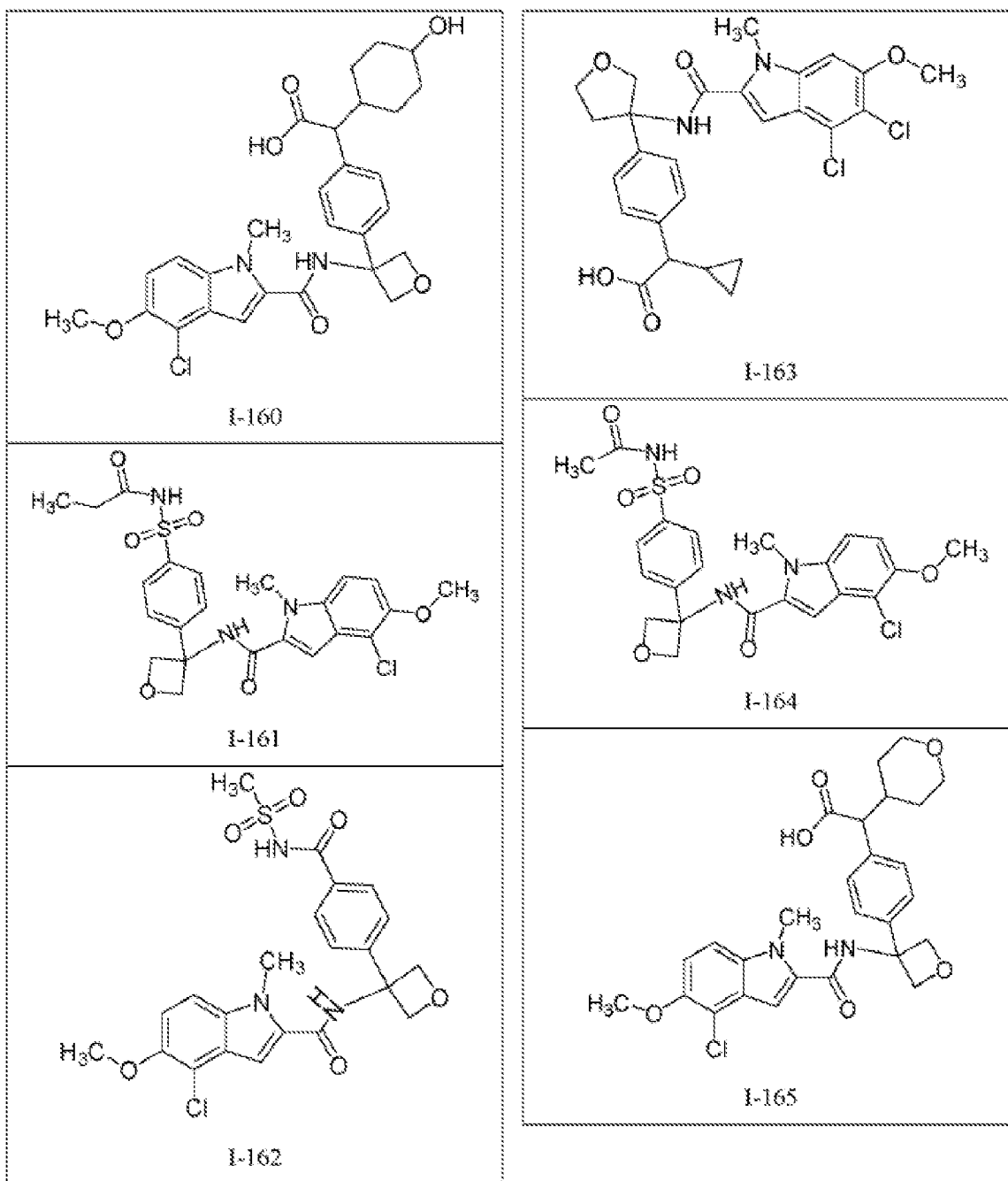
I-133











ili njegova farmaceutski prihvatljiva sol.

10. Farmaceutski pripravak sadrži spoj prema bilo kojem od patentnih zahtjeva 1 do 9 ili njegovu farmaceutski prihvatljivu sol i farmaceutski prihvatljiv adjuvans, nosač ili vezikul.
- 5 11. Spoj iz bilo kojeg od patentnih zahtjeva 1 do 9 ili njegov farmaceutski pripravak za upotrebu u liječenju poremećaja posredovanog s PHGDH.
12. Spoj iz bilo kojeg od patentnih zahtjeva 1 do 9, za upotrebu u liječenju karcinoma.
13. Spoj za upotrebu iz patentnog zahtjeva 12, **naznačen time** da karcinom jest melanom ili karcinom dojke.
14. Spoj iz bilo kojeg od patentnih zahtjeva 1 do 9, **naznačen time** da je za upotrebu u liječenju tumora.
- 10 15. Spoj za upotrebu iz patentnog zahtjeva 14, **naznačen time** da tumori jesu sljedeći: melanom, ili karcinom dojke, ili naznačen time da jest karcinom malih stanica pluća (SCLC) ili karcinom ne-malih stanica pluća (NSCLC).