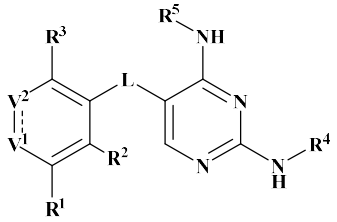
WHAT IS CLAIMED IS:

[Claim 1]. Use of a compound of Formula (I) or a pharmaceutically acceptable salt, ester, stereoisomer, polymorph, solvate, N-oxide, or isotopically labeled compound thereof in the manufacture of a medicament for treating, suppressing or alleviating endometriosis-associated pain,



Formula (I)

wherein:

L is selected from the group consisting of C(=O), CRR', NR, O, S, S=O and $S(=O)_2$;

V^1 is selected from the group consisting of N, $\overset{\oplus}{N}—\overset{\ominus}{O}$ and NR;

V^2 is selected from the group consisting of CR^6 and C(=O);

--- represents either a single bond or a double bond, provided that when --- is a single bond, V^1 is NR and V^2 is C(=O);

R and R' are each independently selected from the group consisting of H, halogen, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, saturated or partially unsaturated C_{3-10} cyclic hydrocarbyl, saturated or partially unsaturated 3- to 10-membered heterocyclyl, C_{6-10} aryl, 5- to 14-membered heteroaryl and C_{6-12} aralkyl, and at most 2 ring members in the cyclic hydrocarbyl and heterocyclyl are C(=O);

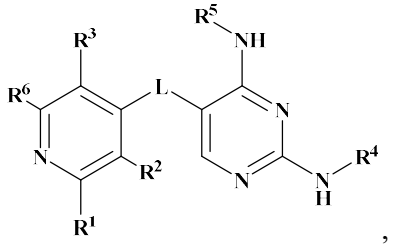
R^1, R^2, R^3 and R^6 are each independently selected from the group consisting of H, halogen, -CN, $-NO_2$, $-NH_2$, -OH, -SH, -Se-R, $-Si(R)_3$, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, saturated or partially unsaturated C_{3-10} cyclic hydrocarbyl, saturated or partially unsaturated 3- to 10-membered heterocyclyl, C_{6-10} aryl, 5- to 14-membered heteroaryl, C_{6-12} aralkyl, C_{1-6} haloalkyl, $-C(=O)R^a$, $-OC(=O)R^a$, $-C(=O)OR^a$, $-OR^a$, $-SR^a$, $-S(=O)R^a$, $-S(=O)_2R^a$, $-S(=O)_2NR^aR^b$, $-S(=O)(=NR)R^a$, $-NR^aR^b$, $-C(=O)NR^aR^b$, $-C(=S)NR^aR^b$, $-C(=NR)NR^aR^b$, $-NR^a-C(=O)R^b$, $-NR^a-C(=O)OR^b$, $-NR^a-S(=O)_2-R^b$, $-NR^a-C(=O)-NR^aR^b$, $-C_{1-6}$ alkylene-NR^aR^b, $-C_{1-6}$ alkylene-OR^a, $-C_{1-6}$ alkylene-C(=O)R, $-C_{1-6}$ alkenylene-OR^a, $-O-C_{1-6}$ alkylene-NR^aR^b and $-P(=O)R^aR^b$;

R^4 and R^5 are each independently selected from the group consisting of H, $-C(=O)OR^a$, $-NR^aR^b$, $-NR^a-C(=O)R^b$, $-NR^a-C(=O)OR^b$, $-C_{1-6}$ alkylene-NR^aR^b, $-C_{1-6}$ alkylene-OR^a, $-C_{1-6}$ alkylene-$O-C_{1-6}$ alkylene-OR^a, C_{1-6} alkyl, C_{1-6} haloalkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, saturated or partially unsaturated C_{3-10} cyclic hydrocarbyl, saturated or partially unsaturated 3- to 10-membered heterocyclyl, C_{6-10} aryl, 5- to 14-membered heteroaryl and C_{6-12} aralkyl;

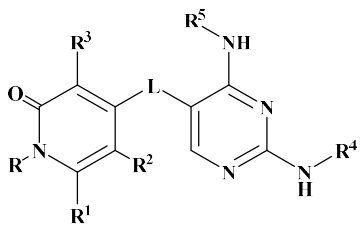
the above alkyl, alkylene, alkenyl, alkynyl, cyclic hydrocarbyl, heterocyclyl, aryl, heteroaryl and aralkyl, at each occurrence, are each optionally substituted with one or more substituents independently selected from the group consisting of halogen, hydroxyl, oxo, amino, cyano, nitro, $-Si(R)_3$, C_{1-6} alkyl, saturated or partially unsaturated C_{3-6} cyclic hydrocarbyl, saturated or partially unsaturated 3- to 10-membered heterocyclyl, C_{6-10} aryl, 5- to 14-membered heteroaryl, C_{6-12} aralkyl, $-C(=O)R^a$, $-OC(=O)R^a$, $-C(=O)OR^a$, $-OR^a$, $-SR^a$, $-S(=O)R^a$, $-S(=O)_2R^a$, $-S(=O)_2NR^aR^b$, $-NR^aR^b$, $-C(=O)NR^aR^b$, $-NR^a-C(=O)R^b$, $-NR^a-C(=O)OR^b$, $-NR^a-S(=O)_2-R^b$, $-NR^a-C(=O)-NR^aR^b$, $-C_{1-6}$ alkylene-NR^aR^b, $-C_{1-6}$ alkylene-OR^a, $-C_{1-6}$ alkenylene-OR^a and $-O-C_{1-6}$ alkylene-NR^aR^b, the alkyl, cyclic hydrocarbyl, heterocyclyl, aryl, heteroaryl and aralkyl are further optionally substituted with one or more substituents independently selected from the group consisting of halogen, hydroxyl, oxo, amino, cyano, nitro, $-NR^aR^b$, C_{1-6} alkyl, $-O-C_{1-6}$ alkyl, saturated or partially unsaturated C_{3-6} cyclic hydrocarbyl, saturated or partially unsaturated 3- to 10-membered heterocyclyl, C_{6-10} aryl, 5- to 14-membered heteroaryl and C_{6-12} aralkyl; and

R^a and R^b, at each occurrence, are each independently selected from the group consisting of H, -OH, C_{1-6} alkyl, C_{2-6} alkenyl, C_{2-6} alkynyl, saturated or partially unsaturated C_{3-10} cyclic hydrocarbyl, saturated or partially unsaturated 3- to 10-membered heterocyclyl, C_{6-10} aryl, 5- to 14-membered heteroaryl and C_{6-12} aralkyl; alternatively, R^a and R^b together with the atom to which they are attached form a 3- to 12-membered heterocycle or heteroaromatic ring, the above groups are further optionally substituted with one or more substituents independently selected from the group consisting of halogen, hydroxyl, oxo, amino, cyano, nitro, C_{1-6} alkyl, $-O-C_{1-6}$ alkyl, saturated or partially unsaturated C_{3-6} cyclic hydrocarbyl, saturated or partially unsaturated 3- to 10-membered heterocyclyl, C_{6-10} aryl, 5- to 14-membered heteroaryl and C_{6-12} aralkyl.

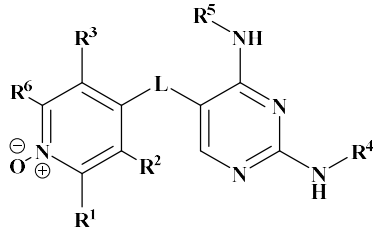
[Claim 2]. The use according to claim 1, wherein the compound has the structure of any of the following formulae:



(II),

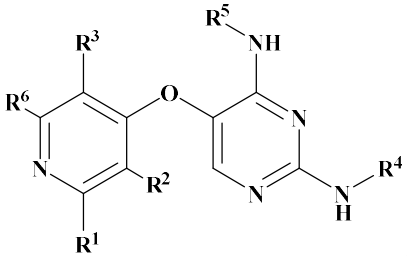


(III), or

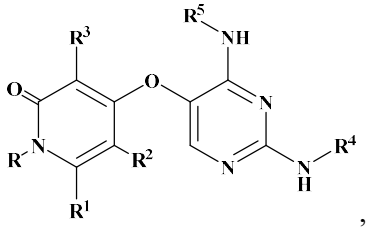


(IV).

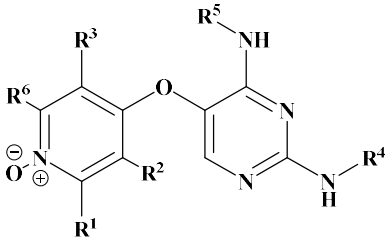
[Claim 3]. The use according to claim 1 or 2, wherein the compound has the structure of any of the following formulae:



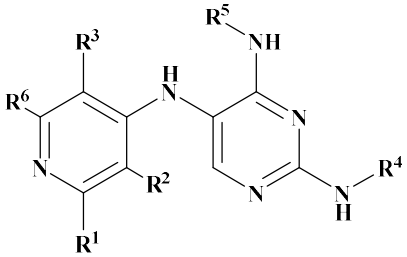
(II'),



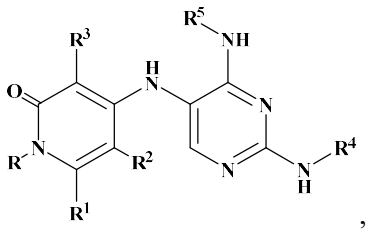
(III'),



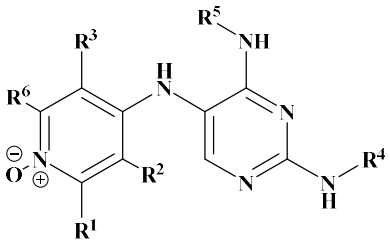
(IV')



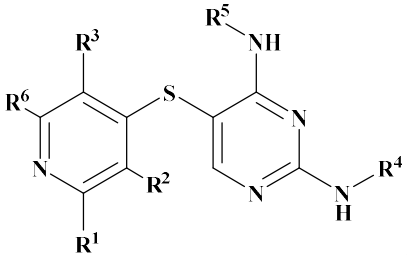
(II''),



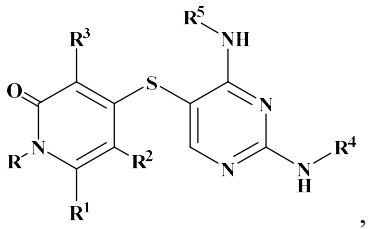
(III''),



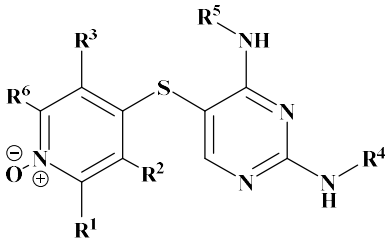
(IV'')



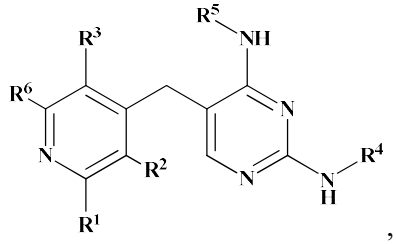
(II'''),



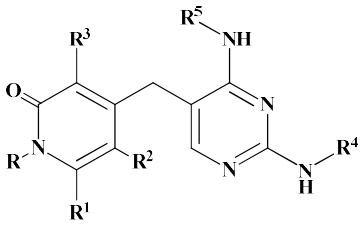
(III'''),



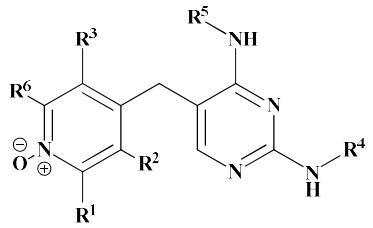
(IV''')



(II''''), or

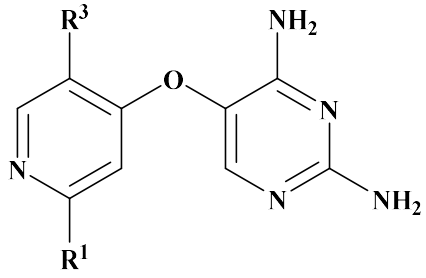


(III''''),



(IV'''').

[Claim 4]. The use according to any one of claims 1 to 3, wherein the compound of Formula (I) has the structure of any of the following formulae:



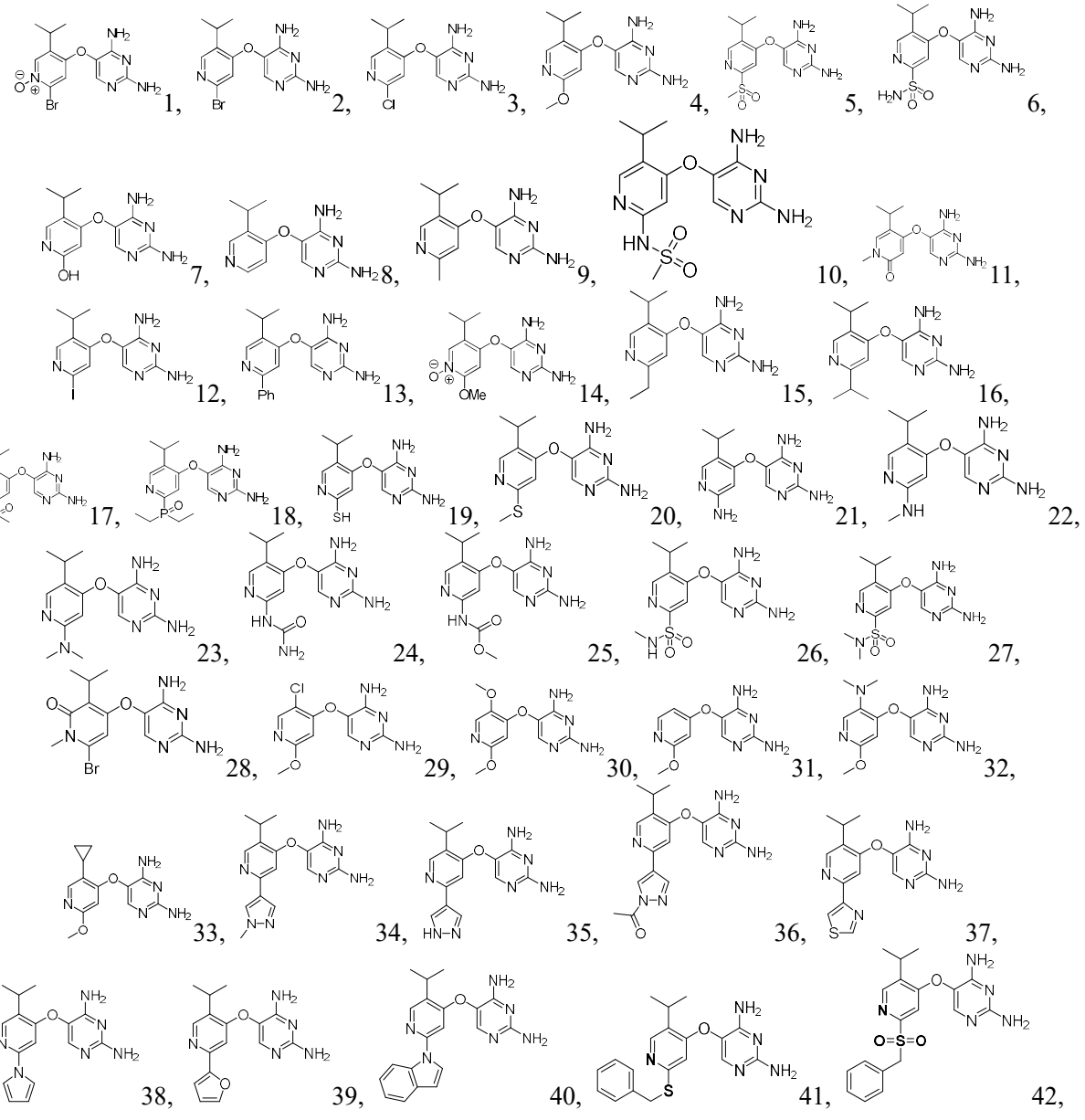
(II'-1)

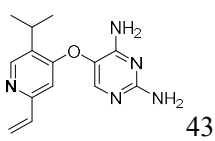
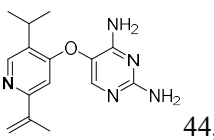
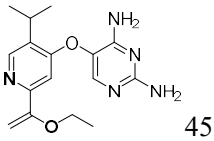
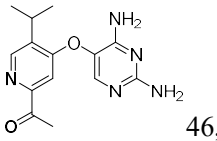
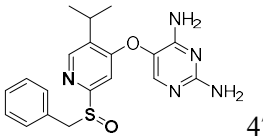
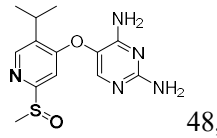
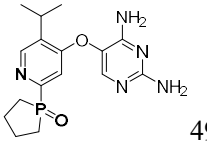
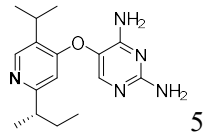
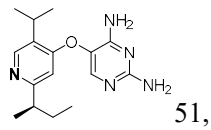
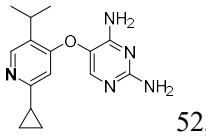
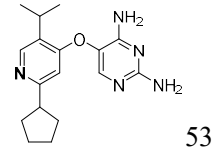
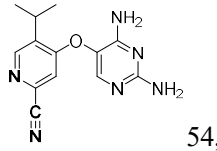
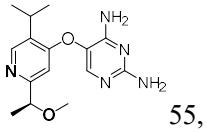
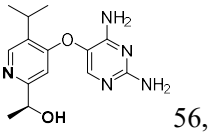
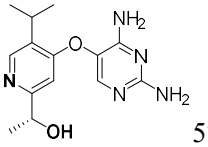
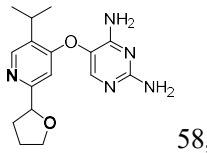
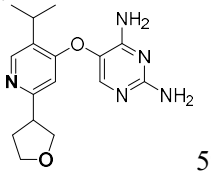
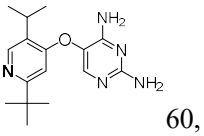
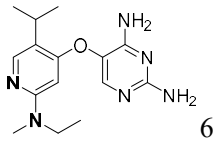
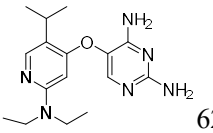
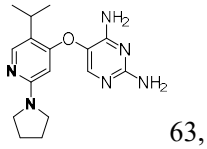
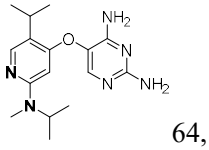
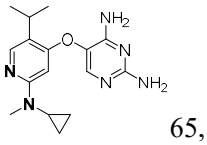
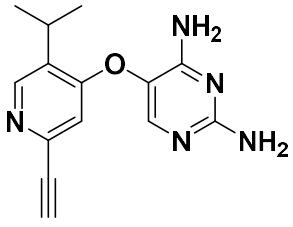
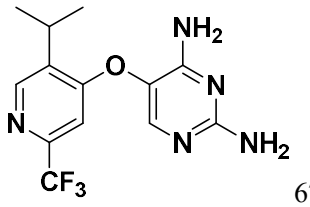
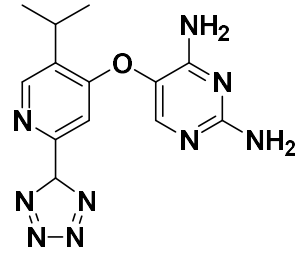
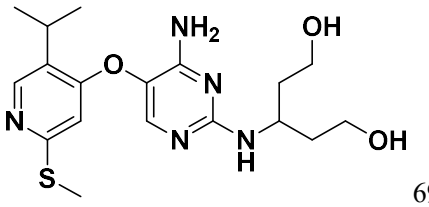
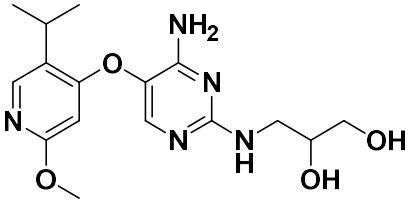
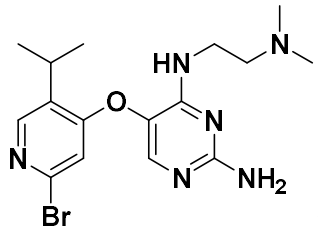
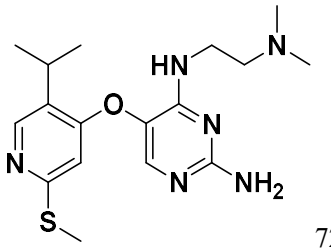
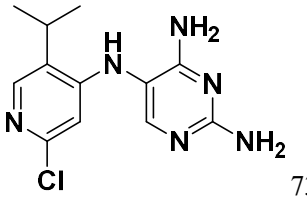
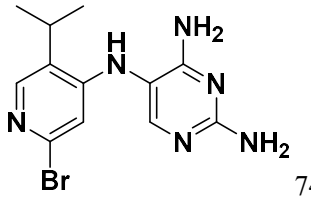
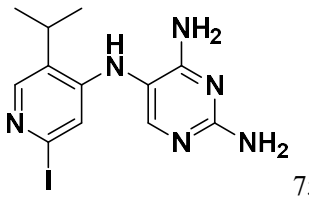
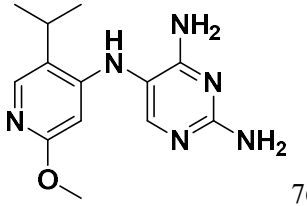
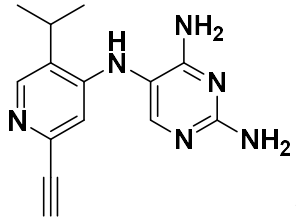
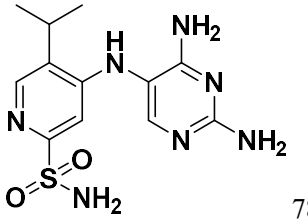
wherein:
R^1 is selected from the group consisting of F, Cl, Br, I and C_{2-6} alkynyl; and
R^3 is C_{1-6} alkyl.

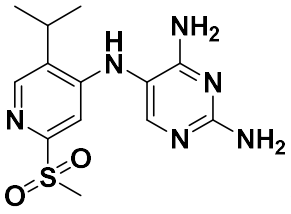
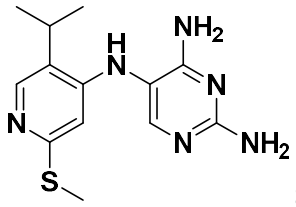
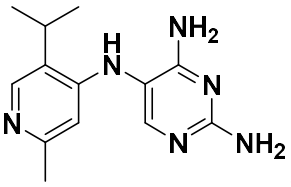
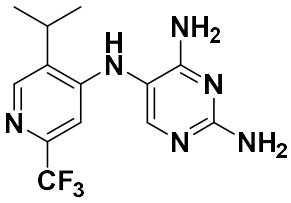
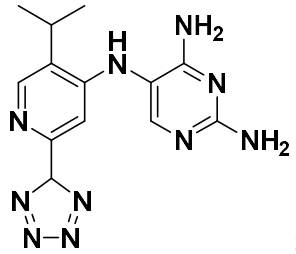
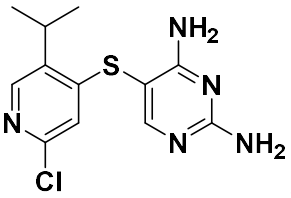
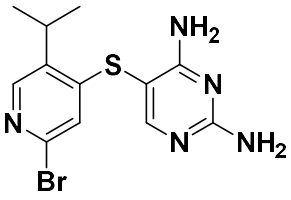
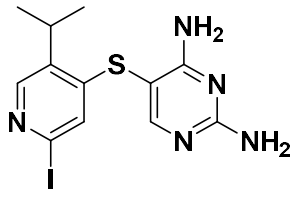
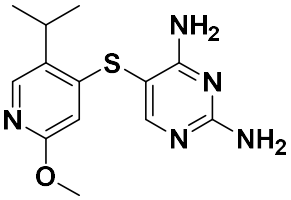
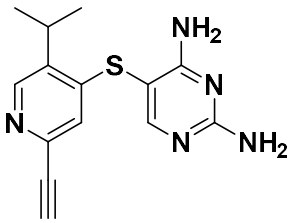
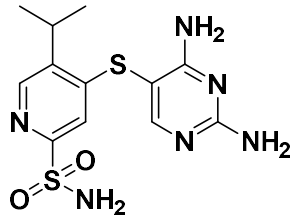
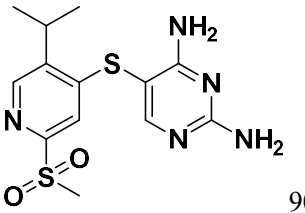
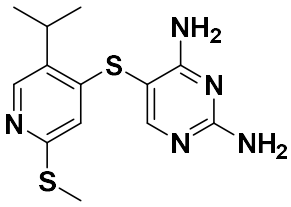
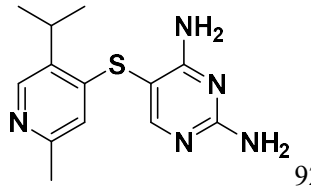
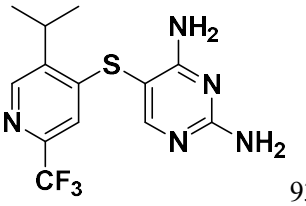
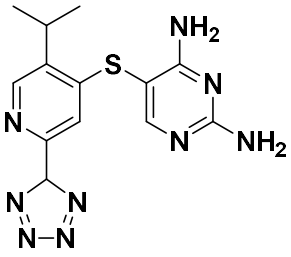
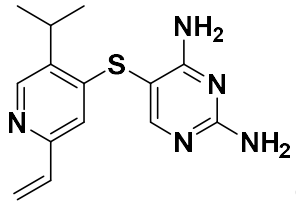
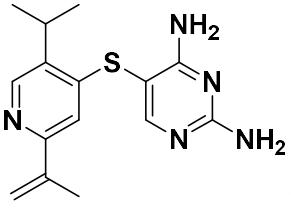
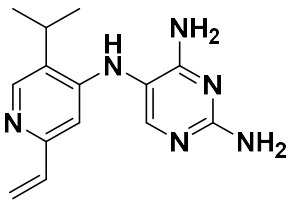
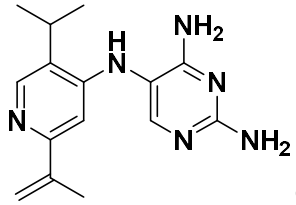
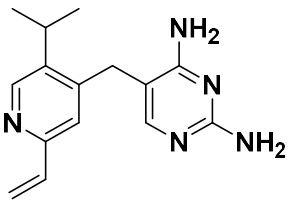
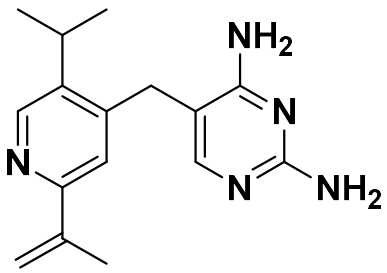
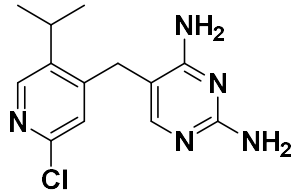
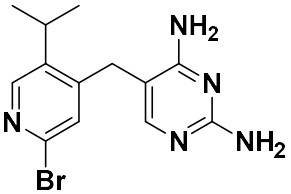
[Claim 5]. The use according to any one of claims 1 to 4, wherein R^1 is Br or ethynyl.

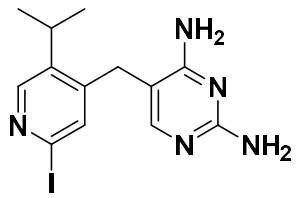
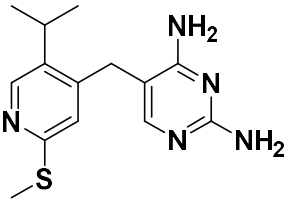
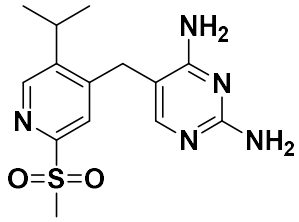
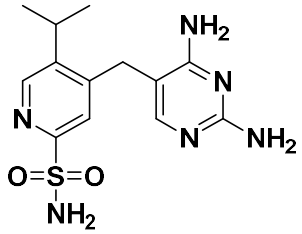
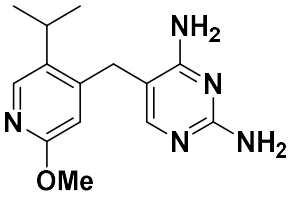
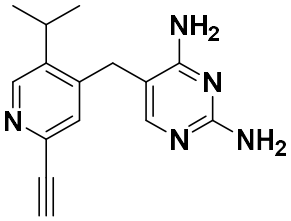
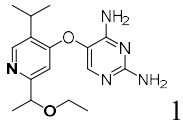
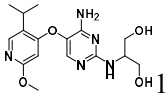
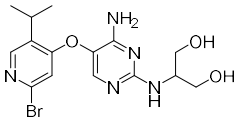
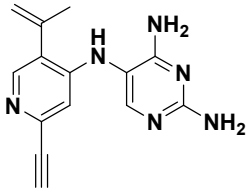
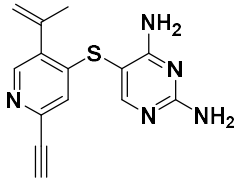
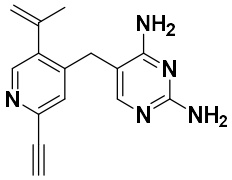
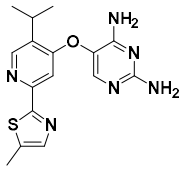
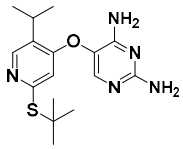
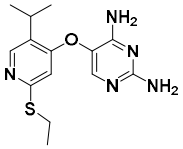
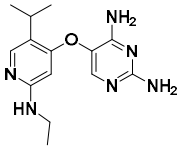
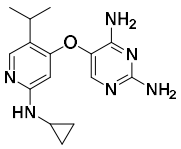
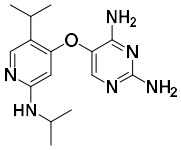
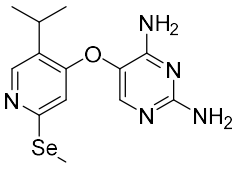
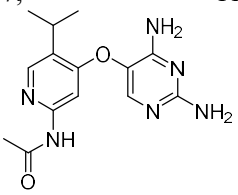
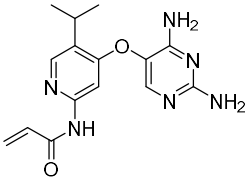
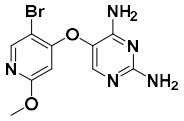
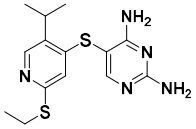
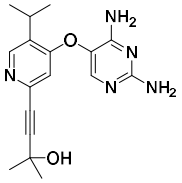
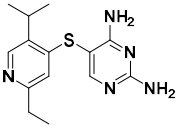
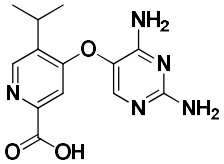
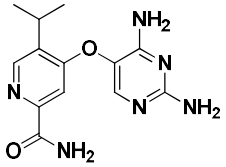
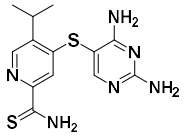
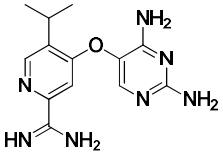
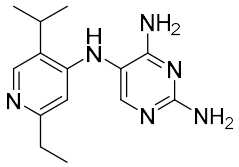
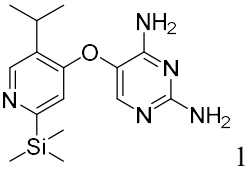
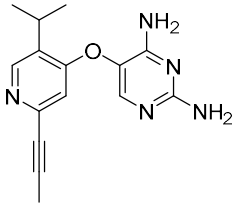
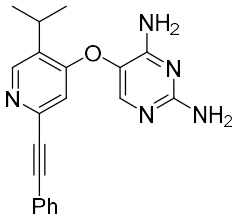
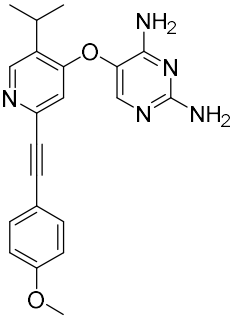
[Claim 6]. The use according to any one of claims 1 to 5, wherein R^3 is isopropyl.

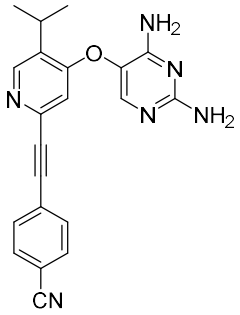
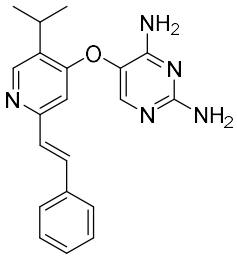
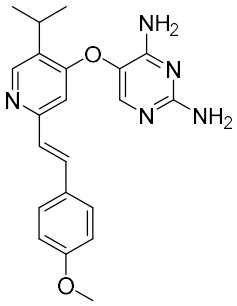
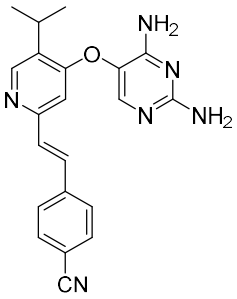
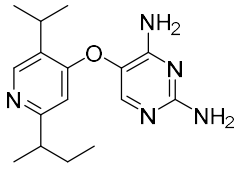
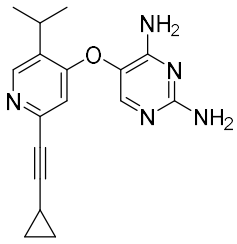
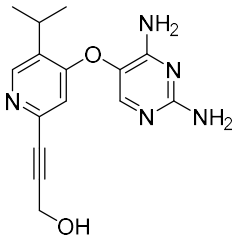
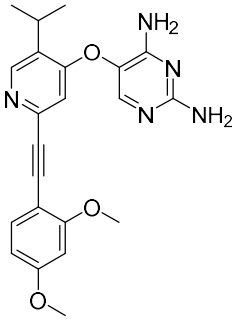
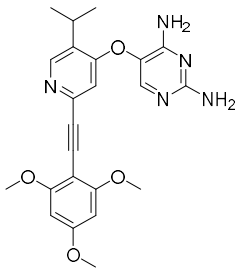
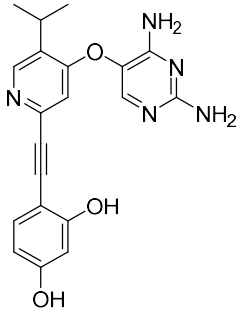
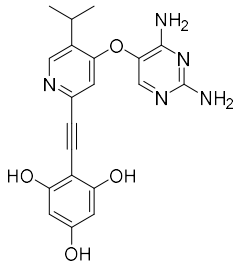
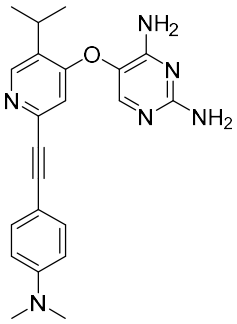
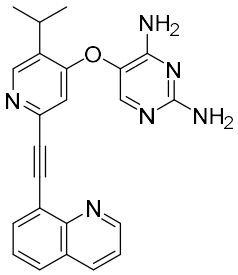
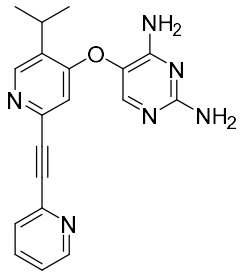
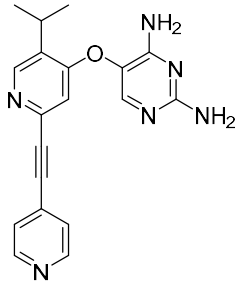
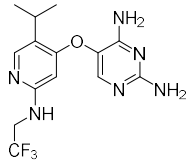
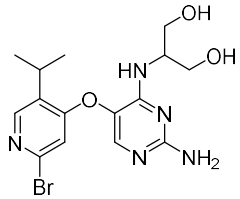
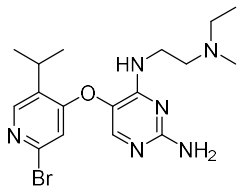
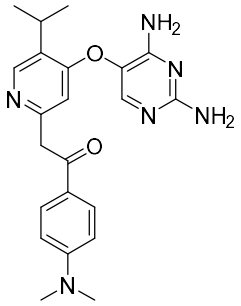
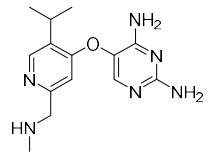
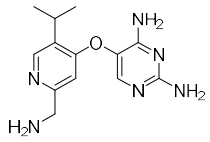
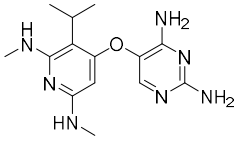
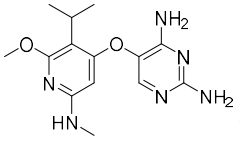
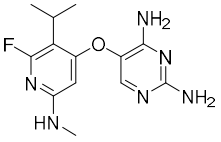
[Claim 7]. The use according to any one of claims 1 to 6, wherein the compound has the following structure:

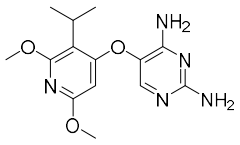
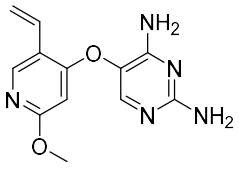
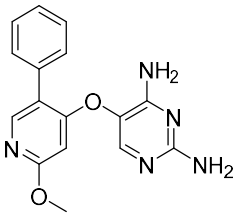
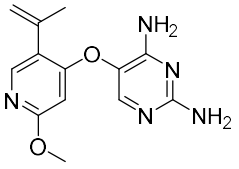
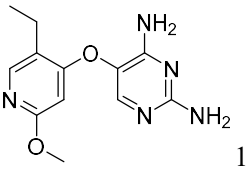
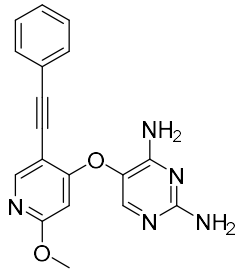
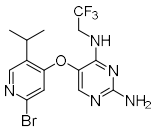
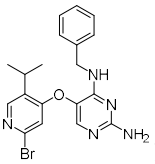
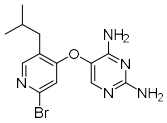
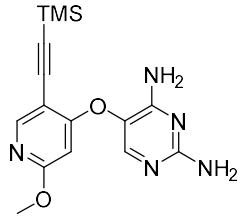
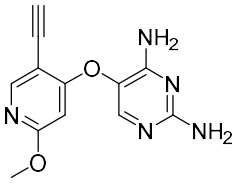
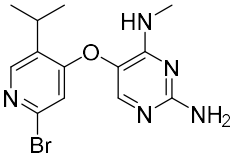
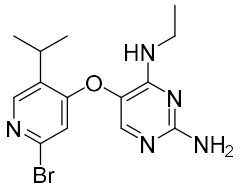
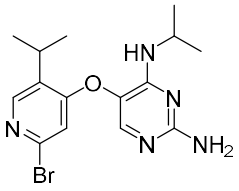
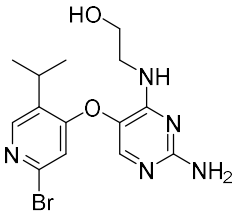
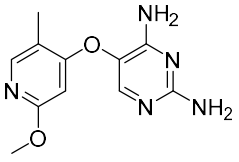
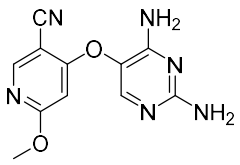
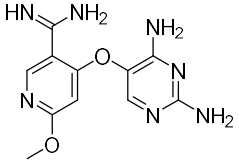
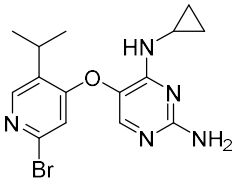
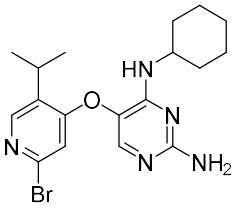
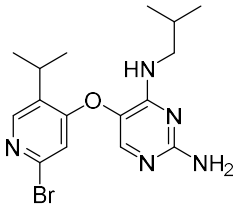
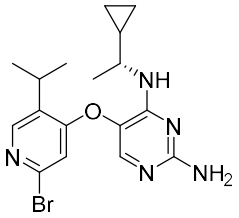
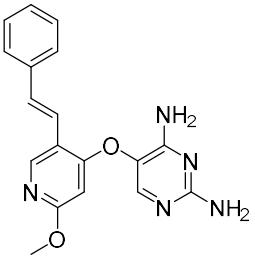
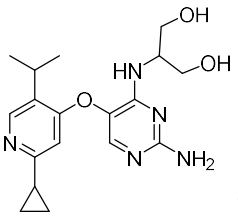
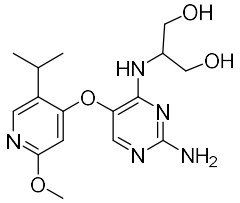
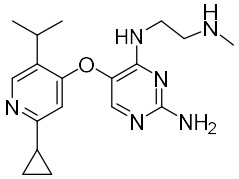
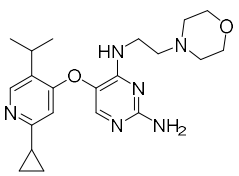
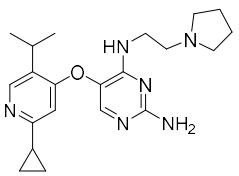
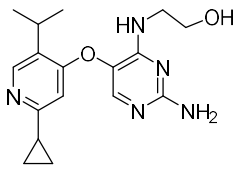
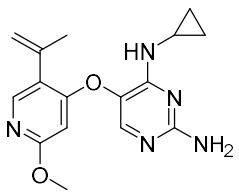
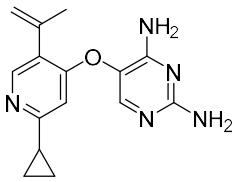
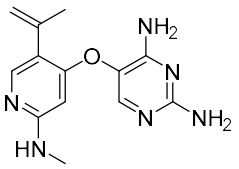


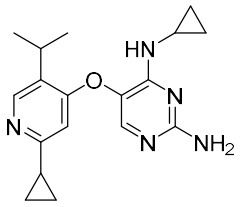
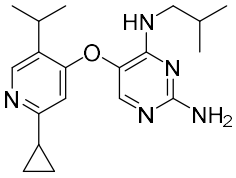
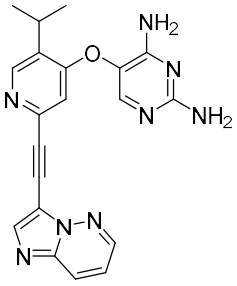
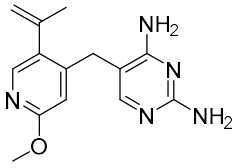
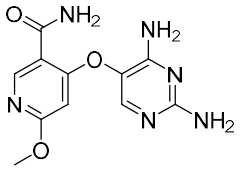
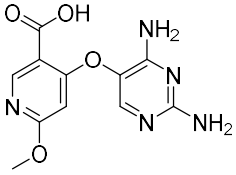
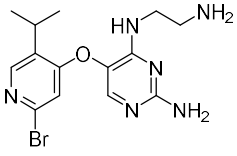
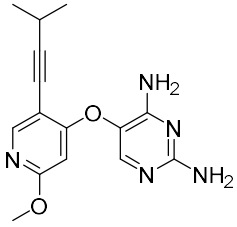
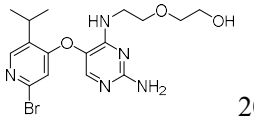
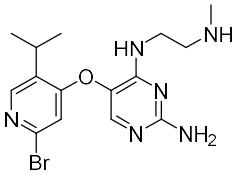
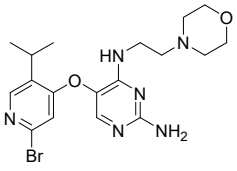
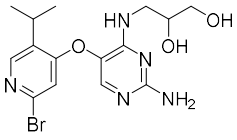
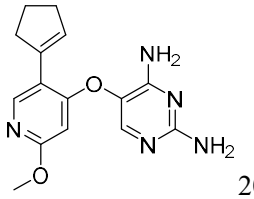
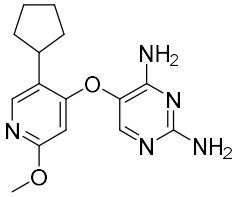
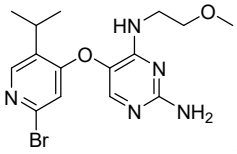
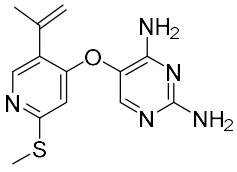
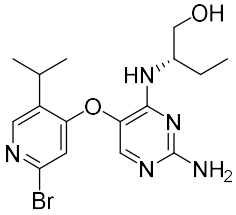
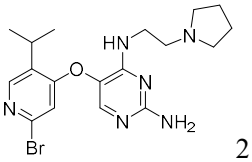
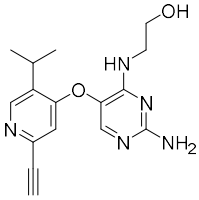
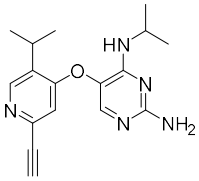
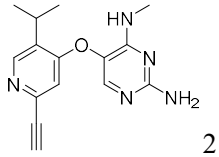
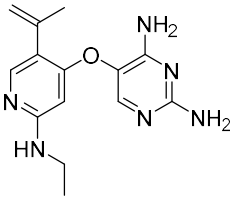
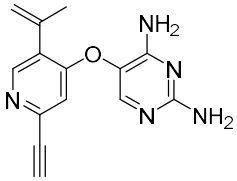
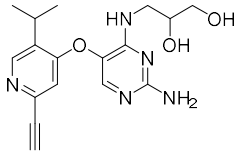
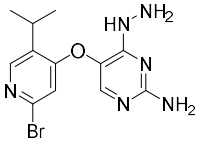
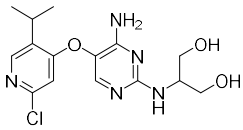
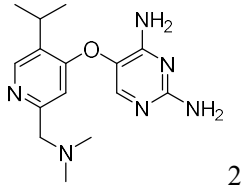
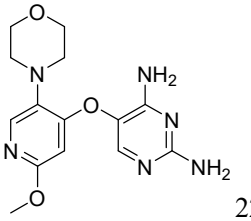
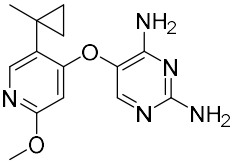
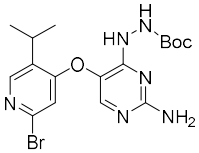
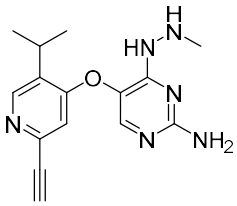
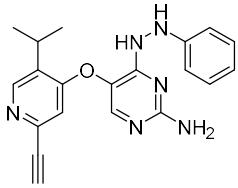

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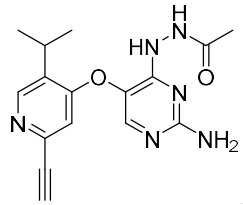
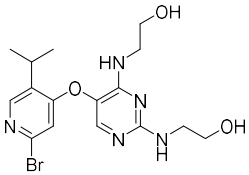
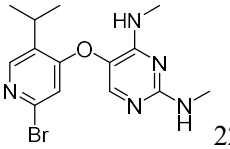
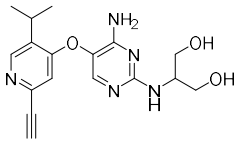
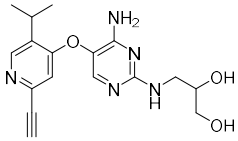
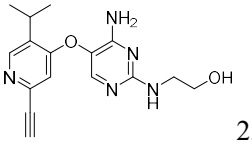
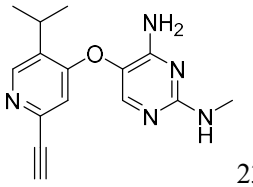
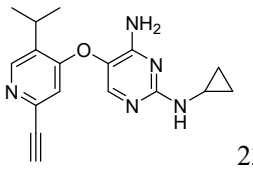
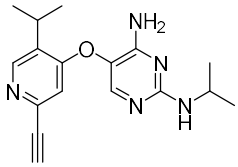
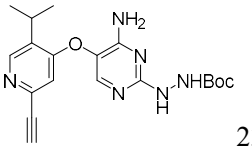
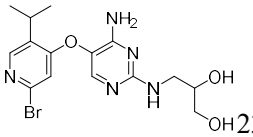
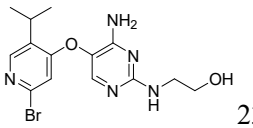
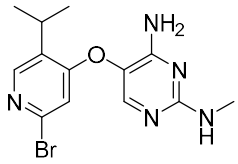
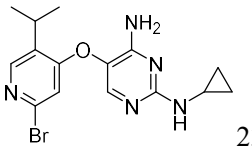
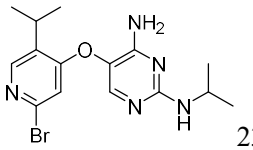
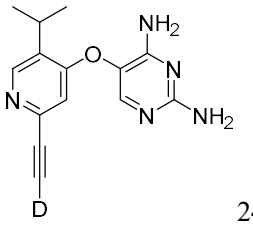
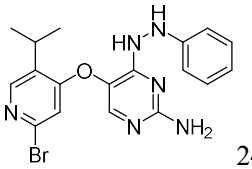
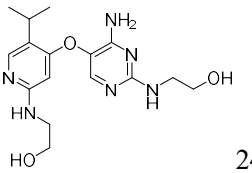
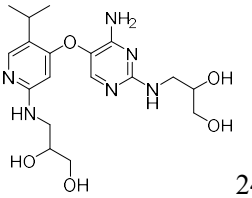
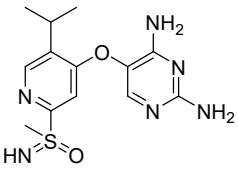
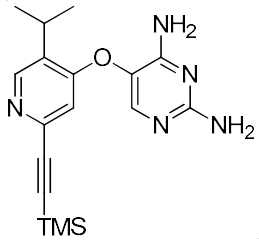
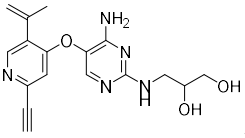

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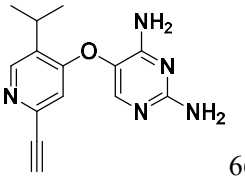

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245,

246 or

247.

[Claim 8]. The use according to any one of claims 1 to 7, wherein the compound has the following structure:


66.

[Claim 9]. The use according to any one of claims 1 to 8, wherein the compound of Formula (I) or a pharmaceutically acceptable salt, ester, stereoisomer, polymorph, solvate, N-oxide, or isotopically labeled compound thereof to be administered in an amount of 0.005 mg/day to 5000 mg/day, e.g., in an amount of 0.005, 0.05, 0.5, 5, 10, 20, 30, 40, 50, 100, 150, 200, 250, 300, 350, 400, 450, 500, 550, 600, 650, 700, 750, 800, 850, 900, 950, 1000, 1500, 2000, 2500, 3000, 3500, 4000, 4500 or 5000 mg/day.

[Claim 10]. The use according to any one of claims 1 to 8, wherein the compound of Formula (I) or a pharmaceutically acceptable salt, ester, stereoisomer, polymorph, solvate, N-oxide, or isotopically labeled compound thereof to be administered in an amount of 1 ng/kg to 200 mg/kg, 1 µg/kg to 100 mg/kg or 1 mg/kg to 50 mg/kg per day, e.g., to be administered in an amount of 1 µg/kg, 10 µg/kg, 25 µg/kg, 50 µg/kg,

75 μg/kg, 100 μg/kg, 125 μg/kg, 150 μg/kg, 175 μg/kg, 200 μg/kg, 225 μg/kg, 250 μg/kg, 275 μg/kg, 300 μg/kg, 325 μg/kg, 350 μg/kg, 375 μg/kg, 400 μg/kg, 425 μg/kg, 450 μg/kg, 475 μg/kg, 500 μg/kg, 525 μg/kg, 550 μg/kg, 575 μg/kg, 600 μg/kg, 625 μg/kg, 650 μg/kg, 675 μg/kg, 700 μg/kg, 725 μg/kg, 750 μg/kg, 775 μg/kg, 800 μg/kg, 825 μg/kg, 850 μg/kg, 875 μg/kg, 900 μg/kg, 925 μg/kg, 950 μg/kg, 975 μg/kg, 1 mg/kg, 5 mg/kg, 10 mg/kg, 15 mg/kg, 20 mg/kg, 25 mg/kg, 30 mg/kg, 35 mg/kg, 40 mg/kg, 45 mg/kg, 50 mg/kg, 60 mg/kg, 70 mg/kg, 80 mg/kg, 90 mg/kg, 100 mg/kg, 125 mg/kg, 150 mg/kg, 175 mg/kg, 200 mg/kg body weight per unit dose.

[Claim 11]. The use according to any one of claims 1 to 10, wherein the daily dose of the compound of Formula (I) or a pharmaceutically acceptable salt, ester, stereoisomer, polymorph, solvate, N-oxide, or isotopically labeled compound thereof to be administered at one time or to be administered in two, three or four doses.

[Claim 12]. The use according to any one of claims 1 to 11, wherein the compound of Formula (I) or a pharmaceutically acceptable salt, ester, stereoisomer, polymorph, solvate, N-oxide, or isotopically labeled compound thereof to be administered continuously for at least 3 days, at least 4 days, at least 5 days, at least 6 days, at least 7 days, at least 8 days, at least 9 days, at least 10 days, at least 11 days, at least 12 days, at least 13 days, at least 14 days, at least 15 days, at least 16 days, at least 17 days, at least 18 days, at least 19 days, at least 20 days, at least 21 days, at least 22 days, at least 23 days, at least 24 days, at least 25 days, at least 30 days, at least 35 days, at least 40 days, at least 45 days, at least 50 days, at least half a year, at least 1 year, at least 2 years, at least 3 years, at least 4 years, or at least 5 years.

[Claim 13]. The use according to any one of claims 1 to 12, wherein the compound of Formula (I) or a pharmaceutically acceptable salt, ester, stereoisomer, polymorph, solvate, N-oxide, or isotopically labeled compound thereof to be administered for one or more (e.g., 1, 2, 3, 4, 5, 6, 7, 8, 9 or 10) courses of treatment, wherein each course of treatment lasts for at least 3 days, at least 4 days, at least 5 days, at least 6 days, at least 7 days, at least 8 days, at least 9 days, at least 10 days, at least 11 days, at least 12 days, at least 13 days, at least 14 days, at least 15 days, at least 16 days, at least 17 days, at least 18 days, at least 19 days, at least 20 days, at least 21 days, at least 22 days, at least 23 days, at least 24 days, at least 25 days, at least 30 days, at least 35 days, at least 40 days, at least 45 days or at least 50 days; and the interval between every two courses of treatment is 0, 1, 2, 3, 4, 5, 6, 7, 8, 9, 10 days, two weeks, three weeks, or four weeks.

[Claim 14]. The use according to any one of claims 1 to 13, wherein the compound of Formula (I) or a pharmaceutically acceptable salt, ester, stereoisomer, polymorph, solvate, N-oxide, or isotopically labeled compound thereof to be administered through injection (e.g., intravenous, intraarterial, subcutaneous, intraperitoneal, intramuscular injection, including dripping), or transdermal administration, or to be administered via oral, buccal, nasal, transmucosal, or topical route, as an ophthalmic formulation, or via inhalation.

[Claim 15]. The use according to any one of claims 1 to 14, wherein the compound of Formula (I) or a pharmaceutically acceptable salt, ester, stereoisomer, polymorph, solvate, N-oxide, or isotopically labeled compound thereof to be administered in a dosage form selected from the group consisting of tablet, capsule, lozenge, hard candy, powder, spray, emulsion, cream, salve, suppository, gel, paste, lotion, injection, nanoformulation, patch, aqueous suspension, solution, elixir, and syrup.

[Claim 16]. The use according to any one of claims 1 to 15, wherein the endometriosis-associated pain is selected from one or more of endometriosis-induced chronic pelvic pain, menstrual pain, pain with intercourse, low back pain, abdominal pain, vagina pain, visceral organ pain, and painful bowel movements and/or painful urination during menstruation.