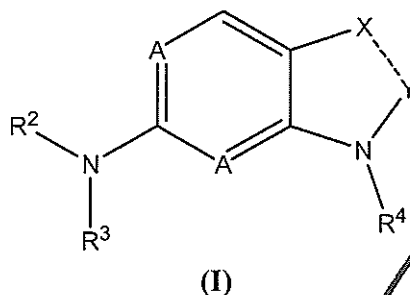


CLAIMS

1. A compound of Formula I:



or a pharmaceutically acceptable salt or solvate thereof, wherein:

the dashed line indicates a double bond;

A is N;

R² and R³ are each, independently, selected from the group consisting of hydrogen, hydroxyl, C₁-C₃-alkyl, C₃-C₈-cycloalkyl, heterocyclyl, aryl, heteroaryl, substituted C₁-C₃-alkyl, substituted C₃-C₈-cycloalkyl, substituted heterocyclyl, substituted aryl and substituted heteroaryl;

R⁴ is selected from the group consisting of hydrogen, C₁-C₈-alkyl, substituted C₁-C₈-alkyl, C₃-C₈-cycloalkyl, substituted C₃-C₈-cycloalkyl, aryl, substituted aryl, heteroaryl and substituted heteroaryl;

X is CR¹¹, and Y is CR¹²;

R¹¹ is hydrogen or C₁-C₃-alkyl and

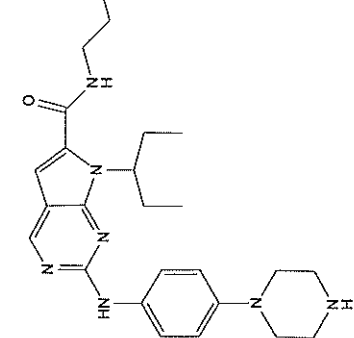
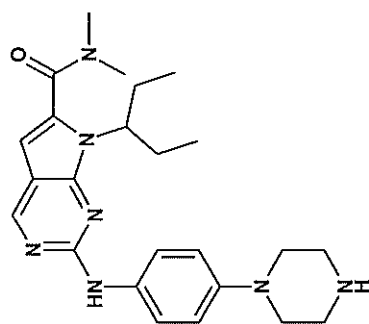
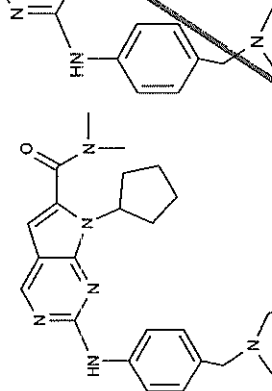
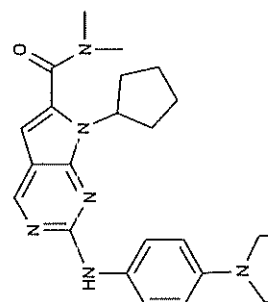
R¹² is -BC(O)NR¹³R¹⁴; wherein B is a bond, C₁-C₃-alkyl or branched C₁-C₃-alkyl; wherein R¹³ and R¹⁴ are each, independently, selected from the group consisting of hydrogen, C₁-C₃-alkyl, C₃-C₈-cycloalkyl, heterocyclyl, aryl, heteroaryl, substituted alkyl, substituted cycloalkyl, substituted heterocyclyl, substituted aryl, and substituted heteroaryl.

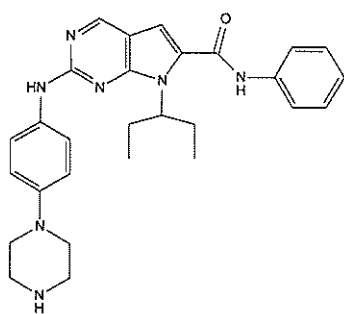
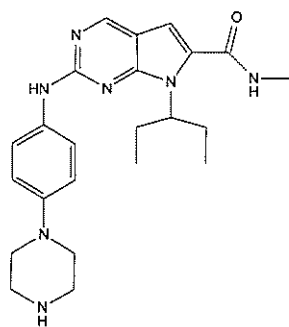
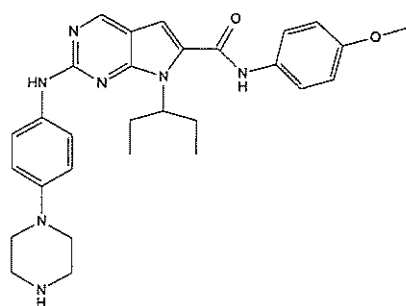
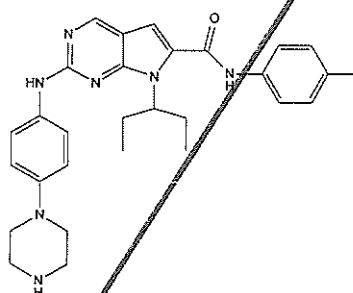
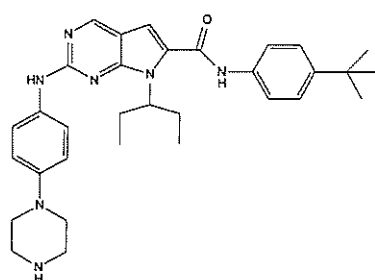
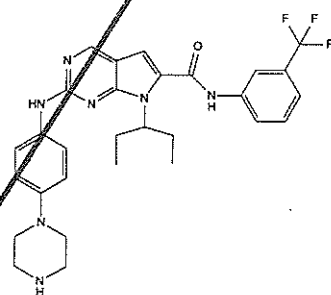
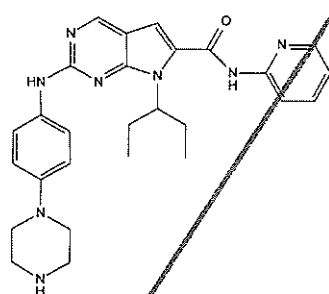
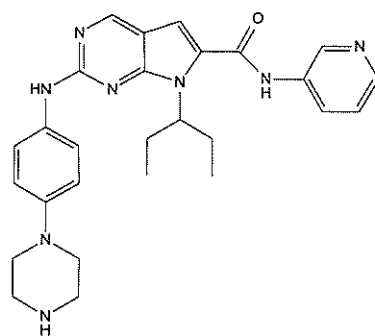
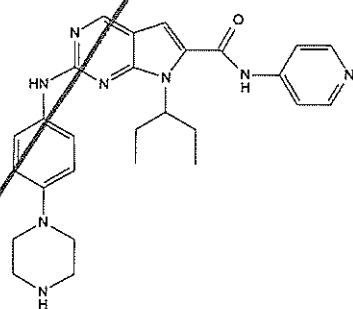
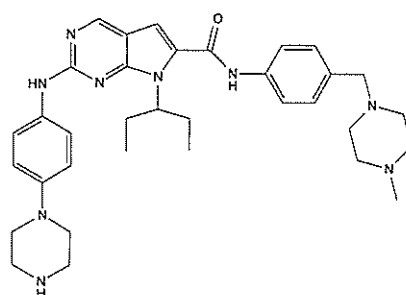
2. The compound of claim 1, wherein R⁴ is branched or linear C₁-C₅-alkyl, wherein the branched C₄-C₅-alkyl group may be interrupted by one or more heteroatoms, and/or substituted with one or more heteroatoms, halogens, C₃-C₈ cycloalkyl groups, substituted C₃-C₈ cycloalkyl groups, C₃-C₈ heterocyclyl groups, aryl groups, heteroaryl groups, substituted aryl groups, or substituted heteroaryl groups.

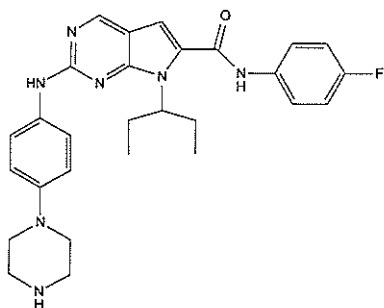
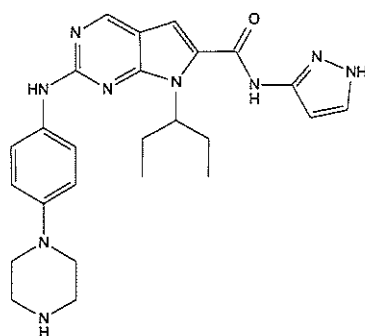
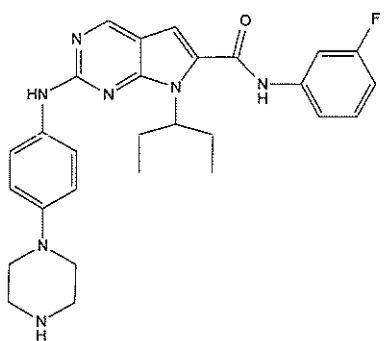
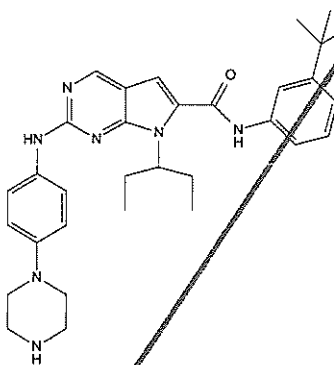
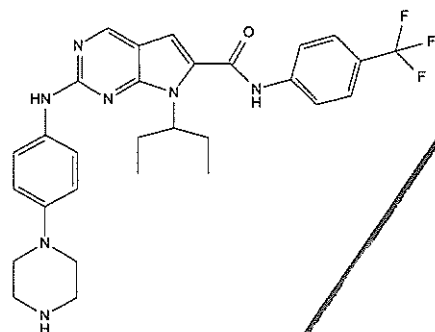
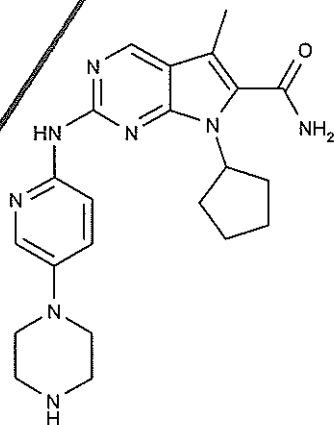
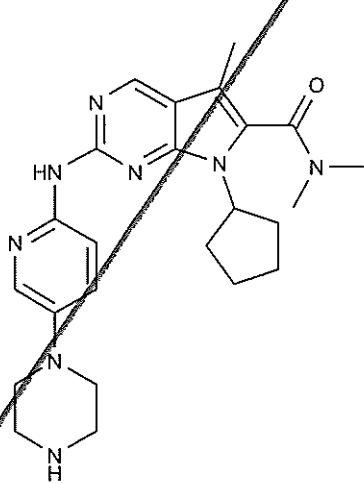
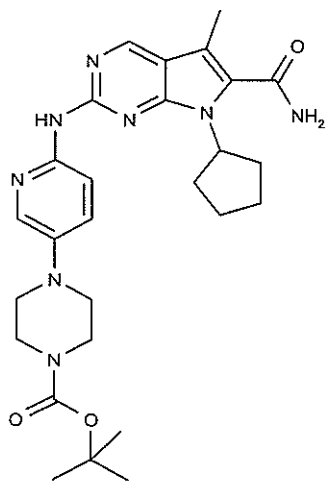
3. The compound of claim 1, wherein R⁴ is selected from the group consisting of hydrogen, C₁-C₈-alkyl, C₃-C₈-cycloalkyl, C₃-C₈-substituted cycloalkyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl.

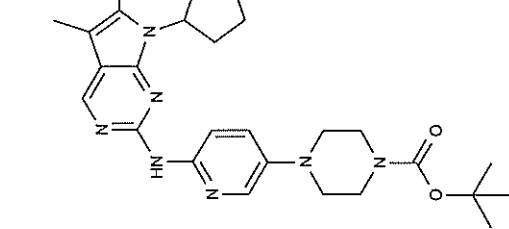
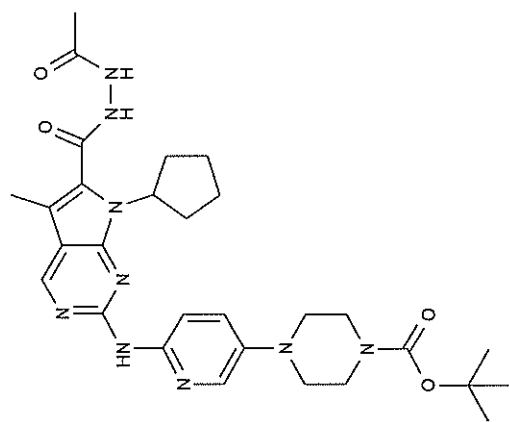
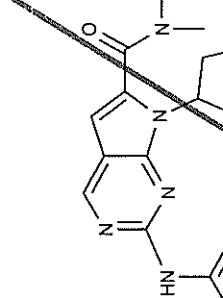
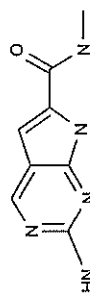
4. The compound of claim 3, wherein R^4 is branched or linear C_1 - C_5 -alkyl, wherein the branched C_1 - C_5 -alkyl group may be interrupted by one or more heteroatoms, and/or substituted with one or more heteroatoms, halogens, C_3 - C_8 cycloalkyl groups, substituted C_3 - C_8 cycloalkyl groups, C_3 - C_8 heterocyclyl groups, aryl groups, heteroaryl groups, substituted aryl groups, or substituted heteroaryl groups.
5. The compound of claim 1, wherein R^4 is selected from the group consisting of hydrogen, branched C_1 - C_5 -alkyl, branched C_1 - C_5 -alkyl substituted by phenyl and C_3 - C_6 -cycloalkyl.
6. The compound of claim 1, wherein R^4 is $C(H)(CH_2CH_3)_2$, $C(H)(CH_2CH_3)Ph$, CH_2CH_3 , cyclopropyl, cyclopentyl or cyclohexyl.
7. The compound of claim 1, wherein R^2 is H.
8. The compound of claim 1, wherein R^3 is an aryl group, which is further independently substituted one or more times by halogen, C_1 - C_4 -alkoxy, R^{15} -amine, R^{15} -heterocycle, or R^{15} -heteroaryl, wherein R^{15} is a bond, $C(O)$, $N(H)C(O)$, $N(H)SO_2$, $OC(O)$ or $(CH_2)_{1-4}$, wherein the $(CH_2)_{1-4}$ group may be interrupted by O, $N(CH_3)$ or $N(H)$.
9. The compound of claim 8, wherein the aryl group is phenyl.
10. The compound of claim 11, wherein the phenyl group is independently substituted one or more times with fluoro, methoxy, diethylamine, R^{15} -piperazinyl, R^{15} -morpholinyl, R^{15} -piperidinyl, R^{15} -triazolyl, R^{15} -phenyl, R^{15} -pyridinyl, R^{15} -piperazinyl, R^{15} -indazolyl, R^{15} -pyrrolidinyl or R^{15} -imidazolyl, wherein the piperazinyl, morpholinyl, piperidinyl, triazolyl, phenyl, pyridinyl, piperazinyl, indazolyl, pyrrolidinyl or imidazolyl groups may be further substituted with C_1 - C_4 -alkyl, $C(O)C_1$ - C_4 -alkyl, $S(O)_2C_1$ - C_4 -alkyl, OH, $C(O)(CH_2)_{1-3}CN$ or $N(H)C(O)C_1$ - C_4 -alkyl.
11. The compound of claim 9, wherein the phenyl group is substituted by $N(H)C(O)aryl$, $C(O)N(H)C_1$ - C_4 -alkyl, $C(O)N(C_1$ - C_4 -alkyl) $_2$ or $C(O)N(H)C_3$ - C_6 -cycloalkyl.
12. The compound of claim 1, wherein the compound is selected from the group consisting of

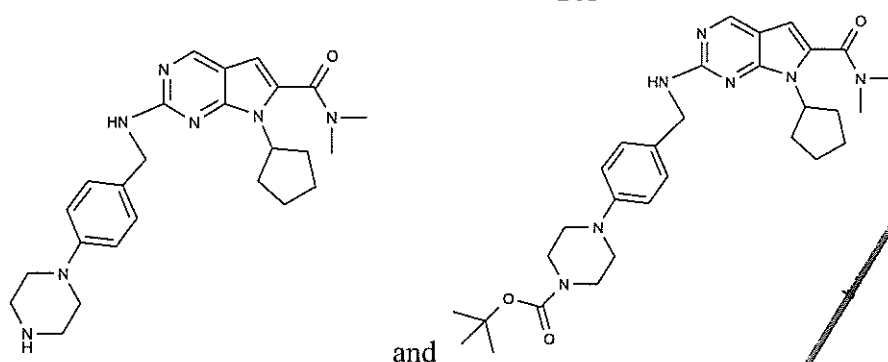
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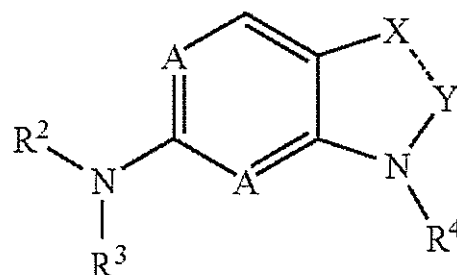


13. A compound according to any one of claims 1 to 12 for use in the treatment of an autoimmune, transplant rejection or cancer disorder.
14. A compound for use according to claim 13, wherein the autoimmune disease is selected from the group consisting of autoimmune hemolytic anemia, autoimmune neonatal thrombocytopenia, idiopathic thrombocytopenia purpura, autoimmunocytopenia, hemolytic anemia, antiphospholipid syndrome, dermatitis, allergic encephalomyelitis, myocarditis, relapsing polychondritis, rheumatic heart disease, glomerulonephritis, multiple sclerosis, neuritis, uveitis ophthalmia, polyendocrinopathies, purpura, Reiter's Disease, Stiff-Man Syndrome, autoimmune pulmonary inflammation, autism, Guillain-Barre Syndrome, insulin dependent diabetes mellitus, autoimmune inflammatory eye, autoimmune thyroiditis, hypothyroidism, systemic lupus erythematosus, Goodpasture's syndrome, Pemphigus, Receptor autoimmunities, autoimmune hemolytic anemia, autoimmune thrombocytopenic purpura, rheumatoid arthritis, mixed connective tissue disease, polymyositis/dermatomyositis, pernicious anemia, idiopathic Addison's disease, infertility, glomerulonephritis, bullous pemphigoid, Sjogren's syndrome, diabetes mellitus, adrenergic drug resistance, chronic active hepatitis, primary biliary cirrhosis, vitiligo, vasculitis, post-MI, cardiomyopathy syndrome, urticaria, atopic dermatitis, asthma, inflammatory myopathies, chronic active hepatitis, primary biliary cirrhosis and T-cell mediated hypersensitivity diseases.
15. A compound for use according to claim 13, wherein the transplant rejection is selected from the group consisting of graft versus host disease, rejection related to xeno transplantation, rejection related to organ transplant, rejection related to acute transplant, heterograft or homograft rejection and ischemic or reperfusion injury incurred during organ transplantation.
16. A compound for use according to claim 13, wherein the cancer is selected from the group consisting of bladder, head and neck, breast, stomach, ovary, colon, lung, brain, larynx, lymphatic system, genitourinary tract, gastrointestinal, ovarian, prostate, gastric, bone, small-cell lung, glioma, colorectal and pancreatic cancer.

17. A pharmaceutical composition comprising a compound according to any one of claims 1 to 12 together with a pharmaceutically acceptable carrier and optionally other therapeutic ingredients.
18. A pharmaceutical composition comprising a compound according to any one of claims 1 to 12 adapted for simultaneous or sequential administration with an antiinflammatory, antiproliferative, chemotherapeutic agent, immunosuppressant, anti-cancer, cytotoxic agent or kinase inhibitor other than a compound according to any one of claims 1 to 12 or salt thereof.
19. The pharmaceutical composition of claim 18, wherein said compound according to any one of claims 1 to 12 or salt thereof is administered, simultaneously or sequentially, with one or more of a PTK inhibitor, cyclosporin A, CTLA4-Ig, antibodies selected from anti-ICAM-3, anti-IL-2 receptor, anti-CD45RB, anti-CD2, anti-CD3, anti-CD4, anti-CD80, anti-CD86, and monoclonal antibody OKT3, agents blocking the interaction between CD40 and gp39, fusion proteins constructed from CD40 and gp39, inhibitors of NF-kappa B function, non-steroidal antiinflammatory drugs, steroids, gold compounds, antiproliferative agents, FK506, mycophenolate mofetil, cytotoxic drugs, TNF- α inhibitors, anti-TNF antibodies or soluble TNF receptor, rapamycin, leflunimide, cyclooxygenase-2 inhibitors, paclitaxel, cisplatin, carboplatin, doxorubicin, carminomycin, daunorubicin, aminopterin, methotrexate, methopterin, mitomycin C, ecteinascidin 743, porfiromycin, 5-fluorouracil, 6-mercaptopurine, gemcitabine, cytosine arabinoside, podophyllotoxin, etoposide, etoposide phosphate, teniposide, melphalan, vinblastine, vincristine, leurosine, epothilone, vindesine, leurosine, or derivatives thereof.
20. A package comprising a compound according to any one of claims 1 to 12, packaged with instructions for use in the treatment of an autoimmune, transplant rejection or cancer disorder.

The invention claimed is:

1. A compound of Formula I:



(I)

or a pharmaceutically acceptable salt thereof, wherein:

the dashed line indicates a double bond;

A is N;

R^2 is hydrogen and R^3 is selected from the group consisting of hydrogen, hydroxyl, C_1 - C_3 -alkyl, C_3 - C_8 -cycloalkyl, heterocyclyl, aryl, heteroaryl, substituted C_1 - C_3 -alkyl, substituted C_3 - C_8 -cycloalkyl, substituted heterocyclyl, substituted aryl and substituted heteroaryl;

R^4 is selected from the group consisting of hydrogen, branched C_1 - C_5 -alkyl, branched C_1 - C_5 -alkyl substituted by phenyl and C_3 - C_6 -cycloalkyl;

X is CR^{11} and Y is CR^{12} ;

R^{11} is hydrogen or C_1 - C_3 -alkyl and

R^{12} is $BC(O)NR^{13}R^{14}$; wherein B is a bond, C_1 - C_3 -alkyl or branched C_1 - C_3 -alkyl; wherein R^{13} and R^{14} are each,

independently, selected from the group consisting of hydrogen, C_1 - C_3 -alkyl, C_3 - C_8 -cycloalkyl, heterocyclyl, aryl, heteroaryl, substituted alkyl, substituted cycloalkyl, substituted heterocyclyl, substituted aryl, and substituted heteroaryl.

2. The compound of claim 1, wherein R^4 is $C(H)(CH_2CH_3)_2$, $C(H)(CH_2CH_3)Ph$, CH_2CH_3 , cyclopropyl, cyclopentyl or cyclohexyl.

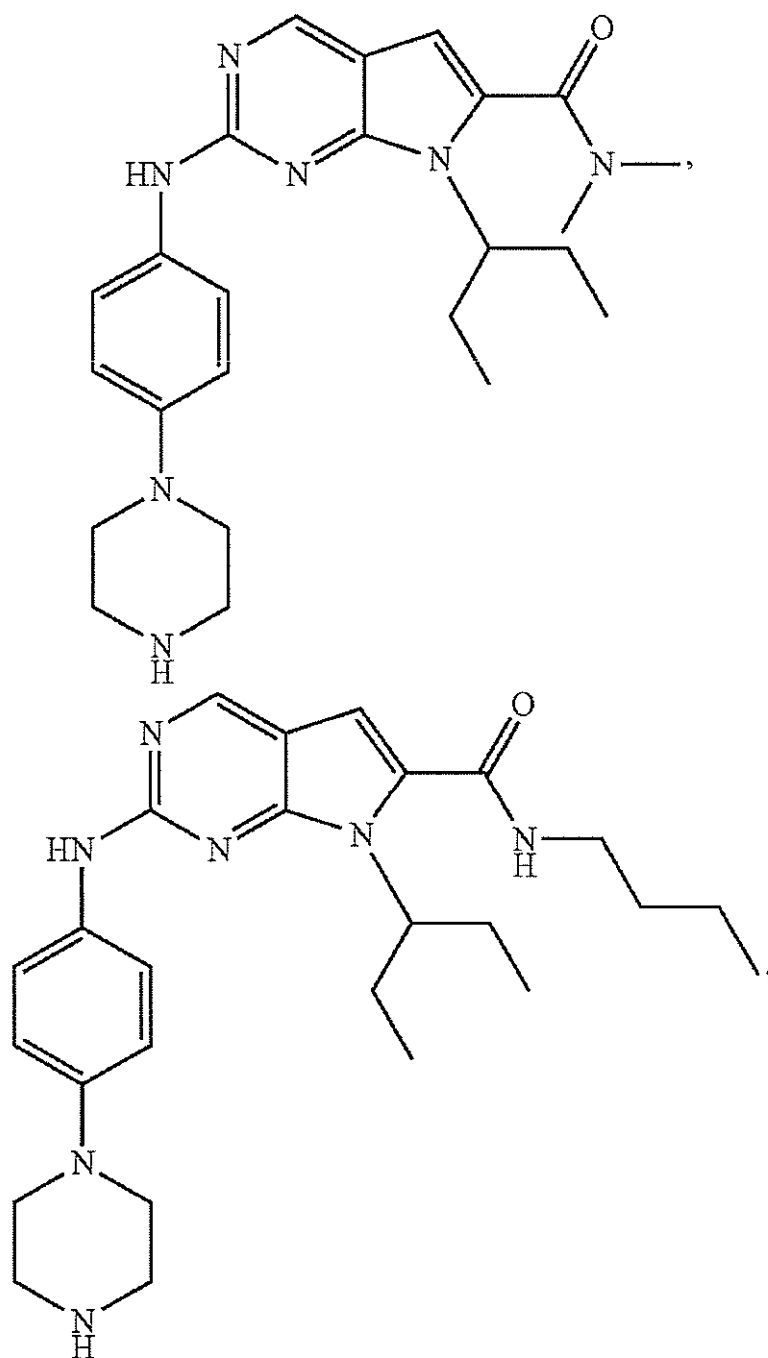
3. The compound of claim 1, wherein R^3 is an aryl group, which is further independently substituted one or more times by halogen, C_1 - C_4 -alkoxy, R^{15} -amine, R^{15} -heterocycle, or R^{15} -heteroaryl, wherein R^{15} is a bond, $C(O)$, $N(H)C(O)$, $N(H)SO_2$, $OC(O)$ or $(CH_2)_{1-4}$, wherein the $(CH_2)_{1-4}$ group may be interrupted by O , $N(CH_3)$ or $N(H)$.

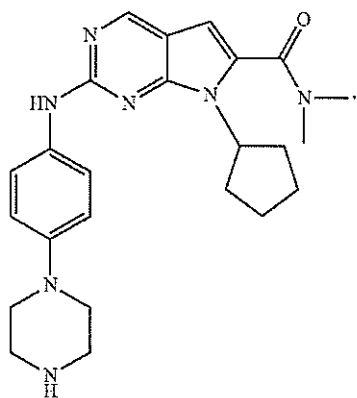
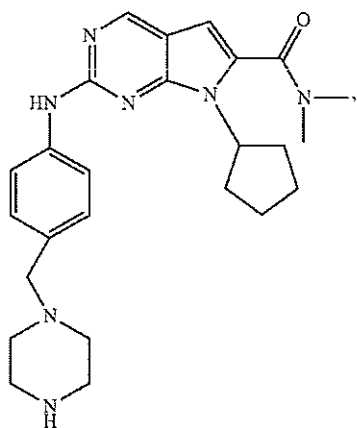
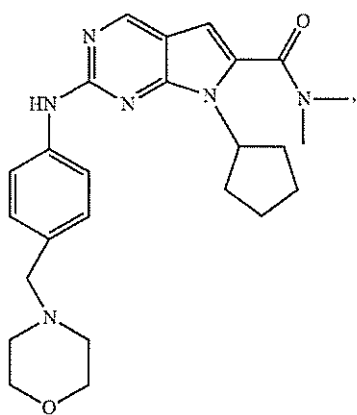
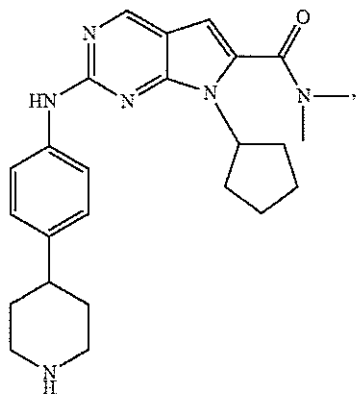
4. The compound of claim 3, wherein the aryl group is phenyl.

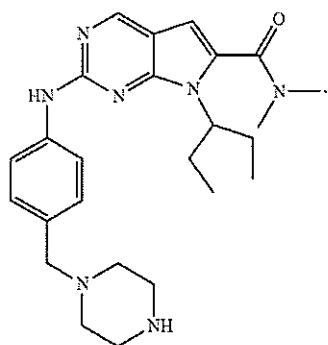
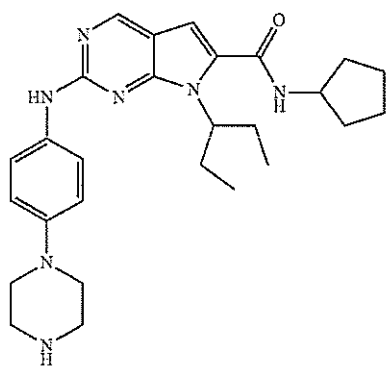
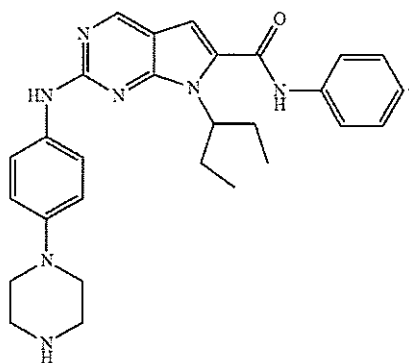
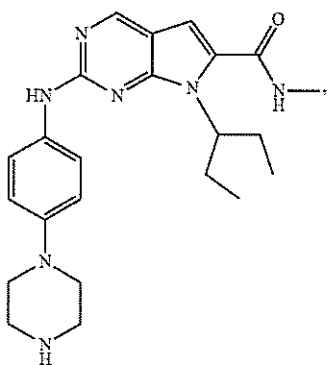
5. The compound of claim 1, wherein the phenyl group is R^3 is phenyl which is further independently substituted one or more times with fluoro, methoxy, diethylamine, R^{15} -piperazinyl, R^{15} -morpholinyl, R^{15} -piperidinyl, R^{15} -triazolyl, R^{15} -phenyl, R^{15} -pyridinyl, R^{15} -piperazinyl, R^{15} -indazolyl, R^{15} -pyrrolidinyl or R^{15} -imidazolyl, wherein the piperazinyl, morpholinyl, piperidinyl, triazolyl, phenyl, pyridinyl, piperazinyl, indazolyl, pyrrolidinyl or imidazolyl groups may be further substituted with C_1 - C_4 -alkyl, $C(O)C_1$ - C_4 -alkyl, $S(O)_2C_1$ - C_4 -alkyl, OH , $C(O)(CH_2)_{1-3}CN$ or $N(H)C(O)C_1$ - C_4 -alkyl and wherein R^{15} is a bond, $C(O)$, $N(H)C(O)$, $N(H)SO_2$, $OC(O)$ or $(CH_2)_{1-4}$, wherein the $(CH_2)_{1-4}$ group may be interrupted by O , $N(CH_3)$ or $N(H)$.

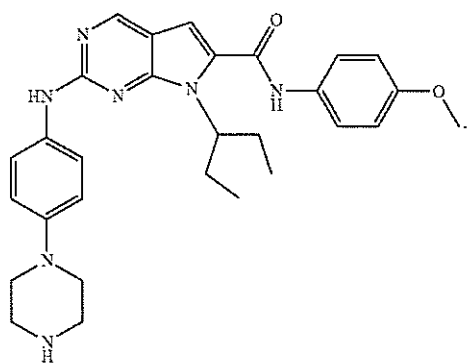
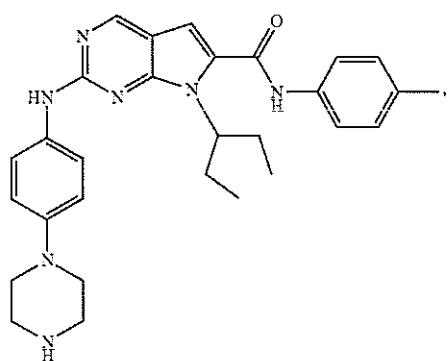
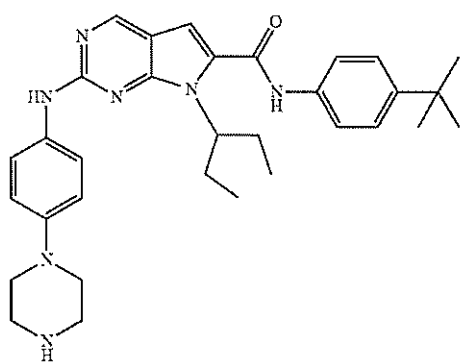
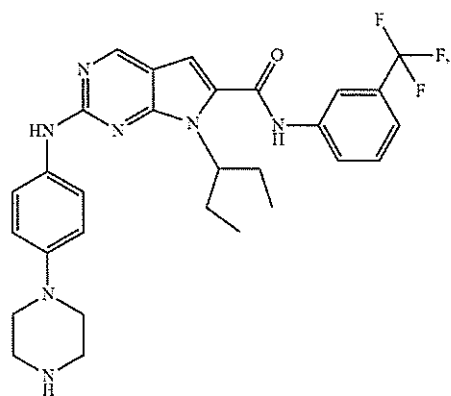
6. The compound of claim 1, wherein R^3 is phenyl which is further substituted by $N(H)C(O)aryl$, $C(O)N(H)C_1$ - C_4 -alkyl, $C(O)N(C_1$ - C_4 -alkyl) $_2$ or $C(O)N(H)C_3$ - C_6 -cycloalkyl.

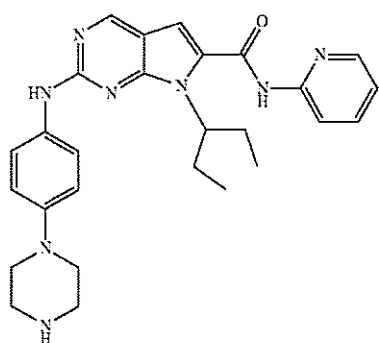
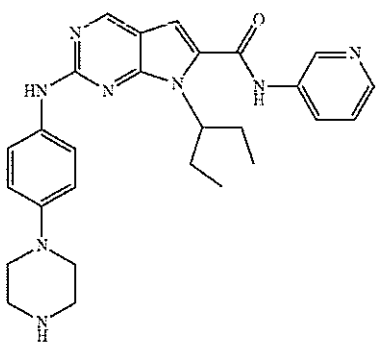
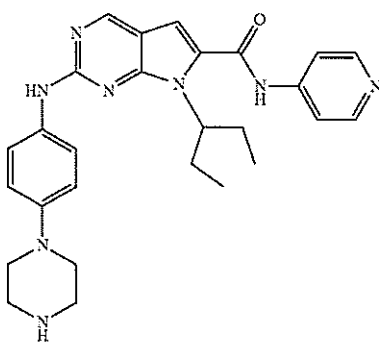
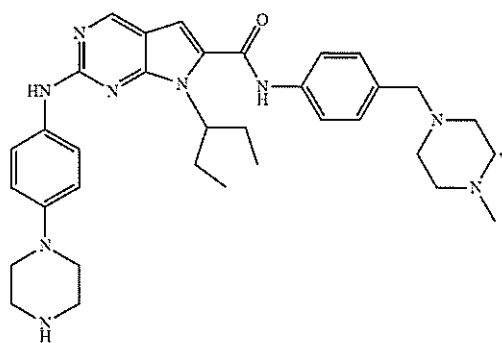
7. The compound of claim 1, wherein the compound is selected from the group consisting of

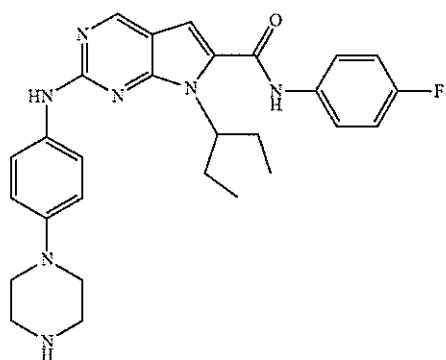
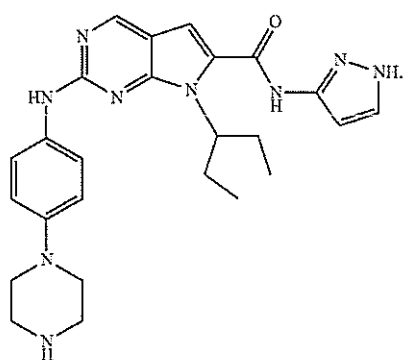
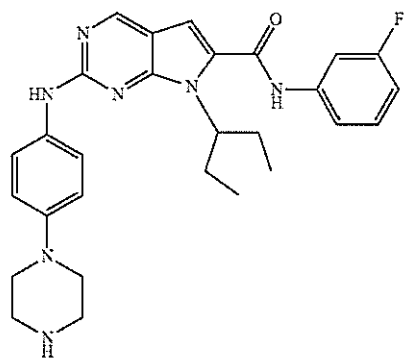
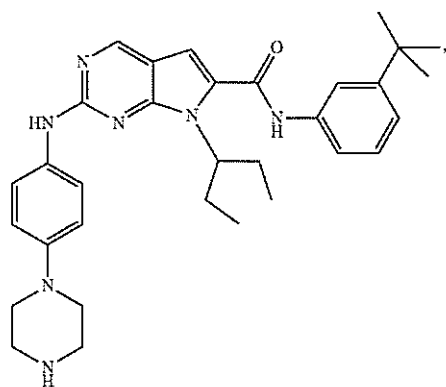


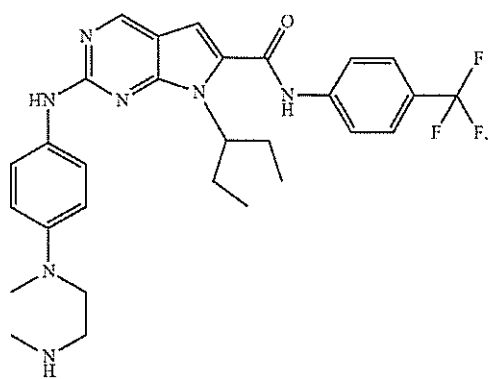
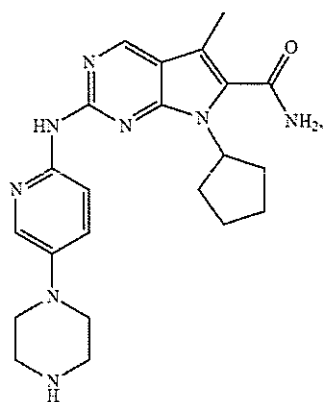
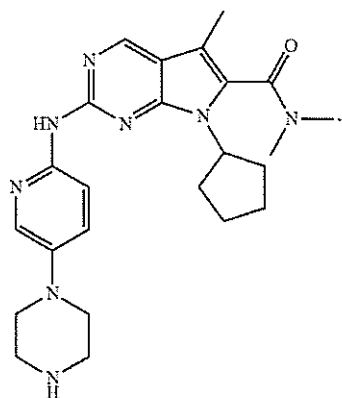
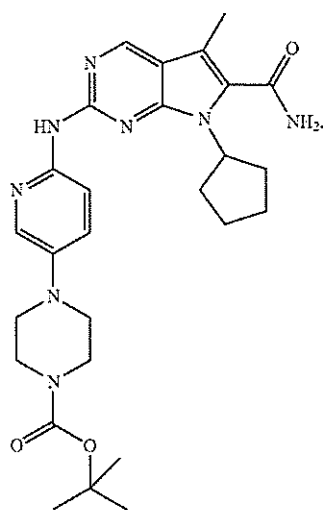


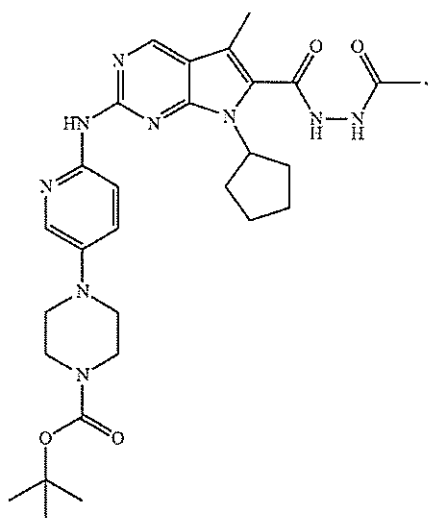
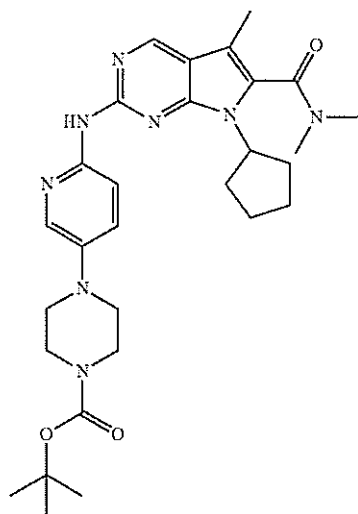
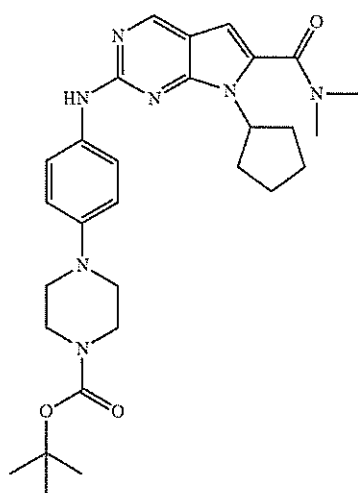


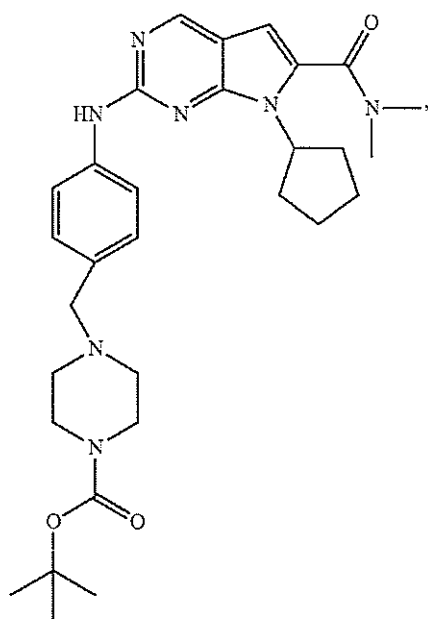
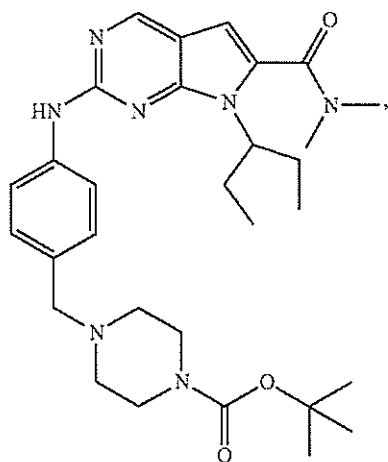
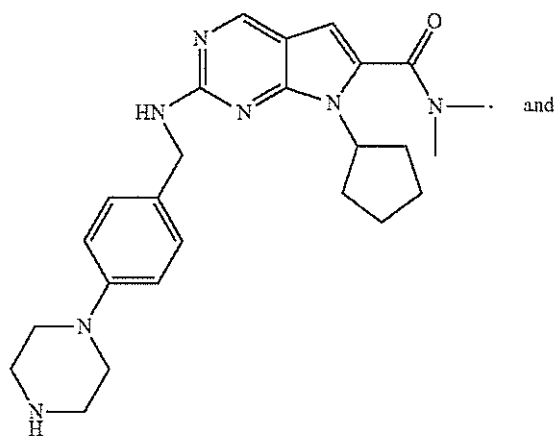


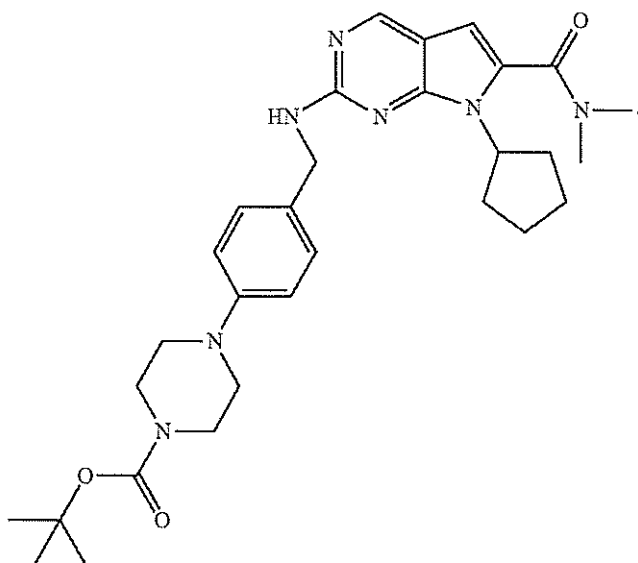






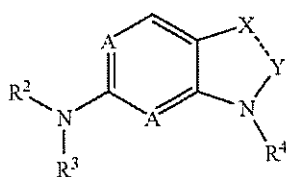






8. A compound of Formula I:

(I)



or a pharmaceutically acceptable salt thereof, wherein:

the dashed line indicates a double bond;

A is N;

R² is hydrogen and R³ is selected from the group consisting of hydrogen, hydroxyl, C₁-C₃-alkyl, C₃-C₈-cycloalkyl, heterocyclyl, aryl, heteroaryl, substituted C₁-C₃-alkyl, substituted C₃-C₈-cycloalkyl, substituted heterocyclyl, substituted aryl and substituted heteroaryl;

R⁴ is branched or linear C₁-C₅-alkyl, wherein the branched C₁-C₅-alkyl group may be interrupted by one or more heteroatoms, and/or substituted with one or more heteroatoms, halogens, C₃-C₈ cycloalkyl groups, substituted C₃-C₈ cycloalkyl groups, C₃-C₈ heterocyclyl groups, aryl groups, heteroaryl groups, substituted aryl groups, or substituted heteroaryl groups;

X is CR¹¹ and Y is CR¹²;

R¹¹ is hydrogen or C₁-C₃-alkyl and

R¹² is BC(O)NR¹³R¹⁴, wherein B is a bond, C₁-C₃-alkyl or branched C₁-C₃-alkyl; wherein R¹³ and R¹⁴ are each, independently, selected from the group consisting of hydrogen, C₁-C₃-alkyl, C₃-C₈-cycloalkyl, heterocyclyl, aryl, heteroaryl, substituted alkyl, substituted cycloalkyl, substituted heterocyclyl, substituted aryl, and substituted heteroaryl.

9. A pharmaceutical composition comprising a compound according to claim 1 or a pharmaceutically acceptable salt thereof and a pharmaceutically acceptable carrier or excipient.