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Inhibitors, Screening Libraries, Proteins

ROS

The transmembrane proto-oncogene receptor tyrosine kinase (RTK) ROS is one of the last two remaining orphan receptor tyrosine kinases. Its normal expression pattern is tightly spatiotemporally restricted during development. The ectopic expression, as well as the production of variable mutant forms of ROS kinase, has been reported in a number of cancers, such as glioblastoma multiforme, and non-small cell lung cancer, suggesting a role for ROS kinase in deriving such tumors. It is thought also that the c-ROS gene may have a role in some cardiovascular diseases, and the fact that homozygous male mice targeted against the c-ROS gene are healthy but infertile has inspired researchers to think about ROS inhibition as a method for the development of new male contraceptives.

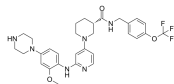
ROS1 is a transmembrane receptor tyrosine kinase proto-oncogene that has been shown to have rearrangements with several genes in glioblastoma, non-small-cell lung cancer (NSCLC), and other neoplasms, including intrachromosomal fusion with GOPC due to microdeletions at 6q22.1. ROS1 fusion events are important findings in these tumors, as they are potentially targetable alterations with newer tyrosine kinase inhibitors.

ROS Inhibitors, Activators, Modulators & Inducers

ALK/ROS1-IN-1

Cat. No.: HY-130794

ALK/ROS1-IN-1 (compound 2e) is a potent and selective anti crizotinib-resistant **ALK/ROS1** dual inhibitor, with IC_{50} s of 0.174 μ M and 0.530 μ M for ALK and ROS1 enzyme, respectively.

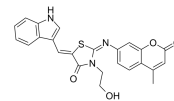


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Antibacterial agent 69

Cat. No.: HY-144252

Antimicrobial agent 69 is a novel structural antimicrobial regulator and has been used to fight deadly multidrug-resistant bacterial infections, and its IC_{50} value is 2.978 μ M.

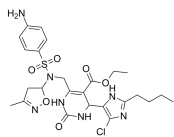


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Antibacterial agent 70

Cat. No.: HY-144255

Antibacterial agent 70 is a new dihydropyrimidinone imidazole hybrid antibacterial agent, and its IC_{50} value is 0.5 μ g/mL.

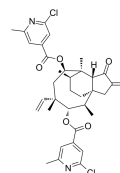


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Anticancer agent 15

Cat. No.: HY-139860

Anticancer agent 15 is capable of significantly increasing the cellular level of ROS and inducing melanoma cancer cell death via necroptosis.

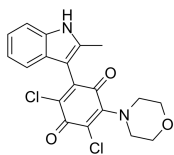


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Anticancer agent 42

Cat. No.: HY-146516

Anticancer agent 42 (compound 10d) is an orally active anticancer agent, and shows a potent antitumor activity against MDA-MB-231 cell with an IC_{50} of 0.07 μ M. Anticancer agent 42 can exert its anticancer activity by activating apoptotic pathway and p53 expression.

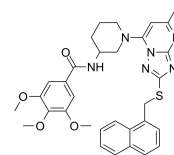


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Antitumor agent-55

Cat. No.: HY-146038

Antitumor agent-55 (compound 5q) is a potent antitumor agent. Antitumor agent-55 effectively inhibits PC3, with an IC_{50} of 0.91 μ M. Antitumor agent-55 effectively inhibits the colony formation, suppresses the cell migration in PC3.



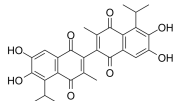
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Apogossypolone

(ApoG2)

Cat. No.: HY-19551

Apogossypolone (ApoG2) is an orally active **Bcl-2 family proteins** inhibitor with K_i values of 35, 25 and 660 nM for Bcl-2, Mcl-1 and Bcl-X_L, respectively. Apogossypolone shows antitumor activities, induces cell **apoptosis** and **autophagy**. Apogossypolone also has antifungal activity.

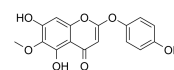


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Capillarisin

Cat. No.: HY-121192

Capillarisin, as a constituent from Artemisia Capillaris herba, is found to exert anti-inflammatory and antioxidant properties.



Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg

Capsanthin

Cat. No.: HY-125711

Capsanthin is a carotenoid that has been found in *C. annuum*. Capsanthin has antioxidant, antitumor and anti-inflammatory effects.

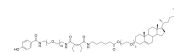


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Chol-CTPP

Cat. No.: HY-144825

Chol-CTPP is a ligand with dual targeting effect on blood-brain barrier (BBB) and **glioma** cells. Lip-CTPP can be gained by Chol-CTPP and another mitochondria targeting ligand (Chol-TTPP).



Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

<p>Cinnamtannin B-1</p> <p>Cat. No.: HY-130237</p>	<p>Crizotinib (PF-02341066)</p> <p>Cat. No.: HY-50878</p>
<p>Cinnamtannin B-1 is a proanthocyanidin with multiple biological functions, including antioxidant effects. Cinnamtannin B-1 inhibits RANKL-induced osteoclastogenesis and prevents ovariectomy-induced osteoporosis in vivo.</p> <p>Purity: ≥95.0%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg, 10 mg</p>	<p>Crizotinib (PF-02341066) is an orally bioavailable, ATP-competitive ALK and c-Met inhibitor with IC₅₀s of 20 and 8 nM, respectively.</p> <p>Purity: 99.97%</p> <p>Clinical Data: Launched</p> <p>Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg</p>
<p>Crizotinib hydrochloride (PF-02341066 hydrochloride)</p> <p>Cat. No.: HY-50878A</p>	<p>Crizotinib-d5 (PF-02341066-d5)</p> <p>Cat. No.: HY-50878S</p>
<p>Crizotinib hydrochloride (PF-02341066 hydrochloride) is an orally bioavailable, selective, and ATP-competitive dual ALK and c-Met inhibitor with IC₅₀s of 20 and 8 nM, respectively.</p> <p>Purity: 99.86%</p> <p>Clinical Data: Launched</p> <p>Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg</p>	<p>Crizotinib-d5 (PF-02341066-d5) is the deuterium labeled Crizotinib. Crizotinib (PF-02341066) is an orally bioavailable, ATP-competitive ALK and c-Met inhibitor with IC₅₀s of 20 and 8 nM, respectively.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p>
<p>Derrone</p> <p>Cat. No.: HY-N3737</p>	<p>Entrectinib (NMS-E628; RXDX-101)</p> <p>Cat. No.: HY-12678</p>
<p>Derrone, a prenylated isoflavones, is an Aurora kinase inhibitor, with IC₅₀ values of 6 and 22.3 μM against Aurora B and Aurora A, respectively. Derrone shows anti-tumor activity.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p>	<p>Entrectinib (NMS-E628) is a potent, orally available, and CNS-active pan-Trk, ROS1, and ALK inhibitor. Entrectinib inhibits TrkA, TrkB, TrkC, ROS1 and ALK with IC₅₀ values of 1, 3, 5, 12 and 7 nM, respectively. Antitumor activity.</p> <p>Purity: 99.32%</p> <p>Clinical Data: Launched</p> <p>Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>F-1</p> <p>Cat. No.: HY-112801</p>	<p>Fascaplysin</p> <p>Cat. No.: HY-112328</p>
<p>F-1 is a potent ALK and ROS1 dual inhibitor, suppresses phospho-ALK and its relative downstream signaling pathways, with IC₅₀s of 2.1 nM, 2.3 nM, 1.3 nM and 3.9 nM for ALK^{WT}, ROS1^{WT}, ALK^{L1196M} and ALK^{G1202R}, respectively.</p> <p>Purity: 98.65%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>Fascaplysin is an antimicrobial and cytotoxic red pigment, that can come from the marine sponge (Fascaplysinopsis sp.). Fascaplysin has been synthesized in seven steps from indole (65% yield).</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 1 mg, 5 mg</p>
<p>GGTI-2154</p> <p>Cat. No.: HY-16229</p>	<p>GGTI-2154 hydrochloride</p> <p>Cat. No.: HY-16229A</p>
<p>GGTI-2154 is a potent and selective inhibitor of geranylgeranyltransferase I (GGTase I), with an IC₅₀ of 21 nM. GGTI-2154 shows more than 200-fold selectivity for GGTase I over FTase (IC₅₀=5600 nM). GGTI-2154 can be used for the research of cancer.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>GGTI-2154 hydrochloride is a potent and selective inhibitor geranylgeranyltransferase I (GGTase I), with an IC₅₀ of 21 nM. GGTI-2154 hydrochloride shows more than 200-fold selectivity for GGTase I over FTase (IC₅₀=5600 nM). GGTI-2154 hydrochloride can be used for the research of cancer.</p> <p>Purity: 98.13%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>

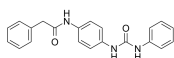
<p>GGTI-2418</p> <p style="text-align: right;">Cat. No.: HY-16231</p>	<p>Iruplinalkib (WX-0593)</p> <p style="text-align: right;">Cat. No.: HY-145574</p>
<p>GGTI-2418 is a highly potent, competitive, and selective geranylgeranyltransferase I (GGTase I) inhibitor. GGTI-2418 inhibits GGTase I and FTase activities with IC_{50}s of 9.5 nM and 53 μM, respectively.</p> <p>Purity: 98.04% Clinical Data: Phase 1 Size: 10 mM \times 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>Iruplinalkib (WX-0593) is a potent, selective, and orally active inhibitor of ALK and ROS1 tyrosine kinase. Iruplinalkib (WX-0593) shows favorable safety and promising antitumor activity in advanced NSCLC with ALK or ROS1 rearrangement.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>Lorlatinib (PF-06463922)</p> <p style="text-align: right;">Cat. No.: HY-12215</p>	<p>Lorlatinib-13C,d3 (PF-06463922-13C,d3)</p> <p style="text-align: right;">Cat. No.: HY-122155</p>
<p>Lorlatinib (PF-06463922) is a selective, orally active, brain-penetrant and ATP-competitive ROS1/ALK inhibitor. Lorlatinib has K_s of <0.025 nM, <0.07 nM, and 0.7 nM for ROS1, wild type ALK, and ALK^{L1196M}, respectively. Lorlatinib has anticancer activity.</p> <p>Purity: 99.83% Clinical Data: Launched Size: 10 mM \times 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>Lorlatinib-13C,d3 (PF-06463922-13C,d3) is the 13C- and deuterium labeled Lorlatinib. Lorlatinib (PF-06463922) is a selective, orally active, brain-penetrant and ATP-competitive ROS1/ALK inhibitor.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>Malvidin-3-galactoside chloride</p> <p style="text-align: right;">Cat. No.: HY-N6623</p>	<p>MAO-B-IN-7</p> <p style="text-align: right;">Cat. No.: HY-146762</p>
<p>Malvidin-3-galactoside chloride, an anthocyanin monomer, induces hepatocellular carcinoma (HCC) cells cycle arrest and apoptosis. Malvidin-3-galactoside chloride inhibits the production and accumulation of ROS.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg, 10 mg</p>	<p>MAO-B-IN-7 is a potent and blood-brain barrier permeable MAO-B and AChE inhibitor with IC_{50}s of 41 nM, 87 nM and 0.3 μM for human AChE, electric eel AChE and MAO-B, respectively. MAO-B-IN-7 can effectively alleviate oxidative stress and neuroinflammatory damage.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>Merestinib (LY2801653)</p> <p style="text-align: right;">Cat. No.: HY-15514</p>	<p>Merestinib dihydrochloride (LY2801653 dihydrochloride)</p> <p style="text-align: right;">Cat. No.: HY-15514A</p>
<p>Merestinib (LY2801653) is a potent, orally bioavailable c-Met inhibitor ($K_i=2$ nM) with anti-tumor activities.</p> <p>Purity: 99.99% Clinical Data: Phase 2 Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>Merestinib dihydrochloride (LY2801653 dihydrochloride) is a potent, orally bioavailable c-Met inhibitor ($K_i=2$ nM) with anti-tumor activities.</p> <p>Purity: 99.36% Clinical Data: Phase 2 Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>MitoPQ (MitoParaquat)</p> <p style="text-align: right;">Cat. No.: HY-130278</p>	<p>Nrf2-ARE/hMAO-B/QR2 modulator 1</p> <p style="text-align: right;">Cat. No.: HY-144635</p>
<p>MitoPQ is a mitochondria-targeted redox cycler. MitoPQ produces superoxide by redox cycling at the flavin site of complex I, selectively increasing superoxide production within mitochondria. MitoPQ can be used in antioxidant study.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>Nrf2-ARE/hMAO-B/QR2 modulator 1 is a Resveratrol-based multitarget-directed ligands with IC_{50}s of 8.05, 9.83 and 0.57 μM for hMAO-B, NRF2 and QR2.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>

<p>Nrf2/HO-1-IN-1</p> <p>Cat. No.: HY-146971</p>	<p>Orniplabin (SMTP-7)</p> <p>Cat. No.: HY-122311</p>
<p>Nrf2/HO-1-IN-1 is a potent Nrf2/HO-1 pathway inhibitor, with an IC_{50} value of 0.38 μM for NO. Nrf2/HO-1-IN-1 can significantly reduce the level of ROS in cells. Nrf2/HO-1-IN-1 can be used for researching anti-inflammatory.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>Orniplabin (SMTP-7) is a low-molecular-weight compound that enhances plasminogen–fibrin binding, urokinase-catalyzed activation of plasminogen, and urokinase and plasminogen-mediated fibrin degradation.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>p38 MAPK-IN-3</p> <p>Cat. No.: HY-144697</p>	<p>Phlytetralin</p> <p>Cat. No.: HY-121397</p>
<p>p38 MAPK-IN-3 (Compound 2c) is a p38α MAPK inhibitor. p38 MAPK-IN-3 has antitumor activities and induces apoptosis and ROS.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>Phlytetralin (Compound 10) is a natural product than can be isolated from the hexane-ethyl acetate extract of Phyllanthus amarus leaves. Phlytetralin possesses immunosuppressive effects on different lineages of innate immune system.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>Repotrectinib (TPX-0005)</p> <p>Cat. No.: HY-103022</p>	<p>Taletrectinib (DS-6051b; AB-106)</p> <p>Cat. No.: HY-131003</p>
<p>Repotrectinib (TPX-0005) is a potent ROS1 (IC_{50}=0.07 nM) and TRK (IC_{50}=0.83/0.05/0.1 nM for TRKA/B/C) inhibitor. Repotrectinib potently inhibits WT ALK (IC_{50}=1.01 nM). Repotrectinib has anti-cancer activity.</p> <p>Purity: 99.81% Clinical Data: Phase 2 Size: 10 mM \times 1 mL, 5 mg, 10 mg, 25 mg, 50 mg</p>	<p>Taletrectinib (DS-6051b) is a potent, orally active, and next-generation selective ROS1/NTRK inhibitor. Taletrectinib potently inhibits recombinant ROS1, NTRK1, NTRK2, and NTRK3 with IC_{50}s of 0.207, 0.622, 2.28, and 0.98 nM, respectively.</p> <p>Purity: 99.96% Clinical Data: Phase 2 Size: 10 mM \times 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>
<p>Taletrectinib free base (DS-6051b free base; AB-106 free base)</p> <p>Cat. No.: HY-131003A</p>	<p>Topo I-IN-1</p> <p>Cat. No.: HY-145859</p>
<p>Taletrectinib (DS-6051b) free base is a potent, orally active, and next-generation selective ROS1/NTRK inhibitor. Taletrectinib free base potently inhibits recombinant ROS1, NTRK1, NTRK2, and NTRK3 with IC_{50}s of 0.207, 0.622, 2.28, and 0.98 nM, respectively.</p> <p>Purity: >98% Clinical Data: Phase 2 Size: 1 mg, 5 mg</p>	<p>Topo I-IN-1 (Compound 14d) is a potent Topo I inhibitor with antitumor activity and DNA intercalative capability. Topo I-IN-1 induces cell apoptosis.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>Topoisomerase I/II inhibitor 3</p> <p>Cat. No.: HY-146504</p>	<p>Tubulin polymerization-IN-6</p> <p>Cat. No.: HY-146505</p>
<p>Topoisomerase I/II inhibitor 3 (compound 7) is a potent topoisomerase I (Topo I) and II (Topo II) dual inhibitor. Topoisomerase I/II inhibitor 3 can inhibit cell proliferation, invasion and migration, and induce apoptosis by inhibiting PI3K/Akt/mTOR signaling pathway.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>Tubulin polymerization-IN-6 (compound 5f) is a potent tubulin polymerization inhibitor, with an IC_{50} of 1.09 μM. Tubulin polymerization-IN-6 inhibits cell migration and tube formation and contributes to the anti-angiogenesis.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>

VEGFR-2-IN-19

Cat. No.: HY-146367

VEGFR-2-IN-19 (Compound 15b) is a potent VEGFR2 inhibitor. VEGFR-2-IN-19 induces cell **apoptosis** and increases intracellular **reactive oxygen species** level. VEGFR-2-IN-19 can be used as an anticancer agent.

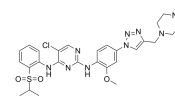


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

WY-135

Cat. No.: HY-111416

WY-135 is an ALK ($IC_{50}=1.4$ nM) and ROS1 ($IC_{50}=1.1$ nM) dual inhibitor.



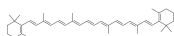
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

β -Carotene

(Provitamin A; beta-Carotene)

Cat. No.: HY-N0411

β -Carotene (Provitamin A), a carotenoid compound, is a naturally-occurring vitamin A precursor. β -Carotene is a modulator of **reactive oxygen species (ROS)**, with antioxidant and antiinflammatory activities.

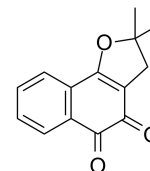


Purity: $\geq 98.0\%$
Clinical Data: Launched
Size: 50 mg, 100 mg

β -Nor-lapachone

Cat. No.: HY-146067

β -Nor-lapachone is a *Candida glabrata* antibiofilm agent. β -Nor-lapachone can stimulate ROS production, inhibits efflux activity, adhesion, biofilm formation and the metabolism of mature biofilms of *Candida glabrata*. β -Nor-lapachone has antifungal activity.



Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg