



www.MedChemExpress.com

Inhibitors, Agonists, Screening Libraries

ALK

Anaplastic lymphoma kinase; ALK tyrosine kinase receptor; CD246; Cluster of differentiation 246

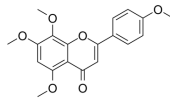
ALK (Anaplastic lymphoma kinase) is encoded by the ALK gene. ALK is a membrane associated tyrosine kinase receptor of the insulin receptor superfamily. The function of the full-length ALK receptor is poorly understood. It has a probable role in the central and peripheral nervous system development and maintenance. ALK is a dependence receptor, which may exert antagonist functions, proapoptotic or antiapoptotic, depending on the absence or presence of a ligand. Dependence receptors have a potential role in cancer and development. Ligands available for this demonstration were agonist anti-ALK antibodies. ALK is still an orphan receptor, given the high level of controversy about pleiotrophin and midkine.

ALK Inhibitors

6-Demethoxytangeretin

Cat. No.: HY-N4126

6-Demethoxytangeretin is a citrus flavonoid isolated from Citrus depressa.

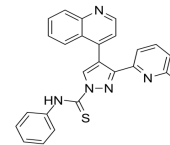


Purity: 99.28%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg

A 83-01

Cat. No.: HY-10432

A 83-01 is a potent inhibitor of TGF- β type I receptor **ALK5 kinase**, type I nodal receptor **ALK4** and type I nodal receptor **ALK7**, with IC_{50} s of 12 nM, 45 nM and 7.5 nM against the transcription induced by **ALK5**, **ALK4** and **ALK7**, respectively.

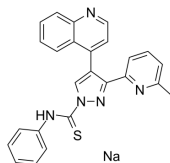


Purity: >98.0%
Clinical Data: No Development Reported
Size: 10 mg, 50 mg

A 83-01 sodium salt

Cat. No.: HY-10432A

A 83-01 sodium salt is a potent inhibitor of TGF- β type I receptor **ALK5 kinase**, **ALK4** and **ALK7**, with IC_{50} s of 12 nM, 45 nM and 7.5 nM against the transcription induced by **ALK5**, **ALK4** and **ALK7**, respectively.



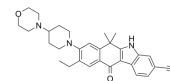
Purity: >95.0%
Clinical Data: No Development Reported
Size: 10 mg, 50 mg

Alectinib

(CH5424802; RO5424802; AF802)

Cat. No.: HY-13011

Alectinib (CH5424802) is a potent, selective, and orally available **ALK inhibitor** with an IC_{50} of 1.9 nM and a K_d value of 2.4 nM (in an ATP-competitive manner), and also inhibits **ALK F1174L** and **ALK R1275Q** with IC_{50} s of 1 nM and 3.5 nM, respectively.



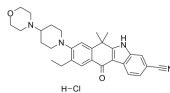
Purity: 99.87%
Clinical Data: Launched
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

Alectinib Hydrochloride (CH5424802 Hydrochloride; RO5424802

Hydrochloride; AF-802 Hydrochloride)

Cat. No.: HY-13011A

Alectinib Hydrochloride (CH5424802 Hydrochloride; RO5424802 Hydrochloride; AF-802 Hydrochloride) is a potent, selective, and orally available **ALK inhibitor** with an IC_{50} of 1.9 nM and a K_d value of 2.4 nM (in an ATP-competitive manner), and also inhibits **ALK F1174L** and **ALK R1275Q** with...

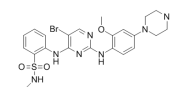


Purity: 99.89%
Clinical Data: Launched
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

ALK inhibitor 1

Cat. No.: HY-15357

ALK inhibitor 1 (compound 17) is a potent pyrimidin **ALK inhibitor**. ALK inhibitor 1 is a potent inhibitor of **testis-specific serine/threonine kinase 2 (TSSK2; IC_{50} =31 nM)** and **focal adhesion kinase (FAK; IC_{50} =2 nM)**.

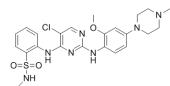


Purity: 99.71%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

ALK inhibitor 2

Cat. No.: HY-15358

ALK inhibitor 2 (compound 18) is a potent pyrimidin **ALK inhibitor**. ALK inhibitor 2 is a potent inhibitor of **testis-specific serine/threonine kinase 2 (TSSK2; IC_{50} =37 nM)** and **focal adhesion kinase (FAK; IC_{50} =5 nM)**.



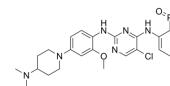
Purity: 99.77%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 5 mg, 10 mg

ALK-IN-1

(Brigatinib analog)

Cat. No.: HY-13464

ALK-IN-1 (Brigatinib analog) is a potent and selective active inhibitor of **anaplastic lymphoma kinase (ALK)**, Patent US20140066406 A1.

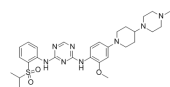


Purity: 99.96%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

ASP3026

Cat. No.: HY-13326

ASP3026 is a potent, selective and orally active inhibitor of **anaplastic lymphoma kinase (ALK)**. ASP3026 induces apoptosis of tumor cells. ASP3026 can be used for the research of non-small cell lung cancer (NSCLC).



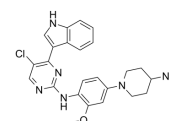
Purity: 99.90%
Clinical Data: Phase 1
Size: 10 mM \times 1 mL, 50 mg, 100 mg

AZD-3463

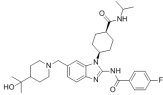
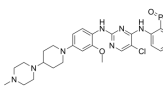
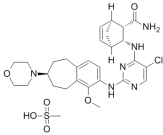
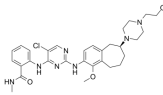
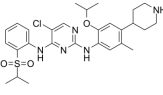
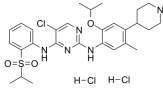
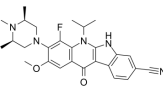
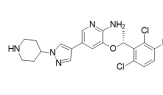
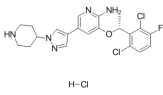
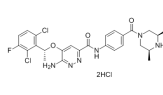
(ALK/IGF1R inhibitor)

Cat. No.: HY-15609

AZD-3463 (ALK/IGF1R inhibitor) is an orally bioavailable **ALK/IGF1R inhibitor**, with a K_i of 0.75 nM for ALK. AZD3463 induces **apoptosis** and **autophagy** in neuroblastoma cells.



Purity: 99.96%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

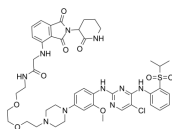
<p>Belizatinib (TSR-011)</p> <p style="text-align: right;">Cat. No.: HY-17603</p>	<p>Brigatinib (AP-26113)</p> <p style="text-align: right;">Cat. No.: HY-12857</p>
<p>Belizatinib is an oral, dual, potent inhibitor of ALK and TRKA, TRKB, and TRKC, with IC_{50} of 0.7nM for wild-type recombinant ALK kinase.</p>  <p>Purity: 99.66% Clinical Data: Phase 2 Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>Brigatinib (AP-26113) is a highly potent and selective ALK inhibitor, with an IC_{50} of 0.6 nM.</p>  <p>Purity: 99.98% Clinical Data: Launched Size: 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>CEP-28122 mesylate salt</p> <p style="text-align: right;">Cat. No.: HY-18030A</p>	<p>CEP-37440</p> <p style="text-align: right;">Cat. No.: HY-15841</p>
<p>CEP-28122 mesylate salt is a highly potent and selective orally active ALK inhibitor with IC_{50} of 1.9 ± 0.5 nM in an enzyme-based TRF assay.</p>  <p>Purity: 99.85% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>CEP-37440 is a novel potent and selective Dual FAK/ALK inhibitor with IC_{50}s of 2.3 nM (FAK) and 120 nM (ALK cellular IC_{50} in 75% human plasma).</p>  <p>Purity: 99.97% Clinical Data: Phase 1 Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>Ceritinib (LDK378)</p> <p style="text-align: right;">Cat. No.: HY-15656</p>	<p>Ceritinib dihydrochloride (LDK378 dihydrochloride)</p> <p style="text-align: right;">Cat. No.: HY-15656A</p>
<p>Ceritinib (LDK378) is a selective, orally bioavailable, and ATP-competitive ALK tyrosine kinase inhibitor with an IC_{50} of 200 pM. Ceritinib (LDK378) also inhibits IGF-1R, InsR, and STK22D with IC_{50} values of 8, 7, and 23 nM, respectively. Ceritinib (LDK378) shows great antitumor potency.</p>  <p>Purity: 99.97% Clinical Data: Launched Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</p>	<p>Ceritinib dihydrochloride (LDK378 dihydrochloride) is a selective, orally bioavailable and ATP-competitive ALK tyrosine kinase inhibitor with an IC_{50} of 200 pM.</p>  <p>Purity: 99.83% Clinical Data: Launched Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>CJ-2360</p> <p style="text-align: right;">Cat. No.: HY-131909</p>	<p>Crizotinib (PF-02341066)</p> <p style="text-align: right;">Cat. No.: HY-50878</p>
<p>CJ-2360 is a potent and orally active ALK inhibitor with IC_{50}s of 2.2, 4.0, 8.8, 6.3, and 8.9 nM against wild-type ALK and F1197M, G1269A, L1196M, and S1206Y ALK mutants, respectively.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>Crizotinib (PF-02341066) is an orally bioavailable, ATP-competitive ALK and c-Met inhibitor with IC_{50}s of 20 and 8 nM, respectively.</p>  <p>Purity: 99.97% Clinical Data: Launched Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg</p>
<p>Crizotinib hydrochloride (PF-02341066 hydrochloride)</p> <p style="text-align: right;">Cat. No.: HY-50878A</p>	<p>Ensartinib hydrochloride (X-396 hydrochloride)</p> <p style="text-align: right;">Cat. No.: HY-103714A</p>
<p>Crizotinib hydrochloride (PF-02341066 hydrochloride) is an orally bioavailable, selective, and ATP-competitive dual ALK and c-Met inhibitor with IC_{50}s of 20 and 8 nM, respectively.</p>  <p>Purity: 99.86% Clinical Data: Launched Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg</p>	<p>Ensartinib hydrochloride (X-396 hydrochloride) is a potent and dual ALK/MET inhibitor with IC_{50}s of <0.4 nM and 0.74 nM, respectively.</p>  <p>Purity: 99.26% Clinical Data: No Development Reported Size: 2 mg, 5 mg, 10 mg</p>

<p>Entrectinib (NMS-E628; RDXD-101)</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% Clinical Data: Launched Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>GSK1838705A</p> <p>GSK1838705A is a potent and reversible IGF-IR and the insulin receptor inhibitor with IC₅₀s of 2.0 and 1.6 nM, respectively. It also inhibits ALK with an IC₅₀ of 0.5 nM.</p> <p>Purity: 99.28% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>HG-14-10-04</p> <p>HG-14-10-04 (example 10) ALK IC₅₀ 20 nM.</p> <p>Purity: 98.83% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>JH-VIII-157-02</p> <p>JH-VIII-157-02 is a structural analogue of alectinib, acts as an ALK inhibitor, and shows an IC₅₀ of 2 nM for echinoderm microtubule-associated protein-like 4-ALK (EML4-ALK) G1202R in cells.</p> <p>Purity: 98.86% Clinical Data: No Development Reported Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>
<p>Lorlatinib (PF-06463922)</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: Phase 3 Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>MS4078</p> <p>MS4078 is an anaplastic lymphoma kinase (ALK) PROTAC (degrader) with a K_d of 19 nM for binding affinity to ALK.</p> <p>Purity: 98.07% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>NVP-TAE 684 (TAE 684)</p> <p>NVP-TAE 684 (TAE 684) is a highly potent and selective ALK inhibitor, which blocks the growth of ALCL-derived and ALK-dependent cell lines with IC₅₀ values between 2 and 10 nM.</p> <p>Purity: 99.42% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>Reprotrectinib (TPX-0005)</p> <p>Reprotrectinib (TPX-0005) is a potent ROS1 (IC₅₀=0.07 nM) and TRK (IC₅₀=0.83/0.05/0.1 nM for TRKA/B/C) inhibitor. Reprotrectinib potently inhibits WT ALK (IC₅₀=1.01 nM). Reprotrectinib has anti-cancer activity.</p> <p>Purity: 99.81% Clinical Data: Phase 2 Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg</p>
<p>TGFβRI-IN-2</p> <p>TGFβRI-IN-2 (compound 18) is a potent, selective and orally active (Activin-Like Kinase 5) ALK 5 inhibitor with pIC₅₀ and pEC₅₀ values of 7.6 and 6.63, respectively. TGFβRI-IN-2 can produce observed cardiac toxicity in vivo at high dose.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>TL13-110</p> <p>TL13-110 is a negative control for TL13-112 (HY-123919) and a potent ALK inhibitor with an IC₅₀ of 0.34 nM. TL13-110 does not degrade ALK in cells.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>

TL13-22

Cat. No.: HY-136194

TL13-22 is a negative control for TL13-12 (HY-122582) and a potent ALK inhibitor with an IC_{50} of 0.54 nM. TL13-22 does not degrade ALK in cells.

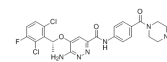


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

X-376

Cat. No.: HY-16590

X-376 is a potent and highly specific ALK tyrosine kinase inhibitor (TKI) (IC_{50} =0.61 nM). X-376 is a less potent inhibitor of MET (IC_{50} =0.69 nM). X-376 displays potent anti-tumor activity.



Purity: 98.36%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg