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Inhibitors, Agonists, Screening Libraries

IKK

IκB kinase; I kappa B kinase

IKK (IκB kinase) is an enzyme complex that is involved in propagating the cellular response to inflammation. An IκB kinase is an enzyme that catalyzes the chemical reaction: $ATP + I\kappa B \text{ protein} \rightarrow ADP + I\kappa B \text{ phosphoprotein}$. The IκB kinase enzyme complex is part of the upstream NF-κB signal transduction cascade. The IκBα (inhibitor of kappa B) protein inactivates the NF-κB transcription factor by masking the nuclear localization signals of NF-κB proteins and keeping them sequestered in an inactive state in the cytoplasm. IKK specifically, phosphorylates the inhibitory IκBα protein. This phosphorylation results in the dissociation of IκBα from NF-κB. NF-κB, which is free migrates into the nucleus and activates the expression of at least 150 genes; some of which are anti-apoptotic. IKK belongs to the family of transferases, specifically those transferring a phosphate group to the sidechain oxygen atom of serine or threonine residues in proteins.

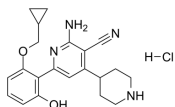
IKK Inhibitors

ACHP Hydrochloride

(IKK-2 Inhibitor VIII)

Cat. No.: HY-13060

ACHP Hydrochloride (IKK-2 Inhibitor VIII) is a highly potent and selective IKK- β inhibitor with an IC_{50} of 8.5 nM.



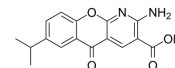
Purity: 99.54%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Amlexanox

(AA673; Amoxanox; CHX3673)

Cat. No.: HY-B0713

Amlexanox (AA673; Amoxanox; CHX3673) is a specific inhibitor of IKK ϵ and TBK1, and inhibits the IKK ϵ and TBK1 activity determined by MBP phosphorylation with an IC_{50} of approximately 1-2 μ M.

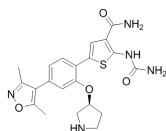


Purity: 99.73%
Clinical Data: Launched
Size: 10 mM \times 1 mL, 10 mg, 50 mg, 100 mg

AZD3264

Cat. No.: HY-19362

AZD3264 is a selective I κ B-kinase IKK2 inhibitor.



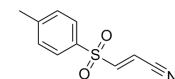
Purity: 98.77%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

BAY 11-7082

(BAY 11-7821)

Cat. No.: HY-13453

BAY 11-7082 is an I κ B α phosphorylation and NF- κ B inhibitor. BAY 11-7082 selectively and irreversibly inhibits the TNF- α -induced phosphorylation of I κ B- α , and decreases NF- κ B and expression of adhesion molecules.

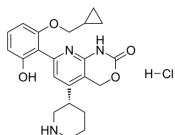


Purity: 99.73%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Bay 65-1942 hydrochloride

Cat. No.: HY-50948

Bay 65-1942 hydrochloride is an ATP-competitive and selective IKK β inhibitor.

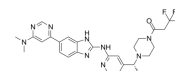


Purity: 99.05%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 2 mg, 5 mg, 10 mg

BAY-985

Cat. No.: HY-133117

BAY-985 is a highly potent, orally active and selective ATP-competitive dual inhibitor of TBK1 and IKK ϵ with IC_{50} s of 2/30 and 2 nM for TBK1 (low/high ATP) and IKK ϵ , respectively. Antitumor efficacy.

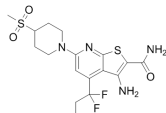


Purity: 99.87%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg

BI605906

Cat. No.: HY-13019

BI605906 is a novel IKK β inhibitor with an IC_{50} value of 380 nM when assayed at 0.1 mM ATP.

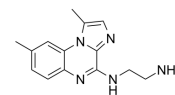


Purity: 99.64%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg

BMS-345541

Cat. No.: HY-10519

BMS-345541 is a selective inhibitor of the catalytic subunits of IKK (IKK-2 IC_{50} =0.3 μ M, IKK-1 IC_{50} =4 μ M). BMS-345541 binds at an allosteric site of IKK.

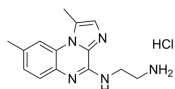


Purity: 99.57%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 5 mg, 50 mg

BMS-345541 hydrochloride

Cat. No.: HY-10518

BMS-345541 hydrochloride is a selective inhibitor of the catalytic subunits of IKK (IKK-2 IC_{50} =0.3 μ M, IKK-1 IC_{50} =4 μ M). BMS-345541 binds at an allosteric site of IKK.

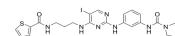


Purity: 99.71%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

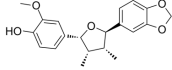
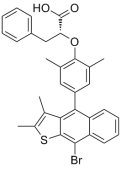
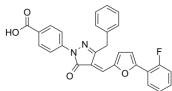
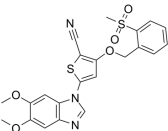
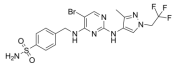
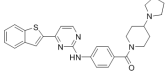
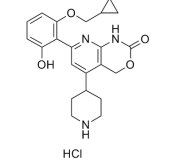
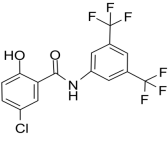
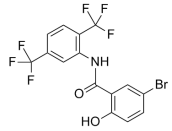
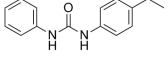
BX795

Cat. No.: HY-10514

BX795 is a potent and selective inhibitor of PDK1, with an IC_{50} of 6 nM. BX795 is also a potent and relatively specific inhibitor of TBK1 and IKK ϵ , with an IC_{50} of 6 and 41 nM, respectively.



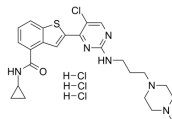
Purity: 99.06%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg, 200 mg

<p>Chicanine</p> <p>Cat. No.: HY-N2270</p> <p>Chicanine is a lignan compound of Schisandra chinensis, inhibits LPS-induced phosphorylation of p38 MAPK, ERK 1/2 and IκB-α, with anti-inflammatory activity.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>Ertiprotafib (PTP 112)</p> <p>Cat. No.: HY-19383</p> <p>Ertiprotafib is an inhibitor of PTP1B, IκB kinase β (IKK-β), and a dual PPARα and PPARβ agonist, with an IC₅₀ of 1.6 μM for PTP1B, 400 nM for IKK-β, an EC₅₀ of ~1 μM for PPARα/PPARβ.</p>  <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>
<p>GS143</p> <p>Cat. No.: HY-110261</p> <p>GS143 is a selective IκBα ubiquitination inhibitor with an IC₅₀ of 5.2 μM for SCF^{FBXW7}-mediated IκBα ubiquitylation. GS143 suppresses NF-κB activation and transcription of target genes and does not inhibit proteasome activity. GS143 has anti-asthma effect.</p>  <p>Purity: 98.30% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>GSK319347A</p> <p>Cat. No.: HY-14682</p> <p>GSK319347A is a dual inhibitor of TBK1 and IKKε with IC₅₀s of 93 nM and 469 nM, respectively. GSK319347A also inhibits IKK2 with an IC₅₀ of 790 nM.</p>  <p>Purity: 98.93% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 1 mg, 5 mg</p>
<p>GSK8612</p> <p>Cat. No.: HY-111941</p> <p>GSK8612 is a highly selective and potent Tank-binding Kinase-1 (TBK1) inhibitor, with a pIC₅₀ of 6.8 for recombinant TBK1.</p>  <p>Purity: 98.79% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg</p>	<p>IKK 16</p> <p>Cat. No.: HY-13687</p> <p>IKK 16 is a selective IκB kinase (IKK) inhibitor for IKK2, IKK complex and IKK1 with IC₅₀s of 40 nM, 70 nM and 200 nM, respectively. IKK16 also inhibits leucine-rich repeat kinase-2 (LRRK2) with an IC₅₀ of 50 nM.</p>  <p>Purity: 99.09% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 10 mg, 50 mg</p>
<p>IKK-IN-1</p> <p>Cat. No.: HY-13873</p> <p>IKK-IN-1 is an inhibitor of IKK extracted from patent WO2002024679A1, compound example 18-13.</p>  <p>Purity: 95.04% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg</p>	<p>IMD-0354 (IKK2 Inhibitor V)</p> <p>Cat. No.: HY-10172</p> <p>IMD-0354 (IKK2 Inhibitor V) is a selective IKKβ inhibitor which inhibits NF-κB activity. IMD0354 inhibits TNF-α induced NF-κB transcription activity with an IC₅₀ of 1.2 μM.</p>  <p>Purity: 99.77% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p>
<p>IMD-0560</p> <p>Cat. No.: HY-105661</p> <p>IMD-0560 is a novel IκB kinase β inhibitor.</p>  <p>Purity: 98.68% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>INH14</p> <p>Cat. No.: HY-114454</p> <p>INH14 is a cell permeable inhibitor of IKKα/IKKβ, with IC₅₀s of 8.97 and 3.59 μM, respectively. INH14 inhibits the IKKα/β-dependent TLR inflammatory response. INH14 also inhibits downstream of TAK1/TAB1 and NF-κB pathways. Anti-inflammatory and anti-cancer activity.</p>  <p>Purity: >98.0% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>

LY2409881 trihydrochloride

Cat. No.: HY-B0788A

LY2409881 trihydrochloride is a selective IκB kinase β (IKK2) inhibitor with an IC₅₀ of 30 nM.

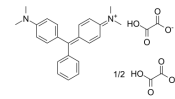


Purity: 98.92%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Malachite green oxalate

Cat. No.: HY-D0162

Malachite green oxalate is a triphenylmethane dye which can be used to detect the release of phosphate in enzymatic reactions. Malachite green oxalate is also a potent and selective inhibitor of IKKε, and inhibits its downstream targets such as IκBα, p65 and IRF3.



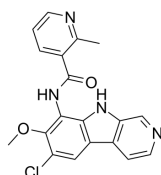
Purity: >98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 100 mg

MLN120B

(ML120B)

Cat. No.: HY-15473

MLN120B (ML120B) is a potent, ATP competitive, and orally active inhibitor of IKKβ with an IC₅₀ of 60 nM. MLN120B inhibits multiple myeloma cell growth in vitro and in vivo and also can be used for the research of rheumatoid arthritis.

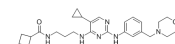


Purity: 99.94%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

MRT67307

Cat. No.: HY-13018

MRT67307 is a dual inhibitor of the IKKε and TBK-1 with IC₅₀s of 160 and 19 nM, respectively. MRT67307 also inhibits ULK1 and ULK2 with IC₅₀s of 45 and 38 nM, respectively. MRT67307 also blocks autophagy in cells.

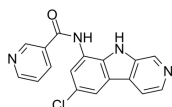


Purity: 99.34%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

PS-1145

Cat. No.: HY-18008

PS-1145 is an IκB kinase (IKK) inhibitor with an IC₅₀ of 88 nM.



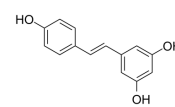
Purity: 99.88%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Resveratrol

(trans-Resveratrol; SRT501)

Cat. No.: HY-16561

Resveratrol (trans-Resveratrol; SRT501), a natural polyphenolic phytoalexin that possesses anti-oxidant, anti-inflammatory, cardioprotective, and anti-cancer properties.

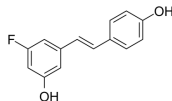


Purity: 99.70%
Clinical Data: Launched
Size: 10 mM × 1 mL, 200 mg, 500 mg

Resveratrol analog 1

Cat. No.: HY-136203

Resveratrol analog 1 is an analog of Resveratrol (HY-16561), compound 48. Resveratrol is a natural polyphenolic phytoalexin that possesses anti-oxidant, anti-inflammatory, cardioprotective, and anti-cancer properties.



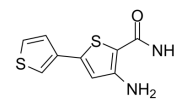
Purity: 98.06%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

SC-514

(GK 01140)

Cat. No.: HY-13802

SC-514 is a selective IKK-2 inhibitor (IC₅₀=11.2 μM), which does not inhibit other IKK isoforms or other serine-threonine and tyrosine kinases.

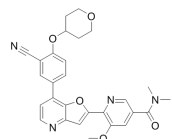


Purity: 99.88%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

TBK1/IKKε-IN-1

Cat. No.: HY-U00457

TBK1/IKKε-IN-1 is a dual TBK1 and IKKε inhibitor extracted from patent US20160376283 A1, Compound 274 in Example 60, has IC₅₀s of <100 nM.

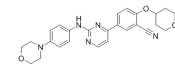


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

TBK1/IKKε-IN-2

Cat. No.: HY-12453

TBK1/IKKε-IN-2 is a dual TBK1 and IKKε inhibitor.

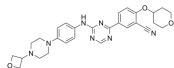


Purity: 98.70%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

TBK1/IKKε-IN-5

Cat. No.: HY-128679

TBK1/IKKε-IN-5 (compound 1) is a dual **TBK1** and **IKKε** inhibitor, with IC_{50} values of 1 nM and 5.6 nM for TBK1 and IKKε, respectively.

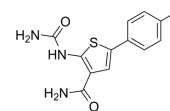


Purity: 99.80%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg

TPCA-1

Cat. No.: HY-10074

TPCA-1 is a potent and selective inhibitor of **IKK-2** with IC_{50} of 17.9 nM. TPCA-1 is an effective inhibitor of **STAT3** phosphorylation, DNA binding, and transactivation.



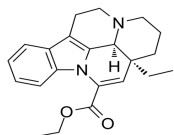
Purity: 99.58%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 100 mg

Vinpocetine

(Ethyl apovincaminatate)

Cat. No.: HY-13295

Vinpocetine (Ethyl apovincaminatate) is a derivative of the alkaloid Vincamine that blocks voltage-gated Na^+ channels. The IC_{50} value of Vinpocetine on direct **IKK** inhibition in the cell-free system is 17.17 μ M.



Purity: 99.77%
Clinical Data: Launched
Size: 10 mM × 1 mL, 50 mg, 100 mg, 200 mg, 500 mg