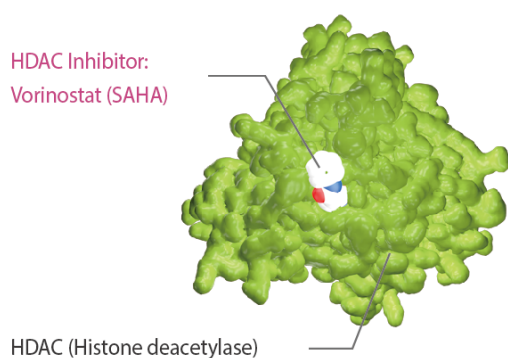


IKK

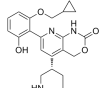

IκB kinase; I kappa B kinase

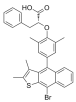



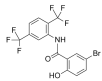

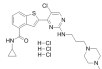
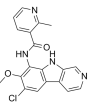








IKK (IκB kinase) is an enzyme complex that is involved in propagating the cellular response to inflammation. An IκB kinase is an enzyme that catalyzes the chemical reaction: $ATP + I\kappa B \text{ protein} \rightarrow ADP + I\kappa B \text{ phosphoprotein}$. The IκB kinase enzyme complex is part of 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 & Modulators

<p>ACHP Hydrochloride (IKK-2 Inhibitor VIII) Cat. No.: HY-13060</p> <p>Bioactivity: ACHP Hydrochloride is a highly potent and selective IKK-β inhibitor with an IC₅₀ of 8.5 nM.</p> <p>Purity: 98.03% Clinical Data: No Development Reported Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p>Amlexanox (AA673; Amoxanox; CHX3673) Cat. No.: HY-B0713</p> <p>Bioactivity: AmLexanox is a specific inhibitor of IKKε and TBK1, and inhibits the IKKε and TBK1 activity determined by MBP phosphorylation with an IC₅₀ of approximately 1-2 μM.</p> <p>Purity: 99.32% Clinical Data: Launched Size: 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg</p> 
<p>AZD3264 Cat. No.: HY-19362</p> <p>Bioactivity: AZD3264 is a selective IκB-kinase IKK2 inhibitor.</p> <p>Purity: 98.77% Clinical Data: No Development Reported Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p>Bay 65-1942 free base Cat. No.: HY-50949</p> <p>Bioactivity: Bay 65-1942 free base is an ATP-competitive and selective IKKβ inhibitor.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 5 mg, 10 mg</p> 
<p>Bay 65-1942 hydrochloride Cat. No.: HY-50948</p> <p>Bioactivity: Bay 65-1942 hydrochloride is an ATP-competitive and selective IKKβ inhibitor.</p> <p>Purity: 99.05% Clinical Data: No Development Reported Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg</p> 	<p>Bay 65-1942 R form Cat. No.: HY-50949A</p> <p>Bioactivity: Bay 65-1942 R form is the less active R-form of Bay 65-1942. Bay 65-1942 is an ATP-competitive and selective IKKβ inhibitor.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 5 mg, 10 mg</p> 
<p>BI605906 Cat. No.: HY-13019</p> <p>Bioactivity: BI605906 is a novel IKKβ inhibitor with an IC₅₀ value of 380 nM when assayed at 0.1 mM ATP.</p> <p>Purity: 99.68% Clinical Data: No Development Reported Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg</p> 	<p>BMS-066 Cat. No.: HY-18710</p> <p>Bioactivity: BMS-066 is an IKKβ/Tyk2 pseudokinase inhibitor, with IC₅₀s of 9 nM and 72 nM, respectively.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 250 mg, 500 mg</p> 
<p>BMS-34541 (BMS-34541 hydrochloride) Cat. No.: HY-10518</p> <p>Bioactivity: BMS-34541 is a selective inhibitor of the catalytic subunits of IKK (IKK-2 IC₅₀=0.3 μM, IKK-1 IC₅₀=4 μM). BMS-34541 binds at an allosteric site of IKK.</p> <p>Purity: 99.77% Clinical Data: No Development Reported Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</p> 	<p>BMS-34541 free base Cat. No.: HY-10519</p> <p>Bioactivity: BMS-34541 free base is a selective inhibitor of the catalytic subunits of IKK (IKK-2 IC₅₀=0.3 μM, IKK-1 IC₅₀=4 μM). BMS-34541 binds at an allosteric site of IKK.</p> <p>Purity: 99.17% Clinical Data: No Development Reported Size: 10mM x 1mL in DMSO, 5 mg, 50 mg</p> 

<p>Ertiprotafib (PTP 112) Cat. No.: HY-19383</p> <p>Bioactivity: 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%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 250 mg, 500 mg</p> 	<p>GSK319347A (IKK-3 Inhibitor) Cat. No.: HY-14682</p> <p>Bioactivity: IKK-3 Inhibitor is a dual inhibitor of TBK1 and IKKε with IC₅₀s of 93 nM and 469 nM, respectively. IKK-3 Inhibitor also inhibits IKK2 with an IC₅₀ of 790 nM.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 5 mg</p> 
<p>IKK 16 Cat. No.: HY-13687</p> <p>Bioactivity: 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: 99.78%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10mM x 1mL in DMSO, 10 mg, 50 mg</p> 	<p>IKK-IN-1 Cat. No.: HY-13873</p> <p>Bioactivity: IKK-IN-1 is an inhibitor of IKK extracted from patent WO2002024679A1, compound example 18-13.</p> <p>Purity: 95.04%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg</p> 
<p>IMD-0354 (IKK2 Inhibitor V) Cat. No.: HY-10172</p> <p>Bioactivity: IMD-0354 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±0.3 μM.</p> <p>Purity: 99.46%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</p> 	<p>IMD-0560 Cat. No.: HY-105661</p> <p>Bioactivity: IMD-0560 is a novel IκB kinase β inhibitor.</p> <p>Purity: 98.68%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</p> 
<p>LY2409881 Cat. No.: HY-B0788</p> <p>Bioactivity: LY2409881 is a selective IκB kinase β (IKK2) inhibitor with an IC₅₀ of 30 nM.</p> <p>Purity: 98.89%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p>LY2409881 trihydrochloride Cat. No.: HY-B0788A</p> <p>Bioactivity: LY2409881 trihydrochloride is a selective IκB kinase β (IKK2) inhibitor with an IC₅₀ of 30 nM.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</p> 
<p>MLN120B (ML120B) Cat. No.: HY-15473</p> <p>Bioactivity: MLN120B is a specific, ATP competitive IKKβ inhibitor with an IC₅₀ of 60 nM.</p> <p>Purity: 99.03%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</p> 	<p>MRT67307 Cat. No.: HY-13018</p> <p>Bioactivity: 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.</p> <p>Purity: 99.00%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</p> 

<p>PS-1145</p> <p style="text-align: right;">Cat. No.: HY-18008</p>	<p>Resveratrol (SRT 501; trans-Resveratrol)</p> <p style="text-align: right;">Cat. No.: HY-16561</p>
<p>Bioactivity: PS-1145 is an IκB kinase (IKK) inhibitor with an IC₅₀ of 88 nM.</p> <p>Purity: 99.85%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p> 	<p>Bioactivity: Resveratrol (SRT 501), a natural polyphenol that possesses anti-oxidant, anti-inflammatory, cardioprotective, and anti-cancer properties. It has a wide spectrum of targets including mTOR, JAK, β-amyloid.</p> <p>Purity: 98.90%</p> <p>Clinical Data: Phase 4</p> <p>Size: 10mM x 1mL in DMSO, 200 mg, 500 mg</p> 
<p>SC-514 (GK 01140)</p> <p style="text-align: right;">Cat. No.: HY-13802</p>	<p>TBK1/IKKε-IN-1</p> <p style="text-align: right;">Cat. No.: HY-U00457</p>
<p>Bioactivity: SC-514 is a selective IKK-2 inhibitor (IC₅₀=11.2±4.7 μM), which does not inhibit other IKK isoforms or other serine-threonine and tyrosine kinases.</p> <p>Purity: 99.99%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10mM x 1mL in DMSO, 10 mg, 50 mg</p> 	<p>Bioactivity: 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.</p> <p>Purity: >98%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 5 mg, 10 mg, 25 mg</p> 
<p>TBK1/IKKε-IN-2</p> <p style="text-align: right;">Cat. No.: HY-12453</p>	<p>TPCA-1</p> <p style="text-align: right;">Cat. No.: HY-10074</p>
<p>Bioactivity: TBK1/IKKε-IN-2 is a dual TBK1 and IKKε inhibitor.</p> <p>Purity: 98.50%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p>Bioactivity: TPCA-1 is a potent and selective inhibitor of IKK-2 with IC₅₀ of 17.9 nM.</p> <p>Purity: 99.54%</p> <p>Clinical Data: No Development Reported</p> <p>Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 100 mg</p> 