MAP3K

MAP kinase kinase kinase, MEKK, MAPKKK

MAP3Ks (Mitogen-activated protein kinase kinase kinases), the top components of MAPK cascades, provide specificity for stimulus-dependent activation of MAP2K-MAPK pathways through unique protein-protein interactions and phosphorylation of signaling effectors. The MAP3Ks are highly divergent in gene numbers and structure, including TAK1, ASK1, A-Raf and C-Raf.

MAPK system is a three-step sequential phosphorylation cascade which is composed of MAPK, MAP2K, and MAP3K. ERK, p38 MAPK, and JNK, which are known to be activated by mechanical stimuli, belong to the MAPK family. MAP3Ks function as “platforms to integrate MAPK signaling, and activation of multiple MAP3Ks provides the spatiotemporal regulation of the MAPK pathways, which induces a wide range of physiological responses required for determining cell fate, such as cytokine production, survival, differentiation and apoptosis”.

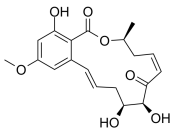
MAP3K Inhibitors

5Z-7-Oxozeaenol

(FR148083; L783279; LL-Z 1640-2)

Cat. No.: HY-12686

5Z-7-Oxozeaenol is a natural anti-protozoan compound from fungal origin, acting as a potent irreversible and selective inhibitor of **TAK1** and **VEGF-R2**, with **IC_{50}**s of 8 nM and 52 nM, respectively.

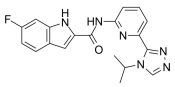


Purity: 99.50%
Clinical Data: No Development Reported
Size: 1 mg

ASK1-IN-2

Cat. No.: HY-131969

ASK1-IN-2 is a potent and orally active inhibitor of **apoptosis signal-regulating kinase 1 (ASK1)**, with an **IC_{50}** of 32.8 nM. ASK1-IN-2 can be used for the research of ulcerative colitis.

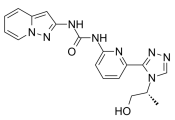


Purity: 98.49%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

ASK1-IN-3

Cat. No.: HY-146729

ASK1-IN-3 is a potent and selective **ASK1** kinase inhibitor with **IC_{50}** of 33.8 nM, as well as inhibits several cell cycle regulating kinases. ASK1-IN-3 has strong HepG2 cancer cells **apoptosis** induction and potent cell cycle arrest activities.

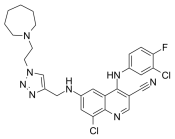


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Cot inhibitor-1

Cat. No.: HY-32015

Cot inhibitor-1 (compound 28) is a selective **tumor progression loci-2 (Tpl2) kinase** inhibitor with an **IC_{50}** of 28 nM. Cot inhibitor-1 shows an inhibition of TNF-alpha production in human whole blood with an **IC_{50}** of 5.7 nM.

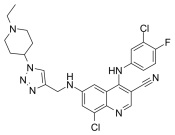


Purity: 98.13%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Cot inhibitor-2

Cat. No.: HY-32018

Cot inhibitor-2 is a potent, selective and orally active **cot (Tpl2/MAP3K8)** inhibitor with an **IC_{50}** of 1.6 nM. Cot inhibitor-2 inhibts TNF-α production in LPS-stimulated human whole blood with an **IC_{50}** of 0.3 μM.

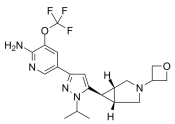


Purity: 99.22%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

DLK-IN-1

Cat. No.: HY-114331

DLK-IN-1 is a selective, orally active inhibitor of dual leucine zipper kinase **(DLK, MAP3K12)**, with a **K_i** of 3 nM.

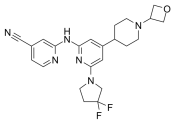


Purity: 99.41%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

GNE-3511

Cat. No.: HY-12947

GNE-3511 is a **dual leucine zipper kinase (DLK)** inhibitor with a **K_i** of 0.5 nM.

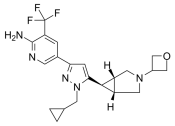


Purity: 99.85%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 25 mg, 50 mg, 100 mg

GNE-8505

Cat. No.: HY-114332

GNE-8505 is an orally available inhibitor of **Dual leucine zipper kinase (DLK)**.

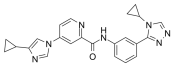


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

GS-444217

Cat. No.: HY-100844

GS-444217 is a potent, orally available and selective ATP-competitive inhibitor of apoptosis signal-regulating kinase 1 (**ASK1**) with an **IC_{50}** of 2.87 nM.

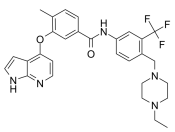


Purity: 99.67%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

NG25

Cat. No.: HY-15434

NG25 is a potent dual **TAK1** and **MAP4K2** inhibitor, with **IC_{50}**s of 149 nM and 21.7 nM, respectively.



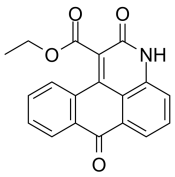
Purity: 99.35%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg

Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com

NQDI-1

Cat. No.: HY-19566

NQDI-1 inhibits apoptosis signal-regulating kinase 1 (**ASK1**) with a K_i of 500 nM and an IC_{50} of 3 μM.

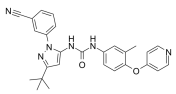


Purity: 95.93%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

PF-05381941

Cat. No.: HY-120823

PF-05381941 is a potent dual inhibitor of **TAK1/p38α**, with IC_{50}s of 156 and186 nM, respectively.



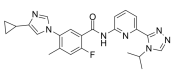
Purity: 99.75%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg

Selonsertib

(GS-4997)

Cat. No.: HY-18938

Selonsertib (GS-4997), an orally bioavailable, selective apoptosis signal-regulating kinase 1 (**ASK1**) inhibitor with a pIC_{50} of 8.3, has been evaluated as an experimental treatment for diabetic nephropathy and kidney fibrosis.

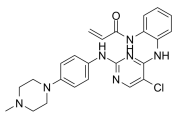


Purity: 98.99%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

SM1-71

Cat. No.: HY-136848

SM1-71 (compound 5) is a potent **TAK1** inhibitor, with a K_i of 160 nM, it also can covalently inhibit **MKNK2**, **MAP2K1/2/3/4/6/7**, **GAK**, **AAK1**, **BMP2K**, **MAP3K7**, **MAPKAPK5**, **GSK3A/B**, **MAPK1/3**, **SRC**, **YES1**, **FGFR1**, **ZAK (MLTK)**, **MAP3K1**, **LIMK1** and **RSK2**.

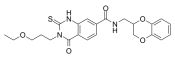


Purity: 96.00%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

SW083688

Cat. No.: HY-122232

SW083688 is a potent, highly selective **TAOK2 (Thousand-And-One Kinase 2)** inhibitor (IC_{50} values = 1.3 umol/L).

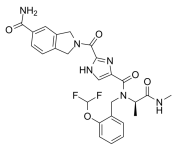


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

TAK1-IN-2

Cat. No.: HY-132172

TAK1-IN-2 is a potent and selective **TAK1** inhibitor, with an $IC_{50>}$ of 2 nM.

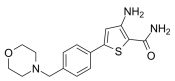


Purity: 98.22%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

TAK1-IN-3

Cat. No.: HY-115743

TAK1-IN-3 is a potent ATP-competitive **TAK1** inhibitor.

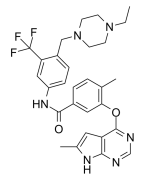


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

TAK1/MAP4K2 inhibitor 1

Cat. No.: HY-77251

TAK1/MAP4K2 inhibitor 1 is a potent dual TGFβ-activated kinase 1 (**TAK1**) and mitogen-activated protein kinase kinase kinase kinase 2 (**MAP4K2**) inhibitor, with IC_{50}s of 41.1 nM and 18.2 nM, respectively.



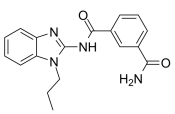
Purity: 99.70%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

Takinib

(EDHS-206)

Cat. No.: HY-103490

Takinib (EDHS-206) is an orally active and selective **TAK1** inhibitor (IC_{50}=9.5 nM), more than 1.5 log more potent than the second and third ranked targets, IRAK4 (120 nM) and IRAK1 (390 nM), respectively.



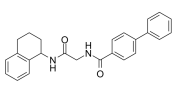
Purity: 99.15%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

TAO Kinase inhibitor 1

(CP 43)

Cat. No.: HY-112136

TAO Kinase inhibitor 1 (compound 43) is a selective, ATP-competitive **thousand-and-one amino acid kinases (TAOK)** inhibitor with IC_{50}s of 11 to 15 nM for TAOK1 and 2, respectively. TAO Kinase inhibitor 1 delays mitosis and induces mitotic cell death.

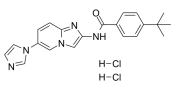


Purity: 99.29%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

TC ASK 10

Cat. No.: HY-103258

TC ASK 10 (Compound 10) is a potent, selective and orally active **apoptosis signal-regulating kinase 1 (ASK1)** inhibitor with an IC_{50} of 14 nM. The inhibitory activities of TC ASK 10 towards other representative panel of kinases are less than 50%, except for ASK2 (IC_{50} of 0.51 μM).

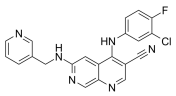


Purity: 99.84%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

Tpl2 Kinase Inhibitor 1

Cat. No.: HY-12358

Tpl2 Kinase Inhibitor 1 (Compound 1) is a potent and selective **Tpl2** (**COT kinase**, **MAP3K8**) inhibitor, plays an important role in the regulation of the inflammatory response and the progression of some cancers.



Purity: 99.08%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg