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Inhibitors, Screening Libraries, Proteins

# TOPK

## T-LAK cell-originated protein kinase

TOPK (T-lymphokine-activated killer cell-originated protein kinase, also known as PBK or PDZ-binding kinase) is a Ser/Thr protein kinase that is highly expressed in many types of human cancer, including breast and lung cancers. TOPK is included in the "consensus stemness ranking signature" gene list that is up-regulated in cancer stem cell-enriched tumors and is associated with poor prognosis in multiple types of cancer.

TOPK/PBK is an oncogenic kinase upregulated in most human cancers. TOPK is important for mitotic cell division and that phosphorylation by Cdk1 is needed for its activation.

TOPK, a member of the MEK3/6-related MAPKK family, is expressed in a wide range of proliferating cells and tissues, including cancer cells and testis. TOPK negatively regulates the activity of p38 $\alpha$  by phosphorylating the p38 $\alpha$ -specific phosphatase MKP1 and enhancing the stability of MKP1. The MAPK phosphatase MKP1, an archetypal member of the MKP family, plays a pivotal role in the deactivation of p38 through a dephosphorylation reaction.

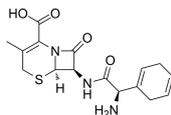
## TOPK Inhibitors

### Cephadrine

(Cefradine; SQ-11436)

Cat. No.: HY-B1156

Cephadrine (Cefradine) is a broad-spectrum and orally active cephalosporin. Cephadrine is active against both gram-positive and gram-negative pathogens. Cephadrine is effective in eradicating most penicillinase-producing organisms.



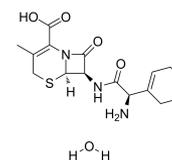
**Purity:** 95.11%  
**Clinical Data:** Launched  
**Size:** 10 mM × 1 mL, 100 mg, 500 mg

### Cephadrine monohydrate

(Cefradine monohydrate)

Cat. No.: HY-128449

Cephadrine (Cefradine) monohydrate is a broad-spectrum and orally active cephalosporin.

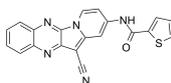


**Purity:** >98%  
**Clinical Data:** Launched  
**Size:** 1 mg, 5 mg

### HI-TOPK-032

Cat. No.: HY-101550

HI-TOPK-032 is a potent and specific TOPK inhibitor.



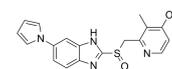
**Purity:** 99.21%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### Ilaprazole

(IY-81149)

Cat. No.: HY-101664

Ilaprazole (IY-81149) is an orally active **proton pump** inhibitor. Ilaprazole irreversibly inhibits H<sup>+</sup>/K<sup>+</sup>-ATPase in a dose-dependent manner with an IC<sub>50</sub> of pump inhibitory activity of 6 μM in rabbit parietal cell preparation.



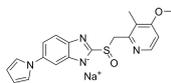
**Purity:** >98%  
**Clinical Data:** Launched  
**Size:** 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

### Ilaprazole sodium

(IY-81149 sodium)

Cat. No.: HY-B2145

Ilaprazole (IY-81149) sodium is an orally active **proton pump** inhibitor. Ilaprazole sodium irreversibly inhibits H<sup>+</sup>/K<sup>+</sup>-ATPase in a dose-dependent manner with an IC<sub>50</sub> of 6 μM in rabbit parietal cell preparation.

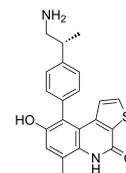


**Purity:** 98.50%  
**Clinical Data:** Launched  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### OTS514

Cat. No.: HY-18621

OTS514 is a highly potent TOPK inhibitor with an IC<sub>50</sub> of 2.6 nM. OTS514 strongly suppresses the growth of TOPK-positive cancer cells. OTS514 induces cell cycle arrest and **apoptosis**.

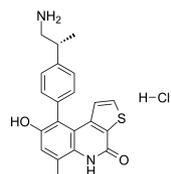


**Purity:** 98.15%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

### OTS514 hydrochloride

Cat. No.: HY-18621A

OTS514 hydrochloride is a highly potent TOPK inhibitor, which inhibits TOPK kinase activity with a median inhibitory concentration (IC<sub>50</sub>) value of 2.6 nM. OTS514 hydrochloride strongly suppresses the growth of TOPK-positive cancer cells.

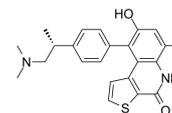


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### OTS964

Cat. No.: HY-19718

OTS964 is an orally active, high affinity and selective TOPK inhibitor with an IC<sub>50</sub> of 28 nM. OTS964 is also a potent inhibitor of the cyclin-dependent kinase **CDK11**, which binds to CDK11B with a K<sub>d</sub> of 40 nM.

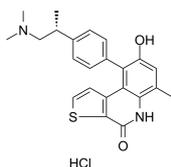


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### OTS964 hydrochloride

Cat. No.: HY-12467

OTS964 hydrochloride is an orally active, high affinity and selective TOPK (T-lymphokine-activated killer cell-originated protein kinase) inhibitor with an IC<sub>50</sub> of 28 nM.



**Purity:** 99.32%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg