Tpl2 Kinase Inhibitor 1

Cat. No.: HY-12358

CAS No.: 871307-18-5

Molecular Formula: $C_{21}H_{14}ClFN_6$

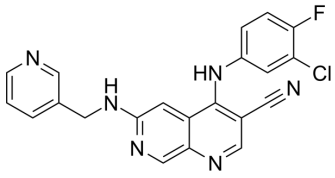
Molecular Weight: 404.83

Target: MAP3K; p38 MAPK; MAPKAPK2 (MK2)

Pathway: MAPK/ERK Pathway

Storage:

Powder	-20°C	3 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (247.02 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4702 mL	12.3509 mL	24.7017 mL
	5 mM	0.4940 mL	2.4702 mL	4.9403 mL
	10 mM	0.2470 mL	1.2351 mL	2.4702 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Tpl2 Kinase Inhibitor 1 is a 3-pyridylmethylamino analog, and is a selective Tpl2 inhibitor (IC_{50}=50 nM). Tpl2 consists of COT kinase and MAP3K8. Tpl2 Kinase Inhibitor 1 plays an important role in the regulation of the inflammatory response and the progression of some cancers[1][2].

IC_{50} & Target			
	MAP3K8	p38 180 μM (IC_{50})	MK2 110 μM (IC_{50})

In Vitro

Tpl2 (Cot/MAP3K8) is a serine/threonine kinase in the MAP3K family that is upstream of MEK in the ERK pathway[1].

Tpl2 Kinase Inhibitor 1 (compound 2p) also inhibits MK2 and p38, with IC_{50}s of 110 μM and 180 μM, respectively in A431 cells [1].

Tpl2 Kinase Inhibitor 1 inhibits lipopolysaccharide-induced TNF-a production from primary human monocytes and human whole-blood, with IC_{50}s of 0.7 μM and 8.5 μM, respectively[1].

Cancer Osaka thyroid (COT) kinase (Tpl2) is an important regulator of pro-inflammatory cytokines in macrophages[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Gavrin LK, et al. Inhibition of Tpl2 kinase and TNF-alpha production with 1,7-naphthyridine-3-carbonitriles: synthesis and structure-activity relationships. Bioorg Med Chem Lett. 2005 Dec 1;15(23):5288-92.

[2]. Glatthar R, et al. Discovery of Imidazoquinolines as a Novel Class of Potent, Selective, and in Vivo Efficacious Cancer Osaka Thyroid (COT) Kinase Inhibitors. J Med Chem. 2016 Aug 25;59(16):7544-60.

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