DLK-IN-1

Cat. No.: HY-114331

CAS No.: 1620574-24-4

Molecular Formula: $C_{20}H_{24}F_3N_5O_2$

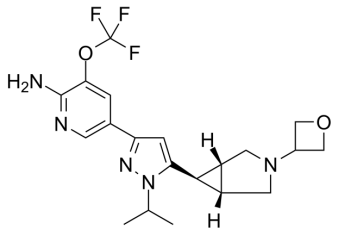
Molecular Weight: 423.43

Target: MAP3K

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 : 62.5 mg/mL (147.60 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3617 mL	11.8083 mL	23.6167 mL
	5 mM	0.4723 mL	2.3617 mL	4.7233 mL
	10 mM	0.2362 mL	1.1808 mL	2.3617 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.91 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.91 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

DLK-IN-1 is a selective, orally active inhibitor of dual leucine zipper kinase (DLK, MAP3K12), with a K_i of 3 nM. DLK-IN-1 retains excellent CNS penetration and is well tolerated following multiple days of dosing at concentrations that exceed those required for DLK inhibition in the brain. DLK-IN-1 has activity in a model of Alzheimer's Disease.

IC_{50} & Target

Ki: 3 nM (DLK)[1].

REFERENCES

[1]. Patel S, et al. Selective Inhibitors of Dual Leucine Zipper Kinase (DLK, MAP3K12) with Activity in a Model of Alzheimer's Disease. J Med Chem. 2017 Oct 12;60(19):8083-

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