MARK-IN-2

Cat. No.: HY-101934

CAS No.: 1314893-26-9

Molecular Formula: $C_{18}H_{18}ClF_2N_5OS$

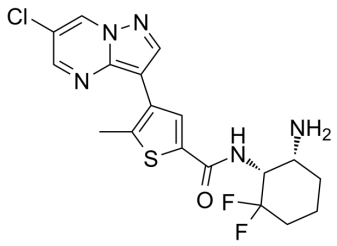
Molecular Weight: 425.88

Target: AMPK

Pathway: Epigenetics; PI3K/Akt/mTOR

Storage: 4°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (58.70 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3481 mL	11.7404 mL	23.4808 mL
	5 mM	0.4696 mL	2.3481 mL	4.6962 mL
	10 mM	0.2348 mL	1.1740 mL	2.3481 mL

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

BIOLOGICAL ACTIVITY

Description

MARK-IN-2 is a potent microtubule affinity regulating kinase (MARK) inhibitor with an IC_{50} of 5 nM.

IC_{50} & Target

IC50: 5 nM (MARK)[1]

In Vitro

MARK-IN-2 (Compound 27) is a potent MARK inhibitor. Inhibition of MARK represents a potentially attractive means of arresting neurofibrillary tangle pathology in Alzheimer's disease. MARK-IN-2 inhibits MARK3 with an IC_{50} of 5 nM. MARK-IN-2 also inhibits MARK3 in primary cell culture of rat cortical neurons with an IC_{50} of 280 nM[1].

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

In Vivo

Characterization of the i.v. pharmacokinetic properties of MARK-IN-2 in rat and dog reveals reasonable volumes of distribution but moderate to high clearance and short half-lives. MARK-IN-2 (Compound 27) has moderate terminal elimination half-life ($t_{1/2}$=0.7 h, and 1 h for rat and dog) [1].

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

PROTOCOL

Cell Assay [1]	The cell biochemical potency of the below described MARK inhibitors (e.g., MARK-IN-2) is evaluated by measuring their ability to block the phosphorylation of Tau at S262 in primary cell culture of rat cortical neurons induced by the action of Okadaic acid[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Rats[1] Male Sprague-Dawley Rats are via a previously implanted venous catheter at 1 mL/kg and by gastric gavage at 5 mL/kg. Male Beagle Dogs are dosed via a saphenous vein indwelling catheter at 0.5 mL/kg and by gastric gavage at 5 mL/kg. Blood samples are collected into tubes containing EDTA at pre-dose and at 5 (intravenously administered drugs only), 15, and 30 min and 1, 2, 4, 6, 8, 12, and 24 h after drug administration. After sampling, whole blood is centrifuged at 14,000 rpm for 5 min, and plasma was stored frozen at -20°C until the day of analysis[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Sloman DL, et al. Optimization of microtubule affinity regulating kinase (MARK) inhibitors with improved physical properties. Bioorg Med Chem Lett. 2016 Sep 1;26(17):4362-6.

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