PKC-IN-1

Cat. No.: HY-16903

CAS No.: 1046787-18-1

Molecular Formula: $C_{25}H_{37}FN_8O_2$

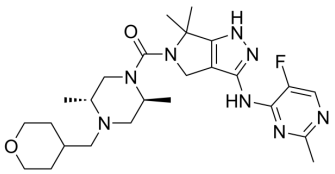
Molecular Weight: 500.61

Target: PKC

Pathway: Epigenetics; TGF-beta/Smad

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 25 mg/mL (49.94 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.9976 mL	9.9878 mL	19.9756 mL
5 mM	0.3995 mL	1.9976 mL	3.9951 mL
10 mM	0.1998 mL	0.9988 mL	1.9976 mL

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

BIOLOGICAL ACTIVITY

Description

PKC-IN-1 is a potent, ATP-competitive and reversible inhibitor of conventional PKC enzymes with K_is of 5.3 and 10.4 nM for human PKCβ and PKCα, and IC_{50}s of 2.3, 8.1, 7.6, 25.6, 57.5, 314, 808 nM for PKCα, PKCβI, PKCβII, PKCθ, PKCγ, PKC mu and PKCε, respectively.

IC_{50} & Target

Human PKCα 2.3 nM (IC_{50})	Human PKCβII 7.6 nM (IC_{50})	Human PKCβI 8.1 nM (IC_{50})	Human PKCθ 25.6 nM (IC_{50})
Human PKCγ 57.5 nM (IC_{50})	Human PKC mu 314 nM (IC_{50})	Human PKCε 808 nM (IC_{50})	Human PKCβ 5.3 nM (Ki)
Human PKCα 10.4 nM (Ki)			

In Vitro

PKC-IN-1 (Compound A) is a potent, ATP-competitive and reversible of conventional PKC enzymes with K_is of 5.3 and 10.4 nM

	for human PKCβ and PKCα, and IC_{50}s of 2.3, 8.1, 7.6, 25.6, 57.5, 314, 808 nM for PKCα, PKCβI, PKCβII, PKCθ, PKCγ, PKC mu and PKCε, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	PKC-IN-1 (Compound A; 15 and 30 mg/kg, p.o., bid (twice a day)) dose-dependently and significantly reduces maximum EAE severity and end severity in autoimmune encephalitis (EAE) model in Lewis rats[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Rats[1] PKC-IN-1 is tested in the experimental autoimmune encephalitis (EAE) model in Lewis rats. EAE is induced by MBP69-88/CFA immunization and pertussis toxin injection in Lewis rats. PKC-IN-1 is prepared as an oral suspension and dosed orally, twice per day (BID) at three doses, 7.5 and 15 and 30 mg/kg for total daily doses of 15, 30 and 60 mg/kg. The efficacy is compared to animals that receive the positive control FTY720 dosed once per day at a dose of 0.5 mg/kg. The treatment starts on Day 8, when 48% of the rats have signs of EAE[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Michael Niesman, et al. Treatment of autoimmune disease. WO2015179847A1.

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