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产品名称：PKC-IN-1
产品别名：PKC-IN-1

生物活性：

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

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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

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

实验参考：

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