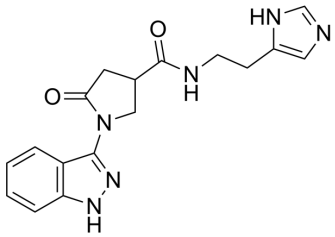
PA-9

Cat. No.:	HY-129421
CAS No.:	1436004-46-4
Molecular Formula:	$C_{17}H_{18}N_6O_2$
Molecular Weight:	338.36
Target:	PACAP Receptor
Pathway:	GPCR/G Protein
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (738.86 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.9554 mL	14.7772 mL	29.5543 mL
	5 mM	0.5911 mL	2.9554 mL	5.9109 mL
	10 mM	0.2955 mL	1.4777 mL	2.9554 mL

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

BIOLOGICAL ACTIVITY

Description

PA-9 is a pituitary adenylate cyclase-activating polypeptide (PACAP) type I (PAC1) receptor antagonist. PA-9 dose dependently inhibits PACAP-induced cAMP elevation with an IC_{50} of 5.6 nM. PA-9 can be used for the research of neuropathic and/or inflammatory pain[1].

IC_{50} & Target

PAC1 receptor

In Vitro

PA-9 (10 pM to 10 nM; 30 minutes) dose dependently inhibits PACAP-induced (1 nM) CREB phosphorylation in the CHO cells expressing PAC1 receptors[1].

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

In Vivo

PA-9 (100 pmol; co-injection with PACAP) attenuates the development of PACAP-induced (100 pmol/5 μL; intrathecal injection) aversive responses of mice[1].

PA-9 (100 pmol; co-injection with PACAP) significantly blocks the induction of PACAP-induced (100 pmol) mechanical allodynia[1].

PA-9 (100 pmol/5 μl; single intrathecal injection alone) does not induce aversive responses and mechanical allodynia of mice

[1].

PA-9 is well accommodated in the subpocket formed by L80, F81, I83, G91, V92, P107, A112, and C113 of the PAC1 receptor, precipitating in hydrophobic interactions[1].

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

Animal Model:	Male ddY mice (6 weeks old at the start of experiments; intrathecal injection 100 pmol/5 μL PACAP)[1]
Dosage:	intrathecal injection, co-injection with PACAP.
Administration:	100 pmol/5 μL
Result:	Attenuated the development of PACAP-induced aversive responses. Blocked the induction of PACAP-induced mechanical allodynia.

REFERENCES

[1]. Takasaki I, et al. In Silico Screening Identified Novel Small-molecule Antagonists of PAC1 Receptor. J Pharmacol Exp Ther. 2018 Apr;365(1):1-8.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA