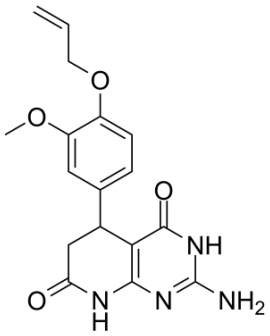
PA-8

Cat. No.:	HY-133529
CAS No.:	878437-15-1
Molecular Formula:	$C_{17}H_{18}N_4O_4$
Molecular Weight:	342.35
Target:	Others
Pathway:	Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	PA-8 is a potent, selective and orally active PACAP type I (PAC1) receptor antagonist. PA-8 inhibits the phosphorylation of CREB induced by PACAP in PAC1-, but not VPAC1- or VPAC2-receptor. PA-8 also inhibits PACAP-induced cAMP elevation with an IC_{50} of 2 nM[1][2].
In Vitro	In PAC1/CHO cells, PA-8 (10 pM to 10 nM; 30 minutes) dose dependently inhibits PACAP (1 nM)-induced CREB phosphorylation. In VPAC1/CHO and VPAC2/CHO cells, PACAP (1 nM) also induced CREB phosphorylation; however, PA-8 (10 pM to 10 nM) does not inhibit PACAP (1 nM)-induced CREB phosphorylation[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	PA-8 (100 pmol/5 μL; intrathecal injection; once; male ddY mice) treatment inhibits PACAP-induced aversive responses and mechanical allodynia in vivo[1]. PA-8 (3-30 mg/kg, p.o.) treatment results in the dose-dependent attenuation of the second phase of formalin-induced nociceptive responses. PA-8 also inhibits c-fos upregulation in the ipsilateral dorsal horn of the spinal cord[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male ddY mice (6 weeks old) injected with PACAP (100 pmol)[1]
Dosage:	100 pmol/5 μL
Administration:	Intrathecal injection; once
Result:	Inhibited PACAP-induced aversive responses and mechanical allodynia in vivo.

REFERENCES

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

[2]. Ichiro Takasaki, et al. The novel small-molecule antagonist of PAC1 receptor attenuates formalin-induced inflammatory pain behaviors in mice. J Pharmacol Sci. 2019 Feb;139(2):129-132.