SZABO SCANDIC

Part of Europa Biosite

Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

Weitere Information auf den folgenden Seiten!
See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

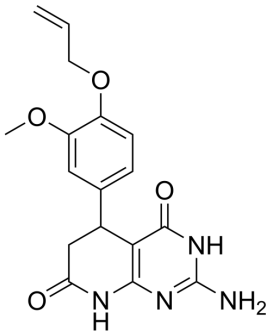
mail@szabo-scandic.com

www.szabo-scandic.com

linkedin.com/company/szaboscandic

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:	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 : 25 mg/mL (73.02 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.9210 mL	14.6049 mL	29.2099 mL
5 mM	0.5842 mL	2.9210 mL	5.8420 mL
10 mM	0.2921 mL	1.4605 mL	2.9210 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.30 mM); Clear solution

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.

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