

Product Datasheet

PA-8

Catalog No. **BP-02214** · CAS **878437-15-1** · Form **free base** · Physical state **solid** · Color **White to yellow** · Version **1.0** ·
Revision **2026-09-07**

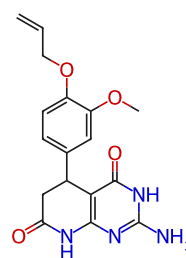
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Target PACAP Receptor	Research area Neurological Disease; Inflammation/Immunology
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Molecular formula **C₁₇H₁₈N₄O₄**

Molecular weight **342.3492**

STRUCTURE



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 IC₅₀ of 2 nM[1][2].

BIOLOGICAL ACTIVITY

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.

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.

SOLUBILITY

soluble in DMSO

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.

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