

Product Data Sheet

Product Name: RF 9
Cat. No.: GC15469

Chemical Properties

Cas. No. 876310-60-0

Chemical Name (3S,5S,7S,Z)-N-((S,Z)-5-guanidino-1-hydroxy-1-(((S)-1-hydroxy-1-imino-3-phenylpropan-2-yl)imino)pentan-2-yl)adamantane-1-carbimidic acid

SMILES N=C(O)[C@]1(/N=C(O)/[C@]2(/N=C(O)/C12C[C@@]3([H])C[C@](C1)([H])C[C@](C2)([H])C3)([H])CCCNC(N)=N)([H])CC4=CC=CC=C4

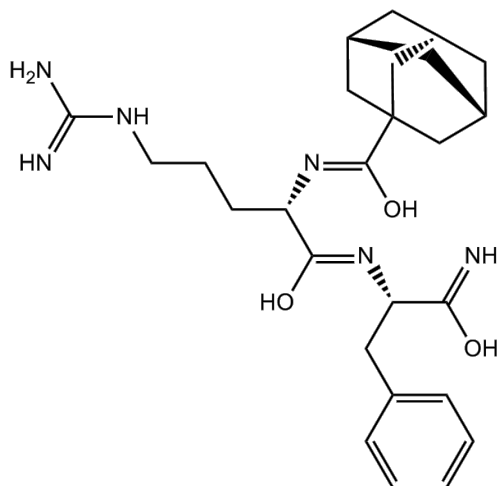
Formula $C_{26}H_{38}N_6O_3$ M.Wt 482.62

Solubility DMSO: 5 mg/ml, Water: 20 mg/ml Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Caution: Product has not been fully validated for medical applications. For research use only.

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Animal experiment: Rats: Rats (n=5) are catheterized for measurement of arterial blood pressure and receive an in-dwelling cannula into the lateral cerebral ventricle. Arterial blood pressure and heart rate are continuously monitored. NPFF (10 µg in 10 µL of saline) is injected into the lateral ventricle over 15 to 20 sec. Control injections of saline (10 µL) are carried out in the same animals. Upon return of blood pressure to baseline, RF9 (10 µg) is injected i.c.v., followed by another i.c.v. injection of NPFF with RF9. After 1.5 h., another i.c.v. infusion of NPFF is repeated[1].

References:

- [1]. Simonin F, et al. RF9, a potent and selective neuropeptide FF receptor antagonist, prevents opioid-induced tolerance associated with hyperalgesia. Proc Natl Acad Sci U S A. 2006 Jan 10;103(2):466-71.
- [2]. Wang YQ, et al. Neuropeptide FF receptors antagonist, RF9, attenuates opioid-evoked hypothermia in mice. Peptides. 2008 Jul;29(7):1183-90.

Background

Ki: 58 nM for NPFF1; 75 nM for NPFF2

RF 9 is a neuropeptide FF receptors antagonist.

Neuropeptide FF (NPFF) has been isolated originally from bovine brain through its cross-reaction with antibodies to the molluscan cardioexcitatory peptide FMRF-NH₂, which possesses the similar C-terminal sequence. Recent studies shows that NPFF belongs to a neuropeptide family including two G protein-coupled receptors (NPFF1 and NPFF2) and two precursors (pro-NPFFA and pro-NPFFB). Both in vitro and in vivo studies have indicated that NPFF involves in a variety of biological actions.

In vitro: RF9 was found as a potent and selective NPFF receptor antagonist. RF9 was shown to be able to selectively bind to recombinant NPFF1 or NPFF2 receptors expressed in CHO or COS-1 cell and could also in vitro antagonize the agonism induced by NPFF and NPVF in the functional assays [1].

In vivo: A minimal in vivo study showed RF9 (30 nmol) injection failed to induce significant effect, but

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RF9 could completely antagonize the hypothermia of NPFF after cerebral administration in mice. Additionally, RF9 (30 nmol) co-injected in the third ventricle reduced the hypothermia induced by morphine or nociceptin/orphanin [2].

Clinical trial:N/A

References:

- [1] Fang Q,Wang YQ,He F,Guo J,Guo J,Chen Q,Wang R. Inhibition of neuropeptide FF (NPFF)-induced hypothermia and anti-morphine analgesia by RF9, a new selective NPFF receptors antagonist. Regul Pept.2008 Apr 10;147(1-3):45-51.
- [2] Wang YQ,Guo J,Wang SB,Fang Q,He F,Wang R. Neuropeptide FF receptors antagonist, RF9, attenuates opioid-evoked hypothermia in mice. Peptides.2008 Jul;29(7):1183-90.

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