

Product Data Sheet

Product Name: CLR/RAMP3-receptor antagonist-1

Cat. No.: GC79279

Chemical Properties

Cas. No. 2252341-45-8

Formula $C_{31}H_{35}N_5O_3$

M.Wt 525.64

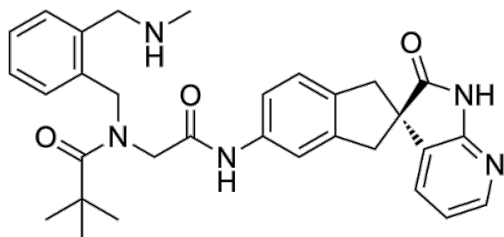
Solubility

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



Background

CLR/RAMP3-receptor antagonist-1 is a selective antagonist of the CLR/RAMP3 receptor (CLR/RAMP3, AM 2 receptor), with pIC₅₀ values of 5.86, 9.21 and 9.07 against AM 1 (CLR/RAMP2), AM 2 (CLR/RAMP3) and CGRP (CLR/RAMP1) receptors, respectively. CLR/RAMP3-receptor antagonist-1 reduces the levels of pancreatic cancer progression markers, induces apoptosis in vitro, and prolongs survival in mouse models of pancreatic cancer. CLR/RAMP3-receptor antagonist-1 can be used in pancreatic cancer-related research [1].

In Vivo, CLR/RAMP3-receptor antagonist-1 (Compound 8) (20 mg/kg; i.p.; daily administration; for 30

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consecutive days) significantly inhibits the growth of subcutaneous pancreatic xenografts in BALB/c nude mice after three weeks^[1].

In Vitro, CLR/RAMP3-receptor antagonist-1 (Compound 8) (10^{-11} to 10^{-5} M; 30 min pre-incubation, 15 min agonist incubation) potently inhibits AM-induced cAMP production in human pancreatic cancer CFPAC-1 cells with a pIC₅₀ of 8.96^[1]. CLR/RAMP3-receptor antagonist-1 (Compound 8) (3 μ M; 9 days daily treatment) reduces the viability of human pancreatic cancer CFPAC-1 cells by up to 31%^[1]. CLR/RAMP3-receptor antagonist-1 (Compound 8) (100 nM-3 μ M; 24 h) increases apoptosis in serum-starved human pancreatic cancer CFPAC-1 cells by up to 104% after 24 hours of treatment^[1].

References:

[1]. Avgoustou P, et al. Discovery of a First-in-Class Potent Small Molecule Antagonist against the Adrenomedullin-2 Receptor. ACS Pharmacol Transl Sci. 2020;3(4):706-719. Published 2020 Jun 25.

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