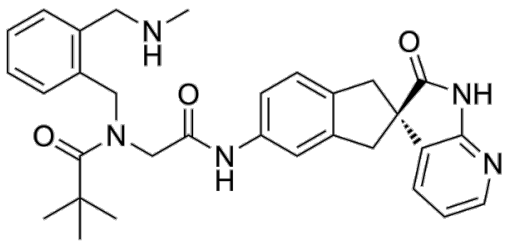
Product Data Sheet

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 pIC 50 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

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 μM; 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 μM; 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.