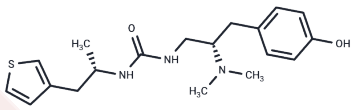
Data Sheet (Cat.No.T4386)

TargetMol

PZM21

Chemical Properties



CAS No. : 1997387-43-5

Formula: C19H27N3O2S

Molecular Weight: 361.5

Storage: Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	PZM21 is an effective and selective μ opioid receptor agonist (EC50: 1.8 nM).
Targets(IC50)	Opioid Receptor
In vitro	At hERG, PZM21 has an IC50 of between 2 and 4 μM, 500- to 1,000-fold weaker than its potency as a μOR agonist. Signalling by PZM21 and other μOR agonists appears to be mediated primarily by the heterotrimeric G protein Gi/o, as its effect on cAMP levels is eliminated by pertussis toxin and no activity is observed in a calcium release assay [1].
In vivo	PZM21 is a highly selective μOR activator with significant Gi activation and minimal activation of β-arrestin-2, differentiating it from morphine by demonstrating greater efficacy in the affective aspect of pain relief compared to the reflexive aspect, without causing respiratory depression or exhibiting morphine-like addictive qualities in mice at equivalent analgesic doses. In a mouse hotplate assay, PZM21 achieved a maximal effect of 87% for pain relief 15 minutes post-administration of the highest dose [1]. Furthermore, PZM21 exerts a durable analgesic effect on central nervous system (CNS)-mediated pain without the typical opioid-induced side effects of respiratory depression and constipation [2].

Solubility Information

Solubility	DMSO: 265 mg/mL (733.06 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.53 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.7663 mL	13.8313 mL	27.6625 mL
5 mM	0.5533 mL	2.7663 mL	5.5325 mL
10 mM	0.2766 mL	1.3831 mL	2.7663 mL
50 mM	0.0553 mL	0.2766 mL	0.5533 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Manglik A, et al. Structure-based discovery of opioid analgesics with reduced side effects. Nature. 2016 Sep 8;537 (7619):185-190.
Kostic M, et al. Biasing Opioid Receptors and Cholesterol as a Player in Developmental Biology.