

Product Datasheet

PZM-21

Catalog No. **BP-01957** · CAS **1997387-43-5** · Form **free base** · Physical state **solid** · Color **white to off-white** · Version **1.0** · Revision **2026-09-08**

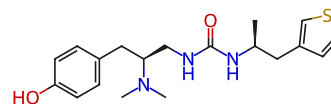
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Target Opioid Receptor	Research area Neurological Disease
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Molecular formula **C₁₉H₂₇N₃O₂S**

Molecular weight **361.5020**

STRUCTURE



DESCRIPTION

PZM21 is a potent and selective μ opioid receptor agonist with an EC₅₀ of 1.8 nM.

BIOLOGICAL ACTIVITY

In Vitro

PZM21 has no detectable κ OR or nociceptin receptor agonist activity-it is actually an 18 nM κ OR antagonist-while it is a 500-fold weaker δ OR agonist, making it a selective μ OR agonist. At hERG, PZM21 has an IC₅₀ of between 2 and 4 μ M, 500- to 1,000-fold weaker than its potency as a μ OR agonist. Signalling by PZM21 and other μ OR 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.

In Vivo

PZM21 is a potent Gi activator with exceptional selectivity for μ OR and minimal β -arrestin-2 recruitment. PZM21 is efficacious for the affective component of analgesia versus the reflexive component and is devoid of respiratory depression in mice at equi-analgesic doses. PZM21 displays dose-dependent analgesia in a mouse hotplate assay, with a per cent maximal possible effect (% MPE) of 87% reached 15 min after administration of the highest dose of drug tested. PZM21 has a long-lasting analgesic effect on CNS mediated-pain responses, but does not cause respiratory depression and constipation, two key side effects of opioid agonists.

SOLUBILITY

Soluble in DMSO

REFERENCES

[1]. Manglik A, et al. Structure-based discovery of opioid analgesics with reduced side effects. Nature. 2016 Sep 8;537(7619):185-190.

[2]. Kostic M, et al. Biasing Opioid Receptors and Cholesterol as a Player in Developmental Biology.

[3]. Araldi D, et al. Mu-opioid Receptor (MOR) Biased Agonists Induce Biphasic Dose-dependent Hyperalgesia and Analgesia, and Hyperalgesic Priming in the Rat. *Neuroscience*. 2018 Oct 17;394:60-71.

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