PZM21

Cat. No.: HY-101386
CAS No.: 1997387-43-5
Molecular Formula: C₁₉H₂₇N₃O₂S
Molecular Weight: 361.5
Target: Opioid Receptor
Pathway: GPCR/G Protein; Neuronal Signaling
Storage:
- Powder: -20°C, 3 years; 4°C, 2 years
- In solvent: -80°C, 6 months; -20°C, 1 month

Solvent & Solubility

In Vitro
DMSO: ≥ 72 mg/mL (199.17 mM)
* “≥” means soluble, but saturation unknown.

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.7663 mL</td>
<td>13.8313 mL</td>
<td>27.6625 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.5533 mL</td>
<td>2.7663 mL</td>
<td>5.5325 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2766 mL</td>
<td>1.3831 mL</td>
<td>2.7663 mL</td>
</tr>
</tbody>
</table>

Please refer to the solubility information to select the appropriate solvent.

In Vivo
1. PZM21 is dissolved in 100% DMSO and further diluted in saline containing 1% DMSO³.

BIOLOGICAL ACTIVITY

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

IC₅₀ & Target
EC₅₀: 1.8 nM (μ opioid receptor)[¹]

In Vitro
PZM21 has no detectable κOR or nociceptin receptor agonist activity—it is actually an 18 nM κOR antagonist—while it is a 500-fold weaker δOR agonist, making it a selective μOR agonist. 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 potent Gi activator with exceptional selectivity for μOR and minimal β-arrestin-2 recruitment. Unlike
morphine, PZM21 is more efficacious for the affective component of analgesia versus the reflexive component and is
devoid of both respiratory depression and morphine-like reinforcing activity 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 [1]. 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. PZM21 does not exhibit the type of biomarker responses, such as hyperlocomotion or
conditioned place preference response, that are observed when morphine and other opioids are used and are
associated with reinforcement and addiction [2].

**PROTOCOL**

**Animal Administration** [1]

Mice: PZM21 is dissolved in 0.9% sodium chloride. Mice are injected with either vehicle, morphine (5 mg/kg, or 10
mg/kg), TRV130 (1.2 mg/kg) or PZM21 (10 mg/kg; 20 mg/kg; or 40 mg/kg). After injection of drug, the analgesic
effect expressed as percentage maximum possible effect (%MPE) is measured at 15, 30, 60, 90 and 120 min after drug
treatment [1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

**CUSTOMER VALIDATION**


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**REFERENCES**


[3]. Araldi D, et al. Mu-opioid Receptor (MOR) Biased Agonists Induce Biphasic Dose-dependent Hyperalgesia and Analgesia, and Hyperalgesic Priming in

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

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