

Product Data Sheet

Product Name: TRV0109101

Cat. No.: GC79312

Chemical Properties

Cas. No. 1401027-29-9

Formula $C_{21}H_{28}N_2OS$

M.Wt 356.53

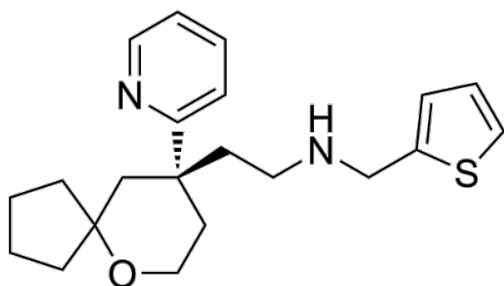
Solubility

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

TRV0109101 is a μ -opioid peptide receptor (MOPR) selective agonist ($K_D = 70$ nM) with blood-brain barrier permeability. TRV0109101 selectively promotes G protein signaling pathway coupling while reducing the recruitment of β -arrestin. TRV0109101 inhibits opioid-induced mechanical hyperalgesia and induces antinociceptive tolerance. TRV0109101 is applicable for pain-related research [1].

In Vivo, TRV0109101 (20 mg/kg/day; s.c.; continuous infusion; 7 days) does not promote opioid-induced mechanical allodynia in male C57BL/6 mice but does induce antinociceptive tolerance [1]. TRV0109101 (20-40 mg/kg; s.c.; twice daily (days 1-3), single double dose (day 4); 5 days) does not

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

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induce opioid-induced mechanical allodynia in male C57BL/6 mice but does induce antinociceptive tolerance^[1]. TRV0109101 (20 mg/kg; s.c.; twice daily; 7 days) rapidly reverses mechanical allodynia in male C57BL/6 mice, though antinociceptive tolerance still develops^[1]. TRV0109101 (1-3 mg/kg; s.c.; twice daily) rapidly and fully reverses induced mechanical allodynia in male C57BL/6 mice, though antinociceptive tolerance still develops^[1]. TRV0109101 (0.1-10 mg/kg; s.c.; single dose) produces dose-dependent antinociception in the mouse 56°C hot plate assay, with an ED₅₀ of 1.1 mg/kg^[1]. TRV0109101 (1-20 mg/kg; s.c.; single dose) produces dose-dependent inhibition of fecal boli accumulation in male C57BL/6 mice, with an ED₅₀ of 6.3 mg/kg^[1]. TRV0109101 (1-20 mg/kg; s.c.; single dose) produces dose-dependent inhibition of colonic motility in male C57BL/6 mice, with an ED₅₀ of 9.4 mg/kg^[1].

In Vitro, TRV0109101 acts as a potent, G protein-biased, MOPR-selective agonist in HEK-293 cells, with an EC₅₀ of 10 nM for cAMP inhibition^[1]. TRV0109101 (41 nM-10 µM; 5 min) interacts with the orthosteric ligand binding site of human MOPR in HEK-293 cells, with an apparent K_D of 70 nM in competition with DAMGO for β-arrestin2 recruitment^[1].

References:

- [1]. Koblish M, et al. TRV0109101, a G Protein-Biased Agonist of the µ -Opioid Receptor, Does Not Promote Opioid-Induced Mechanical Allodynia following Chronic Administration. J Pharmacol Exp Ther. 2017;362(2):254-262.
- [2]. Chen XT, et al. Structure-activity relationships and discovery of a G protein biased µ opioid receptor ligand, [(3-methoxythiophen-2-yl)methyl]({2-[(9R)-9-(pyridin-2-yl)-6-oxaspiro-[4.5]decan-9-yl]ethyl})amine (TRV130), for the treatment of acute severe pain. J Med Chem. 2013;56(20):8019-8031.

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