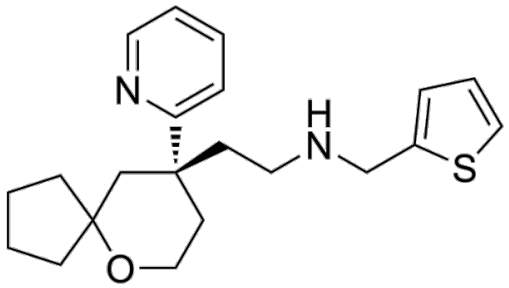
Product Data Sheet

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

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 KD 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.