

BTRX-335140

Chemical Properties

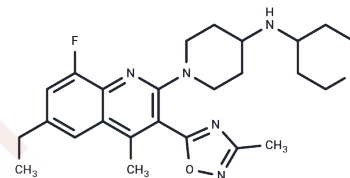
CAS No. : 2244614-14-8

Formula: C₂₅H₃₂FN₅O₂

Molecular Weight: 453.55

Storage: 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	BTRX-335140 (CYM-53093) is a potent and selective orally active κ -opioid receptor (KOR) antagonist with antagonistic activity against κ OR, μ OR, and δ OR with IC ₅₀ values of 0.8, 110, and 6500 nM, respectively.
Targets(IC50)	Opioid Receptor
In vitro	METHODS: Tango OPRK1-bla U2OS cells were used to express KORs associated with the GAL4-VP16 transcription factor through the TEV protease locus. RESULTS Navacaprant (BTRX-335140) showed antagonistic activity against κ OR, μ OR and δ OR with IC ₅₀ values of 0.8 nM, 110 nM and 6.5 μ M, respectively.[1]
In vivo	METHODS: Mice were injected with BTRX-335140 (CYM-53093) (1 mg/kg, i.p.) 1 h and 24 h prior to the injection of U-50488 (15 mg/kg, i.v.) and tail-wagging latencies were measured at baseline, 30 min and 60 min after the agonist injection, while the mice were administered BTRX-335140 (CYM-53093) (3, 10, and 30 mg/kg) (3, 10, and 30 mg/kg) orally alone. 53093) (3, 10, and 30 mg/kg) alone, while mice were orally administered BTRX-335140 (CYM-53093) to assess the ability of BTRX-335140 (CYM-53093) to antagonize the antinociceptive effects of U-50488. RESULTS BTRX-335140 (CYM-53093) (1 mg/kg, i.p.) blocked U-50488-induced antinociception within 1 h. The delayed tail-flash response induced by oral administration of BTRX-335140 (CYM-53093) (1 mg/kg) alone did not show any significant change in the tail-flash response induced by oral BTRX-335140 (CYM-53093) (1 mg/kg) at 1 h post-dosing in rats. 335140 (CYM-53093) (3, 10 and 30 mg/kg) also blocked U-50488-induced antinociception in a dose-dependent manner.

Solubility Information

Solubility	DMSO: 5.5 mg/mL (12.13 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2048 mL	11.0241 mL	22.0483 mL
5 mM	0.441 mL	2.2048 mL	4.4097 mL
10 mM	0.2205 mL	1.1024 mL	2.2048 mL
50 mM	0.0441 mL	0.2205 mL	0.441 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

Guerrero M, et al. Design and Synthesis of a Novel and Selective Kappa Opioid Receptor (KOR) Antagonist (BTRX-335140). J Med Chem. 2019 Feb 28;62(4):1761-1780.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481