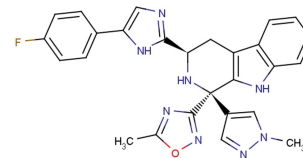


MK-4256

Chemical Properties

CAS No.: 1104599-69-0
Formula: C₂₇H₂₃FN₈O
Molecular Weight: 494.52
Appearance: N/A
Storage: 0-4°C for short term (days to weeks), or -20°C for long term (months).



Biological Description

Description	MK-4256 is an effective and selective SSTR3 antagonist (IC ₅₀ s: 0.66 nM and 0.36 nM in human and mouse receptor binding assays, respectively).
Targets(IC ₅₀)	human SSTR3: 0.66 nM mouse SSTR3: 0.36 nM
In vitro	MK-4256 is tested in functional antagonist assays against SSTR4 and SSTR5. The IC ₅₀ values are greater than 5 μM (at least 5000-fold selectivity)[1]. MK-4256 inhibits radiolabeled MK-499 binding of the hERG channel (IC ₅₀ =1.74 μM). MK-4256 has excellent selectivity against other SSTR subtypes based on in vitro assays and it also has IC ₅₀ s >2 μM for SSTR1 and SSTR2, in human receptor binding assays. Although the binding IC ₅₀ values on SSTR4 and SSTR5 are below 1 μM, there is still >500-fold selectivity. MK-4256 exhibits 50% blockade of hERG at 3.4 μM concentration, in a functional patch-clamp assay[2].
In vivo	MK-4256 decreases the glucose excursion from 0.003 to 10 mg/kg in a dose-dependent manner. MK-4256 decreases glucose excursion in a dose-dependent fashion with maximal efficacy achieves at doses of MK-4256 (0.03 mg/kg; p.o.) demonstrates exceptional SSTR3-mediated glucose-lowering efficacy in the mouse oGTT model with minimal hypoglycemia risk. MK-4256 (1 mg/kg; p.o.) achieves complete ablation of glucose excursion (109%). The plasma C _{max} of MK-4256 is determined from parallel mouse PK studies. MK-4256 achieves C _{max} of 7, 88, and 493 nM, respectively, at 0.01, 0.1, and 1 mg/kg oral dose [1].

Solubility Information

Solubility	DMSO: 100 mg/mL (202.22 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.022 mL	10.111 mL	20.222 mL
5 mM	0.404 mL	2.022 mL	4.044 mL
10 mM	0.202 mL	1.011 mL	2.022 mL
50 mM	0.04 mL	0.202 mL	0.404 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

Reference

1. He S, et al. The Discovery of MK-4256, a Potent SSTR3 Antagonist as a Potential Treatment of Type 2 Diabetes. ACS Med Chem Lett. 2012 May 7;3(6):484-9.
2. He S, et al. Investigation of Cardiovascular Effects of Tetrahydro- β -carboline sstr3 antagonists. ACS Med Chem Lett. 2014 Apr 21;5(7):748-53.

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