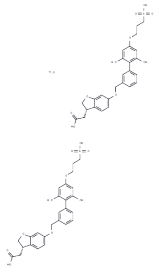
Data Sheet (Cat.No.T2351L)

TargetMol

TAK-875 Hemihydrate

Chemical Properties



CAS No. : 1374598-80-7

Formula: C29H32O7S·1/2H2O

Molecular Weight: 533.63

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	TAK-875 Hemihydrate (Fasiglifam) is a selective GPR40 agonist with EC50 of 14 nM, 400-fold more potent than oleic acid.
Targets(IC50)	GPR
In vitro	TAK-875 exhibits potent agonist activity and high binding affinity to the human GPR40 receptor with Ki of 38 nM. TAK-875 displays weaker affinity toward the rat GPR40 receptor with Ki of 140 nM. TAK-875 displays excellent selectivity, as TAK-875 has little agonist potency to other members of the FFA receptor family with EC50 of >10 μM. [1] TAK-875 treatment induces a concentration-dependent increase in intracellular IP production in CHO-hGPR40 with EC50 of 72 nM, more potently than that of endogenous ligand agonist oleic acid which requires much higher ligand concentrations to activate the receptor with EC50 of 29.9 μM. Neither TAK-875 nor oleic acid elicits an IP response in control CHO cells devoid of hGPR40. Consistent with the activation of the Gqα-mediated signaling pathway, TAK-875 augments glucose-dependent insulin secretion in pancreatic β cells. Prolonged stimulation of GPR40/FFA1 by TAK-875 does not cause pancreatic β Cell dysfunction or induction of apoptosis. [2]
In vivo	In a rat model of diabetes, single oral dosing of TAK-875 at 0.3-3 mg/kg reduces the blood glucose excursion and augments insulin secretion during an oral glucose tolerance test, when TAK-875 is administered 1 hour before an oral glucose challenge. [1] In type 2 diabetic N-STZ-1.5 rats, administration of TAK-875 (1-10 mg/kg p.o.) shows a clear improvement in glucose tolerance and augments insulin secretion. Additionally, TAK-875 (10 mg/kg, p.o.) significantly augments plasma insulin levels and reduces fasting hyperglycemia in male Zucker diabetic fatty rats, whereas in fasted normal Sprague-Dawley rats, TAK-875 neither enhances insulin secretion nor causes hypoglycemia even at 30 mg/kg. [2]

Solubility Information

Solubility	Ethanol: <1 mg/mL, DMSO: 93 mg/mL (174.28 mM),Sonication is recommended. H2O: <1 mg/mL, (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.874 mL	9.3698 mL	18.7396 mL
5 mM	0.3748 mL	1.874 mL	3.7479 mL
10 mM	0.1874 mL	0.937 mL	1.874 mL
50 mM	0.0375 mL	0.1874 mL	0.3748 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.

Reference

Nobuyuki Negoro, et al. ACS Med Chem Lett, 2010, 1(6), 290-294.
Tsujihata Y, et al. J Pharmacol Exp Ther, 2011, 339(1), 228-237.

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