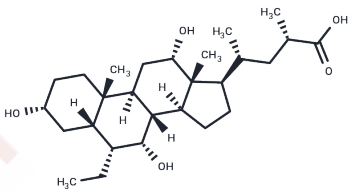
Data Sheet (Cat.No.T11662L)

TargetMol

INT-777

Chemical Properties



CAS No. : 1199796-29-6

Formula: C27H46O5

Molecular Weight: 450.65

Storage: Store at low temperature
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	INT-777 (S-EMCA) is a potent, selective TGR5 agonist with an EC_{50} of 0.82 μM. Following subarachnoid hemorrhage in rats, INT-777 inhibits NLRP5-ASC inflammasome-mediated neuroinflammation via the TGR3/cAMP/PKA signaling pathway. INT-777 exhibits multiple effects, including metabolic regulation, anti-inflammatory activity, cholagogue effects, and vascular protection. INT-777 can be used in research on diseases such as metabolic syndrome, non-alcoholic fatty liver disease, inflammatory bowel disease, and pulmonary arterial hypertension.
Targets(IC50)	GPCR19
In vitro	**Methods**: Intestinal crypts isolated from wild-type and Tgr5 knockout mice were cultured into organoids. INT-777 (30μM) was added to the organoid culture medium and treated for 7 days, with organoid growth parameters (area, budding, etc.) observed. **Results**: INT-777 significantly promoted the growth of intestinal organoids derived from wild-type mice but had no such effect on organoids from $Tgr5^{-/-}$ mice, confirming its TGR5-dependent action. [1] **Methods**: RAW264.7 mouse macrophages were stimulated with LPS (100 ng/mL) for 24 hours to induce M1 polarization. INT-777 (3 μM) was added 2 hours after LPS stimulation and co-incubated with cells for 24 hours. **Results**: Inhibited LPS-induced M1 polarization and promoted M2 polarization. [2]
In vivo	**Methods**: Adult male Sprague-Dawley rats were used. A rat subarachnoid hemorrhage (SAH) model was established via intravascular perforation. One hour after SAH, INT-777 (10, 30, 90 μg/kg) was administered intranasally, with Assess neurological function 24 hours later. **Results**: The 30 μg/kg dose proved most effective, significantly improving neurological function and reducing cerebral edema. [3]

Solubility Information

Solubility	Ethanol: 50 mg/mL (110.95 mM),Sonication is recommended. DMSO: 30 mg/mL (66.57 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.219 mL	11.0951 mL	22.1902 mL
5 mM	0.4438 mL	2.219 mL	4.438 mL
10 mM	0.2219 mL	1.1095 mL	2.219 mL
50 mM	0.0444 mL	0.2219 mL	0.4438 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

Sorrentino G, et al. Bile Acids Signal via TGR5 to Activate Intestinal Stem Cells and Epithelial Regeneration. Gastroenterology. 2020 Sep;159(3):956-968.e8.
Liu M, et al. Sodium butyrate regulates macrophage polarization by TGR5/β-arrestin2 in vitro. Mol Med. 2025 Jan 29;31(1):31.
Hu X, et al. INT-777 attenuates NLRP3-ASC inflammasome-mediated neuroinflammation via TGR5/cAMP/PKA signaling pathway after subarachnoid hemorrhage in rats. Brain Behav Immun. 2021 Jan;91:587-600.
Drucker DJ. The biology of incretin hormones. Cell Metab. 2006;3(3):153-165.