

Product Datasheet

INT-777

Catalog No. **BP-01849** · CAS **1199796-29-6** · Form **free base** · Physical state **solid** · Color **white to yellow** · Version **1.0** · Revision **2026-09-08**

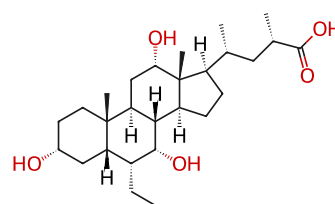
FOR RESEARCH USE ONLY. NOT FOR HUMAN OR VETERINARY USE.

Target G protein-coupled Bile Acid Receptor 1	Research area Endocrinology
---	---------------------------------------

Molecular formula **C₂₇H₄₆O₅**

Molecular weight **450.6511**

STRUCTURE



DESCRIPTION

INT-777 is a potent TGR5 agonist with an EC₅₀ of 0.82 μM.

BIOLOGICAL ACTIVITY

In Vitro

INT-777 is a novel potent and selective TGR5 agonist with remarkable in vivo activity[1]. INT-777 (3 μM) increases ATP production in the human enteroendocrine cell line NCI-H716 in a cAMP-dependent manner. INT-777 (10 μM) lowers I_{sc} and increases TEER when added on the serosal side of seromuscular stripped distal colon segments. INT-777 effect on basal secretion is reduced in neuron-free and TTX-treated mucosal-submucosal preparations.

In Vivo

INT-777 (1 μM/min/kg, p.o.) has a potent choleretic effect, prevents carboxyl CoA activation and subsequent conjugation, thereby favoring its chole-hepatic shunt pathway with a ductular absorption and a potent choleretic effect in HF-fed TGR5-Tg male mice. INT-777 (30 mg/kg/day, p.o.) increases energy expenditure and reduces hepatic steatosis and obesity upon high-fat feeding in TGR5-Tg mice.

SOLUBILITY

Soluble in DMSO : 100 mg/mL

Ethanol : ≥ 50 mg/mL

REFERENCES

[1]. Pellicciari R, et al. Discovery of 6α-ethyl-23(S)-methylcholic acid (S-EMCA, INT-777) as a potent and selective agonist for the TGR5 receptor, a novel target for diabetes. J Med Chem. 2009 Dec 24;52(24):7958-61.

[2]. Thomas C, et al. TGR5-mediated bile acid sensing controls glucose homeostasis. Cell Metab. 2009 Sep;10(3):167-77.

[3]. Duboc H, et al.Reduction of epithelial secretion in male rat distal colonic mucosa by bile acid receptor TGR5 agonist, INT-777: role of submucosal neurons. Neurogastroenterol Motil. 2016 Jun 3. doi: 10.1111/nmo.

[4]. Baiqiang Li, et al. INT-777, a bile acid receptor agonist, extenuates pancreatic acinar cells necrosis in a mouse model of acute pancreatitis. Biochem Biophys Res Commun. 2018 Sep 3;503(1):38-44.

Tel: +86 20 31130026 · Fax: +86 20 36822386 · tech@biochemprobe.com · www.biochemprobe.com

BiochemProbe is the catalog brand of Guangzhou Yuyuan Biotech Co., Ltd. · For research use only.

Lot CoA and SDS are separate documents.