Proteins

Product Data Sheet

INT-767

Cat. No.: HY-12434 1000403-03-1 CAS No.: Molecular Formula: $C_{25}H_{43}NaO_6S$ Molecular Weight: 494.66

Target: FXR; G protein-coupled Bile Acid Receptor 1; Autophagy Pathway: Metabolic Enzyme/Protease; GPCR/G Protein; Autophagy

Storage: 4°C, sealed storage, away from moisture

* In solvent: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)

SOLVENT & SOLUBILITY

In Vitro DMSO : ≥ 100 mg/mL (202.16 mM)

> H₂O: 100 mg/mL (202.16 mM; Need ultrasonic) * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.0216 mL	10.1080 mL	20.2159 mL
	5 mM	0.4043 mL	2.0216 mL	4.0432 mL
	10 mM	0.2022 mL	1.0108 mL	2.0216 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- 1. Add each solvent one by one: PBS Solubility: 50 mg/mL (101.08 mM); Clear solution; Need ultrasonic
- 2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (5.05 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (5.05 mM); Clear solution
- 4. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (5.05 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	INT-767 is a dual farnesoid X receptor (FXR)/TGR5 agonist with mean EC_{50} s of 30 and 630 nM, respectively ^{[1][2]} .
In Vitro	INT-767 does not show cytotoxic effects in HepG2 cells, does not inhibit cytochrome P450 enzymes, is highly stable to phase I and II enzymatic modifications, and does not inhibit the human ether-a-go-go-related gene potassium channel ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

INT-767 (10-20 mg/kg; i.p.; daily for 2 weeks) decreases plasma total cholesterol and triglyceride levels in db/m and db/db mice [2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male 8-week old C57BKS/J db/db mice, control nondiabetic db/m mice ^[2]	
Dosage:	10, 20 mg/kg	
Administration:	Intraperitoneal injection; daily for 2 weeks	
Result:	Decreased plasma total cholesterol and triglyceride levels.	

CUSTOMER VALIDATION

- J Am Soc Nephrol. 2018 Nov;29(11):2658-2670.
- Patent. US20200054589A1.

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REFERENCES

[1]. Baghdasaryan A, et al. Dual farnesoid X receptor/TGR5 agonist INT-767 reduces liver injury in the Mdr2-/- (Abcb4-/-) mousecholangiopathy model by promoting biliary HCO3- output. Hepatology. 2011 Oct;54(4):1303-1312.

[2]. Rizzo G, et al. Functional characterization of the semisynthetic bile acid derivative INT-767, a dual farnesoid X receptor and TGR5 agonist. Mol Pharmacol. 2010 Oct;78(4):617-630.

Caution: Product has not been fully validated for medical applications. For research use only.

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