

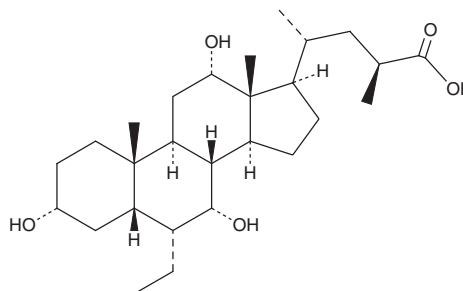
PRODUCT INFORMATION



INT-777

Item No. 17678

CAS Registry No.: 1199796-29-6
Formal Name: (3 α ,5 β ,6 α ,7 α ,12 α ,23S)-6-ethyl-3,7,12-trihydroxy-cholane-23-carboxylic acid
Synonyms: 6-EMCA, S-EMCA, HY-15677
MF: C₂₇H₄₆O₅
FW: 450.7
Purity: $\geq 95\%$
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥ 4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

INT-777 is supplied as a crystalline solid. A stock solution may be made by dissolving the INT-777 in the solvent of choice, which should be purged with an inert gas. INT-777 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of INT-777 in these solvents is approximately 25, 20, and 30 mg/ml, respectively.

INT-777 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, INT-777 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. INT-777 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

TGR5 is a transmembrane G protein-coupled receptor that is activated by bile acid.¹ INT-777 is a semisynthetic bile acid that acts as an agonist of TGR5 (EC₅₀ = 0.82 μ M).^{2,3} It is active *in vivo*, stimulating the secretion of glucagon-like peptide 1 (GLP-1) in mice when given orally (30 mg/kg) after a glucose challenge, particularly when given with a dipeptidyl-peptidase-4 inhibitor.³ INT-777 increases energy expenditure and reduces hepatic steatosis and adiposity in mice subjected to diet-induced obesity.³ It also stimulates insulin secretion in pancreatic β -cells, reduces inflammation and inhibits atherosclerosis in mice, and promotes chloride secretion through cystic fibrosis transmembrane conductance regulator (CFTR) in Calu-3 airway epithelial cells.⁴⁻⁶

References

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2. Pellicciari, R., Gioiello, A., Macchiarulo, A., et al. *J. Med. Chem.* **52**(24), 7958-7961 (2009).
3. Thomas, C., Gioiello, A., Noriega, L., et al. *Cell Metab.* **10**(3), 167-177 (2009).
4. Kumar, D.P., Rajagopal, S., Mahavadi, S., et al. *Biochem. Biophys. Res. Commun.* **427**(3), 600-605 (2012).
5. Pols, T.W.H., Nomura, M., Harach, T., et al. *Cell Metab.* **14**(6), 747-757 (2011).
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WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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