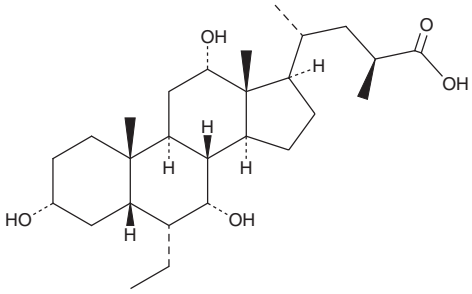
PRODUCT INFORMATION

INT-777

Item No. 17678

CAS Registry No.:	1199796-29-6
Formal Name:	(3α,5β,6α,7α,12α,23S)-6-ethyl-3,7,12-trihydroxy-cholane-23-carboxylic acid
Synonyms:	6-EMCA, S-EMCA, HY-15677
MF:	$C_{27}H_{46}O_5$
FW:	450.7
Purity:	≥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 (EC_{50} = 0.82 µM).[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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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).
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