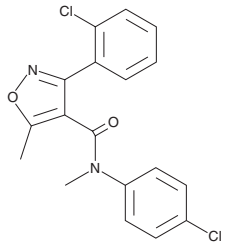
PRODUCT INFORMATION

TGR5 Receptor Agonist

Item No. 16291

CAS Registry No.: 1197300-24-5
Formal Name: 3-(2-chlorophenyl)-N-(4-chlorophenyl)-N,5-dimethyl-4-isoxazolecarboxamide
MF: $C_{18}H_{14}Cl_2N_2O_2$
FW: 361.2
Purity: ≥98%
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

TGR5 receptor agonist is supplied as a crystalline solid. A stock solution may be made by dissolving the TGR5 receptor agonist in the solvent of choice, which should be purged with an inert gas. TGR5 receptor agonist is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of TGR5 receptor agonist in ethanol is approximately 30 mg/ml and approximately 50 mg/ml in DMSO and DMF.

TGR5 receptor agonist is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, TGR5 receptor agonist should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. TGR5 receptor agonist has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

TGR5 receptor agonist is an agonist of the bile acid G protein-coupled receptor TGR5 (EC_{50}s = 31.6-158.5 nM in cell-based assays).[1] It stimulates cAMP formation in HEK293 cells expressing TGR5 (EC_{50} = 2.3 pM).[2] TGR5 receptor agonist (1 mg/kg) increases glucagon-like peptide 1 (GLP-1) secretion and decreases glucose levels in the hepatic portal vein in dogs when administered in combination with glucose.[1] It also inhibits the cytochrome P450 (CYP) isozymes CYP2C19 and CYP3A4 (IC_{50}s = 316.2 and 1,259 nM, respectively).

References

1. Evans, K.A., Budzik, B.W., Ross, S.A., *et al*. Discovery of 3-aryl-4-isoxazolecarboxamides as TGR5 receptor agonists. *J. Med. Chem.* **52(24)**, 7962-7965 (2009).
2. Jensen, D.D., Godfrey, C.B., Niklas, C., *et al*. The bile acid receptor TGR5 does not interact with β-arrestins or traffic to endosomes but transmits sustained signals from plasma membrane rafts. *J. Biol. Chem.* **288(32)**, 22942-22960 (2013).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM