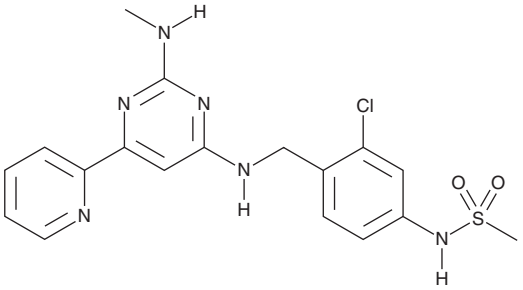
PRODUCT INFORMATION

GPR39-C3

Item No. 25445



CAS Registry No.:	1621175-65-2
Formal Name:	N-[3-chloro-4-[[[2-(methylamino)-6-(2-pyridinyl)-4-pyrimidinyl]amino]methyl]phenyl]-methanesulfonamide
MF:	$C_{18}H_{19}ClN_6O_2S$
FW:	418.9
Purity:	≥95%
UV/Vis.:	λ_{max}: 229, 331 nm
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

GPR39-C3 is supplied as a crystalline solid. A stock solution may be made by dissolving the GPR39-C3 in the solvent of choice. GPR39-C3 is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of GPR39-C3 in these solvents is approximately 30 mg/ml.

GPR39-C3 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GPR39-C3 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. GPR39-C3 has a solubility of approximately 0.16 mg/ml in a 1:5 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

GPR39-C3 is a potent agonist of the orphan G protein-coupled receptor GPR39 with EC_{50} values of 0.8 and 0.4 nM for cAMP production in HEK293 cells expressing human and rat receptors, respectively.[1] It is selective for GPR39 over a panel of kinases (IC_{50}s = >10 µM), ghrelin and neurotensin-1 receptors (IC_{50}s = >30 µM), and a variety of enzymes, transporters, or G protein-coupled receptors. GPR39-C3 increases secretion of glucagon-like peptide 1 (GLP-1; Item No. 24460) in STC-1 mouse enteroendocrine cells (EC_{50} = 0.06 µM) and is protective against cytokine-induced cell death in INS-1E rat insulinoma cells (EC_{50} = 0.02 µM). *In vivo*, GPR39-C3 (30 mg/kg) increases the amount of active GLP-1 in sera by 6-fold in glucose-challenged mice when administered concurrently with a dipeptidyl peptidase 4 (DPP-4) inhibitor.

Reference

1. Peukert, S., Hughes, R., Nunez, J., *et al.* Discovery of 2-pyridylpyrimidines as the first orally bioavailable GPR39 agonists. *ACS Med. Chem. Lett.* **5(10)**, 1114-1118 (2014).

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