PRODUCT INFORMATION



GPR39-C3

Item No. 25445

CAS Registry No.: Formal Name:	1621175-65-2 N-[3-chloro-4-[[[2-(methylamino)-6-(2-pyridinyl)-4- pyrimidinyl]amino]methyl]phenyl]-methanesulfonamide		:1
MF:	C ₁₈ H ₁₉ CIN ₆ O ₂ S		
FW:	418.9		\searrow
Purity:	≥95%		
UV/Vis.:	λ _{max} : 229, 331 nm	H L	Ś,
Supplied as:	A crystalline solid	~ ~	
Storage:	-20°C		н́
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 EC50 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 (IC₅₀s = >10 μ M), ghrelin and neurotensin-1 receptors (IC₅₀s = >30 μ M), 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 (EC₅₀ = 0.06 µM) and is protective against cytokine-induced cell death in INS-1E rat insulinoma cells $(EC_{50} = 0.02 \ \mu\text{M})$. 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).

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

SAFETY DATA

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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