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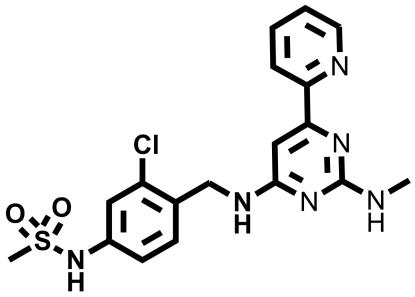
GPR39 Agonist GPR39-C3

Chemical Name: N-(3-chloro-4-(((2-(methylamino)-6-(pyridin-2-yl)pyrimidin-4-yl)amino)methyl)phenyl)methanesulfonamide



Molecular Weight:	418.90
Formula:	$C_{18}H_{19}ClN_6O_2S$
Purity:	≥98%
CAS#:	1621175-65-2
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

GPR39-C3 is the first potent, selective and orally bioavailable GPR39 agonist with an EC_{50} ~0.8 nM for human GPR39 and ~0.4 nM for rodent GPR39. It has no inhibitory effects (at 10 μM) on a panel of kinases and exhibits no relevant binding affinity for the related ghrelin and neurotensin-1 receptors and other enzymes, transporters, and GPCRs. GPR39-C3 has excellent functional activity in physiologically relevant rodent cells and in vivo. An acute study in normal mice with orally administrated GPR39-C3 confirmed in vitro findings by demonstrating an increase of the relevant pharmacodynamic marker GLP-1. It is a good chemical tool to enable interrogation of GPR39 signaling in different cellular contexts.

How to Use:

In vitro: GPR39-C3 was used at 0.1-1 μM final concentration in various in vitro assays.

In vivo: GPR39-C3 was dosed to Male C57BL/6 mice via oral gavage at 30 mg/kg, concurrently with DPP4 inhibitor PKF275-055 (3 mg/kg). The animals were challenged orally after 1 hour with a glucose bolus (3 g/kg) and active GLP-1 levels were measured 30 min later by MSD Active GLP-1 Assay kit. Formulation is 0.5% methylcellulose/0.1% Tween 80 in water.

Reference:

1. Stefan Peukert, et al. Discovery of 2-Pyridylpyrimidines as the First Orally Bioavailable GPR39 Agonists. (2014) ACS Med. Chem. Lett. In press.
2. Bassilana F, et al. Target identification for a Hedgehog pathway inhibitor reveals the receptor GPR39. (2014) Nat Chem Biol. 10(5):343-9.