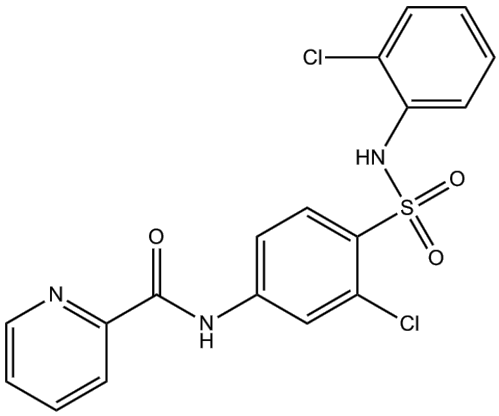
Product Data Sheet

Product Name: VU 0364439
Cat. No.: GC16150

Chemical Properties

Cas. No.	1246086-78-1		
Chemical Name	N-[3-chloro-4-[(2-chlorophenyl)sulfamoyl]phenyl]pyridine-2-carboxamide		
SMILES	C1=CC=C(C(=C1)NS(=O)(=O)C2=C(C=C(C=C2)NC(=O)C3=CC=CC=N3)Cl)Cl		
Formula	$C_{18}H_{13}Cl_2N_3O_3S$	M.Wt	422.29
Solubility	≥ 21.1mg/mL in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Background

VU 0364439 is a positive allosteric modulator (PAM) of mGlu4 with an EC50 value of 19.8 nM [1] [2] [3].

MGlu4 belongs to metabotropic glutamate receptor (mGluR) group III which contains mGlu4, mGlu6, mGlu7 and mGlu8. MGluRs belong to Class C of G-protein-coupled receptor (GPCR) superfamily. GPCRs modulate postsynaptic effects or the release of glutamate [2].

Caution: Product has not been fully validated for medical applications. For research use only.
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VU 0364439 exhibited excellent in vitro maximal response and potency relative to another PAM, (–)-PHCCC (a partially selective mGlu4 potentiator, its chemical structure could be found in reference 4). Starting at 30μM, using a 1:3 serial dilutions, VU 0364439 was tested in triplicate. The entire test was performed on one day. Finally, the % (–)-PHCCC value of VU 0364439 was 102.3. The value of % (–)-PHCCC was computed through dividing the maximal response elicited by VU 0364439 by the response of the control PAM, (–)-PHCCC, on the same day. It was also found that the EC50 value of VU 0364439 was 19.8 nM at human mGlu4 [4].

VU 0364439 possessed less than ideal pharmacokinetic properties. The properties of VU 0364439 prevents VU 0364439 itself from being used as an in vivo tool, but VU 0364439 might inform the mGlu4 community with more in vitro tool compounds [4].

References:
[1]. Lucyna Pomierny-Chamioło, Kinga Rup, Bartosz Pomierny, et al. Metabotropic glutamatergic receptors and their ligands in drug addiction. Pharmacology & Therapeutics, 2014, 142:281-305.
[2]. Albert J. Robichaud, Darren W. Engers, Craig W. Lindsley, et al. Recent Progress on the Identification of Metabotropic Glutamate 4 Receptor Ligands and Their Potential Utility as CNS Therapeutics. ACS Chemical Neuroscience, 2011, 2:433-449.
[3]. Colleen M. Niswender, Kari A. Johnson, C. David Weaver, et al. Discovery, characterization, and antiparkinsonian effect of novel positive allosteric modulators of metabotropic glutamate receptor 4. Mol. Pharmacol., 2008, 74(5):1345-1358.
[4]. Darren W. Engers, Patrick R. Gentry, Richard Williams, et al. Synthesis and SAR of novel, 4-(phenylsulfamoyl)phenylacetamide mGlu4 positive allosteric modulators (PAMs) identified by functional high-throughput screening (HTS). Bioorg. Med. Chem. Lett., 2010, 20:5175-5178.

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