Product Data Sheet

Product Name: VU0483605
Cat. No.: GC11667

Chemical Properties

Cas. No.	1623101-11-0		
Chemical Name	3-chloro-N-[3-chloro-4-(4-chloro-1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)phenyl]-2-pyridinecarboxamide		
SMILES	O=C1N(C2=CC=C(NC(C3=C(Cl)C=CC=N3)=O)C=C2Cl)C(C4=CC=CC(Cl)=C41)=O		
Formula	$C_{20}H_{10}Cl_3N_3O_3$	M.Wt	446.7
Solubility	≤20mg/ml in DMSO;20mg/ml in dimethyl formamide	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	VU0483605		

Background

VU0483605 is a selective positive allosteric modulator (PAM) of mGluR1 [1].

The metabotropic glutamate receptors (mGluRs), members of G-protein-coupled receptors, have been involved in a variety of functions in the central and peripheral nervous systems, such as learning, memory, anxiety, and the perception of pain. The mGluRs exist in pre- and postsynaptic neurons in synapses of the hippocampus, cerebellum, the cerebral cortex, as well as other parts of the brain and in peripheral tissues. Mice deficient in mGluR1 showed severe motor coordination and spatial learning deficient [2].

VU0483605 is a selective positive allosteric modulator (PAM) of mGluR1. VU0483605 displayed EC50 values of 0.39 and 0.36 μM at human and rat mGluR1 receptors, respectively. VU0483605 showed no activity against mGlu4 PAM with the EC50 of >10 μM. VU0483605 potentiated the response to glutamate in cells stably expressing mGlu1 and partially restored the reduction in glutamate-mediated calcium signaling in a mutant cell model of schizophrenia [1].

References:

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[1] Cho H P, Garcia-Barrantes P M, Brogan J T, et al. Chemical modulation of mutant mGlu1 receptors derived from deleterious GRM1 mutations found in schizophrenics[J]. ACS chemical biology, 2014, 9(10): 2334-2346.
[2] Conquet F, Bashir Z I, Davies C H, et al. Motor deficit and impairment of synaptic plasticity in mice lacking mGluR1[J]. Nature, 1994, 372(6503): 237.

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