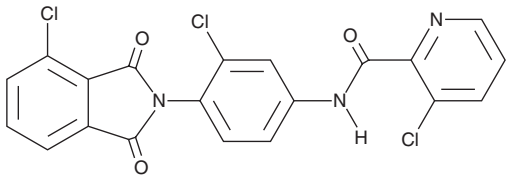
PRODUCT INFORMATION

VU0483605

Item No. 16941

CAS Registry No.: 1623101-11-0
Formal Name: 3-chloro-N-[3-chloro-4-(4-chloro-1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)phenyl]-2-pyridinecarboxamide
MF: $C_{20}H_{10}Cl_3N_3O_3$
FW: 446.7
Purity: ≥98%
UV/Vis.: λ_{max}: 219, 280 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

VU0483605 is supplied as a crystalline solid. A stock solution may be made by dissolving the VU0483605 in the solvent of choice, which should be purged with an inert gas. VU0483605 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of VU0483605 in these solvents is approximately 20 mg/ml.

VU0483605 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, VU0483605 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. VU0483605 has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Glutamate, the major excitatory neurotransmitter in the CNS, activates eight known subtypes of metabotropic glutamate receptors (mGluRs). Highly selective modulators designed to act at allosteric sites on certain mGluR subtypes are being developed to preferentially regulate subtype-specific, glutamate-induced receptor activation.[1] VU0483605 is a selective positive allosteric modulator (PAM) of mGluR1, displaying EC_{50} values of 0.39 and 0.36 µM at human and rat receptors, respectively, and no activity as a mGlu4 PAM (EC_{50} >10 µM).[2] It has been shown to potentiate a response to glutamate in wild-type cell lines stably expressing mGlu1 and to partially restore the reduction in glutamate-mediated calcium signaling in a mutant cell model of schizophrenia.[2]

References

1. Sheffler, D.J. and Conn, P.J. Allosteric potentiators of metabotropic glutamate receptor subtype 1a differentially modulate independent signaling pathways in baby hamster kidney cells. *Neuropharmacology* **55(4)**, 419-427 (2008).
2. 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. *ACS Chem. Biol.* **9(10)**, 2334-2346 (2014).

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