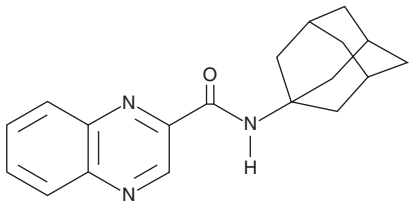
PRODUCT INFORMATION

NPS 2390

Item No. 11989

CAS Registry No.:	226878-01-9
Formal Name:	N-tricyclo[3.3.1.13,7]dec-1-yl-2-quinoxalinecarboxamide
MF:	$C_{19}H_{21}N_3O$
FW:	307.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 202, 243, 327 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

NPS 2390 is supplied as a crystalline solid. A stock solution may be made by dissolving the NPS 2390 in the solvent of choice, which should be purged with an inert gas. NPS 2390 is soluble in organic solvents such as ethanol and dimethyl formamide. The solubility of NPS 2390 in these solvents is approximately 0.25 mg/ml.

Description

Metabotropic glutamate receptors (mGluRs), mediate excitatory synaptic transmission in the central nervous system. Potent and selective antagonists of the type I mGluRs (mGluR1 and mGluR5) are of interest as novel therapeutics for the treatment of various CNS disorders, such as pain, epilepsy, and stroke.[1,2] NPS 2390 is a first generation quinoxaline derivative that acts as a noncompetitive antagonist of mGluR1 and mGluR5 with IC_{50} values equal to 5.2 and 82 nM, respectively).[3] At concentrations up to 30 µM, NPS 2390 does not affect mGluR2 or mGluR8 or a standard collection of 37 additional receptors, ion channels, and enzymes.[3] At a dose of 10 mg/kg, NPS 2390 displaced the specifically bound mGlu1R-selective antagonist, [^{3}H]R214127, in rat cerebellum.[4]

References

1. Ferraguti, F., Crepaldi, L., and Nicoletti, F. Metabotropic glutamate 1 receptor: Current concepts and perspectives. *Pharmacol. Rev.* **60(4)**, 536-581 (2008).
2. Mabire, D., Coupa, S., Adelinet, C., *et al.* Synthesis, structure-activity relationship, and receptor pharmacology of a new series of quinoline derivatives acting as selective, noncompetitive mGlu1 antagonists. *J. Med. Chem.* **48(6)**, 2134-2153 (2005).
3. VanWagenen, B.C., Smith, D.L., Artman, L.D., *et al.* Structure-activity relationship studies of NPS 2390: A potent and selective group I metabotropic glutamate receptor antagonist. *Soc. Neurosci*. 1-2 (2000).
4. Lavreysen, H., Janssen, C., Bischoff, F., *et al.* [^{3}H]R214127: A novel high-affinity radioligand for the mGlu1 receptor reveals a common binding site shared by multiple allosteric antagonists. *Mol. Pharmacol.* **63(5)**, 1082-1093 (2003).

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