

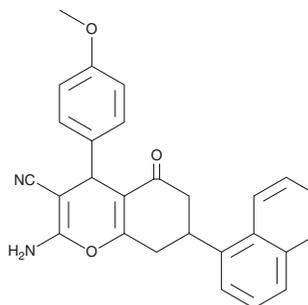
PRODUCT INFORMATION



UCPH-101

Item No. 21460

CAS Registry No.: 1118460-77-7
Formal Name: 2-amino-5,6,7,8-tetrahydro-4-(4-methoxyphenyl)-7-(1-naphthalenyl)-5-oxo-4H-1-benzopyran-3-carbonitrile
MF: C₂₇H₂₂N₂O₃
FW: 422.5
Purity: ≥98% (mixture of isomers)
UV/Vis.: λ_{max}: 225, 282 nm
Supplied as: A 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

UCPH-101 is supplied as a solid. A stock solution may be made by dissolving the UCPH-101 in the solvent of choice, which should be purged with an inert gas. UCPH-101 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of UCPH-101 in these solvents is approximately 25 and 30 mg/ml, respectively.

UCPH-101 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, UCPH-101 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. UCPH-101 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

UCPH-101 is a noncompetitive inhibitor of excitatory amino acid transporter 1 (EAAT1), which takes up extracellular glutamate in the central nervous system.^{1,2} UCPH-101 is selective for EAAT1 over EAAT2 and EAAT3 with IC₅₀ values of 0.66, >300, and >300 μM, respectively, in a radioligand uptake assay using HEK293 cells transfected with the human transporter.³ It selectively inhibits anion currents in tsA201 cells expressing human EAAT1 (K_d = 0.34 μM) over rat EAAT4 and mouse EAAT5 at concentrations up to 10 μM.² UCPH-101 is orally bioavailable in rats at a dose of 10 mg/kg but does not cross the blood-brain barrier.³

References

1. Erichsen, M.N., Huynh, T.H.V., Abrahamsen, B., *et al.* Structure-activity relationship study of first selective inhibitor of excitatory amino acid transporter subtype 1: 2-Amino-4-(4-methoxyphenyl)-7-(naphthalen-1-yl)-5-oxo-5,6,7,8-tetrahydro-4H-chromene-3-carbonitrile (UCPH-101). *J. Med Chem.* **53(19)**, 7180-7191 (2010).
2. Abrahamsen, B., Schneider, N., Erichsen, M.N., *et al.* Allosteric modulation of an excitatory amino acid transporter: The subtype-selective inhibitor UCPH-101 exerts sustained inhibition of EAAT1 through an intramonomeric site in the trimerization domain. *J. Neurosci.* **33(3)**, 1068-1087 (2013).
3. Jensen, A.A., Erichsen, M.N., Nielsen, C.W., *et al.* Discovery of the first selective inhibitor of excitatory amino acid transporter subtype 1. *J. Med Chem.* **52(4)**, 912-915 (2009).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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