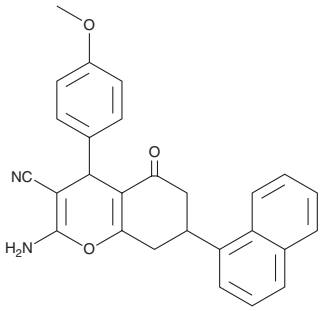
PRODUCT INFORMATION

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:	$C_{27}H_{22}N_2O_3$
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-1 is selective for EAAT1 over EAAT2 and EAAT3 with IC_{50} 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).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM