UCPH-101

Cat. No.: HY-10914

CAS No.: 1118460-77-7

Molecular Formula: $C_{27}H_{22}N_2O_3$

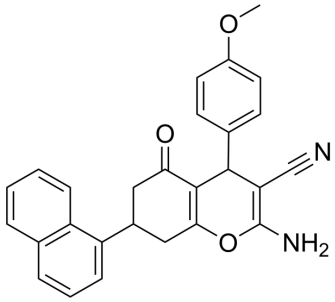
Molecular Weight: 422.48

Target: EAAT

Pathway: Membrane Transporter/Ion Channel

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (118.35 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.3670 mL	11.8349 mL	23.6698 mL
5 mM	0.4734 mL	2.3670 mL	4.7340 mL
10 mM	0.2367 mL	1.1835 mL	2.3670 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.92 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

UCPH-101 is an excitatory amino acid transporter subtype 1 (EAAT1) inhibitor with an IC_{50} of 0.66 μM.

IC_{50} & Target

EAAT1

In Vitro

UCPH-101 and UCPH-102 inhibit EAAT1 anion currents in a concentration-dependent manner, with K_D values of 0.34±0.03 μM (Hill=1.3±0.13, n≥9) for UCPH-101 and 0.17±0.02 μM (Hill=0.97±0.11, n≥7) for UCPH-102. A small but significant decrease in the total expression levels of both HA-EAAT1 and HA-GLAST is observed in cells preincubated with 100 μM UCPH-101 (p=0.048)[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]

Cells are split into poly-D-lysine-coated black 96-well plates with clear bottom. At 16 to 24 h later, the medium is aspirated, and the cells are washed with 100 µL Krebs buffer [140 mM NaCl/4.7 mM KCl/2.5 mM $CaCl_2$/1.2 mM $MgCl_2$/11 mM HEPES/10 mM D-glucose, pH 7.4]; 50 µL Krebs buffer supplemented with various concentrations of UCPH-101 or TBOA is added to the wells, after which an additional 50 µL Krebs buffer supplemented with the FMP assay dye (1 mg/mL) is added to each well. The plate is incubated at 37°C in a humidified 5% CO_2 incubator for 30 min and assayed in a reader measuring emission at 560 nm caused by excitation at 530 nm before and up to 1 min after addition of 33 µL Glu solution[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Antioxid Redox Signal. 2023 Jan 5.

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REFERENCES

[1]. Abrahamsen B, 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. 2013 Jan 16;33(3):1068-87.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA