Philanthotoxin 74 dihydrochloride

Cat. No.: HY-104020A

CAS No.: 1227301-51-0

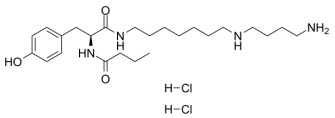
Molecular Formula: $C_{24}H_{44}Cl_2N_4O_3$

Molecular Weight: 507.54

Target: iGluR

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (197.03 mM; Need ultrasonic)
H_2O : 50 mg/mL (98.51 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.9703 mL	9.8514 mL	19.7029 mL
5 mM	0.3941 mL	1.9703 mL	3.9406 mL
10 mM	0.1970 mL	0.9851 mL	1.9703 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 50 mg/mL (98.51 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.93 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.93 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.93 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Philanthotoxin 74 dihydrochloride (PhTx 74) is an AMPAR antagonist; inhibits GluR3 and GluR1 with IC_{50}s of 263 and 296 nM, respectively.

IC_{50} & Target

IC50: 296 nM (GluR1), 296 nM (GluR1)[1]

	Ki: 0.29 μM (GluR5Q)[2]
In Vitro	Philanthotoxin 74 in the micromolar concentration range displays selective inhibition between the two major subtypes of GluA2R-containing AMPARs, GluA1/A2R and GluA2R/A3, when these are coexpressed with γ-2 in oocytes. Philanthotoxin 74 is reported to fully inhibit GluA1/A2R receptors when applied at a concentration of 500 μM while producing 10% inhibition at GluA2R/A3 receptors. Philanthotoxin 74, when tested at concentrations of 100 and 500 μM, displays pronounced channel block (more than 80%) of GluA1/A2R but minimal block (less than 10%) of GluA2R/A3. Oocytes expressing GluA2R alone that homomeric GluA2R is virtually inert to philanthotoxin 74 in the 0.1-300 μM concentration range, displaying less than 5% inhibition at the maximum tested concentration of 300 μM. Philanthotoxin 74 inhibits these receptors nonselectively, with IC_{50} values of about 30 μM, in both the presence and absence of γ-2[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Poulsen MH, et al. Evaluation of PhTX-74 as subtype-selective inhibitor of GluA2-containing AMPA receptors. Mol Pharmacol. 2014 Feb;85(2):261-8.

[2]. Kromann H, et al. Solid-phase synthesis of polyamine toxin analogues: potent and selective antagonists of Ca2+-permeable AMPA receptors. J Med Chem. 2002 Dec 19;45(26):5745-54.

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