A2764 dihydrochloride

Cat. No.: HY-135809

CAS No.: 861038-72-4

Molecular Formula: $C_{15}H_{21}Cl_3N_2O$

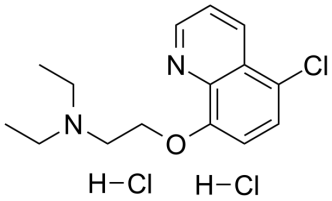
Molecular Weight: 351.7

Target: Potassium Channel

Pathway: Membrane Transporter/Ion Channel

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 : 41.67 mg/mL (118.48 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.8433 mL	14.2167 mL	28.4333 mL
	5 mM	0.5687 mL	2.8433 mL	5.6867 mL
	10 mM	0.2843 mL	1.4217 mL	2.8433 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: ≥ 2.08 mg/mL (5.91 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.91 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

A2764 dihydrochloride is a highly selective inhibitor of TRESK (TWIK-related spinal cord K^+ channel, K2P18.1), which has moderate inhibitory effects on TREK-1 and TALK-1. A2764 dihydrochloride is more sensitive to the activated mTRESK channels (IC_{50}=6.8 μM) than the basal current. A2764 dihydrochloride can lead to cell depolarization and increased excitability in native cells, it has the potential for probing the role of TRESK channel in migraine and nociception[1].

IC_{50} & Target

IC50: 6.8 μM (activated mTRESK channel)[1]

In Vitro

A2764 (100 μM) inhibits the background K^+ current by 42.8±11.5% when it applies to the oocytes expressing mTRESK[1].
A2764 (100 μM) shows an improved inhibitory potency for activated channel with an IC_{50} of activated channel in ionomycin-activated mTRESK current.The subsequent application of A2764 strongly inhibits the current (77.8±3.5%)[1].
A2764 (100 μM) inhibits the current of TRESK under resting conditions and in the activated state by 42.8±11.5% and

77.8±3.5%, respectively[1].

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

REFERENCES

[1]. Lengyel M, et al. Chemically Modified Derivatives of the Activator Compound Cloxyquin Exert Inhibitory Effect on TRESK (K2P18.1) Background Potassium Channel.Mol Pharmacol. 2019 Jun;95(6):652-660.

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