LDN-192960 hydrochloride

Cat. No.: HY-13455A

CAS No.: 2309172-48-1

Molecular Formula: $C_{18}H_{22}Cl_2N_2O_2S$

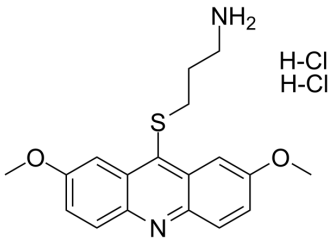
Molecular Weight: 401.35

Target: Haspin Kinase; DYRK

Pathway: Cell Cycle/DNA Damage; Protein Tyrosine Kinase/RTK

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 : 7.14 mg/mL (17.79 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4916 mL	12.4580 mL	24.9159 mL
	5 mM	0.4983 mL	2.4916 mL	4.9832 mL
	10 mM	0.2492 mL	1.2458 mL	2.4916 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: ≥ 0.71 mg/mL (1.77 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 0.71 mg/mL (1.77 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

LDN-192960 hydrochloride is an inhibitor of Haspin and Dual-specificity Tyrosine-regulated Kinase 2 (DYRK2) with IC_{50}s of 10 nM and 48 nM, respectively[1].

IC_{50} & Target

IC50: 10 nM (Haspin); 48 nM (DYRK2)[1]

In Vitro

LDN-192960 hydrochloride (10 μM) is selective and inhibits ten of the other kinases by ≥90%, with only five being potently inhibited (IC_{50}<1 μM), including CLK1 (IC_{50}=0.21 μM), DYRK1A (IC_{50}= 0.10 μM), DYRK2 (IC_{50}=2 nM), DYRK3 (IC_{50}=19 nM) and PIM1 (IC_{50}=0.72 μM)[1].

LDN-0192960 hydrochloride (0-5 μM; 2 hours) demonstrates that the classical Haspin inhibition phenotype by reducing levels of p-Thr3H3 in HeLa cells overexpressing Haspin with an EC_{50} of 1.17 μM[2].

LDN-0192960 hydrochloride (0-1 μM; 1 hour incubation in the presence of nocodazole and MG132) demonstrates the

classical Haspin inhibition phenotype by reducing levels of p-Thr3H3 in HeLa cells synchronized in mitosis with an EC_{50} of 0.02 μM[2].

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

REFERENCES

[1]. Cuny GD, et al. Structure-activity relationship study of acridine analogs as haspin and DYRK2 kinase inhibitors. Bioorg Med Chem Lett. 2010 Jun 15;20(12):3491-4.

[2]. Gregory D Cuny, et al. Structure-activity Relationship Study of Acridine Analogs as Haspin and DYRK2 Kinase Inhibitors. Bioorg Med Chem Lett

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