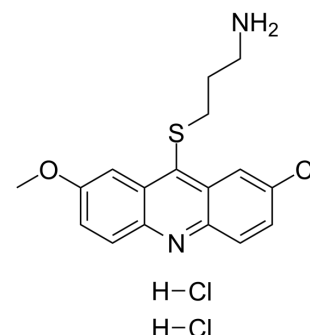


LDN-209929 dihydrochloride

Cat. No.:	HY-110320
CAS No.:	1784281-97-5
Molecular Formula:	C ₁₇ H ₁₉ Cl ₃ N ₂ OS
Molecular Weight:	405.77
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 : 33.33 mg/mL (82.14 mM); ultrasonic and warming and heat to 60°C				
	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM		2.4645 mL	12.3223 mL	24.6445 mL
	5 mM		0.4929 mL	2.4645 mL	4.9289 mL
	10 mM		0.2464 mL	1.2322 mL	2.4645 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 (6.16 mM); Clear solution				

BIOLOGICAL ACTIVITY

Description	LDN-209929 dihydrochloride is a potent and selective haspin kinase inhibitor (IC ₅₀ =55 nM) with 180-fold selectivity versus DYRK2 (IC ₅₀ =9.9 μM). LDN-209929 is an optimized analogue of LDN-192960 (HY-13455) ^[2] .
IC₅₀ & Target	IC ₅₀ : 55 nM (haspin kinase) IC ₅₀ : 9.9 μM (DYRK2) ^[1]
In Vitro	Haspin: Haploid Germ Cell-Specific Nuclear Protein Kinase, is a serine/threonine kinase expressed in a variety of tissues (e.g., testis, bone marrow, thymus, and spleen). Haspin also plays an important role in mitosis, where it phosphorylates histone H3 at Thr-3 (H3T3) in G2/early prophase, becomes maximal during prometaphase/metaphase and then diminishes during anaphase ^[1] . DYRKs belong to the CMGC family of ePKs and can be further divided into class 1 kinases DYRK1A and 1B, and class 2 kinases DYRK2, 3, and 4. The activity of DYRKs depends upon autophosphorylation of an essential activation loop tyrosine during synthesis ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

- [1]. Gregory D Cuny, et al. Structure-activity Relationship Study of Acridine Analogs as Haspin and DYRK2 Kinase Inhibitors. *Bioorg Med Chem Lett*
- [2]. Katrin Kestav, et al. Structure, Roles and Inhibitors of a Mitotic Protein Kinase Haspin. *Curr Med Chem*. 2017;24(21):2276-2293.
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Caution: Product has not been fully validated for medical applications. For research use only.

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