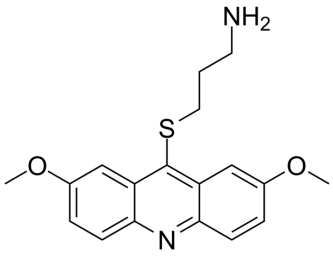
LDN-192960

Cat. No.:	HY-13455
CAS No.:	184582-62-5
Molecular Formula:	$C_{18}H_{20}N_2O_2S$
Molecular Weight:	328.43
Target:	Haspin Kinase; DYRK
Pathway:	Cell Cycle/DNA Damage; Protein Tyrosine Kinase/RTK
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (76.12 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.0448 mL	15.2239 mL	30.4479 mL
	5 mM	0.6090 mL	3.0448 mL	6.0896 mL
	10 mM	0.3045 mL	1.5224 mL	3.0448 mL

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

BIOLOGICAL ACTIVITY

Description

LDN-192960 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 (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 (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 (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]. 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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