Product Data Sheet

Product Name: LDN 209929 dihydrochloride
Cat. No.: GC14901

Chemical Properties

Cas. No.	1784281-97-5		
Chemical Name	3-((2-chloro-7-methoxyacridin-9-yl)thio)propan-1-amine		
SMILES	NCCCSC1=C2C(C=CC(OC)=C2)=NC3=CC=C(Cl)C=C31		
Formula	$C_{17}H_{17}ClN_2OS.2HCl$	M.Wt	405.77
Solubility	<40.58mg/ml in Water; <40.58mg/ml in DMSO	Storage	Desiccate at RT
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	LDN 209929 dihydrochloride		

Background

Target: haspin kinase

IC50: 55 nM

LDN 209929 dihydrochloride is a selective and potent haspin kinase inhibitor with IC50 value of 55 nM [1]. LDN 209929 dihydrochloride displays 180-fold selectivity on haspin kinase over DYRK2 [1].

Haspin, also known as Germ Cell-Specific Gene-2 (Gsg2), is a serine/threonine kinase expressed in several tissues (e.g., testis, thymus, bone narrow, and spleen), proliferating cells and neoplasms, including diffuse large B cell lymphomas and Burkitt’s lymphoma. Haspin’s kinase activity is required for completion of normal mitosis. Therefore, Haspin has emerged as a potential therapeutic target in oncology [1].

DYRKs (Dual-specificity Tyrosine-regulated Kinases), belong to the CMGC family of

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eukaryotic protein kinase, can be divided into class 1 kinases (DYRK1A and 1B) and class 2 kinases (DYRK2, 3, and 4), which are predominantly cytosolic. DYRKs participate in regulation of several signaling pathways, including Hedgehog signaling, caspase activity during apoptosis, cell cycle progression and mitosis, NFAT signaling in the brain and immune system, and p53 activation in response to DNA damage [1].

In vitro: N/A

In vivo: N/A

Reference:

1. Cuny GD, Robin M, Ulyanova NP, Patnaik D, Pique V, Casano G, et al. Structure-activity relationship study of acridine analogs as haspin and DYRK2 kinase inhibitors. Bioorg Med Chem Lett. 2010;20(12):3491-4.