SB-747651A dihydrochloride

Cat. No.: HY-110313

CAS No.: 1781882-72-1

Molecular Formula: $C_{16}H_{24}Cl_2N_8O$

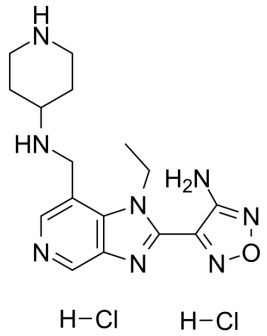
Molecular Weight: 415.32

Target: p38 MAPK

Pathway: MAPK/ERK Pathway

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

H_2O : 50 mg/mL (120.39 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4078 mL	12.0389 mL	24.0778 mL
	5 mM	0.4816 mL	2.4078 mL	4.8156 mL
	10 mM	0.2408 mL	1.2039 mL	2.4078 mL

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

BIOLOGICAL ACTIVITY

Description

SB-747651A dihydrochloride is an ATP-competitive mitogen- and stress-activated kinase 1 (MSK1) inhibitor with an IC_{50} of 11 nM. SB-747651A dihydrochloride also inhibits PRK2, RSK1, p70S6K and ROCK-II. SB-747651A dihydrochloride can be used for inflammation research[1].

IC_{50} & Target

IC50: 11 nM (MSK1)[1]

In Vitro

SB-747651A dihydrochloride (5 μM; neutrophils) affects CXCL2-induced intraluminal crawling of neutrophils in a Mac-1-dependent manner. SB-747651A dihydrochloride thwarts the intraluminal crawling of adherent neutrophils to optimal sites of emigration. SB-747651A dihydrochloride (5 μM; neutrophils) significantly increases transmigration time and detachment time. SB-747651A dihydrochloride affects mechanisms that regulate transendothelial migration of neutrophils in response to CXCL2 chemotactic gradient. SB-747651A dihydrochloride inhibits the migration speed of extravascular chemotaxing neutrophils but does not affect their directionality in response to CXCL2 chemotactic gradient[2].

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

In Vivo

SB747651A (3 mg/kg; intrascrotal injection) dihydrochloride results in increased neutrophil adhesion 3.5~4.5 hours following stimulation with CXCL2 as compared to the effect of CXCL2[3].

SB-747651A (3 mg/kg; i.p.) dihydrochloride affects neutrophil extravasation by increasing neutrophil emigration only at 3

and 4 hours in mouse peritonitis model of acute inflammation[3].

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

Animal Model:	Male C57BL/6N mice (8~16 weeks)[3]
Dosage:	3 mg/kg
Administration:	Intrascrotal injection
Result:	Resulted in increased neutrophil adhesion 3.5~4.5 hours following stimulation with CXCL2 as compared to the effect of CXCL2.

REFERENCES

[1]. Shaista Naqvi, et al. Characterization of the cellular action of the MSK inhibitor SB-747651A. Biochem J. 2012 Jan 1;441(1):347-57.

[2]. Feiner B, et al. Risperidone effects on heterochromatin: the role of kinase signaling. Clin Exp Immunol. 2019;196(1):67-75.

[3]. Hossain M, et al. The Specific Mitogen- and Stress-Activated Protein Kinase MSK1 Inhibitor SB-747651A Modulates Chemokine-Induced Neutrophil Recruitment. Int J Mol Sci. 2017;18(10):2163. Published 2017 Oct 17.

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