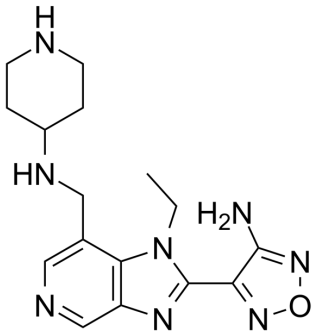
SB-747651A

Cat. No.:	HY-114038
CAS No.:	607372-46-3
Molecular Formula:	$C_{16}H_{22}N_8O$
Molecular Weight:	342.4
Target:	p38 MAPK
Pathway:	MAPK/ERK Pathway
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	SB-747651A is an ATP-competitive mitogen- and stress-activated kinase 1 (MSK1) inhibitor with an IC_{50} of 11 nM. SB-747651A also inhibits PRK2, RSK1, p70S6K and ROCK-II. SB-747651A can be used for inflammation research[1].
IC_{50} & Target	IC50: 11 nM (MSK1)[1]
In Vitro	SB-747651A (5 μM; neutrophils) affects CXCL2-induced intraluminal crawling of neutrophils in a Mac-1-dependent manner. SB-747651A thwarts the intraluminal crawling of adherent neutrophils to optimal sites of emigration. SB-747651A (5 μM; neutrophils) significantly increases transmigration time and detachment time. SB-747651A affects mechanisms that regulate transendothelial migration of neutrophils in response to CXCL2 chemotactic gradient. SB-747651A 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) 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.) 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)[1]
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.

Animal Model:	Male C57BL/6N mice (8~16 weeks)[3]
Dosage:	3 mg/kg

Administration:	I.p.
Result:	Affected neutrophil extravasation by increasing neutrophil emigration only at 3 and 4 hours in mouse peritonitis model of acute inflammation.

REFERENCES

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

[2]. 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.

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

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