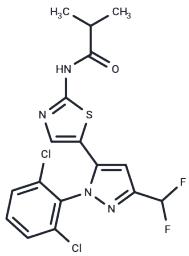
Data Sheet (Cat.No.T4598)

TargetMol

BMS-5

Chemical Properties



CAS No. : 1338247-35-0

Formula: C17H14Cl2F2N4OS

Molecular Weight: 431.29

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	BMS-5 (LIMKi 3) is a highly potent LIMK inhibitor, exhibiting IC50 values of 7 nM for LIMK1 and 8 nM for LIMK2.
Targets(IC50)	LIM Kinase
In vitro	BMS-5 inhibits cofilin-Ser3 phosphorylation in a dose-dependent manner in Nf2ΔEx2 mouse Schwann cells (MSCs) with an IC50 of ~2 μM. BMS-5 reduces Nf2ΔEx2 MSC viability in a dose-dependent manner with an IC50 of 3.9 μM, but does not significantly reduce the viability of control Nf2flox2/flox2 MSCs at equivalent BMS-5 concentrations. At 10 μM BMS-5, Nf2ΔEx2 MSC viability is 40% compared to 83% for controls[2] .
In vivo	BMS-5 (20 or 200 μM/side) was administered bilaterally into the hippocampi of rats immediately following contextual fear conditioning training. Memory consolidation was assessed 48 hours after the conditioning through tests measuring freezing behavior. Analysis revealed that rats receiving the 200 μM dose of BMS-5 exhibited significantly reduced freezing compared to those treated with 20 μM and the control group [P].
Kinase Assay	The protein kinase domains of human LIMK1 and LIMK2 are expressed as glutathione S-transferase fusion proteins using the Bac-to-Bac system in Sf9 cells. Compounds 1 to 6 (e.g., BMS-5) are assayed for inhibition of LIMK1 and LIMK2 protein kinase activity by radioactive phosphate incorporation into biotinylated full-length human destrin. Reactions are done with a concentration series of compound in 25 mM HEPES, 100 mM NaCl, 5 mM MgCl2, 5 mM MnCl2, 1 μM total ATP, 83 μg/mL biotinylated destrin, 167 ng/mL glutathione S-transferase-LIMK1, or 835 ng/mL glutathione S-transferase-LIMK2 in a total volume of 60 μL at room temperature for 30 min (LIMK1) or 60 min (LIMK2). Reactions are terminated by addition of 140 μL of 20% TCA/100 mM sodium pyrophosphate, and the precipitates are harvested onto GF/C unifilter plates. The radioactivity incorporated is determined using a TopCount after addition of 35 μL Microscint scintillation fluid[1]
Cell Research	Cell membrane asymmetry is measured. Nf2ΔEx2 MSCs plated in a 6-well format are incubated with 2 μM BMS-5 or DMSO vehicle for 24 hrs. Cell are harvested and assayed. Plasma membrane asymmetry is evaluated with the Violet ratiometric assay by flow cytometry[2] .
Animal Research	Rats [3]

Solubility Information

Solubility	DMSO: 55 mg/mL (127.52 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.64 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3186 mL	11.5931 mL	23.1863 mL
5 mM	0.4637 mL	2.3186 mL	4.6373 mL
10 mM	0.2319 mL	1.1593 mL	2.3186 mL
50 mM	0.0464 mL	0.2319 mL	0.4637 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Ross-Macdonald P, et al. Identification of a nonkinase target mediating cytotoxicity of novel kinase inhibitors. Mol Cancer Ther. 2008 Nov;7(11):3490-8.

Gao T T, Wang Y, Liu L, et al. LIMK1/2 in the mPFC plays a role in chronic stress-induced depressive-like effects in mice. International Journal of Neuropsychopharmacology. 2020, 23(12): 821-836.

Petrilli A, et al. LIM Domain Kinases as Potential Therapeutic Targets for Neurofibromatosis Type 2.Oncogene. Oncogene. 2014 Jul 3;33(27):3571-82.

Lunardi P, et al. Effects of Hippocampal LIMK Inhibition on Memory Acquisition, Consolidation, Retrieval, Reconsolidation, and Extinction. Mol Neurobiol. 2017 Jan 13.

Gao T T, Wang Y, Liu L, et al. LIMK1/2 in the mPFC plays a role in chronic stress-induced depressive-like effects in mice[J]. International Journal of Neuropsychopharmacology. 2020, 23(12): 821-836.

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