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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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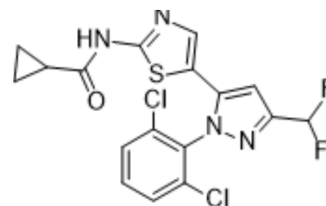
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BMS-3

Cat. No.:	HY-18304
CAS No.:	1338247-30-5
Molecular Formula:	C ₁₇ H ₁₂ Cl ₂ F ₂ N ₄ OS
Molecular Weight:	429.27
Target:	LIM Kinase (LIMK)
Pathway:	Cell Cycle/DNA Damage
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (232.95 mM)
 * "≥" means soluble, but saturation unknown.

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM		2.3295 mL	11.6477 mL	23.2954 mL
	5 mM		0.4659 mL	2.3295 mL	4.6591 mL
	10 mM		0.2330 mL	1.1648 mL	2.3295 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: ≥ 2.5 mg/mL (5.82 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: ≥ 2.5 mg/mL (5.82 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.5 mg/mL (5.82 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BMS-3 is a potent LIMK inhibitor with IC₅₀s of 5 nM and 6 nM for LIMK1 and LIMK2, respectively.

IC₅₀ & Target

LIMK1 5 nM (IC ₅₀)	LIMK2 6 nM (IC ₅₀)
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In Vitro

BMS-3 (Compound 2) causes a dose-dependent reduction in cell count and induces mitotic arrest by increases in total

nuclear DNA intensity and histone H3 phosphorylation after 24 h treatment in A549 human lung cancer cells. BMS-3 inhibits A549 human lung cancer cells with EC₅₀ value of 154 nM^[1]. BMS-3 is used to demonstrate the direct participation of LIMK1 in the phosphorylation of Cofilin. Inhibition of p-LIMK with 1-50 μM of BMS-3 results in a dose-dependent decrease of p-Cofilin after 10 min incubation in capacitating conditions. As a control, sperm are also incubated for 10 min under non-capacitating conditions which result in low levels of p-Cofilin. In the presence of 1 or 50 μM of BMS-3, actin polymerization levels are significantly lower compared to controls (DMSO). Mouse sperm are incubated under capacitating conditions for 90 min in the presence or absence of increasing concentrations of p-LIMK inhibitor BMS-3 (0, 1, 10 and 50 μM). The increasing concentrations of BMS-3 result in a strong decrease on the percentage of sperm that undergoes acrosomal exocytosis after stimulation with 20 μM of Progesterone^[2].

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

PROTOCOL

Kinase Assay ^[1]

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-3) 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 unfilter plates. The radioactivity incorporated is determined using a TopCount after addition of 35 μL Microscint scintillation fluid^[1].

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

CUSTOMER VALIDATION

- Proc Natl Acad Sci U S A. 2022 May 24;119(21):e2119483119.
- Stem Cell Res Ther. 2022 May 7;13(1):189.
- Cell Death Discov. 2022 Apr 4;8(1):155.

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REFERENCES

[1]. 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.

[2]. Romarowski A, et al. PKA-dependent phosphorylation of LIMK1 and Cofilin is essential for mouse sperm acrosomal exocytosis. Dev Biol. 2015 Sep 15;405(2):237-49.

Caution: Product has not been fully validated for medical applications. For research use only.

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