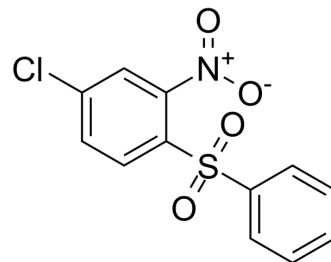


BTB-1

Cat. No.:	HY-101770		
CAS No.:	86030-08-2		
Molecular Formula:	C ₁₂ H ₈ ClNO ₄ S		
Molecular Weight:	297.71		
Target:	Microtubule/Tubulin		
Pathway:	Cell Cycle/DNA Damage; Cytoskeleton		
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 (335.90 mM)
 * "≥" means soluble, but saturation unknown.

Concentration	Solvent	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	3.3590 mL	16.7949 mL	33.5897 mL
	5 mM	0.6718 mL	3.3590 mL	6.7179 mL
	10 mM	0.3359 mL	1.6795 mL	3.3590 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 (8.40 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: ≥ 2.5 mg/mL (8.40 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.5 mg/mL (8.40 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BTB-1 is a potent, selective and reversible mitotic motor protein Kif18A inhibitor with an IC₅₀ of 1.69 μM.

IC₅₀ & Target

IC₅₀: 1.69 μM (Kif18A)^[1]

In Vitro

BTB-1 blocks the motility of Kif18A in a reversible manner. BTB-1 inhibits Kif18A in an adenosine triphosphate (ATP)-competitive but microtubule-uncompetitive manner and slows down the progression of cells through mitosis. 100 μM BTB-1

does not significantly inhibit any of the other tested mitotic kinesins. BTB-1 competes with ATP for Kif18A binding only when the motor-protein is associated with its pseudosubstrate microtubules. HeLa cells treated with BTB-1 accumulate in mitosis in a dose-dependent manner^[1]. BTB-1 shows cell toxicity with an EC₅₀ values of 35.8 μM. HeLa cells treated with 50 μM BTB-1 reveals severe defects in spindle morphology and chromosome alignment. Treatment with high concentrations of BTB-1 does not result in elongated spindles^[2].

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

PROTOCOL

Kinase Assay ^[1]

BTB-1 is prepared in DMSO. The activity of His-Kif18A^{motor} at increasing concentrations of ATP is monitored in the presence of 3 μM Mts and increasing concentrations of BTB-1 (0.21 μM, 0.42 μM, 0.85 μM, 1.7 μM) or DMSO as control^[1].

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

REFERENCES

[1]. Catarinella M, et al. BTB-1: a small molecule inhibitor of the mitotic motor protein Kif18A. *Angew Chem Int Ed Engl.* 2009;48(48):9072-6.

[2]. Braun J, et al. Synthesis and biological evaluation of optimized inhibitors of the mitotic kinesin Kif18A. *ACS Chem Biol.* 2015 Feb 20;10(2):554-60.

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

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