

Product Data Sheet

Product Name: BTB-1
Cat. No.: GC33149

Chemical Properties

Cas. No. 86030-08-2

SMILES O=S(C1=CC=C(Cl)C=C1[N+](=O)([O-])=O)(C2=CC=CC=C2)=O

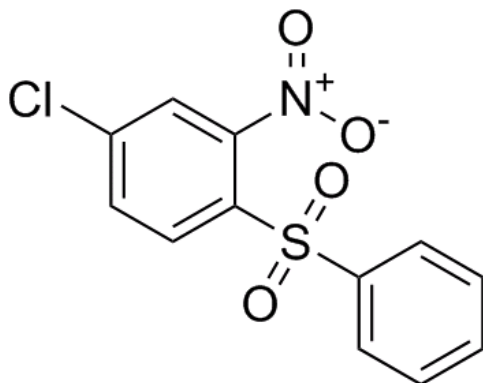
Formula $C_{12}H_8ClNO_4S$ M.Wt 297.71

Solubility DMSO : ≥ 100 mg/mL (335.90 mM) Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



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

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Protocol

Kinase experiment: 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].

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.

Background

BTB-1 is a potent, selective and reversible mitotic motor protein Kif18A inhibitor with an IC_{50} of 1.69 μM .

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 EC_{50} 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].

- [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.

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