

A-1331852

Chemical Properties

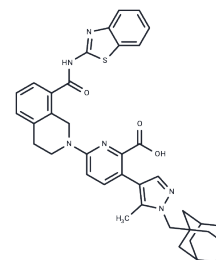
CAS No. : 1430844-80-6

Formula: C₃₈H₃₈N₆O₃S

Molecular Weight: 658.81

Storage: Store at low temperature, Store under nitrogen
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	A-1331852 is a potent and selective BCL-XL inhibitor and may be useful in the treatment of cancer, immune and autoimmune diseases.
Targets(IC50)	Bcl-2 Family
In vitro	A-1331852 exhibits remarkable potency both as a single agent and in combination with TKIs in killing primary CD34+ CML cell. Also, It has remarkable potency in inducing apoptosis in these cells at low nanomolar concentrations as early as 1 h post-treatment [2].
In vivo	A-1331852 demonstrates antitumor efficacy in the Molt-4 xenograft model, inducing tumor regressions as a single agent[1].
Kinase Assay	Rabbit or mouse E1 (apper 250 ng) is incubated with 32P-ubiquitin in 1× reaction buffer [50 mM Tris (pH 7.4), 0.2 mM ATP, 0.5 mM MgCl ₂] at room temperature for 15 min. In some experiments, the His-tagged mouse E1 is bound to TALON cobalt affinity resin before carrying out incubations and reactions. Mouse E1 and 32P-ubiquitin are added to the beads in 1× reaction buffer and incubated as for E1 reactions. Samples are heated in nonreducing SDS-PAGE sample buffer and resolved by SDS-PAGE. Thioesters with ubiquitin are visualized by Storm PhosphorImager.
Cell Research	Immunoprecipitation of BCL-XL is carried out in K562 cells, exposed to A-1331852 (100 nM) for 0-2 h, and the eluted complexes are immunoblotted for the indicated proteins. The input cell lysates and the immunodepleted supernatant (labeled as Flow-through) are immunoblotted to check the efficiency of the immunoprecipitation. (Only for Reference)
Animal Research	Mice: The growth inhibition of established tumors in SCID-bg mice is studied. A-1331852 is administered orally daily for 14 days at 25 mg/kg and docetaxel is administered intravenously at 7.5 mg/kg. The change of tumor volume is monitored daily. They are for reference only.

Solubility Information

A DRUG SCREENING EXPERT

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 150 mg/mL (227.68 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.5179 mL	7.5894 mL	15.1789 mL
5 mM	0.3036 mL	1.5179 mL	3.0358 mL
10 mM	0.1518 mL	0.7589 mL	1.5179 mL
50 mM	0.0304 mL	0.1518 mL	0.3036 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

Levenson JD, et al. Sci Transl Med. 2015, 7(279):279ra40.

Lucas CM, et al. Leukemia. 2016, 30(6):1273-81.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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