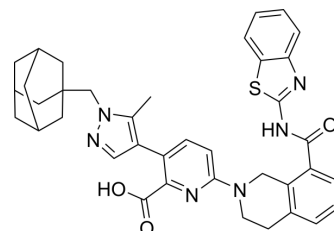


A-1331852

Cat. No.:	HY-19741		
CAS No.:	1430844-80-6		
Molecular Formula:	C ₃₈ H ₃₈ N ₆ O ₃ S		
Molecular Weight:	658.81		
Target:	Bcl-2 Family		
Pathway:	Apoptosis		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (75.89 mM)
 Ethanol : 4 mg/mL (6.07 mM); ultrasonic and warming and heat to 60°C)
 H₂O : < 0.1 mg/mL (ultrasonic;warming;heat to 80°C) (insoluble)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	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

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

In Vivo

- Add each solvent one by one: 2.5% DMSO >> 10% ethanol >> 27.5% PEG 300 >> 60% Phosal 50 PG
Solubility: ≥ 2.5 mg/mL (3.79 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: 2.08 mg/mL (3.16 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: 2.08 mg/mL (3.16 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.08 mg/mL (3.16 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

A-1331852 is an orally available BCL-XL selective inhibitor with a K_i of less than 10 pM.

IC₅₀ & Target	Bcl-xL 0.01 nM (Ki)	Bcl-W 4 nM (Ki)	Bcl-2 6 nM (Ki)	Mcl-1 142 nM (Ki)
In Vitro	A-1331852 selectively disrupts BCL-XL-BIM complexes and induces the hallmarks of apoptosis in BCL-XL-dependent Molt-4 cells with IC ₅₀ s in the low nanomolar range but does not affect MEF cells lacking BAK or BAX. In CellTiter-Glo cell viability assay, A-1331852 inhibits NCI-H847, NCI-H1417, SET-2, HEL, OCI-M2 with EC ₅₀ values of 3, 7, 80, 120 and 100 nM ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.			
In Vivo	A-1331852 demonstrates antitumor efficacy in the Molt-4 xenograft model, inducing tumor regressions as a single agent. Additionally, A-1331852 combines with venetoclax to recapitulate the efficacy of navitoclax in the NCI-H1963.FP5 xenograft model of SCLC. A-1331852 significantly inhibits tumor growth in seven subcutaneous xenograft models of solid tumors, including breast cancer, NSCLC, and ovarian cancer ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.			

PROTOCOL

Cell Assay ^[1]	SCLC and AML cell lines are incubated with increasing concentrations of navitoclax, venetoclax, or A-1155463 for 48 hours before assessing cell viability. Cell killing EC ₅₀ values are calculated ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration ^[1]	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 RP-56976 is administered intravenously at 7.5 mg/kg. The change of tumor volume is monitored daily ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nature. 2023 Jan;613(7942):187-194.
- Nature. 2021 Mar;591(7850):477-481.
- Cell. 2022 Apr 28;185(9):1521-1538.e18.
- Cell Res. 2023 May 11.
- Nature Cancer. 2021 Jan;2(1):34-48.

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REFERENCES

[1]. Levenson JD, et al. Exploiting selective BCL-2 family inhibitors to dissect cell survival dependencies and define improved strategies for cancer therapy. Sci Transl Med. 2015 Mar 18;7(279):279ra40.

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

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