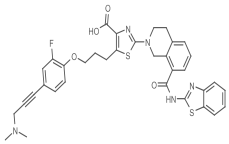
Data Sheet (Cat.No.T6748)

TargetMol
A DRUG SCREENING EXPERT

A1155463

Chemical Properties



CAS No.:	1235034-55-5
Formula:	C35H32FN5O4S2
Molecular Weight:	669.79
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	A-1155463, a highly potent and selective BCL-XL inhibitor, shows picomolar binding affinity to BCL-XL, and >1000-fold weaker binding to BCL-2 and related proteins BCL-W(Ki=19 nM) and MCL-1(Ki>440 nM).
Targets(IC_{50})	Bcl-xL: <0.01nM(Ki) Bcl-w: 19nM(Ki) Bcl-2: 60nM(Ki) Mcl-1: >440nM(Ki)
In vitro	A-1155463 disrupts BCL-XL-BIM but not BCL-2-BIM complexes in cells. A-1155463 kills BCL-XL-dependent Molt-4 cells (EC50=70 nM) but has no measurable cytotoxicity against BCL-2-dependent RS4;11 cells (EC50>5 mM). A-1155463 induces the hallmarks of apoptosis, as evidenced by the release of cytochrome c from mitochondria, caspase activation, and the accumulation of caspase-dependent sub-G0-G1 DNA content in BCL-XL-dependent H146 cells[2].
In vivo	Following a single 5 mg/kg IP dose of A-1155463 in nontumor bearing SCID-Beige mice, platelet counts fall dramatically as measured at 6 h postdose and then rebound to normal levels within 72 h. Daily Dosing at 5 mg/kg IP to SCID-Beige mice that had been inoculated with BCL-XL-dependent H146 tumor cells for 14 days causes a statistically significant inhibition of tumor growth (maximum tumor growth inhibition = 44%), which is alleviated upon cessation of dosing[1].
Cell Research	Cells are treated with increasing concentration of A-1155463. Cells are assayed for viability after 72 h using the CellTiter-Glo luminescent cell viability assay according to the manufacturer' s protocol. Results are normalized to cells without treatment. EC50 is calculated using the GraphPad Prism software.(Only for Reference) Cell lines: Colorectal cell lines (ATCC)
Animal Research	Animal Model: SCID-Beige Mice

Solubility Information

Solubility	Ethanol: 100 mg/mL (149.3 mM) DMSO: 100 mg/mL (149.3 mM) Water: <1 mg/mL (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.493 mL	7.465 mL	14.93 mL
5 mM	0.299 mL	1.493 mL	2.986 mL
10 mM	0.149 mL	0.747 mL	1.493 mL
50 mM	0.03 mL	0.149 mL	0.299 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Tao ZF, et al. ACS Med Chem Lett. 2014, 5(10):1088-93.
2. Leverson JD, et al. Sci Transl Med. 2015, 7(279):279ra40.
3. Haichao Zhang, et al. Molecular Cancer. 2015, 14(1):1-9.

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