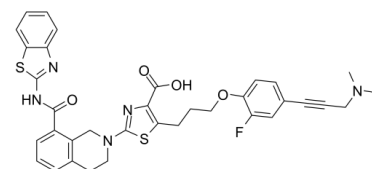


Data Sheet

Product Name:	A-1155463
Cat. No.:	CS-5398
CAS No.:	1235034-55-5
Molecular Formula:	C35H32FN5O4S2
Molecular Weight:	669.79
Target:	Bcl-2 Family
Pathway:	Apoptosis
Solubility:	DMSO : 50 mg/mL (74.65 mM; Need ultrasonic); H2O : < 0.1 mg/mL (insoluble)



BIOLOGICAL ACTIVITY:

A-1155463 is a highly potent and selective **BCL-XL** inhibitor with an **EC₅₀** of 70 nM in Molt-4 cell. IC₅₀ & Target: EC₅₀: 70 nM (BCL-X_L, Molt-4 cell)^[1] **In Vitro:** A-1155463 shows picomolar binding affinity to BCL-X_L (K_i < 0.01 nM), and >1000-fold weaker binding to BCL-2 (K_i = 80 nM) and related proteins BCL-W (K_i = 19 nM) and MCL-1 (K_i > 440 nM) ^[2]. A-1155463 demonstrates strong growth inhibition of over half of the colorectal cell lines as defined by EC₅₀ values ≤ 0.5 μM in the presence of 10 % FBS^[3]. **In Vivo:** A-1155463 caused a mechanism-based and reversible thrombocytopenia in mice and inhibited H146 small cell lung cancer xenograft tumor growth in vivo following multiple doses^[2].

PROTOCOL (Extracted from published papers and Only for reference)

Animal Administration: ^[2]Mice: Following a single 5 mg/kg IP dose of A-1155463 in nontumor bearing SCID-Beige mice, platelet counts fell dramatically as measured at 6 h postdose and then rebounded to normal levels within 72 h. A-1155463 is then administered to SCID-Beige mice that had been inoculated with BCL-XL-dependent H146 tumor cells with a daily dose at 5 mg/kg IP for 14 days^[2].

References:

- [1]. Leveson 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):279
- [2]. Tao ZF, et al. Discovery of a Potent and Selective BCL-XL Inhibitor with in Vivo Activity. ACS Med Chem Lett. 2014 Aug 26;5(10):1088-93.
- [3]. Zhang H, et al. Genomic analysis and selective small molecule inhibition identifies BCL-X(L) as a critical survival factor in a subset of colorectal cancer. Mol Cancer. 2015 Jul 2;14:126.

CAIndexNames:

4-Thiazolecarboxylic acid, 2-[8-[(2-benzothiazolylamino)carbonyl]-3,4-dihydro-2(1H)-isoquinolinyl]-5-[3-[4-[3-(dimethylamino)-1-propyn-1-yl]-2-fluorophenoxy]propyl]-

SMILES:

O=C(C1=C(CCCOC2=CC=C(C#CCN(C)C)C=C2F)SC(N3CC4=C(C=CC=C4C(NC5=NC6=CC=CC=C6S5)=O)CC3)=N1)O

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

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