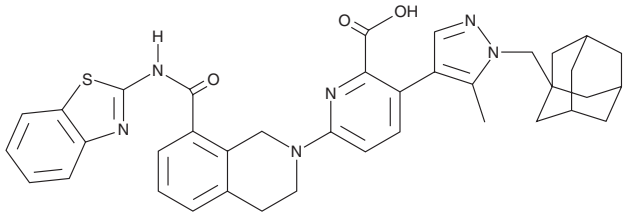
PRODUCT INFORMATION

A-1331852

Item No. 22963

CAS Registry No.:	1430844-80-6
Formal Name:	6-[8-[(2-benzothiazolylamino)carbonyl]-3,4-dihydro-2(1H)-isoquinolinyl]-3-[5-methyl-1-(tricyclo[3.3.1.1^{3,7}]dec-1-ylmethyl)-1H-pyrazol-4-yl]-2-pyridinecarboxylic acid
MF:	$C_{38}H_{38}N_6O_3S$
FW:	658.8
Purity:	≥98%
UV/Vis.:	λ_{max}: 210, 276 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

A-1331852 is supplied as a crystalline solid. A stock solution may be made by dissolving the A-1331852 in the solvent of choice. A-1331852 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of A-1331852 in these solvents is approximately 2 and 5 mg/ml, respectively.

A-1331852 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, A-1331852 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. A-1331852 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

A-1331852 is an orally bioavailable Bcl-xL inhibitor that selectively binds Bcl-xL over Bcl-2, Mcl-1, and Bcl-W (K_is = <0.01, 6, 142, and 4 nM, respectively).[1] It inhibits growth of Bcl-xL-dependent MOLT-4, but not Bcl-2-dependent RS4;11, acute lymphocytic leukemia cells *in vitro* (EC_{50}s = 6 and >5,000 nM, respectively). A-1331852 (25 mg/kg twice per day) inhibits tumor growth in a MOLT-4 mouse xenograft model. It also inhibits tumor growth and increases the antitumor activity of docetaxel (Item No. 11637) in MDA-MB-231 LC3 metastatic breast cancer and NCI-H1650 non-small cell lung cancer mouse xenograft models when administered at a dose of 25 mg/kg. A-1331852 also increases venetoclax inhibition of tumor growth in an NCI-H1963.FP5 small cell lung cancer mouse xenograft model.

Reference

1. Leverson, J.D., Phillips, D.C., Mitten, M.J., *et al.* Exploiting selective BCL-2 family inhibitors to dissect cell survival dependencies and define improved strategies for cancer therapy. *Sci. Transl. Med.* **7(279)**:279ra40, (2015).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM