

PRODUCT INFORMATION

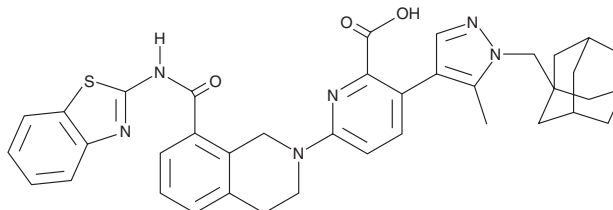


A-1331852

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: C₃₈H₃₈N₆O₃S
FW: 658.8
Purity: ≥98%
UV/Vis.: λ_{max}: 210, 276 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 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, which should be purged with an inert gas. A-1331852 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). 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_s = <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* (EC₅₀s = 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. Levenson, 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).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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