

PRODUCT INFORMATION

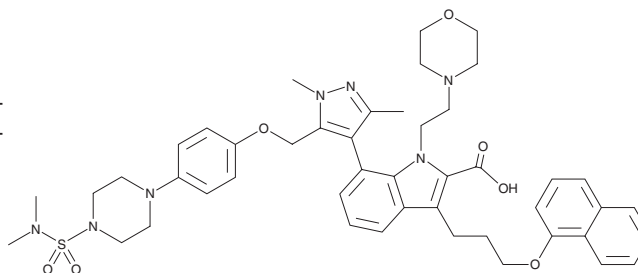


A-1210477

Item No. 21113

CAS Registry No.: 1668553-26-1
Formal Name: 7-[5-[[4-[4-[(dimethylamino) sulfonyl]-1-piperazinyl]phenoxy] methyl]-1,3-dimethyl-1H-pyrazol-4-yl]-1-[2-(4-morpholinyl)ethyl]-3-[3-(1-naphthalenyloxy)propyl]-1H-indole-2-carboxylic acid

MF: C₄₆H₅₅N₇O₇S
FW: 850.0
Purity: ≥98%
Supplied as: A crystalline solid
Storage: -20°C
Stability: As supplied, 2 years from the QC date provided on the Certificate of Analysis, when stored properly



Laboratory Procedures

A-1210477 is supplied as a crystalline solid. A stock solution may be made by dissolving the A-1210477 in the solvent of choice. A-1210477 is slightly soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas.

Description

A-1210477 is an inhibitor of the antiapoptotic Bcl-2 family protein myeloid cell leukemia-1 (Mcl-1; $K_i = 0.43$ nM and $IC_{50} = 26.2$ nM) that demonstrates >100-fold selectivity over other Bcl-2 family members ($K_{iS} > 0.66$ μ M for Bcl-2, Bcl-XL, Bcl-W, and Bfl-1).¹⁻³ It has been shown to disrupt the interaction between Mcl-1 and pro-apoptotic BIM, inducing the hallmarks of apoptosis in Mcl-1-dependent multiple myeloma and non-small cell lung cancer cell lines.^{1,3}

References

1. Leverson, J.D., Zhang, H., Chen, J., *et al.* Potent and selective small-molecule MCL-1 inhibitors demonstrate on-target cancer cell killing activity as single agents and in combination with ABT-263 (navitoclax). *Cell Death Dis.* **6**, e1590 (2015).
2. Bruncko, M., Wang, L., Sheppard, G.S., *et al.* Structure-guided design of a series of MCL-1 inhibitors with high affinity and selectivity. *J. Med. Chem.* **58(5)**, 2180-2194 (2015).
3. Opfeman, J.T. Attacking cancer's Achilles heel: Antagonism of anti-apoptotic BCL-2 family members. *FEBS J.* **283(14)**, 2661-2675 (2016).

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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