

A-1210477

Catalog No: tcsc5143

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:

1668553-26-1

Formula:

C₄₆H₅₅N₇O₇S

Pathway:

Apoptosis

Target:

Bcl-2 Family

Purity / Grade:

>98%

Solubility: 10 mM in DMSO

Observed Molecular Weight: 850.04

Product Description

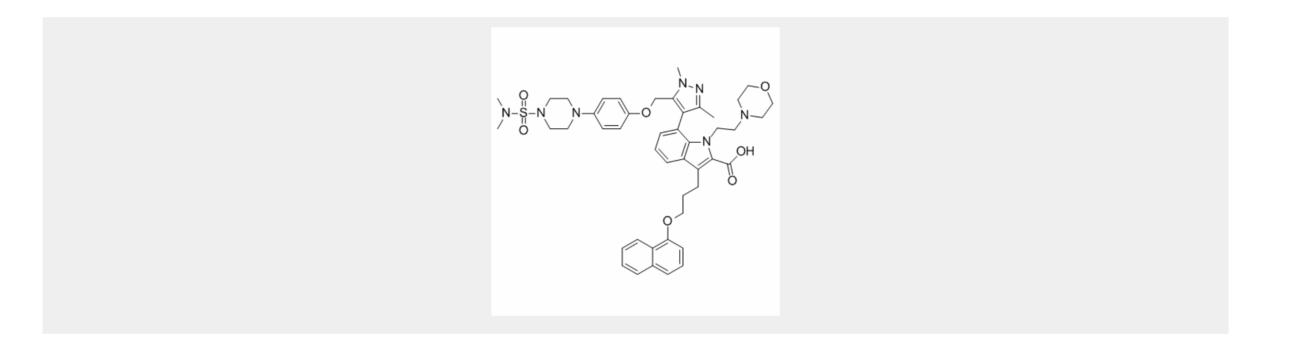
A-1210477 is a potent and selective inhibitor of **MCL-1**, and weakly binds to BCL-2 and BCL-XL, with **K**_i of 0.45 nM, 132 nM and >660



nM, respectively.

IC50 & Target: Ki: 0.45 nM (MCL-1), 132 nM (BCL-2), >660 nM (BCL-XL)^[1]

In Vitro: A-1210477 (10 μ M) reduces the amount of BIM co-immunoprecipitated with MCL-1 antibody, and triggers MCL-1 elevation in a variety of cancer cell lines, including the breast cancer cell line HCC-1806. A-1210477 inhibits MCL-1-NOXA interactions with an IC₅₀ of approximately 1 μ M, while having no effect on BCL-2-BIM or BCL-XL-BCL-XS interactions. The NSCLC cell lines H2110 and H23 are sensitive to A-1210477 with cell viability IC₅₀[1]. A-1210477 induces extensive concentration-dependent apoptosis in H929 cells following a brief (4 h) exposure. A-1210477 interacts with MCL-1 with Kd of appr 740 nM. A-1210477 (10 μ M) induces extensive mitochondrial fragmentation in a DRP-1-dependent manner^[2]. A-1210477 upregulates MCL-1 expression in BRAF-mutant CRC cells and in the melanoma cell line A375 in a dose-dependent manner. A-1210477 releases BAK from MCL-1 and cobimetinib induces BIM that is required for BAX activation^[3]. A-1210477 (0, 5, 10 and 15 μ M) has minimal effect on cell viability but substantially sensitizes resistant BCL2High NHL cell lines to navitoclax^[4].



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