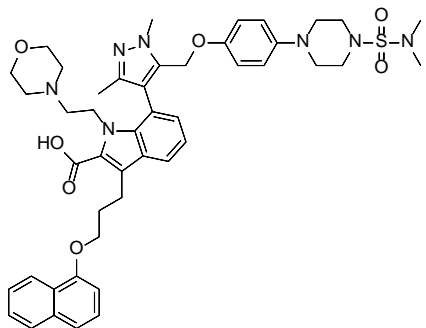


# Product data sheet



MedKoo Cat#: 407156 Name: A-1210477 CAS#: 1668553-26-1 Chemical Formula: C <sub>46</sub> H <sub>55</sub> N <sub>7</sub> O <sub>7</sub> S Exact Mass: 849.3884 Molecular Weight: 850.05	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years. In solvent: -80°C 3 months; -20°C 2 weeks.

## 1. Product description:

A-1210477 is a potent and selective MCL-1 inhibitor. A-1210477 induces the hallmarks of intrinsic apoptosis and demonstrates single agent killing of multiple myeloma and non-small cell lung cancer cell lines. A-1210477 synergizes with the BCL-2/BCL-XL inhibitor navitoclax to kill a variety of cancer cell lines. A-1210477 is a potential therapeutic for the treatment of cancer. The anti-apoptotic protein MCL-1 is a key regulator of cancer cell survival and a known resistance factor for small-molecule BCL-2 family inhibitors such as ABT-263 (navitoclax), making it an attractive therapeutic target.

## 2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

## 3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	5.90	6.94

## 4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.18 mL	5.88 mL	11.76 mL
5 mM	0.24 mL	1.18 mL	2.35 mL
10 mM	0.12 mL	0.59 mL	1.18 mL
50 mM	0.02 mL	0.12 mL	0.24 mL

## 5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of “Calculator”

## 6. Recommended literature which reported protocols for in vitro and in vivo study

### In vitro study

1. Lin J, Fu D, Dai Y, Lin J, Xu T. Mcl-1 inhibitor suppresses tumor growth of esophageal squamous cell carcinoma in a mouse model. *Oncotarget*. 2017 Jun 28;8(70):114457-114462. doi: 10.18632/oncotarget.18772. PMID: 29383093; PMCID: PMC5777705.

### In vivo study

1. Lin J, Fu D, Dai Y, Lin J, Xu T. Mcl-1 inhibitor suppresses tumor growth of esophageal squamous cell carcinoma in a mouse model. *Oncotarget*. 2017 Jun 28;8(70):114457-114462. doi: 10.18632/oncotarget.18772. PMID: 29383093; PMCID: PMC5777705.

## 7. Bioactivity

Biological target: A-1210477 is a MCL-1 inhibitor with an IC<sub>50</sub> of 26.2 nM.

### In vitro activity

Esophageal cell proliferation was reduced markedly following A-1210477 treatment. The apoptotic cells of these ESCC (esophageal squamous cell carcinoma) were evaluated after A-1210477 treatment by detecting cleaved caspase3 expression with quantitative IHC (immunohistochemistry). There was a statistically significant increase in labeling indices when control ESCC (1.2 ± 0.8) was

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compared with low dose ( $8.0 \pm 3.1$ ) and high dose ones ( $14.0 \pm 4.5$ ) (Figure 4A–4D). The percentage of TUNEL positive cells increased dose dependently from 1.9 % in DMSO mice to 8.9 % ( $p < 0.05$ ) in low-dose A-1210477 mice and 19.0 % ( $p < 0.05$ ) in high-dose A-1210477 mice (Figure 4E–4N). These data clearly demonstrated A-1210477 treatment led to increased cell death in mouse ESCC.

Reference: Oncotarget. 2017 Jun 28;8(70):114457-114462. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC5777705/>

## In vivo activity

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To test whether MCL-1 plays a role in ESCC cancer progression, mice at 20 weeks after initial 4NQO exposure were injected with control vehicle, low dose and high dose of A-1210477 daily for 4 weeks. A-1210477-treated mice had developed fewer tumors than the vehicle-treated mice did in a dose dependent manner (Figure 2A). Similarly, there was significant less body weight loss in the A-1210477-treatment group mice compared with the control ones (Figure 2B). Microscopically, there was also less malignancy formation in the esophagus following A-1210477-treatment (Figure 2C–2E).

Reference: Oncotarget. 2017 Jun 28;8(70):114457-114462. <https://www.ncbi.nlm.nih.gov/pmc/articles/PMC5777705/>

*Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.*