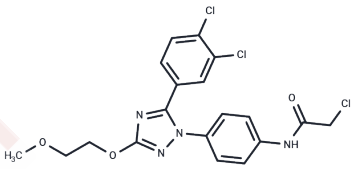
Data Sheet (Cat.No.T2350)

TargetMol

MALT1 inhibitor MI-2

Chemical Properties



CAS No. : 1047953-91-2

Formula: C19H17Cl3N4O3

Molecular Weight: 455.72

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	MI-2 (MALT1 inhibitor) is an irreversible MALT1 inhibitor.
Targets(IC50)	MALT
In vitro	Daily intraperitoneal injection of 25 mg/kg MI-2 effectively inhibits the growth of TMD8 and HBL-1 ABC-DLBCL xenografts, while it has no effect on the growth of OCI-Ly1 tumors.
In vivo	MI-2 demonstrates excellent cellular permeability and inhibits the function of MALT1 in the ABC-DLBCL cell lines. It selectively inhibits the growth of MALT1-dependent cell lines, with GI50 values of 0.2, 0.5, 0.4, and 0.4 μM in HBL-1, TMD8, OCI-Ly3, and OCI-Ly10 cells, respectively. Conversely, ABC-DLBCL cell lines not dependent on MALT1, such as U2932 and HLY-1, along with two types of GCB-DLBCL cell lines, exhibit resistance to MI-2.
Kinase Assay	High-Throughput Screening for MALT1 Proteolytic Activity Inhibitors: Ac-LRSR-AMC is used as substrate and reactions are measured with excitation/emission wavelengths of 360/465 nm. Two time points are measured for each reaction to eliminate false positives due to compound autofluorescence. The final percent inhibition is calculated with the formula {[fluorescencetest compound (T2-T1)-fluorescenceneg ctrl (T2-T1)]/ [fluorescencepos ctrl (T2-T1)-fluorescenceneg ctrl (T2-T1)]} × 100, where Z-VRPR-FMK (300 nM) is used as positive control and buffer only as negative control. The positive hits are validated in concentration-response experiments within a dose range of 0.122–62.5 μM to determine IC50 of the compounds. Activity is validated using recombinant full-length wild-type MALT1.
Cell Research	Cell proliferation is determined by ATP quantification using a luminescent method and trypan blue dye exclusion. Standard curves for each cell line are calculated by plotting the cell number (determined using trypan blue) against their luminescence values, and cell number is calculated accordingly. Cell viability in drug-treated cells is normalized to their respective controls (fractional viability), and results are given as 1-fractional viability. CompuSyn software is used to determine GI25 and GI50 values.(Only for Reference)

Solubility Information

Solubility	DMSO: 125 mg/mL (274.29 mM),Sonication is recommended. Ethanol: 9.1 mg/mL (19.97 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.39 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1943 mL	10.9716 mL	21.9433 mL
5 mM	0.4389 mL	2.1943 mL	4.3887 mL
10 mM	0.2194 mL	1.0972 mL	2.1943 mL
50 mM	0.0439 mL	0.2194 mL	0.4389 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Fontan L, et al. Cancer Cell. 2012, 22(6), 812-824.