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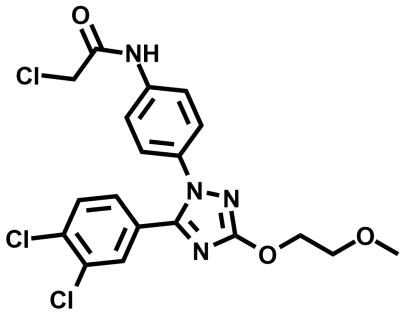
MALT1 inhibitor – MALT1-MI-2 (MI-2)

Chemical Name: 2-chloro-N-(4-(5-(3,4-dichlorophenyl)-3-(2-methoxyethoxy)-1H-1,2,4-triazol-1-yl)phenyl)acetamide



Molecular Weight:	455.72
Formula:	$C_{19}H_{17}Cl_3N_4O_3$
Purity:	≥ 98%
CAS#:	1047953-91-2
Solubility:	DMSO up to 100 mM
Storage	Powder: 4°C 1 year DMSO: 4°C 3 month -20°C 1 year

Biological Activity:

MALT1-MI-2 (MI-2) is a highly potent and selective small molecule directly binding to MALT1 and irreversibly suppressing its protease function. MI-2 concentrated within human ABC-DLBCL cells and irreversibly inhibited cleavage of MALT1 substrates with EC_{50} < 1 μM (HBL-1 ~200 nM; TMD8 ~500 nM). This was accompanied by NF-κB pathway suppression, c-REL nuclear localization inhibition, and NF-κB target gene downregulation. MI-2 was nontoxic to mice, and displayed selective activity against ABC-DLBCL cell lines in vitro and xenotransplanted ABC-DLBCL tumors in vivo. It was also effective against primary human non-germinal center B cell-like DLBCLs ex vivo.

How to Use:

In vitro: MALT1-MI-2 (MI-2) was used at 0.2-2 μM concentration in vitro and cellular assays.

In vivo: MALT1-MI-2 (MI-2) was intraperitoneally (IP) dosed to mice at 25 mg/kg once per day for 14 days in TMD8 and HBL-1 ABC-DLBCL xenografts.

Reference:

1. Fontan L, et al. MALT1 small molecule inhibitors specifically suppress ABC-DLBCL in vitro and in vivo. (2012) Cancer Cell. 22(6):812-24.