

**MALT1 Inhibitor II, Mepazine Hydrochloride - CAS 738596-90-2 - Calbiochem MALT1 Inhibitor II, Mepazine HCl, CAS 738596-90-2, is a cell-permeable inhibitor of MALT1. Does not affect caspase-3 or -8 activities.**

Art. ID SAF-5005000001

Unit EA

**Description**

A cell-permeable phenothiazine that inhibits MALT1, but not caspase-3 or -8, proteolytic activity ( $IC_{50} = 0.83$  and  $0.42 \mu M$ , respectively, against full-length or paracaspase domain-catalyzed Ac-LRSR-AMC hydrolysis) in a reversible and noncompetitive manner. Shown to suppress ABC-DLBCL constitutive cellular MALT1 activity (by  $>75\%$  at  $10 \mu M$ ,  $IC_{50} < 5 \mu M$  in U2932, OCI-Ly10, OCI-Ly3, HBL1, and TMD8 cultures) and RelB cleavage, resulting in ABC-DLBCL-selective cytotoxicity ( $\geq 27\%$  cell death in 4 days at  $10 \mu M$ ) over GCB-DLBCL ( $\leq 26\%$  cell death in 4 days at  $20 \mu M$ ) both in cultures in vitro and in mice ( $400 \mu g/kg$  daily i.p.) in vivo. Please note that the molecular weight for this compound is batch-specific due to variable water content. Please refer to the vial label or the certificate of analysis for the batch-specific molecular weight. The molecular weight provided represents the baseline molecular weight without water., MALT1 Inhibitor II, Mepazine HCl, CAS 60-89-9, is a cell-permeable inhibitor of MALT1. Does not affect caspase-3 or -8 activities., A cell-permeable phenothiazine that inhibits MALT1, but not caspase-3 or -8, proteolytic activity ( $IC_{50} = 0.83$  and  $0.42 \mu M$ , respectively, against full-length or paracaspase domain-containing aa 325-760 MALT1 GST fusion-catalyzed Ac-LRSR-AMC hydrolysis) in a reversible and noncompetitive manner and blocks anti-CD3/CD28-stimulated IL-6 production (20 h) in primary murine CD4<sup>+</sup> T-cell (by 77%) and human PBMC cultures (by 51 - 89%). Shown to suppress ABC-DLBCL constitutive cellular MALT1 activity ( $>75\%$  suppression by  $10 \mu M$  Mepazine,  $IC_{50} < 5 \mu M$  in U2932, OCI-Ly10, OCI-Ly3, HBL1, and TMD8 cultures) and RelB cleavage, resulting in effective blockage of NF- $\kappa B$ -dependent IL-6, IL-10, as well as anti-apoptotic Bcl-xL and FLIP-L expressions. Mepazine exhibits ABC-DLBCL-selective cytotoxicity ( $\geq 27\%$  cell death in 4 days by  $10 \mu M$  Mepazine in HBL-1, OCI-Ly3, OCI-Ly10, TMD8, and U2932 cultures) over GCB-DLBCL ( $\leq 26\%$  cell death in 4 days by  $20 \mu M$  Mepazine in DJAB, Su-DHL-4, and Su-DHL-6 cultures) both in cultures in vitro and in mice (74%, and 0% suppression, respectively, of OCI-Ly10 and Su-DHL-6 tumor expansion on day 22 post cancer transplant, via daily  $400 \mu g/kg$  i.p.) in vivo.

Text/Information	Analyte/Parameter	CAS number	Concentration/Value	Unit	Method	Source
	Mepazine Hydrochloride	[2975-36-2]				