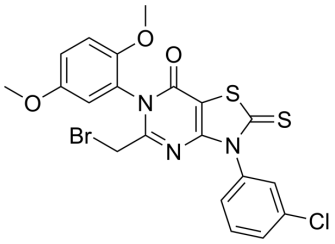
MALT1-IN-13

Cat. No.:	HY-162268
Molecular Formula:	$C_{20}H_{15}BrClN_3O_3S_2$
Molecular Weight:	525
Target:	MALT1; Apoptosis
Pathway:	Metabolic Enzyme/Protease; NF-κB; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

MALT1-IN-13 (compound 10m) is inhibitor for mucosa-associated lymphoid tissue lymphoma translocation protein 1 (MALT1), which to binds MALT1 protease covalently and irreversibly, inhibits MALT1 with the IC_{50} of 1.7 μM. MALT1-IN-13 inhibits proliferation against ABC-DLBCL and induces apoptosis in ABC-DLBCL HBL1. MALT1-IN-13 regulates mTOR and PI3K-Akt pathways[1].

IC_{50} & Target

IC_{50}: 1.7 μM (MALT1)

In Vitro

MALT1-IN-13 (0-10 μM) induces apoptosis in HBL1 cells, inhibits proliferation against ABC-DLBCL HBL1, TMD8 and GCB-DLBCL OCI-LY1 cells with GI_{50} of 1.5, 0.7 and >25 μM, respecticely[1].

MALT1-IN-13 (0-10 μM) downregulates expressions of MALT1 and NF-kB pathway, upregulates the mTOR and PI3K-Akt pathway, exhibits an antitumor effect in the HBL1 cells[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Apoptosis Analysis[1]

Cell Line:	ABC-DLBCL HBL1
Concentration:	0-10 μM
Incubation Time:	24 h
Result:	Induced over 70% apoptosis in HBL1 cells with concentration of 5 μM.

Cell Proliferation Assay[1]

Cell Line:	ABC-DLBCL HBL1, ABC-DLBCL TMD8 and GCB-DLBCL OCI-LY1
Concentration:	100 μM
Incubation Time:	72 h
Result:	Inhibits proliferations of ABC-DLBCL HBL1, TMD8 and GCB-DLBCL OCI-LY1.

Apoptosis Analysis[1]

Cell Line:	ABC-DLBCL HBL1 and ABC-DLBCL TMD8

Concentration:	0-10 μM
Incubation Time:	24 h
Result:	Increased levels of cleaved PARP1 and caspase3.Decreased levels of IkBα and phosphorylated IkBα.

In Vivo

MALT1-IN-13 (25 mg/kg, i.p. for 12-14 days) exhibits an antitumor activity specific for MALT1 protease and suppresses the tumor growth in HBL1/TMD8 xenografted NCG mice[1].

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Animal Model:	HBL1/TMD8/OCI-LY1 xenografted NCG mice[1]
Dosage:	25 mg/kg
Administration:	i.p., 12 days for HBL1 bearing NCG mice, 14 days for TMD8 bearing mice, 19 days for OCI-LY1 bearing mice
Result:	Suppressed the HBL1 tumor growth and decreased the tumor weight with TGI of 55.9%. Suppressed the TMD8 tumor growth and decreased the tumor weight with TGI of 69.9%.

REFERENCES

[1]. Liang X, et al., Development of Potent MALT1 Inhibitors Featuring a Novel "2-Thioxo-2,3-dihydrothiazolo[4,5-d]pyrimidin-7(6H)-one" Scaffold for the Treatment of B Cell Lymphoma. J Med Chem. 2024 Feb 22;67(4):2884-2906.

[2]. Liang X, et al., Development of Potent MALT1 Inhibitors Featuring a Novel "2-Thioxo-2,3-dihydrothiazolo[4,5-d]pyrimidin-7(6H)-one" Scaffold for the Treatment of B Cell Lymphoma. J Med Chem. 2024 Feb 22;67(4):2884-2906.

Caution: Product has not been fully validated for medical applications. For research use only.

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