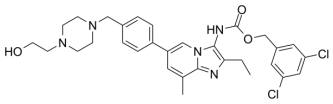
ATX inhibitor 13

Cat. No.:	HY-144766
CAS No.:	2485779-34-6
Molecular Formula:	$C_{31}H_{35}Cl_2N_5O_3$
Molecular Weight:	596.55
Target:	Apoptosis
Pathway:	Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	ATX inhibitor 13 (10c) is an orally active and potent ATX inhibitor, with an IC_{50} of 3.4 nM. ATX inhibitor 13 inhibits proliferation and migration, and induces apoptosis and G2 phase arrest in RAW264.7 cells. ATX inhibitor 13 suppresses tumor cell colony formation[1].
IC_{50} & Target	ATX 3.4 nM (IC_{50})

In Vitro

ATX inhibitor 13 (compound 10c) (0-20 μM, 72 h) shows cytotoxicity and anti-proliferative activity against MCF-7, MDA-MB-231, A549, NCI-H1581, H2228, Hep3B, and RAW264.7 cells[1].
ATX inhibitor 13 (0-1 μM, 0-72 h) inhibits migration of RAW264.7 cells in a dose-dependent manner, significantly down-regulates both the colony count and colony single area with the concentration elevation[1].
ATX inhibitor 13 (0-1 μM, 72 h) dose dependently suppresses colony formation of RAW264.7 cells[1].
ATX inhibitor 13 (0-1 μM, 48 h) induces weak apoptosis in a dose-dependent manner in RAW264.7 cells[1].
ATX inhibitor 13 (0-1 μM, 48 h) brings G2 phase arrest of RAW264.7 cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay

Cell Line:	MCF-7, MDA-MB-231, A549, NCI-H1581, H2228, Hep3B, RAW264.7[1]
Concentration:	0-20 μM
Incubation Time:	72 h
Result:	Showed cytotoxicity and antiproliferative activity against MCF-7, MDA-MB-231, A549, NCI-H1581, H2228, Hep3B, and RAW264.7 cell lines, with IC_{50} values of 3.87 ± 0.37, 3.29 ± 0.37, 6.59 ± 0.26, 4.76 ± 0.57, 4.27 ± 0.21, 0.58 ± 0.11, and 0.63 ± 0.26 μM.

Apoptosis Analysis

Cell Line:	RAW264.7 cells[1]
Concentration:	0 μM, 0.1 μM, 0.25 μM, 0.5 μM and 1 μM
Incubation Time:	48 h

Result:	Induced apoptosis in a dose-dependent manner, with the apoptotic rates of 6.48% (0.1 μM), 7.73% (0.25 μM), 8.60% (0.5 μM) and 9.17% (1 μM).

Cell Cycle Analysis

Cell Line:	RAW264.7 cells[1]
Concentration:	0 μM, 0.1 μM, 0.25 μM, 0.5 μM and 1 μM
Incubation Time:	24 h
Result:	Led to significant G2 phase arrest in RAW264.7 cells in a dose-dependent manner, the percentage of cells in the G2 phase slightly increased from 10.90% to 90.16% (0-1 μM).

In Vivo

ATX inhibitor 13 (compound 10c) (C57BL/6J mice, 0-1000 mg/kg, Orally, once) has an acceptable safety profile[1].

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Animal Model:	C57BL/6J mice (5 groups,4 mice per group)[1]
Dosage:	5000, 3200, 2500 and 1000 mg/kg
Administration:	Orally, once
Result:	Had an acceptable safety profile, showed no obvious safety concerns.

REFERENCES

[1]. Lei H, et al. Design, synthesis and promising anti-tumor efficacy of novel imidazo[1,2-a]pyridine derivatives as potent autotaxin allosteric inhibitors. Eur J Med Chem. 2022;236:114307.

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