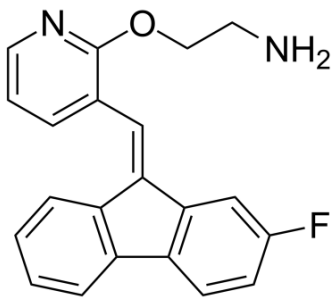
GL0388

Cat. No.:	HY-132173
Molecular Formula:	$C_{21}H_{17}FN_2O$
Molecular Weight:	332.37
Target:	Bcl-2 Family
Pathway:	Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	GL0388 is a Bax activator that results in Bax insertion into mitochondrial membrane. GL0388 shows antiproliferative activities against various cancer cells, with IC_{50}s of 0.299-1.57 μM. GL0388 activates Bax and induce Bax-mediated apoptosis. GL0388 suppresses breast cancer xenograft tumor growth in vivo[1].
IC_{50} & Target	Bax
In Vitro	GL0388 (0.1-10 μM; 72 h) inhibits the cell proliferation of MDA-MB-231 and MCF-7 breast cancer cell lines, with IC_{50}s of 0.96 μM and 0.52 μM, respectively[1]. GL0388 (0.01-100 μM) inhibits the cell proliferation in 60 human tumor cell lines, with $GI5_{50}$s of 0.299-1.57 μM[1]. GL0388 (1-10 μM; 48 h) significantly upregulates the cleaved PARP-1 and cleaved caspase 3 in MDA-MB-231 cells[1]. GL0388 (0.1-1 μM; 24 h) inhibits the colony formation and invasion of breast cancer cells[1]. GL0388 (1-10 μM; 24 h) promotes Bax insertion into mitochondrial membranes of MDA-MB-231 cells in a dose-dependent manner. GL0388 increases cytochrome c in the cytosolic fraction of MDA-MB-231 cells[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	MCF-7, MDA-MB-231 cells
Concentration:	0.1, 0.33, 1, 3.3, 10 μM
Incubation Time:	72 hours
Result:	Inhibited the growth of MCF-7, MDA-MB-231 cells, with IC_{50}s of 0.52 μM and 0.96 μM, respectively.

Western Blot Analysis[1]

Cell Line:	MDA-MB-231 cells
Concentration:	1, 5, 10 μM
Incubation Time:	48 hours
Result:	Significantly led to the upregulation of cleaved PARP-1 and cleaved caspase 3.

In Vivo

GL0388 (10-20 mg/kg for i.p.; 15 mg/kg for i.t.; once daily for 10 days) dose-dependently suppresses the growth of MDA-MB-231 tumors in mice[1].

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Animal Model:	Female nude mice were injected MDA-MB-231 cells[1]
Dosage:	10-20 mg/kg for i.p.; 15 mg/kg for i.t.
Administration:	I.p and i.t. once daily for 10 days
Result:	Significantly inhibited tumor growth at a dose of 15 mg/kg every other day. I.T. administration for 10 consecutive days, with an inhibition rate of 55%, comparable to the I.P. efficacy at the dose of daily 20 mg/kg.

REFERENCES

[1]. Liu G, et, al. Further lead optimization on Bax activators: Design, synthesis and pharmacological evaluation of 2-fluoro-fluorene derivatives for the treatment of breast cancer. Eur J Med Chem. 2021 Jul 5;219:113427.

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