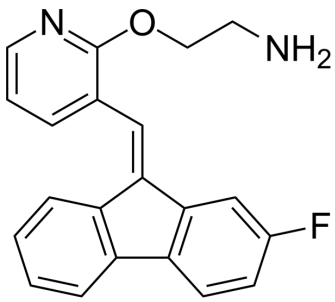
GL0388

Cat. No.:	HY-132173
CAS No.:	2886772-68-3
Molecular Formula:	$C_{21}H_{17}FN_2O$
Molecular Weight:	332.37
Target:	Bcl-2 Family
Pathway:	Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (300.87 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.0087 mL	15.0435 mL	30.0870 mL
5 mM	0.6017 mL	3.0087 mL	6.0174 mL
10 mM	0.3009 mL	1.5043 mL	3.0087 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.52 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.52 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.52 mM); Clear solution

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 μ

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

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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