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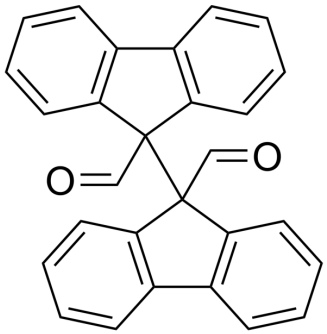
Raptinal

Cat. No.:	HY-121320
CAS No.:	1176-09-6
Molecular Formula:	$C_{28}H_{18}O_2$
Molecular Weight:	386.44
Target:	Caspase; Apoptosis
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 : 20 mg/mL (51.75 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5877 mL	12.9386 mL	25.8772 mL
	5 mM	0.5175 mL	2.5877 mL	5.1754 mL
	10 mM	0.2588 mL	1.2939 mL	2.5877 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 (6.47 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.47 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Raptinal, a agent that directly activates caspase-3, initiates intrinsic pathway caspase-dependent apoptosis. Raptinal is able to rapidly induce cancer cell death by directly activating the effector caspase-3, bypassing the activation of initiator caspase-8 and caspase-9[1][2].

IC_{50} & Target

Caspase 3

In Vitro

H. pylori infection-induced apoptosis resistance in gastric epithelial cells triggered by Raptinal[1].
Treatment with 10 μM of Raptinal for 2 h induces the cleavage of pro-caspase-3 into it's active form in human gastric cancer cell lines AGS, MKN28, MKN45[1].
Raptinal initiates intrinsic pathway caspase-dependent apoptosis within minutes in multiple cell lines. Raptinal induces

death against various cancer and non-cancerous cell lines with 24 hour IC_{50} values between 0.7-3.4 μM, indicating activity across a wide variety of cell lines[2].

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

Cell Viability Assay[2]

Cell Line:	Human Lymphoma U-937, SKW 6.4, or Jurkat cell lines
Concentration:	0.7-3.4 μM
Incubation Time:	24 hours
Result:	The IC_{50} values of Raptinal against U-937, SKW 6.4, or Jurkat cell lines were 1.1±0.1, 0.7±0.3, 2.7±0.9 μM, respectively.

Western Blot Analysis[1]

Cell Line:	Human gastric cancer cell lines AGS, MKN28, MKN45
Concentration:	10 μM
Incubation Time:	2 hours
Result:	Induced apoptosis by activating caspase-3 within 30 min at a concentration of 10 μM. Treatment with 10 μM of Raptinal for 2 h induced the cleavage of pro-caspase-3 into it's active form in all three cell lines.

In Vivo

Raptinal is an unusually rapid inducer of caspase-dependent apoptosis in multiple cell lines and in vivo systems[1].

Raptinal (20 mg/kg; administered intraperitoneally; once daily for 3 consecutive days for B16-F10 and 4 consecutive days for 4T1 models) exerts anticancer activity in vivo[2].

C57BL/6 mice are administered intravenous Raptinal across a range of dosages as a one-time injection. When administered intravenously at a dosage of 37.5 mg/kg, the peak plasma concentration and elimination half-life of Raptinal are 54.4±0.9 μg/mL and 92.1±5.8 minutes, respectively. Single-dose intravenous Raptinal is well tolerated across a wide dose range (15-60 mg/kg) and does not cause hematologic toxicity as assessed 7 days post-administration[2].

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

Animal Model:	C57BL/6 and BALB/c female mice (6-8 weeks old) bearing the B16-F10 model or 4T1 models[2]
Dosage:	20 mg/kg
Administration:	Administered intraperitoneally; once daily for 3 consecutive days for B16-F10 and 4 consecutive days for 4T1 models
Result:	Retard tumor volume and tumor mass by 60% relative to controls in the B16-F10 model. Similar efficacy was observed for the 4T1 murine breast cancer tumor model with 50% growth inhibition after treatment.

CUSTOMER VALIDATION

- Front Immunol. 2023 Nov 23:14:1282710.
- Front Immunol. 2023 Nov 23;14:1282710.

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REFERENCES

[1]. Yanheng Chen, et al. H. pylori infection confers resistance to apoptosis via Brd4-dependent BIRC3 eRNA synthesis. Cell Death Dis. 2020 Aug 21;11(8):667.

[2]. Rahul Palchaudhuri,et al. A Small Molecule that Induces Intrinsic Pathway Apoptosis with Unparalleled Speed. Cell Rep. 2015 Dec 1;13(9):2027-36.

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