Anticancer agent 160

Cat. No.: HY-156186

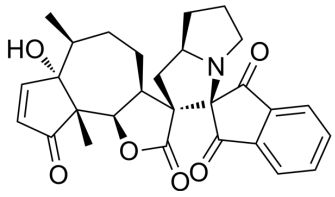
Molecular Formula: $C_{28}H_{29}NO_6$

Molecular Weight: 475.53

Target: Others

Pathway: Others

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Anticancer agent 160 (Compound 6) is a natural product derived from Parthenium hysterophorus. Anticancer agent 160 is cytotoxic to HCT-116 cells, IC_{50}=5.0 μM[1].

IC_{50} & Target

Caspase 3
23.4 nM (EC50)

In Vitro

M109S (0.1-10000 nM, 24-48 h) can inhibit apoptosis induced by Bax as well as Bak[1].
M109S (0-10μM, 4 h) M109S suppresses Staurosporine (HY-15141 STS)-induced apoptosis in MEFs [1].
M109S (0-10μM, 24 h) inhibits Etoposide(HY-13629)-induced apoptosis in Neuro2a cells[1].
M109S (500 nM, 24 h) inhibits Obatoclax(HY-10969A)-induced apoptosis in ARPE19 cells[1].
M109S (500 nM, 48 h) suppresses the conformation change (N-terminal exposure) [1].
M109S (500 nM, 48 h) suppresses the mitochondrial translocation of Bax[1].
M109S(1.0 μM, 4 h) decreases mitochondrial oxygen consumption and reactive oxygen species, whereas M109S(0.1–1 mM)) increases glycolysis[1].

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

Apoptosis Analysis[1]

Cell Line:	MEF(Wt, Bax only, Bak only)
Concentration:	0.1 nM, 1 nM, 10 nM, 100 nM, 10000 nM
Incubation Time:	24 h((WT and Bax-only), 48 h (Bak-only)
Result:	Showed a dose-dependent suppression of caspase activation in all three types of MEFs.

Apoptosis Analysis[1]

Cell Line:	Showed a dose-dependent suppression of caspase activation in all three types of MEFs.
Concentration:	0 nM, 1.6 nM,8 nM, 40 nM, 200 nM, 10 μM
Incubation Time:	4 h
Result:	Suppressed STS-induced caspase activation in a dose-dependent manner.

Apoptosis Analysis[1]

Cell Line:	Neuro2a
Concentration:	0 nM, 40 nM, 200 nM, 10 μM
Incubation Time:	24 h
Result:	Suppressed Etoposide -induced caspase activation in a dose-dependent manner.

Western Blot Analysis[1]

Cell Line:	ARPE19
Concentration:	500 nM
Incubation Time:	24 h
Result:	Significantly inhibited Obatoclax-induced apoptosis in ARPE19 cells comparing to control.

Immunofluorescence[1]

Cell Line:	iBax cells
Concentration:	500 nM
Incubation Time:	48 h
Result:	The frequency of the punctuated staining was significantly reduced by M109S.

In Vivo

M109S(10mg/kg p.o., three time in 48 h) protects the retina from the bright-light-induced photoreceptor death[1].
M109S(i.p., 1 mg/kg, i.v., 5 mg/kg, or o.p., 10 mg/kg) is an orally bioactive cell death inhibitor penetrating blood-brain/retina-barrier[1].

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

Animal Model:	Abca4$^{-/-}$Rdh8$^{-/-}$ mice
Dosage:	10mg/kg
Administration:	Oral Gavage (PO)
Result:	Comparing to micewith M109S, the number of AF spots was similar to that detected in the dark-adapted mice

Animal Model:	Mice and Rat
Dosage:	Intraperitoneal injection (IP, 1 mg/kg), Intravenous injection (IV, 5 mg/kg), or Oral gavage (OP, 10 mg/kg).
Administration:	Intraperitoneal injection (IP, 1 mg/kg), Intravenous injection (IV, 5 mg/kg), or Oral gavage (OP, 10 mg/kg).
Result:	In mice, M109S reached 1.0 mg/mL (2.6 mM) plasma concentration within 30 min from administration, and it remained at 596± 134 ng/mL (1.6± 0.36 mM) 24 h after the oral gavage administration, the same as in rat. At 24 h after the oral gavage administration, the level of M109S in the plasma was 565.3± 188.3 nM in rats.The level of M109S in the rat

	retina and brain reached 171.0± 52.0 nM and 222.7± 74.7 nM, respectively, 24 h after its oral administration.

REFERENCES

[1]. Singh CP, et al. Semisynthesis of Novel Dispiro-pyrrolizidino/thiopyrrolizidino-oxindolo/indanedione Natural Product Hybrids of Parthenin Followed by Their Cytotoxicity Evaluation. ACS Omega. 2023 Sep 14;8(38):35283-35294.

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