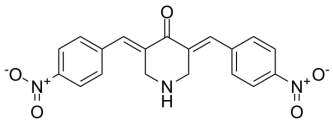
RA-9

Cat. No.:	HY-136528
CAS No.:	919091-63-7
Molecular Formula:	$C_{19}H_{15}N_3O_5$
Molecular Weight:	365.34
Target:	Deubiquitinase; Apoptosis
Pathway:	Cell Cycle/DNA Damage; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 4.17 mg/mL (11.41 mM; ultrasonic and warming and heat to 80°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7372 mL	13.6859 mL	27.3718 mL
	5 mM	0.5474 mL	2.7372 mL	5.4744 mL
	10 mM	0.2737 mL	1.3686 mL	2.7372 mL

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

BIOLOGICAL ACTIVITY

Description

RA-9 is a potent and selective proteasome-associated deubiquitinating enzymes (DUBs) inhibitor with favorable toxicity profile and anticancer activity. RA-9 blocks ubiquitin-dependent protein degradation without impacting 20S proteasome proteolytic activity. RA-9 selectively induces onset of apoptosis in ovarian cancer cell lines and primary cultures derived from donors. RA-9 induces endoplasmic reticulum (ER)-stress responses in ovarian cancer cells[1].

In Vitro

RA-9 (10-30 μM; 48 hours) inhibits growth of ovarian cancer cell lines and primary cultures[1].
RA-9 (1.25-5 μM; 18 hours) causes cell cycle arrest and caspase-mediated apoptosis in ovarian cancer cells[1].
RA-9 (5 μM; 0-24 hours) induces ER-stress responses in ovarian cancer cells[1].
RA-9 (5 μM; over 24 hours) treatment results with time-dependent accumulation of the cleaved formed of PARP noticeable as early as 8 hours[1].

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

Cell Viability Assay[1]

Cell Line:	Cisplatin-sensitive ovarian cancer cell lines TOV-21G and ES-2, Cisplatin-resistant ovarian

	cancer cell lines HEY and OVCAR-3, primary ovarian cancer cells
Concentration:	10, 20, 30 μM
Incubation Time:	48 hours
Result:	Compromised the viability of ovarian cancer cells in a dose-dependent fashion.

Cell Cycle Analysis[1]

Cell Line:	ES-2 cells
Concentration:	1.25, 5 μM
Incubation Time:	18 hours
Result:	Resulted in a dose-dependent increase in the fraction of ES-2 cells in the G2-M cell cycle phase.

Western Blot Analysis[1]

Cell Line:	ES-2, SKOV-3 and TOV-21G ovarian cancer cells
Concentration:	5 μM
Incubation Time:	0-24 h
Result:	Caused a time-dependent increase in the steady levels of the early ER-stress marker GRP-78, as well as the late ER-stress markers IRE1-α and Ero1L-α.

In Vivo

RA-9 (5 mg/kg; i.p; one-day on, two-days off) inhibits human ovarian cancer cell growth in vivo and prolongs survival in a mouse model for ovarian cancer[1].

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Animal Model:	Six-week-old female immunodeficient (NCr nu/nu) mice[1]
Dosage:	5 mg/kg
Administration:	I.p; one-day on, two-days off
Result:	Significant reduction in tumor burden at day 12.

REFERENCES

[1]. Coughlin K, et al. Small-molecule RA-9 inhibits proteasome-associated DUBs and ovarian cancer in vitro and in vivo via exacerbating unfolded protein responses. Clin Cancer Res. 2014;20(12):3174-3186.

Caution: Product has not been fully validated for medical applications. For research use only.

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