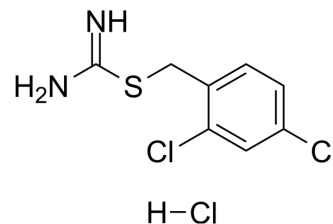


## RRD-251

<b>Cat. No.:</b>	HY-117737A
<b>CAS No.:</b>	72214-67-6
<b>Molecular Formula:</b>	C <sub>8</sub> H <sub>9</sub> Cl <sub>3</sub> N <sub>2</sub> S
<b>Molecular Weight:</b>	271.59
<b>Target:</b>	Raf; Apoptosis
<b>Pathway:</b>	MAPK/ERK Pathway; Apoptosis
<b>Storage:</b>	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : 125 mg/mL (460.25 mM; Need ultrasonic)					
		Solvent Concentration	Mass			
	<b>Preparing Stock Solutions</b>			<b>1 mg</b>	<b>5 mg</b>	<b>10 mg</b>
		<b>1 mM</b>		3.6820 mL	18.4101 mL	36.8202 mL
		<b>5 mM</b>		0.7364 mL	3.6820 mL	7.3640 mL
<b>10 mM</b>		0.3682 mL	1.8410 mL	3.6820 mL		
Please refer to the solubility information to select the appropriate solvent.						

### BIOLOGICAL ACTIVITY

<b>Description</b>	RRD-251 is an inhibitor of retinoblastoma tumor suppressor protein (Rb)-Raf-1 interaction, with potent anti-proliferative, anti-angiogenic and anti-tumor activities <sup>[1]</sup> .
<b>IC<sub>50</sub> &amp; Target</b>	Rb-Raf-1 interaction, apoptosis <sup>[1]</sup>
<b>In Vitro</b>	<p>RRD-251 (10-50 μM; 24 hours) inhibits melanoma growth in-vitro<sup>[1]</sup>.</p> <p>RRD-251 (50 μM; 2 hours) inhibits Rb-Raf-1 interaction and Rb phosphorylation in non-small cell lung cancer cells<sup>[1]</sup>.</p> <p>RRD-251 induces apoptosis (50 μM; 18 hours) and cell cycle arrest (20-50 μM; 4 hours)<sup>[1]</sup>.</p> <p>RRD-251 alters the expression of cell cycle and apoptosis regulatory protein<sup>[1]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Proliferation Assay<sup>[1]</sup></p>
<b>Cell Line:</b>	SK-MEL-28 cells , SK-MEL-5 cells, SK-MEL-2 cells
<b>Concentration:</b>	10 μM, 20 μM, 50 μM
<b>Incubation Time:</b>	24 hours

Result:	Inhibited melanoma growth in-vitro.
Western Blot Analysis <sup>[1]</sup>	
Cell Line:	SK-MEL-28 cells , SK-MEL-5 cells, SK-MEL-2 cells
Concentration:	50 $\mu$ M
Incubation Time:	2 hours
Result:	Showed the depletion of phosphorylated-Rb in RRD-251 treated cells.
Apoptosis Analysis <sup>[1]</sup>	
Cell Line:	SK-MEL-28 cells , SK-MEL-5 cells, SK-MEL-2 cells
Concentration:	50 $\mu$ M
Incubation Time:	18 hours
Result:	Induced apoptosis.
Cell Cycle Analysis <sup>[1]</sup>	
Cell Line:	SK-MEL-28 cells , SK-MEL-5 cells
Concentration:	20 $\mu$ M, 50 $\mu$ M
Incubation Time:	4 hours
Result:	Resulted in a dose dependent inhibition of cell cycle progression in SK-MEL-28 and SK-MEL-5 cells, respectively.

#### In Vivo

RRD-251 (50 mg/kg; i.p.; q.o.d; for 14 days) has anti-cancer activities in vivo on melanomas<sup>[1]</sup>.  
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	8-wk-old female athymic nude mice, with SK-ME-28 xenograft <sup>[1]</sup>
Dosage:	50 mg/kg
Administration:	Intraperitoneal administration, qod, for 14 days
Result:	Inhibits the growth of SK-ME-28 xenograft in nude mice.

## REFERENCES

[1]. Sandeep Singh, et al. Rb-Raf-1 interaction disruptor RRD-251 induces apoptosis in metastatic melanoma cells and synergizes with dacarbazine. Mol Cancer Ther. 2010 Dec; 9(12): 3330–3341.

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

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