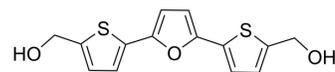


RITA

Cat. No.:	HY-13424		
CAS No.:	213261-59-7		
Molecular Formula:	C ₁₄ H ₁₂ O ₃ S ₂		
Molecular Weight:	292.37		
Target:	MDM-2/p53; Autophagy; DNA Alkylator/Crosslinker		
Pathway:	Apoptosis; Autophagy; Cell Cycle/DNA Damage		
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 : ≥ 100 mg/mL (342.03 mM)
 * "≥" means soluble, but saturation unknown.

Concentration	Mass		
	1 mg	5 mg	10 mg
1 mM	3.4203 mL	17.1016 mL	34.2032 mL
5 mM	0.6841 mL	3.4203 mL	6.8406 mL
10 mM	0.3420 mL	1.7102 mL	3.4203 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: ≥ 2.5 mg/mL (8.55 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: ≥ 2.5 mg/mL (8.55 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.5 mg/mL (8.55 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

RITA is an inhibitor of p53-HDM-2 interaction, binds to p53dN, with a K_d of 1.5 nM, and also induces DNA-DNA cross-links.

IC₅₀ & Target

K_d: 1.5 nM (p53dN)^[1]
 DNA Crosslinker^[2]

In Vitro

RITA inhibits p53-HDM-2 interaction, binding to p53dN, with a K_d of 1.5 nM. RITA (10 μM) blocks complex formation between

p53 and HDM-2 in HCT116 cells and HDFs and in NHF-ERMyC cells irrespective of c-Myc expression. RITA (0.5 μ M) reduces the viability of tumor cells in a wild-type p53-dependent manner. Moreover, RITA (0.1 μ M) induces p53-dependent apoptosis. RITA induces p53 but does not via DNA damage-signaling pathway^[1]. RITA (NSC 652287) induces DNA-DNA cross-links. RITA induces G2-M cell cycle arrest at 10 nM and causes apoptosis at 100 nM. RITA (100 nM) also elevates p53 and causes dose-dependent effects on p²¹WAF1 protein levels^[2]. RITA inhibits the growth of HeLa and CaSki cells, with IC₅₀s of 1 and 10 μ M. In addition, RITA (1 μ M) stabilizes p53 by inhibiting p53/E6AP interaction^[3].

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

In Vivo

RITA (0.1, 1 or 10 mg/kg, i.p.) shows potent antitumor activity in SCID mice bearing HCT116 and HCT116 TP53^{-/-} xenografts^[1]. RITA (10 mg/kg, i.p.) also suppresses the growth of HeLa cells in SCID mice^[3].

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

PROTOCOL

Cell Assay^[3]

For the cell viability assay, 3,000 cells per well are plated in a 96-well plate and treated with RITA for 48 h, after which cell viability is assessed with the proliferation reagent WST-1. For colony formation assay, cells are seeded in 12-well plates and treated with RITA for 24 h, after which the medium is replaced and the cells are allowed to grow for 10-14 d. The colonies are stained with crystal violet. For growth curves, 3000 cells/mL are plated in 12-well plates, treated with RITA, and counted over 5 d^[3].

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

Animal Administration^[1]

Mice^[1]

Female SCID mice, 4-6 weeks old, are implanted with subcutaneous xenografts using 1×10^6 cells in 90% Matrigel. Palpable tumors are established 3-6 d after the cells are injected, at which point RITA treatment is initiated. RITA is administered either 0.1, 1 or 10 mg/kg every day by intravenous or intraperitoneal injection in a total volume of 100 μ L phosphate buffered saline. Xenografts are measured every 2 d. Tumor volumes are plotted for control and treated groups by dividing the average tumor volume for each data point by average starting tumor volume^[1].

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

CUSTOMER VALIDATION

- J Cell Mol Med. 2018 Oct;22(10):4963-4974.
- J Mol Med (Berl). 2019 Aug;97(8):1183-1193.
- Virology. 2021 May 22.

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REFERENCES

- [1]. Issaeva N, et al. Small molecule RITA binds to p53, blocks p53-HDM-2 interaction and activates p53 function in tumors. Nat Med. 2004 Dec;10(12):1321-8. Epub 2004 Nov 21.
- [2]. Nieves-Neira W, et al. DNA protein cross-links produced by NSC 652287, a novel thiophene derivative active against human renal cancer cells. Mol Pharmacol. 1999 Sep;56(3):478-84.
- [3]. Zhao CY, et al. Rescue of p53 function by small-molecule RITA in cervical carcinoma by blocking E6-mediated degradation. Cancer Res. 2010 Apr 15;70(8):3372-81.

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

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