RITA

Cat. No.: HY-13424

CAS No.: 213261-59-7

Molecular Formula: $C_{14}H_{12}O_3S_2$

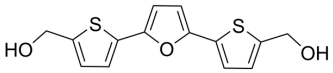
Molecular Weight: 292.37

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.

Preparing Stock Solutions

Solvent / Mass / Concentration	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

1. 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
2. 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
3. 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.

IC_{50} & Target

Kd: 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 μM) reduces the viability of tumor cells in a wild-type p53-dependent manner. Moreover, RITA (0.1 μM) 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 p^{21WAF1} protein levels[2]. RITA inhibits the growth of HeLa and CaSki cells, with IC_{50}s of 1 and 10 μM. In addition, RITA (1 μM) 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 μL 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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