

Product Data Sheet

Product Name: RITA (NSC 652287)

Cat. No.: GC12793

Chemical Properties

Cas. No. 213261-59-7

Chemical Name [5-[5-[5-(hydroxymethyl)thiophen-2-yl]furan-2-yl]thiophen-2-yl]methanol

SMILES C1=C(SC(=C1)C2=CC=C(O2)C3=CC=C(S3)CO)CO

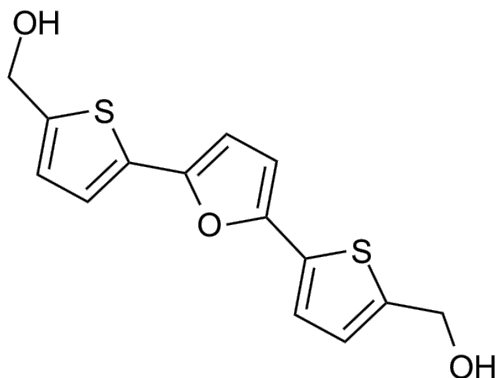
Formula $C_{14}H_{12}O_3S_2$ M.Wt 292.4

Solubility ≥ 14.6 mg/mL in DMSO, ≥ 9.84 mg/mL in EtOH with ultrasonic and warming
Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Cell lines	HCT116 p53 ^{+/+} and p53 ^{-/-} colorectal cancer cells, MCF-7 breast cancer cells, MDA-MB-231 breast cancer cells, U2OS osteosarcoma cells, RCC4 renal carcinoma cells
Preparation Method	Cells were maintained in Dulbecco's modified Eagle medium supplemented with 10% fetal calf serum. RITA (1-5μM) was dissolved in dimethyl sulfoxide (DMSO) and used to treat cells.
Reaction Conditions	1-5μM; 16-24 hours under normoxic (21% O ₂) or hypoxic (1% O ₂) conditions
Applications	RITA induced p53-dependent apoptosis in normoxia and hypoxia, as indicated by increased sub-G ₁ cell population and poly(ADP-ribose) polymerase (PARP) cleavage. RITA blocked hypoxia-inducible factor 1α (HIF-1α) and vascular endothelial growth factor (VEGF) expression in a p53-dependent manner. RITA also induced phosphorylation of eukaryotic initiation factor 2α (eIF-2α) on Ser51 and downregulated p53 target proteins including HDM2 and p21.

Animal experiment [2]:

Animal models	NMRI-nu/nu nude mice (immunodeficient nude mice)
Preparation Method	Rh36 rhabdomyosarcoma cells (3×10 ⁶ cells mixed with Matrigel) were injected subcutaneously into the right flank of mice. When tumor volume reached ≥0.150mL ³ , mice were treated with RITA (10mg/kg).
Dosage form	10mg/kg; i.p.; daily for 20 consecutive days

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Applications RITA suppressed rhabdomyosarcoma xenograft tumor growth.

References:

- [1] Yang J, Ahmed A, Poon E, et al. Small-molecule activation of p53 blocks hypoxia-inducible factor 1 α and vascular endothelial growth factor expression in vivo and leads to tumor cell apoptosis in normoxia and hypoxia. *Mol Cell Biol.* 2009 Apr;29(8):2243-53.
- [2] Azatyan A, Gallo-Oller G, Diao Y, et al. RITA downregulates Hedgehog-Gli in medulloblastoma and rhabdomyosarcoma via JNK-dependent but p53-independent mechanism. *Cancer Lett.* 2019 Feb 1;442:341-350.

Background

RITA (NSC 652287) is a tricyclic thiophene derivative that binds to p53dN ($K_d=1.5\text{nM}$) and blocks the p53-HDM-2 interaction, thereby inhibiting p53 ubiquitination. RITA can be used in research related to various cancers (such as colorectal cancer, renal cancer, cervical cancer, etc.)^[1-4].

In vitro, p53-positive tumor cells (e.g., HCT116, MCF-7) were treated with RITA (1–5 μM) for 16–24 hours. Under both normoxic and hypoxic conditions, RITA significantly induced p53-dependent apoptosis while downregulating the expression of hypoxia-inducible factor 1 α (HIF-1 α) and vascular endothelial growth factor (VEGF)^[5]. HCT116 ARID1A^{-/-} cells were treated with RITA (0.02–50 μM) for 72 hours. RITA significantly induced apoptosis, upregulated the expression of pro-apoptotic genes PUMA and NOXA, and downregulated p21 expression^[6].

In vivo, RITA (10mg/kg; once daily) was administered via intraperitoneal injection to BALB/c nude mice bearing HN4-cisR head and neck cancer xenografts for 35 days. RITA alone did not significantly inhibit tumor growth, but when combined with 3-MA, RITA significantly suppressed tumor growth^[7]. RITA (10mg/kg; once daily) was administered via intraperitoneal injection to NMRI-nu/nu nude mice bearing Rh36 rhabdomyosarcoma xenografts for 20 days. RITA significantly inhibited the growth of rhabdomyosarcoma xenograft tumors^[8].

References:

- [1] Issaeva N, Bozko P, Enge M, et al. Small molecule RITA binds to p53, blocks p53-HDM-2

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

- interaction and activates p53 function in tumors. Nat Med. 2004 Dec;10(12):1321-8.
- [2] Nieves-Neira W, Rivera MI, Kohlhagen G, 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, Szekely L, Bao W, 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. doi: 10.1158/0008-5472.CAN-09-2787. Erratum in: Cancer Res. 2017 Jul 1;77(13):3719.
- [4] Gottlieb A, Althoff K, Grunewald L, et al. RITA displays anti-tumor activity in medulloblastomas independent of TP53 status. Oncotarget. 2017 Apr 25;8(17):27882-27891.
- [5] Yang J, Ahmed A, Poon E, et al. Small-molecule activation of p53 blocks hypoxia-inducible factor 1alpha and vascular endothelial growth factor expression in vivo and leads to tumor cell apoptosis in normoxia and hypoxia. Mol Cell Biol. 2009 Apr;29(8):2243-53.
- [6] Wang Z, Zhang X, Luo Y, et al. Therapeutic targeting of ARID1A-deficient cancer cells with RITA (Reactivating p53 and inducing tumor apoptosis). Cell Death Dis. 2024 May 29;15(5):375.
- [7] Shin D, Kim EH, Lee J, et al. RITA plus 3-MA overcomes chemoresistance of head and neck cancer cells via dual inhibition of autophagy and antioxidant systems. Redox Biol. 2017 Oct;13:219-227.
- [8] Azatyan A, Gallo-Oller G, Diao Y, et al. RITA downregulates Hedgehog-Gli in medulloblastoma and rhabdomyosarcoma via JNK-dependent but p53-independent mechanism. Cancer Lett. 2019 Feb 1;442:341-350.

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA