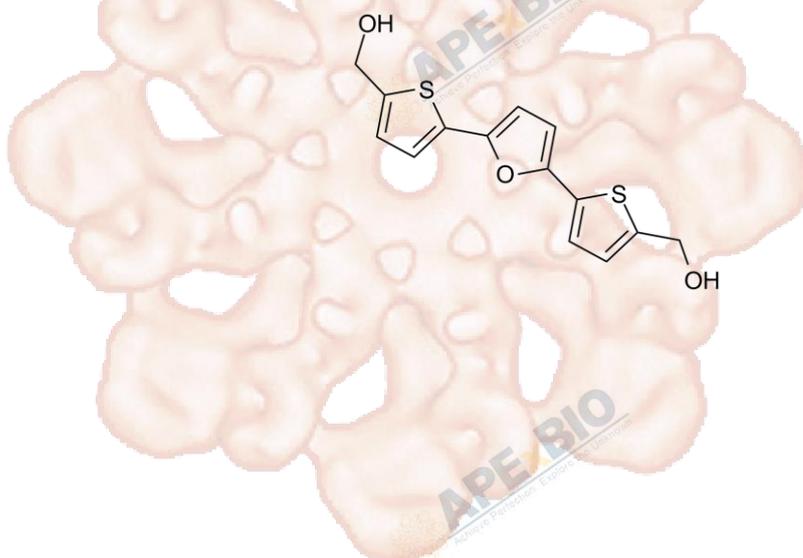


Product Data Sheet

RITA (NSC 652287)

Cat. No.:	A4202
CAS No.:	213261-59-7
Formula:	C ₁₄ H ₁₂ O ₃ S ₂
M.Wt:	292.4
Synonyms:	
Target:	Apoptosis
Pathway:	p53
Storage:	Store at -20°C



Solvent & Solubility

insoluble in H₂O; ≥14.6 mg/mL in DMSO; ≥9.84 mg/mL in EtOH with gentle warming and ultrasonic

In Vitro

Preparing Stock Solutions	Solvent		Mass		
	Concentration		1mg	5mg	10mg
	1 mM		3.4200 mL	17.0999 mL	34.1997 mL
	5 mM		0.6840 mL	3.4200 mL	6.8399 mL
	10 mM		0.3420 mL	1.7100 mL	3.4200 mL

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

Biological Activity

Shortsummary

Mdm2-p53 interaction and p53 ubiquitination blocking

IC₅₀ & Target

2 nM (DNA cross-links (A498 cells)), 20 nM (DNA cross-links (TK-10 cells))

In Vitro

Cell Viability Assay

Cell Line:	Human renal tumor cell lines
Preparation method:	The solubility of this compound in DMSO is >14.6mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	48-hr

	Applications:	NSC 652287 (48-hr) inhibited cell growth with the GI50 values of 10–60 nM in the tumor cell lines. The A-498 and TK-10 cell lines were particularly sensitive to NSC 652287-induced cytotoxicity with the IC50 values of 2 nM and 20 nM, respectively.
In Vivo	Animal experiment	
	Animal models:	Nude mice bearing A-498 tumor cell xenografts, HCT116 tumor xenografted mouse model
	Dosage form:	Intravenous injection, 7 hr apart, every 4 days for 12 days
	Applications:	NSC 652287 (44.5 mg/kg, 66.7 mg/kg, 100 mg/kg) resulted in complete tumor regression in 100% of the treated mice by the end of the third treatment period. Intraperitoneal administration of NSC 652287 was well tolerated in mice after, with no observable weight loss at doses up to 10 mg/kg during 1 month. NSC 652287 (0.1 mg/kg, five injections) suppressed the growth of the HCT116 tumors by 40%. NSC 652287 (1 or 10 mg/kg) showed strong antitumor activity.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

See more customer validations on www.apexbt.com.

References

- [1]. Rivera M I, Stinson S F, Vistica D T, et al. Selective toxicity of the tricyclic thiophene NSC 652287 in renal carcinoma cell lines: differential accumulation and metabolism[J]. Biochemical pharmacology, 1999, 57(11): 1283-1295.
- [2]. Issaeva N, Bozko P, Enge M, et al. Small molecule RITA binds to p53, blocks p53-HDM-2 interaction and activates p53 function in tumors[J]. Nature medicine, 2004, 10(12): 1321.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APEX BIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.



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