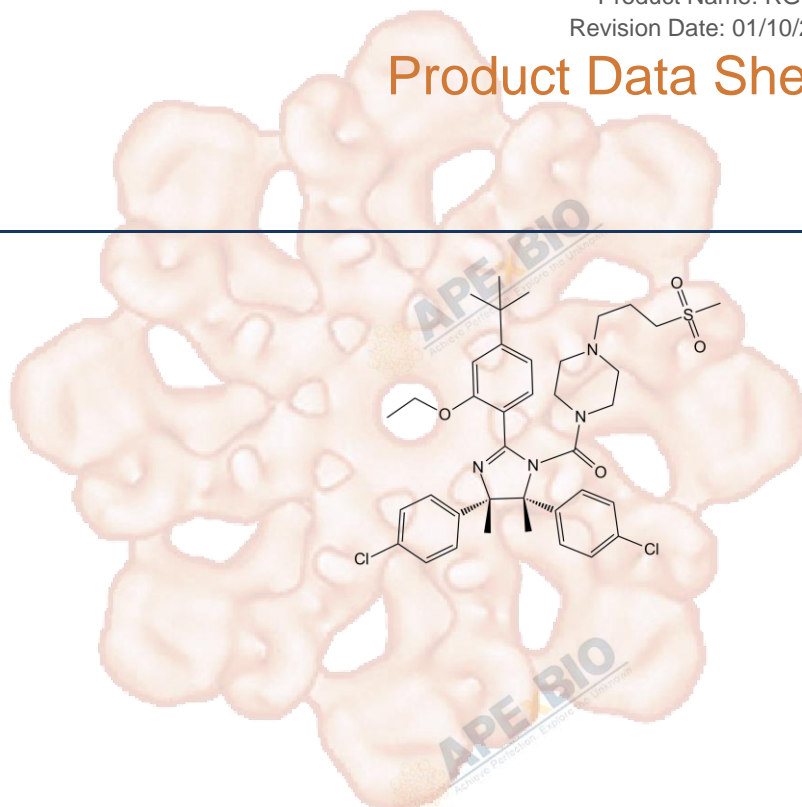


Product Data Sheet

RG7112

Cat. No.:	A3762
CAS No.:	939981-39-2
Formula:	C38H48Cl2N4O4S
M.Wt:	727.78
Synonyms:	RG-7112;RG 7112
Target:	Apoptosis
Pathway:	MDM2
Storage:	Store at -20°C



Solvent & Solubility

≥36.4 mg/mL in DMSO; insoluble in H₂O; ≥31.87 mg/mL in EtOH

In Vitro

Preparing Stock Solutions	Solvent	Mass		
		1mg	5mg	10mg
	Concentration			
	1 mM	1.3740 mL	6.8702 mL	13.7404 mL
	5 mM	0.2748 mL	1.3740 mL	2.7481 mL
	10 mM	0.1374 mL	0.6870 mL	1.3740 mL

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

Biological Activity

Shortsummary

MDM2 inhibitor, first clinical

IC₅₀ & Target

In Vitro

Cell Viability Assay

Cell Line:	SJSA1 osteosarcoma cells
Preparation method:	The solubility of this compound in DMSO is >10 mM. 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:	24 h; 10 μM

	Applications:	Treatment of cultured cancer cells with RG7112 led to concentration-dependent accumulation of p53 protein and its transcriptional targets, p21 and MDM2. RG7112 dose dependently inhibited the growth and killed SJSA1 osteosarcoma cells expressing high-levels of MDM2 protein due to MDM2 gene amplification
In Vivo	Animal experiment	
	Animal models:	Female Balb/c nude mice
	Dosage form:	200 mg/kg; oral taken
	Applications:	Pharmacodynamic effects of RG7112 were assessed in the SJSA1 xenograft model. To assess the ability of RG7112 to activate p53 response in vivo, SJSA1 tumor-bearing mice were treated with a single dose of vehicle or 50 to 200 mg/kg RG7112 for 4 to 24 hours. Western blot analysis showed a dose-dependent increase in p53 protein and its targets, p21 and MDM2. The p53 protein levels were highest at 4 hours after dose and continue to persist at 24 hours at the highest dose level (200 mg/kg), whereas the duration of p53 modulation was shorter at lower dose levels.
	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

1. Her NG, Oh JW, et al. "Potent effect of the MDM2 inhibitor AMG232 on suppression of glioblastoma stem cells." Cell Death Dis. 2018 Jul 18;9(8):792.PMID:30022047
2. Miyazaki M, Otomo R, et al. "The p53 activator overcomes resistance to ALK inhibitors by regulating p53-target selectivity in ALK-driven neuroblastomas." Cell Death Discov. 2018 May 10;4:56.PMID:29760954
3. Chen R, Zhou J, et al. "A Fusion Protein of the p53 Transcription Domain and the p53-Binding Domain of the Oncoprotein MdmX as an Efficient System for High-Throughput Screening of MdmX Inhibitors." Biochemistry. 2017 Jun 27;56(25):3273-3282.PMID:28581721
4. Makii C, Oda K, et al. "MDM2 is a potential therapeutic target and prognostic factor for ovarian clear cell carcinomas with wild type TP53." Oncotarget. 2016 Nov 15;7(46):75328-75338.PMID:27659536
5. Kwon DH, Eom GH, et al. "MDM2 E3 ligase-mediated ubiquitination and degradation of HDAC1 in vascular calcification." Nat Commun. 2016 Feb 1;7:10492.PMID:26832969

See more customer validations on www.apexbt.com.

References

- [1] Tovar C, Graves B, Packman K, et al. MDM2 small-molecule antagonist RG7112 activates p53 signaling and regresses human tumors in preclinical cancer models[J]. Cancer research, 2013, 73(8): 2587-2597.

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. Shortterm 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.

APEX[®]BIO Technology

www.apexbt.com

7505 Fannin street, Suite 410, Houston, TX 77054.

Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com

