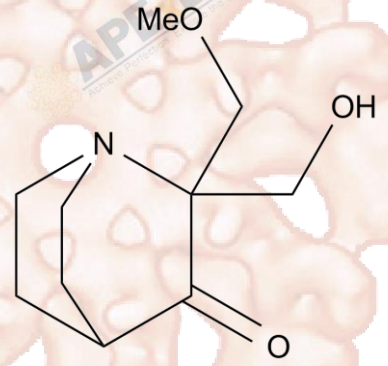
Product Data Sheet

PRIMA-1MET

Cat. No.:	A4484
CAS No.:	5291-32-7
Formula:	C10H17NO3
M.Wt:	199.25
Synonyms:	APR-246
Target:	Apoptosis
Pathway:	p53
Storage:	Store at 4°C



Solvent & Solubility

In Vitro

⩾102 mg/mL in EtOH with ultrasonic; ⩾104.2 mg/mL in H2O; ⩾19.9 mg/mL in DMSO

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	5.0188 mL	25.0941 mL	50.1882 mL
5 mM	1.0038 mL	5.0188 mL	10.0376 mL
10 mM	0.5019 mL	2.5094 mL	5.0188 mL

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

Biological Activity

Shortsummary	Restore mutant p53 activity, induce BAX and PUMA
IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	Human myeloma cell lines(XG6, OPM2, JJN3)
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:	72 h; LD50=~37 μM.

	Applications:	PRIMA-1MET was tested using 3 HMCLs that expressed either a wild-type protein (XG6), or a TP53R175H mutant protein previously reported to be reactivated by PRIMA-1Met (OPM2), or no p53 protein (JJN3). PRIMA-1Met (LD50 value) did not induce p21 expression but did induce strong expression of Noxa in HMCLs, regardless of the p53 expression or status. Of note, the expression of p53 either mutated or wild-type, became undetectable after PRIMA-1Met treatment. PRIMA-1Met induced apoptosis as revealed by the cleavage of caspases 2 and 3, and PARP.
In Vivo	**Animal experiment**	
	Animal models:	Female SCID beige 7-week-old mice
	Dosage form:	8 mg/kg; intravenous injection
	Applications:	SCID-beige mice bearing JJN3 tumor cells received either no treatment (control), or PRIMA-1Met (18 mg/kg, intravenous injection), or BSO (10 mM, drinking water) or the combination of BSO and PRIMA-1Met. Treatments were performed daily for 4 days, stopped for 2 days and performed again for another 4 days. Mice were then sacrificed at Day 16 because control and BSO-treated tumors exceeded the authorized tumor load. Body weight was not significantly affected by any treatments. PRIMA-1Met significantly impaired tumor growth ($p<0.001$) and its combination with BSO further inhibited tumor growth ($p<0.05$).
	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] Tessoulin B, Descamps G, Moreau P, et al. PRIMA-1Met induces myeloma cell death independently of p53 by impairing the GSH/ROS balance[J]. Blood, 2014.

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 APExBIO 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.

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