

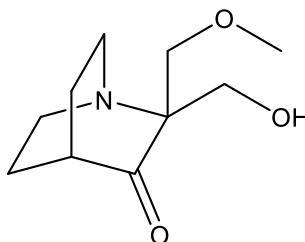
Catalog # 10-4175

PRIMA-1^{MET}

CAS# 5291-32-7

2-(Hydroxymethyl)-2-(methoxymethyl)-1-azabicyclo[2.2.2]octan-3-one; APR-246

Lot # FBS1102



PRIMA-1^{MET} reactivates mutant p53, an important tumor suppressor gene, in cancer cells.¹ It induces p53-dependent mitochondrial apoptosis *via* activation of caspase-2.² PRIMA-1^{MET} showed significant antitumor activity in multiple myeloma *via* activation of p73³ and had previously been shown to target p53 family members p63 and p73⁴. Tumor cell death by PRIMA-1^{MET} has been also shown to be caused by glutathione depletion and induced ROS production in a p53 independent manner.⁵⁻⁷ PRIMA-1^{MET} probably induces tumor cell death by both reactivating mutant p53 and inhibiting cellular thiol-dependent redox systems.⁸

- 1) Bykov *et al.* (2005), *PRIMA-1^{MET} synergizes with cisplatin to induce tumor cell apoptosis*; *Oncogene* **24** 3484
- 2) Shen *et al.* (2008), *PRIMA-1MET induces mitochondrial apoptosis through activation of caspase-2*; *Oncogene* **27** 6571
- 3) Saha *et al.* (2013), *PRIMA-1MET/APR-246 displays high antitumor in multiple myeloma by induction of p73 and Noxa*; *Mol.Cancer Ther.* **12** 2331
- 4) Rokaeus *et al.* (2010), *PRIMA-1(MET)/APR-246 targets mutant forms of p53 family members p63 and p73*; *Oncogene* **29** 6442
- 5) Tessoulin *et al.* (2014), *PRIMA-1Met induces myeloma cell death independent of p53 by impairing GSH/ROS balance*; *Blood* **124** 1626
- 6) Liu *et al.* (2017), *Inhibiting the system x_c⁻/glutathione axis selectively targets cancers with mutant-p53 accumulation*; *Nat.Commun.* **28** 14844
- 7) Synnott *et al.* (2018), *The Mutant p53-Targeting Compound APR-246 Induces ROS-modulating Genes in Breast Cancer Cells*; *Transl.Oncol.* **11** 1343
- 8) Haffo *et al.* (2018), *Inhibition of the glutaredoxin and thioredoxin systems and ribonucleotide reductase by mutant p53-targeting compound APR-246*; *Oncogene* **24** 3484

PHYSICAL DATA

Molecular Weight:	199.25
Molecular Formula:	C ₁₀ H ₁₇ NO ₃
Purity:	>95%
	NMR: (Conforms)
Solubility:	Soluble in DMSO (20 mg/ml)
Physical Description:	White solid
Storage and Stability:	Store as supplied at -20°C for up to 1 year from the date of purchase. Store solutions at -20°C for up to 1 month.

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