

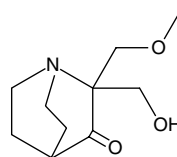
Product Information



PRIMA-1^{MET}

Item No. 9000487

CAS Registry No.: 5291-32-7
Formal Name: 2-(hydroxymethyl)-2-(methoxymethyl)-1-azabicyclo[2.2.2]octan-3-one
Synonym: APR 246
MF: C₁₀H₁₇NO₃
FW: 199.3
Purity: ≥95%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid



Laboratory Procedures

For long term storage, we suggest that PRIMA-1^{MET} be stored as supplied at -20°C. It should be stable for at least two years.

PRIMA-1^{MET} is supplied as a crystalline solid. A stock solution may be made by dissolving the PRIMA-1^{MET} in the solvent of choice. PRIMA-1^{MET} is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of PRIMA-1^{MET} in these solvents is approximately 25, 20, and 30 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of PRIMA-1^{MET} can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of PRIMA-1^{MET} in PBS, pH 7.2, is approximately 5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Mutations in the p53 tumor suppressor are found in many different neoplastic cells. PRIMA-1 (Item No. 63520) is a unique anti-oncogenic substance that re-activates the apoptotic function of mutant p53 *via* conformational modulation of function-specific epitopes.^{1,2} PRIMA-1^{MET} is a methylated derivative of PRIMA-1 that restores tumor-suppressor function to mutant p53 and induces cell death in various cancer cell lines.³ PRIMA-1^{MET} can synergize with chemotherapeutic drugs to induce tumor cell apoptosis.⁴ At 25-50 μM, it can also dose-dependently inhibit thioredoxin reductase, a key regulator of cellular redox balance.⁵

References

1. Bykov, V.J.N., Issaeva, N., Shilov, A., *et al.* Restoration of the tumor suppressor function to mutant p53 by a low-molecular-weight compound. *Nat. Med.* **8**(3), 282-288 (2002).
2. Lane, D.P. and Hupp, T.R. Drug discovery and p53. *Drug Discov. Today* **8**(8), 347-355 (2003).
3. Aryee, D.N., Niedan, S., Ban, J., *et al.* Variability in functional p53 reactivation by PRIMA-1^{MET}/APR-246 in Ewing sarcoma. *Br. J. Cancer* **109**(10), 2696-2704 (2013).
4. Bykov, V.J., Zache, N., Stridh, H., *et al.* PRIMA-1^{MET} synergizes with cisplatin to induce tumor cell apoptosis. *Oncogene* **24**(21), 3484-3491 (2005).
5. Peng, X., Zhang, M.Q., Conserva, F., *et al.* APR-246/PRIMA-1^{MET} inhibits thioredoxin reductase 1 and converts the enzyme to a dedicated NADPH oxidase. *Cell Death Dis.* **4**, e881 (2013).

Related Products

For a list of related products please visit: www.caymanchem.com/catalog/9000487

WARNING: THIS PRODUCT IS FOR LABORATORY RESEARCH ONLY: NOT FOR ADMINISTRATION TO HUMANS. NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until information to the contrary becomes available. Do not ingest, swallow, or inhale. Do not get in eyes, on skin, or on clothing. Wash thoroughly after handling. This information contains some, but not all, of the information required for the safe and proper use of this material. Before use, the user must review the complete Safety Data Sheet, which has been sent *via* email to your institution.

WARRANTY AND LIMITATION OF REMEDY

Cayman Chemical Company makes **no warranty or guarantee** of any kind, whether written or oral, expressed or implied, including without limitation, any warranty of fitness for a particular purpose, suitability and merchantability, which extends beyond the description of the chemicals hereof. Cayman **warrants only** to the original customer that the material will **meet our specifications at the time of delivery**.

Cayman will carry out its delivery obligations with due care and skill. Thus, in no event will Cayman have **any obligation or liability**, whether in tort (including negligence) or in contract, for any direct, indirect, incidental or consequential damages, even if Cayman is informed about their possible existence.

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