

Product Information

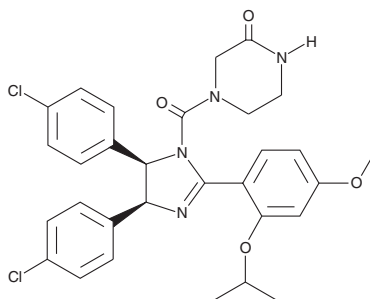


(±)-Nutlin-3

Item No. 10004372

Sold under license from Hoffman-La Roche

CAS Registry No.: 548472-68-0
Formal Name: (±)-4-[4,5-bis-(4-chlorophenyl)-2-(2-isopropoxy-4-methoxy-phenyl)-4,5-dihydro-imidazole-1-carbonyl]-piperazin-2-one
Synonyms: (±)-Nutlin-3
MF: C₃₀H₃₀Cl₂N₄O₄
FW: 581.5
Purity: ≥98%
Stability: ≥1 year at -20°C
Supplied as: A crystalline solid



Laboratory Procedures

For long term storage, we suggest that nutlin-3 be stored as supplied at -20°C. It should be stable for at least one year.

Nutlin-3 is supplied as a crystalline solid. A stock solution may be made by dissolving the nutlin-3 in the solvent of choice. Nutlin-3 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of nutlin-3 in these solvents is approximately 25 mg/ml.

Nutlin-3 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, nutlin-3 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. Nutlin-3 has a solubility of approximately 0.1 mg/ml in a 1:10 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

The protein p53, often called the “guardian of the genome,” is a transcription factor that is activated in response to cellular stress (low oxygen levels, heat shock, DNA damage, etc.) and acts to prevent further proliferation of the stressed cell by promoting cell cycle arrest or apoptosis.^{1,2} Its role as a tumor suppressor is evident by the observation that approximately 50% of human tumors have mutated or non-functional p53. Mdm2, a key negative regulator of p53 which is overexpressed in many human tumors, functions by binding to and targeting p53 for proteosomal degradation. Nutlin-3 inhibits p53-Mdm2 interaction with an IC₅₀ of 0.09 μM.³ It induces the expression of p53-regulated genes and exhibits potent antiproliferative activity in cells with functional p53, but not in cells with mutated p53. Nutlin-3 also inhibits the growth of human tumor xenografts in nude mice by 90% at a dose of 200 mg/kg.³

References

1. El-Deiry, W.S. The p53 pathway and cancer therapy. *Cancer Journal* **11**, 229-236 (1998).
2. Lane, D.P. and Hupp, T.R. Drug discovery and p53. *Drug Discovery Today* **8(8)**, 347-355 (2003).
3. Vassilev, L.T., Vu, B.T., Graves, B., *et al.* *In vivo* activation of the p53 pathway by small-molecule antagonists of MDM2. *Science* **303**, 844-848 (2004).

Related Products

Pifithrin-μ - Item No. 10748 • (-)-Nutlin-3 - Item No. 18585 • PRIMA-1 - Item No. 63520 • Hepsin Polyclonal Antibody - Affinity-Purified (aa 241-260) - Item No. 100022 • β-Catenin Polyclonal Antibody - Item No. 100029 • (+)-Nutlin-3 - Item No. 10009816

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

MATERIAL 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 Material 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.

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