

PRODUCT INFORMATION

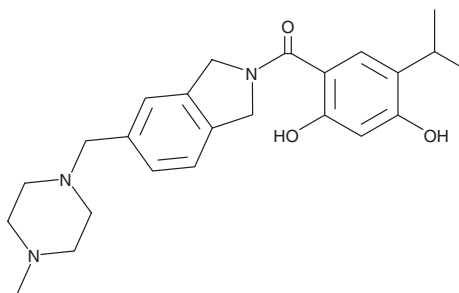


Onalespib

Item No. 10655

CAS Registry No.: 912999-49-6
Formal Name: [1,3-dihydro-5-[(4-methyl-1-piperazinyl)methyl]-2H-isoindol-2-yl][2,4-dihydroxy-5-(1-methylethyl)phenyl]-methanone

Synonym: AT-13387
MF: C₂₄H₃₁N₃O₃
FW: 409.5
Purity: ≥98%
UV/Vis.: λ_{max}: 259, 293 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Onalespib is supplied as a crystalline solid. A stock solution may be made by dissolving the onalespib in the solvent of choice. Onalespib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of onalespib in these solvents is approximately 10, 20, and 30 mg/ml, respectively.

Onalespib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, onalespib should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Onalespib has a solubility of approximately 0.16 mg/ml in a 1:5 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Onalespib is a selective inhibitor of Hsp90 (IC₅₀ = 18 nM).¹ It induces the degradation of specific Hsp90 client proteins, including mutant EGFR, for up to seven days in tumor cell lines *in vitro* and up to three days *in vivo*.^{1,2} Onalespib is retained in tumor xenografts and is efficacious in a range of xenograft models.²

References

1. Woodhead, A.J., Angove, H., Carr, M.G., *et al.* Discovery of (2,4-dihydroxy-5-isopropylphenyl)-[5-(4-methylpiperazin-1-ylmethyl)-1,3-dihydroisoindol-2-yl]methanone (AT13387), a novel inhibitor of the molecular chaperone Hsp90 by fragment based drug design. *J. Med. Chem.* **53**, 5956-5969 (2010).
2. Graham, B., Curry, J., Smyth, T., *et al.* The heat shock protein 90 inhibitor, AT13387, displays a long duration of action *in vitro* and *in vivo* in non-small cell lung cancer. *Cancer Sci.* **103**(3), 522-527 (2012).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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