

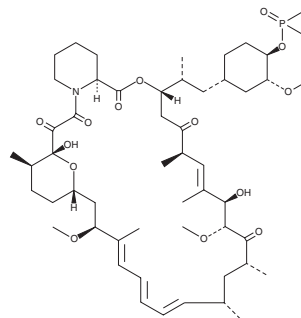
PRODUCT INFORMATION



MK-8669

Item No. 10543

CAS Registry No.: 572924-54-0
Formal Name: 42-(dimethylphosphinate)rapamycin
Synonyms: AP23573, Deforolimus, Ridaforolimus
MF: $C_{53}H_{84}NO_{14}P$
FW: 990.2
Purity: $\geq 95\%$
UV/Vis.: λ_{max} : 204, 267, 277, 289 nm
Supplied as: A crystalline solid
Storage: $-20^{\circ}C$
Stability: ≥ 4 years



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

Laboratory Procedures

MK-8669 is supplied as a crystalline solid. A stock solution may be made by dissolving the MK-8669 in the solvent of choice. MK-8669 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of MK-8669 in these solvents is approximately 5, 20, and 30 mg/ml, respectively.

MK-8669 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, MK-8669 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. MK-8669 has a solubility of approximately 0.33 mg/ml in a 1:2 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

MK-8669 is a rapamycin analog that selectively inhibits mTOR ($IC_{50} = 0.2$ nM). Like rapamycin, MK-8669 binds FKBP12 to form a complex that associates with the FKBP-rapamycin binding domain on mTOR and allosterically inhibits mTORC1 kinase activity.¹ MK-8669 is more water soluble than rapamycin and is amenable to oral or intravenous administration. Formulations containing rapalogs, including MK-8669, have promise against a subset of cancers, including advanced kidney cancer.¹ MK-8669 is also being examined for effectiveness against cancers when used in combination with other chemotherapeutic compounds.²⁻⁴

References

1. Benjamin, D., Colombi, M., Moroni, C., *et al.* Rapamycin passes the torch: A new generation of mTOR inhibitors. *Nat. Rev. Drug Discov.* **10(11)**, 868-880 (2011).
2. Becker, M.A., Hou, X., Tienchaianada, P., *et al.* Ridaforolimus (MK-8669) synergizes with dalotuzumab (MK-0646) in hormone-sensitive breast cancer. *BMC Cancer* **16(1)**, 814 (2016).
3. Hernandez, S.F., Chisholm, S., Borger, D., *et al.* Ridaforolimus improves the anti-tumor activity of dual HER2 blockade in uterine serous carcinoma *in vivo* models with HER2 gene amplification and PIK3CA mutation. *Gynecol. Oncol.* **141(3)**, 570-579 (2016).
4. Lamhamedi-Cherradi, S.-E., Menegaz, B.A., Ramamoorthy, V., *et al.* IGF-1R and mTOR blockade: Novel resistance mechanisms and synergistic drug combinations for Ewing sarcoma. *J. Natl. Cancer Inst.* **108(12)**, (2016).

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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