

PRODUCT INFORMATION



GDC-0980

Item No. 18303

CAS Registry No.: 1032754-93-0
Formal Name: (2S)-1-[4-[[2-(2-amino-5-pyrimidinyl)-7-methyl-4-(4-morpholinyl)thieno[3,2-d]pyrimidin-6-yl]methyl]-1-piperazinyl]-2-hydroxy-1-propanone

Synonyms: Apatolisib, RG7422

MF: C₂₃H₃₀N₈O₃S

FW: 498.6

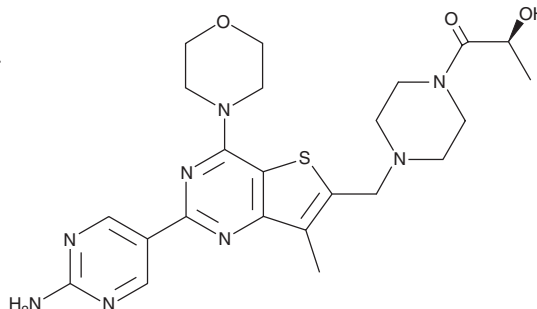
Purity: ≥98%

UV/Vis.: λ_{max}: 205, 271 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

GDC-0980 is supplied as a crystalline solid. A stock solution may be made by dissolving the GDC-0980 in the solvent of choice, which should be purged with an inert gas. GDC-0980 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of GDC-0980 in these solvents is approximately 25 mg/ml.

GDC-0980 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GDC-0980 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. GDC-0980 has a solubility of approximately 0.15 mg/ml in a 1:5 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

GDC-0980 is a potent inhibitor of class I phosphatidylinositol 3-kinase (PI3K) isoforms, with IC₅₀ values of 5, 27, 7, and 14 nM for PI3Kα, β, δ, and γ, respectively, in cell-free assays.^{1,2} It also inhibits mTOR (K_i = 17 nM) but is inactive against a large panel of additional kinases.^{1,2} GDC-0980 induces cell cycle arrest or apoptosis in a range of cancer cell lines.² It is effective *in vivo*, suppressing the growth of a number of tumor xenografts in mice and enhancing the antitumor activity of docetaxel (Item No. 11637) in mice.²

References

1. Sutherland, D.P., Bao, L., Berry, M., *et al.* Discovery of a potent, selective, and orally available class I phosphatidylinositol 3-kinase (PI3K)/mammalian target of rapamycin (mTOR) kinase inhibitor (GDC-0980) for the treatment of cancer. *J. Med. Chem.* **54**(21), 7579-7587 (2011).
2. Wallin, J.J., Edgar, K.A., Guan, J., *et al.* GDC-0980 is a novel class I PI3K/mTOR kinase inhibitor with robust activity in cancer models driven by the PI3K pathway. *Mol. Cancer Ther.* **10**(12), 2426-2436 (2011).

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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