

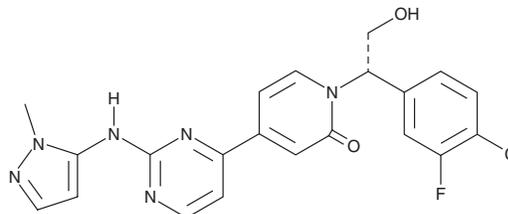
PRODUCT INFORMATION



GDC-0994

Item No. 21107

CAS Registry No.: 1453848-26-4
Formal Name: 1-[(1S)-1-(4-chloro-3-fluorophenyl)-2-hydroxyethyl]-4-[2-[(1-methyl-1H-pyrazol-5-yl)amino]-4-pyrimidinyl]-2(1H)-pyridinone
Synonym: RG-7842
MF: C₂₁H₁₈ClFN₆O₂
FW: 440.9
Purity: ≥98%
UV/Vis.: λ_{max}: 220, 332 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-0994 is supplied as a crystalline solid. A stock solution may be made by dissolving the GDC-0994 in the solvent of choice. GDC-0994 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of GDC-0994 in these solvents is approximately 30 mg/ml.

GDC-0994 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GDC-0994 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. GDC-0994 has a solubility of approximately 0.10 mg/ml in a 1:9 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-0994 is a potent and selective ERK1 and ERK2 inhibitor with IC₅₀ values of 6.1 and 4.1 nM, respectively.¹ It inhibits ERK-dependent p90RSK serine 380 phosphorylation in PMA-stimulated HepG2 cells with an IC₅₀ value of 12 nM. GDC-0994 inhibited growth of HCT116 human colorectal cancer xenograft tumors by 49%, 57%, and 80% at 30, 60, and 100 mg/kg, respectively, when administered orally once daily for 21 days.¹

Reference

1. Blake, J.F., Burkard, M.E., Chan, J., *et al.* Discovery of (S)-1-(1-(4-Chloro-3-fluorophenyl)-2-hydroxyethyl)-4-(2-((1-methyl-1H-pyrazol-5-yl)amino)pyrimidin-4-yl)pyridin-2(1H)-one (GDC-0994), an extracellular signal-regulated kinase 1/2 (ERK1/2) inhibitor in early clinical development. *J. Med. Chem.* **59**(12), 5650-5660 (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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