

PRODUCT INFORMATION



SCH 772984

Item No. 19166

CAS Registry No.: 942183-80-4
Formal Name: (3R)-1-[2-oxo-2-[4-[4-(2-pyrimidinyl)phenyl]-1-piperazinyl]ethyl]-N-[3-(4-pyridinyl)-1H-indazol-5-yl]-3-pyrrolidinecarboxamide

MF: C₃₃H₃₃N₉O₂

FW: 587.7

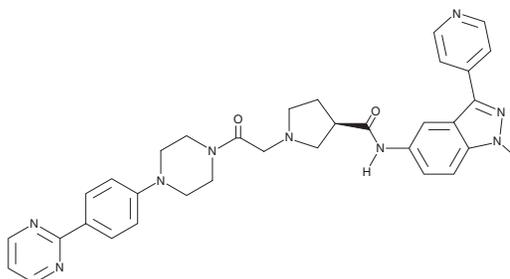
Purity: ≥95%

UV/Vis.: λ_{max}: 233, 323 nm

Supplied as: A crystalline solid

Storage: -20°C

Stability: As supplied, 2 years from the QC date provided on the Certificate of Analysis, when stored properly



Laboratory Procedures

SCH 772984 is supplied as a crystalline solid. A stock solution may be made by dissolving the SCH 772984 in the solvent of choice. SCH 772984 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of SCH 772984 in these solvents is approximately 1 and 2 mg/ml, respectively.

SCH 772984 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, SCH 772984 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. SCH 772984 has a solubility of approximately 0.1 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

The extracellular regulated kinases 1 and 2 (ERK1/2) are functionally redundant kinases activated by a variety of growth factors and mitogens.¹ SCH 772984 is a potent inhibitor of ERK1 and ERK2 (IC₅₀s = 4 and 1 nM, respectively).² It is highly selective, with only seven kinases of 300 tested showing more than 50% inhibition at a concentration of 1 μM. SCH 772984 has nanomolar cytotoxicity in tumor cells with mutations in BRAF, NRAS, or KRAS.² It is effective *in vivo*, inducing regression of xenograft tumors in mice. SCH 772984 displays slow binding kinetics, binding to a novel binding pocket in inactive ERK isoforms.³

References

1. Seger, R. and Krebs, E.G. The MAPK signaling cascade. *FASEB J.* **9**, 726-735 (1995).
2. Morris, E.J., Jha, S., Restaino, C.R., *et al.* Discovery of a novel ERK inhibitor with activity in models of acquired resistance to BRAF and MEK inhibitors. *Cancer Discov.* **3**(7), 742-750 (2013).
3. Cahikaud, A., Tacconi, E., Zimmer, J., *et al.* A unique inhibitor binding site in ERK1/2 is associated with slow binding kinetics. *Nat. Chem. Biol.* **10**(10), 853-860 (2014).

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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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD

ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897

[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM

WWW.CAYMANCHEM.COM