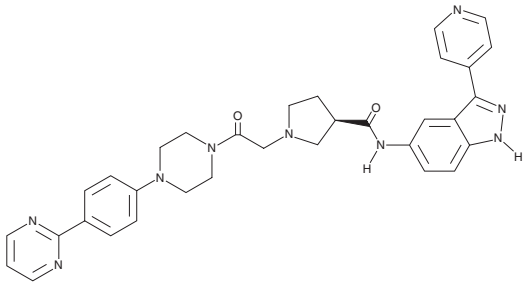
# PRODUCT INFORMATION

## 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:** $C_{33}H_{33}N_9O_2$
**FW:** 587.7
**Purity:** ≥95%
**UV/Vis.:** $\lambda_{max}$: 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 ($IC_{50}$s = 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).





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