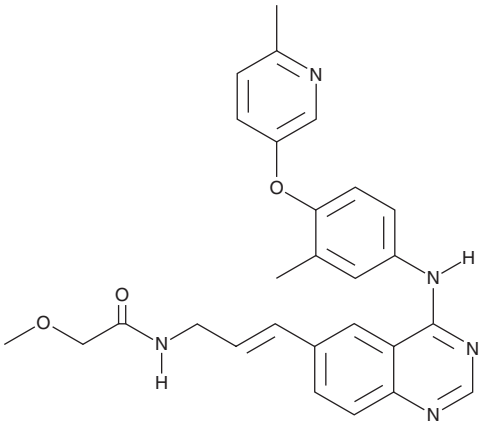
PRODUCT INFORMATION

CP 724,714

Item No. 19172



CAS Registry No.:	383432-38-0
Formal Name:	2-methoxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propen-1-yl]-acetamide
MF:	$C_{27}H_{27}N_5O_3$
FW:	469.5
Purity:	≥95%
UV/Vis.:	λ_{max}: 243, 317, 347 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

CP 724,714 is supplied as a crystalline solid. A stock solution may be made by dissolving the CP 724,714 in the solvent of choice. CP 724,714 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of CP 724,714 in ethanol is approximately 2 mg/ml and approximately 3 mg/ml in DMSO and DMF.

CP 724,714 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CP 724,714 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. CP 724,714 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

CP 724,714 is a selective inhibitor of HER2/ErbB2 with an IC_{50} value of 10 nM.[1] It demonstrates greater than 640-fold selectivity against EGFR, InsR, IRG-1R, PDGFR, VEGFR2, Abl, Src, and c-Met.[1] CP 724,714 has been shown to inhibit the proliferation of ErbB2-amplified cells, including BT474 and SK-BR-3 with IC_{50} values of 0.25 and 0.95 µM, respectively.[1] It also demonstrates antitumor activity in various human tumor xenograft models.[1] However, CP 724,714 was discontinued from clinical development due to hepatotoxicity caused by its ability to inhibit hepatic efflux transporters at low micromolar concentrations.[2]

References

1. Jani, J.P., Finn, R.S., Campbell, M., *et al.* Discovery and pharmacologic characterization of CP-724,714, a selective ErbB2 tyrosine kinase inhibitor. *Cancer Res.* **67(20)**, 9887-9893 (2007).
2. Feng, B., Xu, J.J., Bi, Y.-A., *et al.* Role of hepatic transporters in the disposition and hepatotoxicity of a HER2 tyrosine kinase inhibitor CP-724,714. *Toxicol. Sci.* **108(2)**, 492-500 (2009).

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