

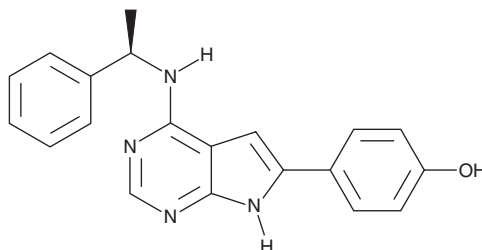
PRODUCT INFORMATION



PKI-166

Item No. 21896

CAS Registry No.: 187724-61-4
Formal Name: 4-[4-[[[(1R)-1-phenylethyl]amino]-7H-pyrrolo[2,3-d]pyrimidin-6-yl]-phenol
MF: C₂₀H₁₈N₄O
FW: 330.4
Purity: ≥98%
UV/Vis.: λ_{max}: 245, 326 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

PKI-166 is supplied as a crystalline solid. A stock solution may be made by dissolving the PKI-166 in the solvent of choice, which should be purged with an inert gas. PKI-166 is soluble in the organic solvent DMSO.

Description

PKI-166 is an ATP-competitive inhibitor of the EGF receptor (EGFR; IC₅₀ = 0.0007 μM for the intracellular kinase domain).¹ It is selective for the EGFR intracellular kinase domain over the serine/threonine kinases PKCα and Cdc2/cyclin B (IC₅₀s = >100 and 78 μM, respectively), as well as FLK, c-Met, and Tek (IC₅₀ = >1 μM for all), but does inhibit c-Src, c-Abl, VEGFR2/KDR, FLT1, and c-Kit (IC₅₀s = 0.103, 0.028, 0.327, 0.962, and 2.21 μM, respectively). PKI-166 prevents phosphorylation of EGFR induced by EGF in L3.6pl pancreatic cancer cells in a concentration-dependent manner. It enhances the cytotoxicity of gemcitabine (Item No. 11690) in L3.6pl cells and reduces tumor growth and metastasis and increases survival in an L3.6pl mouse xenograft model when administered alone at a dose of 100 mg/kg per day with additive effects on these parameters when administered in combination with gemcitabine. PKI-166 also reduces tumor growth and inhibits angiogenesis in an SN12-PM6 human renal cell carcinoma mouse orthotopic model.

Reference

1. Bruns, C.J., Solorzano, C.C., Harbison, M.T., *et al.* Blockade of the epidermal growth factor receptor signaling by a novel tyrosine kinase inhibitor leads to apoptosis of endothelial cells and therapy of human pancreatic carcinoma. *Cancer Res.* **60(11)**, 2926-2935 (2000).

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.

WARRANTY AND LIMITATION OF REMEDY

Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

Copyright Cayman Chemical Company, 10/17/2022

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