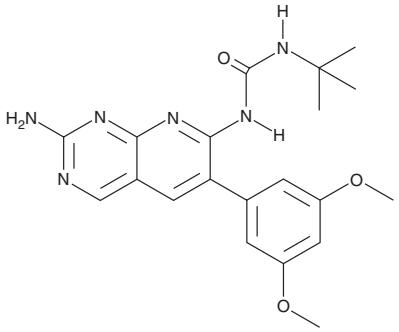
PRODUCT INFORMATION

PD 166866

Item No. 22464

CAS Registry No.:	192705-79-6
Formal Name:	N-[2-amino-6-(3,5-dimethoxyphenyl) pyrido[2,3-d]pyrimidin-7-yl]-N'-(1,1-dimethylethyl)-urea
MF:	$C_{20}H_{24}N_6O_3$
FW:	396.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 232, 365 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

PD 166866 is supplied as a crystalline solid. A stock solution may be made by dissolving the PD 166866 in the solvent of choice, which should be purged with an inert gas. PD 166866 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of PD 166866 in ethanol is approximately 0.1 mg/ml and approximately 2 mg/ml in DMSO and DMF.

PD 166866 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PD 166866 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. PD 166866 has a solubility of approximately 0.14 mg/ml in a 1:6 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

PD 166866 is a potent inhibitor of fibroblast growth factor receptor 1 (FGFR1; IC_{50} = 52.4 nM; K_i = 45.2 nM).[1] It is selective for FGFR1 over PDGFR, EGFR, C-SRC, MEK, PKC, insulin receptor tyrosine kinase, and CDK4 (IC_{50}s = >50 µM). PD 166866 inhibits FGFR1 autophosphorylation in NIH3T3 and L6 cells (IC_{50}s = 10.8 and 3.1 nM, respectively) and inhibits phosphorylation of MAPK (IC_{50}s = 4.3 and 7.9 nM for the 44- and 42-kDa MAPK isoforms, respectively). It reduces FGF- but not EGF- or PDGF-stimulated growth of L6 cells and inhibits microvessel outgrowth from human placental arteries *in vitro*. PD 166866 inhibits the growth of non-small cell lung cancer (NSCLC) cell lines in a dose-dependent manner and reduces migration of VL-8 cells at a concentration of 10 µM.[2]

References

1. Panek, R.L., Lu, G.H., Dahring, T.K., *et al. In vitro* biological characterization and antiangiogenic effects of PD 166866, a selective inhibitor of the FGF-1 receptor tyrosine kinase. *J. Pharmacol. Exp. Ther.* **286(1)**, 569-577 (1998).
2. Fischer, H., Taylor, N., Allerstorfer, S., *et al.* Fibroblast growth factor receptor-mediated signals contribute to the malignant phenotype of non-small cell lung cancer cells: Therapeutic implications and synergism with epidermal growth factor receptor inhibition. *Mol. Cancer. Ther.* **7(10)**, 3408-3419 (2008).

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