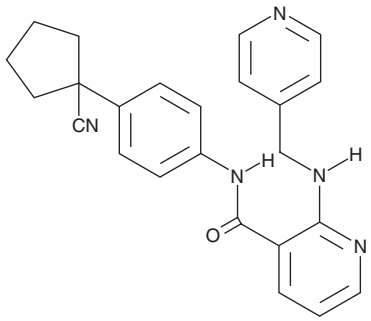
PRODUCT INFORMATION

Apatinib

Item No. 21268

CAS Registry No.:	811803-05-1
Formal Name:	N-[4-(1-cyanocyclopentyl)phenyl]-2-[(4-pyridinylmethyl)amino]-3-pyridinecarboxamide
Synonym:	YN968D1
MF:	$C_{24}H_{23}N_5O$
FW:	397.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 236, 261, 345 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

Apatinib is supplied as a crystalline solid. A stock solution may be made by dissolving the apatinib in the solvent of choice, which should be purged with an inert gas. Apatinib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of apatinib in ethanol is approximately 1 mg/ml and approximately 30 mg/ml in DMSO and DMF.

Apatinib is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, apatinib should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Apatinib has a solubility of approximately 0.25 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Apatinib is a tyrosine kinase inhibitor that potently suppresses the kinase activity of vascular endothelial growth factor 2 (VEGFR2; IC_{50} = 1 nM).[1] It is less effective against c-kit (IC_{50} = 429 nM), Ret (IC_{50} = 13 nM), and c-src (IC_{50} = 53 nM) and does not inhibit EGFR, Her-2, or FGFR1 (IC_{50}s = >10 µM).[1] Apatinib has been shown to inhibit the proliferation, migration, and tube formation of human umbilical vein endothelial cells stimulated by fetal bovine serum and, either alone or in combination with chemotherapeutic agents, prevent the growth of several established human tumor xenograft models.[1]

Reference

1. Tian, S., Quan, H., Xie, C., *et al.* YN968D1 is a novel and selective inhibitor of vascular endothelial growth factor receptor-2 tyrosine kinase with potent activity *in vitro* and *in vivo*. *Cancer Sci.* **102(7)**, 1374-1380 (2011).

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