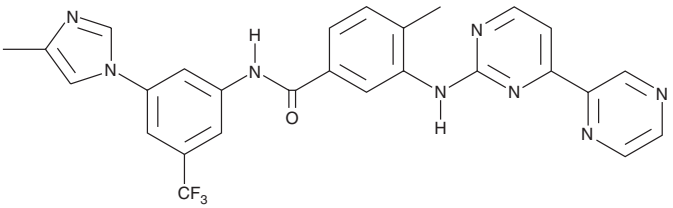
PRODUCT INFORMATION

Radotinib

Item No. 19923

CAS Registry No.:	926037-48-1
Formal Name:	4-methyl-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(2-pyrazinyl)-2-pyrimidinyl]amino]-benzamide
Synonym:	IY-5511
MF:	$C_{27}H_{21}F_3N_8O$
FW:	530.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 215, 270 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

Radotinib is supplied as a crystalline solid. A stock solution may be made by dissolving the radotinib in the solvent of choice. Radotinib is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of radotinib in these solvents is approximately 10 and 3 mg/ml, respectively.

Description

Radotinib is a selective second generation tyrosine kinase inhibitor that targets both the wild-type and mutant forms of Bcr-Abl, with an IC_{50} value of 30.6 nM in Ba/F3 human chronic myeloid leukemia cells expressing the wild-type form.[1] Radotinib also inhibits platelet-derived growth factor receptors (PDGFRs) α and β with IC_{50} values of 75.5 and 130 nM, respectively.[2,3] Binding of radotinib to Bcr-Abl *in vitro* inhibits the phosphorylation of the downstream signaling mediator CrkL.[3] In acute myeloid leukemia cells, *in vitro* treatment with radotinib at doses of 10-100 µM reduces viability, activates the mitochondrial apoptosis pathway, and promotes expression of the differentiation marker CD11b.[2]

References

1. Zabriskie, M.S., Vellore, N.A., Gantz, K.C., *et al.* Radotinib is an effective inhibitor of native and kinase domain-mutant BCR-ABL1. *Leukemia* **29(9)**, 1939-1942 (2015).
2. Heo, S.-K., Noh, E.-K., Yoon, D.-J., *et al.* Radotinib induces apoptosis of $CD11b^+$ cells differentiated from acute myeloid leukemia cells. *PLoS One* **10(6)**, e0129853 (2015).
3. Kim, S.-H., Menon, H., Jootar, S., *et al.* Efficacy and safety of radotinib in chronic phase chronic myeloid leukemia patients with resistance or intolerance to BCR-ABL1 tyrosine kinase inhibitors. *Haematologica* **99(7)**, 1191-1196 (2014).

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