Product Data Sheet

Product Name: Radotinib(IY-5511)
Cat. No.: GC11140

Chemical Properties

Cas. No.	926037-48-1		
Chemical Name	(Z)-4-methyl-N-(3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl)-3-((4-(pyrazin-2-yl)pyrimidin-2-yl)amino)benzimidic acid		
SMILES	CC1=C(NC2=NC=CC(C3=CN=CC=N3)=N2)C=C(/C(O)=N/C4=CC(N(C=N5)C=C5C)=CC(C(F)(F)F)=C4)C=C1		
Formula	$C_{27}H_{21}F_3N_8O$	M.Wt	530.5
Solubility	≥ 26.55mg/mL in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Radotinib(IY-5511)		

Protocol

Cell experiment [1]:

Cell lines	Bone marrow cells (BMCs) from patients with AML
Preparation method	The solubility of this compound in DMSO is > 26.6mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 ℃ for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20℃ for several months.
Reacting condition	1, 10, and 100 μM, 72 h, 37 ℃

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

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Applications	Radotinib is an inhibitor of BCR-ABL1 tyrosine kinase and has been approved for the second-line treatment of chronic myeloid leukemia. In BMCs from patients with AML, radotinib increased cleaved caspase-3, caspase-7, and caspase-9 levels, resulting in increasing apoptosis. Radotinib also induced G0/G1 phase arrest and inhibited proliferating of BMCs from patients with AML.

Clinical experiment [2]:

Clinical samples	Patients at least 18 years of age with Philadelphia chromosome-positive chronic phase-CML with resistance and/or intolerance to imatinib.
Dosage form	400 mg, twice daily
Application	Patients’ response to radotinib is comparable to other 2nd-generation tyrosine kinase inhibitors. Radotinib is well tolerated and effective in chronic phase-chronic myeloid leukemia patients with resistance and/or intolerance to imatinib.
Other notes	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

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References:

[1]. Heo S K, Noh E K, Gwon G D, et al. Radotinib inhibits acute myeloid leukemia cell proliferation via induction of mitochondrial-dependent apoptosis and CDK inhibitors[J]. European journal of pharmacology, 2016, 789: 280-290.

[2]. 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[J]. Haematologica, 2014: haematol. 2013.096776.

Background

Radotinib(IY-5511) is a novel and selective Bcl-Abl tyrosine kinase inhibitor. [1]

Bcl-Abl is a constitutively activated chimeric tyrosine kinase which is the genetic abnormality expressed in patient with CML (chronic myeloid leukemia).

In vitro, radotinib couples to Bcr-Abl and reduce the phosphorylation of Bcr-Abl target protein CrkL. The pre-clinical studies shows superiority of radotinib to imatinib in both wild-type and mutant BCR-ABL1 positive CML cell lines. [1]

In a phase I clinical trial, dose up to 1000 mg/day of radotinib exhibits no dose-limiting toxicities. Phase II study proves radotinib to be an effective and well tolerated in chronic phase-chronic myeloid leukemia patients with resistance and/or intolerance to Bcr-Abl1 tyrosine kinase inhibitors. [1]

Reference:
1. Kim SH, 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. 2014 Jul;99(7):1191-6.

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