Product Name: Radotinib(IY-5511)

Revision Date: 6/30/2016

Product Data Sheet

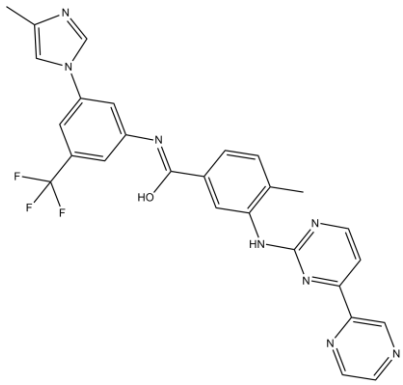
Chemical Properties

Product Name: Radotinib(IY-5511)

Cas No.: 926037-48-1

M.Wt: 530.5

Formula: C27H21F3N8O



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

Canonical 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

Solubility: >26.6mg/mL in DMSO

Storage: Store at -20°C

General tips: For obtaining a higher solubility , please warm the tube at 37° C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20° C for several months.

Shopping Condition: Evaluation sample solution : ship with blue ice
All other available size: ship with RT , or blue ice upon request

Biological Activity

Targets : Apoptosis

Pathways: Bcl-2 Family

Description:

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.

Protocol

Cell experiment:

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 conditions	
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.

***Reference**:*
[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.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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