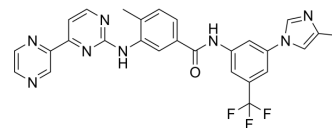


Radotinib

Cat. No.:	HY-15728		
CAS No.:	926037-48-1		
Molecular Formula:	C ₂₇ H ₂₁ F ₃ N ₈ O		
Molecular Weight:	530.5		
Target:	Bcr-Abl		
Pathway:	Protein Tyrosine Kinase/RTK		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 6.25 mg/mL (11.78 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	1.8850 mL	9.4251 mL	18.8501 mL
	5 mM	0.3770 mL	1.8850 mL	3.7700 mL
	10 mM	0.1885 mL	0.9425 mL	1.8850 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 0.62 mg/mL (1.17 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 0.62 mg/mL (1.17 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Radotinib(IY-5511) is a novel and selective BCR-ABL1 tyrosine kinase inhibitor with IC₅₀ of 34 nM for wild-type BCR-ABL1 kinase. IC₅₀ value: 34 nM [1]Target: BCR-ABL1 inhibitorRadotinib is a BCR-ABL1 specific 2nd-generation tyrosine kinase inhibitor. According to recently conducted in vitro kinase assays, the IC₅₀ value for radotinib against wild-type BCR-ABL1 kinase was 34 nM, which is relatively lower compared with the IC₅₀ levels of c-kit (1,324 nM), PDGFR (PDGFRα, 75.5 nM; PDGFRβ, 130 nM) and src (>2,000 nM). Also, radotinib effectively inhibited the proliferation of common mutant clones of BCR-ABL1, with the exception of T315I. In an off-target kinase assay to assess safety, DDR, EPHB, LYN, and PDGFR kinases were inhibited below the 180 nM level.

REFERENCES

[1]. Kim SH, 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.

Caution: Product has not been fully validated for medical applications. For research use only.

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