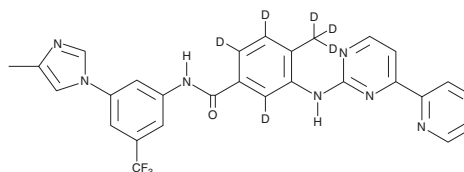


PRODUCT INFORMATION



Radotinib-d₆ Item No. 30767

CAS Registry No.: 2754051-83-5
Formal Name: 4-(methyl-d₃)-N-[3-(4-methyl-1H-imidazol-1-yl)-5-(trifluoromethyl)phenyl]-3-[[4-(2-pyrazinyl)-2-pyrimidinyl]amino]-benzamide-2,5,6-d₃
Synonym: IY-5511
MF: C₂₇H₁₅D₆F₃N₈O
FW: 536.5
Chemical Purity: ≥98% (Radotinib)
Deuterium Incorporation: ≥99% deuterated forms (d₁-d₆); ≤1% d₀
Supplied as: A 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-d₆ is intended for use as an internal standard for the quantification of radotinib (Item No. 19923) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated versus unlabeled).

Radotinib-d₆ is supplied as a solid. A stock solution may be made by dissolving the radotinib-d₆ in the solvent of choice, which should be purged with an inert gas. Radotinib-d₆ is soluble in the organic solvent DMSO.

Description

Radotinib is a second generation tyrosine kinase inhibitor that targets both the wild-type and mutant forms of Bcr-Abl (IC₅₀ = 30.6 nM in Ba/F3 human chronic myeloid leukemia cells expressing the wild-type enzyme).¹ Radotinib also inhibits platelet-derived growth factor receptors (PDGFRs) α and β with IC₅₀ 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 to 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).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM