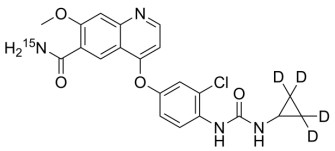
Lenvatinib-^{15}N,d_4

Cat. No.:	HY-10981S2
Molecular Formula:	$C_{21}H_{15}D_4ClN_3{}^{15}NO_4$
Molecular Weight:	431.87
Target:	VEGFR; c-Kit; FGFR; RET; PDGFR; Isotope-Labeled Compounds
Pathway:	Protein Tyrosine Kinase/RTK; Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Lenvatinib-^{15}N,d_4 is ^{15}N and deuterated labeled Lenvatinib (HY-10981). Lenvatinib (E7080) is an oral, multi-targeted tyrosine kinase inhibitor that inhibits VEGFR1-3, FGFR1-4, PDGFR, KIT, and RET, shows potent antitumor activities[1][2].
In Vitro	Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs[1]. Lenvatinib (E7080) has IC_{50}s of 4, 5.2, 22 nM for VEGFR2 (KDR), VEGFR3 (Flt-4), and VEGFR1 (Flt-1), respectively. Lenvatinib inhibits PDGFRα, PDGFRβ, FGFR1, and KIT with IC_{50}s of 51, 39, 46, and 100 nM, respectively[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Lenvatinib (E7080) (100 mg/kg, p.o.) significantly inhibits local tumor growth at the m.f.p., and at the end of treatment, Lenvatinib mesylate also significantly inhibits metastasis to both regional lymph nodes and distant lung[4]. Lenvatinib (E7080) inhibits the growth of H146 tumor at 30 and 100 mg/kg (BID, QDx21) in a dose-dependent manner and causes tumor regression at 100 mg/kg in H146 xenograft model. IHC analysis with anti-CD31 antibody shows that lenvatinib at 100 mg/kg decreases microvessel density more than anti-VEGF antibody and STI571 treatment[5]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Matsui J, et al. E7080, a novel inhibitor that targets multiple kinases, has potent antitumor activities against stem cell factor producing human small cell lung cancer H146, based on angiogenesis inhibition. Int J Cancer. 2008, 122(3), 664-671.

[2]. Kudo M, et al. Lenvatinib versus Bay 43-9006 in first-line treatment of patients with unresectable hepatocellularcarcinoma: a randomised phase 3 non-inferiority trial. Lancet. 2018 Mar 24;391(10126):1163-1173.

[3]. Matsui J, et al. Multi-kinase inhibitor E7080 suppresses lymph node and lung metastases of human mammary breast tumor MDA-MB-231 via inhibition of vascular endothelial growth factor-receptor (VEGF-R) 2 and VEGF-R3 kinase. Clin Cancer Res. 2008, 14(17),545.

[4]. Suyama K, et al. Lenvatinib: A Promising Molecular Targeted Agent for Multiple Cancers. Cancer Control. 2018 Jan-Dec;25(1):1073274818789361.

[5]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019 Feb;53(2):211-216.

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

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