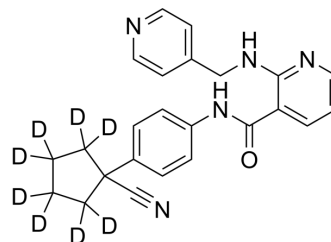


Apatinib-d₈ free base

Cat. No.:	HY-13342AS
CAS No.:	2468771-43-7
Molecular Formula:	C ₂₄ H ₁₅ D ₈ N ₅ O
Molecular Weight:	405.52
Target:	Src; VEGFR; Autophagy; c-Kit; RET
Pathway:	Protein Tyrosine Kinase/RTK; Autophagy
Storage:	-20°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



BIOLOGICAL ACTIVITY

Description	Apatinib-d ₈ (free base) is the deuterium labeled Apatinib free base[1]. Apatinib free base (YN968D1 free base) is an orally bioavailable tyrosine kinase inhibitor, which selectively targets VEGFR-2 (IC ₅₀ =1 nM). Apatinib free base (YN968D1 free base) is an anti-angiogenic drug for the research of advanced or metastatic gastric cancer. Apatinib free base (YN968D1 free base) potently inhibits Ret, c-Kit and c-Src with IC ₅₀ s of 13, 429 and 530 nM, respectively. It also inhibits cellular phosphorylation of VEGFR-2, c-kit and PDGFRβ[2][3][4].
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] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

- [1]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. *Ann Pharmacother.* 2019 Feb;53(2):211-216.
- [2]. Roviello G, et al. Apatinib: A novel receptor tyrosine kinase inhibitor for the treatment of gastric cancer. *Cancer Lett.* 2016 Mar 28;372(2):187-91.
- [3]. Scott LJ. Apatinib: A Review in Advanced Gastric Cancer and Other Advanced Cancers. *Drugs.* 2018 May;78(7):747-758. doi: 10.1007/s40265-018-0903-9.
- [4]. Tian S, et al. YN968D1 is a novel and selective inhibitor of vascular endothelial growth factor receptor-2 tyrosine kinase with potent activity in vitro and in vivo. *Cancer Sci.* 2011 Jul;102(7):1374-80.

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA