Proteins



Regorafenib-13C,d₃

Cat. No.: HY-10331S1

Molecular Formula: $C_{20}^{13}CH_{12}D_{3}ClF_{4}N_{4}O_{3}$

Molecular Weight: 486.83

VEGFR; Raf; PDGFR; Autophagy; RET Target:

Protein Tyrosine Kinase/RTK; MAPK/ERK Pathway; Autophagy Pathway:

Storage: Please store the product under the recommended conditions in the Certificate of

Analysis.

Product Data Sheet

BIOLOGICAL ACTIVITY

Description	Regorafenib- 13 C,d $_3$ is the 13 C- and deuterium labeled Regorafenib. Regorafenib (BAY 73-4506) is a multi-targeted receptor tyrosine kinase inhibitor with IC50s of 13/4.2/46, 22, 7, 1.5 and 2.5 nM for VEGFR1/2/3, PDGFR β , Kit, RET and Raf-1, respectively.
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 ^[89] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

- [1]. Carr BI, et al. Fluoro-Bay 43-9006 (Regorafenib) effects on hepatoma cells: growth inhibition, quiescence, and recovery. J Cell Physiol, 2013, 228(2), 292-297.
- [2]. Heng DY, et al. Targeted therapy for metastatic renal cell carcinoma: current treatment and future directions. Ther Adv Med Oncol, 2010, 2(1), 39-49.
- [3]. Matsuoka K, et al. Effective Sequential Combined Chemotherapy with Tipiracil and Regorafenib in Human Colorectal Cancer Cells. Int J Mol Sci. 2018 Sep 25;19(10). pii: E2915.
- [4]. Wagner J, et al. Anti-tumor effects of ONC201 in combination with VEGF-inhibitors significantly impacts colorectal cancer growth and survival in vivo through complementary non-overlapping mechanisms. J Exp Clin Cancer Res. 2018 Jan 22;37(1):11.
- [5]. Wilhelm SM, et al. Regorafenib (BAY 73-4506): a new oral multikinase inhibitor of angiogenic, stromal and oncogenic receptor tyrosine kinases with potent preclinical antitumor activity. Int J Cancer, 2011, 129(1), 245-255.
- [6]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019;53(2):211-223.

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

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