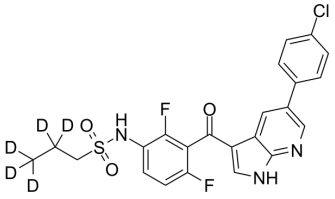
Vemurafenib-d5

Cat. No.:	HY-12057S
CAS No.:	1365986-90-8
Molecular Formula:	$C_{23}H_{13}D_5ClF_2N_3O_3S$
Molecular Weight:	494.95
Target:	Raf; Autophagy
Pathway:	MAPK/ERK Pathway; Autophagy
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Vemurafenib-d5 (PLX4032-d5) is the deuterium labeled Vemurafenib. Vemurafenib (PLX4032) is a first-in-class, selective, potent inhibitor of B-RAF kinase, with IC_{50}s of 31 and 48 nM for RAF^{V600E} and c-RAF-1, respectively[1][4]. Vemurafenib induces cell autophagy[5].
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;53(2):211-216.

[2]. Bollag G, et al. Clinical efficacy of a RAF inhibitor needs broad target blockade in BRAF-mutant melanoma. Nature, 2010, 467(7315), 596-599.

[3]. Yang H, et al. RG7204 (PLX4032), a selective BRAFV600E inhibitor, displays potent antitumor activity in preclinical melanoma models. Cancer Res, 2010, 70(13), 5518-5527.

[4]. Prahallad A, et al. Unresponsiveness of colon cancer to BRAF(V600E) inhibition through feedback activation of EGFR. Nature, 2012, 483(7387), 100-103.

[5]. Shelledy L, et al. Vemurafenib: First-in-Class BRAF-Mutated Inhibitor for the Treatment of Unresectable or MetastaticMelanoma. J Adv Pract Oncol. 2015 Jul-Aug;6(4):361-5.

[6]. Wang W, et al. Targeting Autophagy Sensitizes BRAF-Mutant Thyroid Cancer to Vemurafenib.J Clin Endocrinol Metab. 2017 Feb 1;102(2):634-643.

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