## **Product** Data Sheet

## Trametinib-13C,d3

 Cat. No.:
 HY-10999S2

 CAS No.:
 2712126-59-3

Molecular Formula: C<sub>25</sub><sup>13</sup>CH<sub>20</sub>D<sub>3</sub>FIN<sub>5</sub>O<sub>4</sub>

Molecular Weight: 619.41

Target: Apoptosis; Autophagy; MEK; Isotope-Labeled Compounds

**Pathway:** Apoptosis; Autophagy; MAPK/ERK Pathway; Others

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

Analysis.

## **BIOLOGICAL ACTIVITY**

Description	Trametinib- $^{13}$ C,d $_3$ is the $^{13}$ C- and deuterium labeled Trametinib. Trametinib (GSK1120212; JTP-74057) is an orally active MEK inhibitor that inhibits MEK1 and MEK2 with IC50s of about 2 nM. Trametinib activates autophagy and induces apoptosis[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 <sup>[88]</sup> .  MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## **REFERENCES**

[1]. Abe H, et al. Discovery of a Highly Potent and Selective MEK Inhibitor: GSK1120212 (JTP-74057 DMSO Solvate). ACS Med Chem Lett. 2011 Feb 28;2(4):320-4.

[2]. Lai J, et al. Elimination of melanoma by sortase A-generated TCR-like antibody-drug conjugates (TL-ADCs) targeting intracellular melanoma antigen MART-1. Biomaterials. 2018 Sep;178:158-169.

[3]. Liu H, et al. Identifying and Targeting Sporadic Oncogenic Genetic Aberrations in Mouse Models of Triple Negative Breast Cancer. Cancer Discov. 2018 Mar;8(3):354-369.

[4]. Yamaguchi T, et al. Antitumor activities of JTP-74057 (GSK1120212), a novel MEK1/2 inhibitor, on colorectal cancer cell lines in vitro and in vivo. Int J Oncol, 2011, 39(1), 23-31.

[5]. Yamaguchi T, et al. Suppressive effect of an orally active MEK1/2 inhibitor in two different animal models for rheumatoid arthritis: a comparison with HWA486. Inflamm Res, 2012, 61(5), 445-454.

[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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