

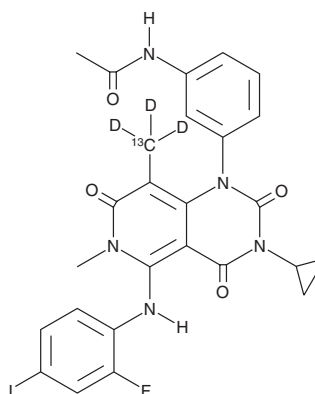
PRODUCT INFORMATION



Trametinib-¹³C-d₃

Item No. 29144

CAS Registry No.: 2712126-59-3
Formal Name: N-[3-[3-cyclopropyl-5-[(2-fluoro-4-iodophenyl)amino]-3,4,6,7-tetrahydro-6-methyl-8-(methyl-¹³C-d₃)-2,4,7-trioxypyrido[4,3-d]pyrimidin-1(2H)-yl]phenyl]-acetamide
MF: C₂₅[¹³C]H₂₀D₃FIN₅O₄
FW: 619.4
Chemical Purity: ≥98% (Trametinib)
Deuterium Incorporation: ≥99% deuterated forms (d₁-d₃); ≤1% d₀
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Trametinib-¹³C-d₃ is intended for use as an internal standard for the quantification of trametinib (Item No. 16292) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Trametinib-¹³C-d₃ is supplied as a solid. A stock solution may be made by dissolving the trametinib-¹³C-d₃ in the solvent of choice, which should be purged with an inert gas. Trametinib-¹³C-d₃ is soluble in DMSO.

Description

Trametinib is an inhibitor of MEK1 and -2.¹ It inhibits B-RAF- and C-RAF-induced phosphorylation of MEK1 (IC₅₀s = 3.4 and 1.8 nM, respectively) and MEK2 (IC₅₀s = 1.6 and 0.92 nM, respectively). Trametinib inhibits the growth of two human colorectal cancer cell lines expressing mutant B-RAF (IC₅₀s = 0.48 and 0.52 nM) and seven cell lines expressing mutant K-Ras (IC₅₀s = 2.2-174 nM) but does not inhibit the growth of wild-type COLO 320DM cells expressing both B-RAF and K-Ras (IC₅₀ = >10,000 nM). It reduces tumor growth in HT-29 and COLO 205 mouse xenograft models when used at doses of 0.3 and 1 mg/kg per day. Trametinib (0.03 and 0.1 mg/kg per day) also decreases *M. tuberculosis*-induced increases in hind paw volume in a rat model of arthritis.² Formulations containing trametinib, in combination with dabrafenib, have been used in the treatment of B-RAF^{V600E} mutant metastatic melanoma.

References

1. Yamaguchi, T., Kakefuda, R., Tajima, N., *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.* **39**(1), 23-31 (2011).
2. Yamaguchi, T., Kakefuda, R., Tanimoto, A., *et al.* Suppressive effect of an orally active MEK1/2 inhibitor in two different animal models for rheumatoid arthritis: A comparison with leflunomide. *Inflamm. Res.* **61**(5), 445-454 (2012).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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