

# PRODUCT INFORMATION



## Trametinib-d<sub>6</sub> Item No. 22371

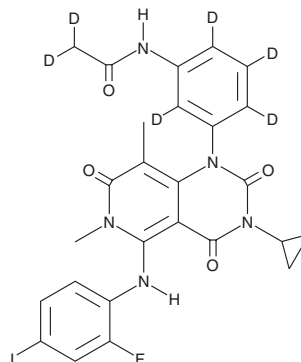
**Formal Name:** N-[3-[3-cyclopropyl-5-[(2-fluoro-4-iodophenyl)amino]-3,4,6,7-tetrahydro-6,8-dimethyl-2,4,7-trioxypyrido[4,3-d]pyrimidin-1(2H)-yl]phenyl-2,4,5,6-d<sub>4</sub>]-acetamide-2,2-d<sub>2</sub>

**MF:** C<sub>26</sub>H<sub>17</sub>D<sub>6</sub>FIN<sub>5</sub>O<sub>4</sub>

**FW:** 621.4

**Chemical Purity:** ≥98% (Trametinib)

**Deuterium Incorporation:** ≥99% deuterated forms (d<sub>1</sub>-d<sub>6</sub>); ≤1% d<sub>0</sub>



**Supplied as:** A solid

**Storage:** -20°C

**Stability:** ≥2 years

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

### Laboratory Procedures

Trametinib-d<sub>6</sub> 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-d<sub>6</sub> is supplied as a solid. A stock solution may be made by dissolving the trametinib-d<sub>6</sub> in the solvent of choice. Trametinib-d<sub>6</sub> is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of Trametinib-d<sub>6</sub> in these solvents is approximately 3 and 2 mg/ml, respectively.

### Description

Trametinib is a potent inhibitor of both MEK1 and MEK2 that works in an ATP-noncompetitive fashion (IC<sub>50</sub>s = 0.7 and 0.9 nM, respectively).<sup>1</sup> It shows specificity for MEK1/2 over a panel of more than 180 kinases, including B-Raf, c-Raf, and MEK5.<sup>1</sup> Trametinib blocks dual phosphorylation of ERK1/2 and stops cell cycling in cancer cell lines, both *in vitro* and in multiple tumor models in mice.<sup>1</sup>

### Reference

1. Gilmartin, A.G., Bleam, M.R., Groy, A., *et al.* GSK1120212 (JTP-74057) is an inhibitor of MEK activity and activation with favorable pharmacokinetic properties for sustained *in vivo* pathway inhibition. *Clin. Cancer Res.* **17**(5), 989-1000 (2011).

#### 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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