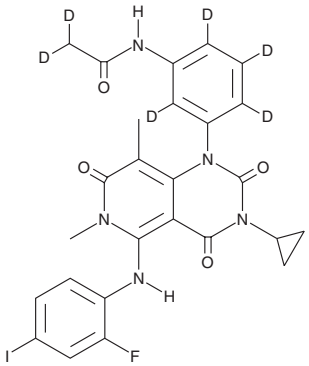
PRODUCT INFORMATION

Trametinib-d_6

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-trioxopyrido[4,3-d]pyrimidin-1(2H)-yl]phenyl-2,4,5,6-d_4]-acetamide-2,2-d_2
MF:	$C_{26}H_{17}D_6FIN_5O_4$
FW:	621.4
Chemical Purity:	≥98% (Trametinib)
Deuterium Incorporation:	≥99% deuterated forms (d_1-d_6); ≤1% d_0
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_6 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_6 is supplied as a solid. A stock solution may be made by dissolving the trametinib-d_6 in the solvent of choice. Trametinib-d_6 is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of Trametinib-d_6 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_{50}s = 0.7 and 0.9 nM, respectively).[1] It shows specificity for MEK1/2 over a panel of more than 180 kinases, including B-Raf, c-Raf, and MEK5.[1] 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.[1]

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).

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