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Produktinformation

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- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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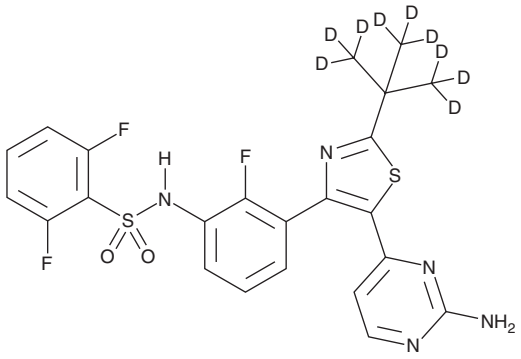
PRODUCT INFORMATION

Dabrafenib-d_9

Item No. 28797

CAS Registry No.:	1423119-98-5
Formal Name:	N-[3-[5-(2-amino-4-pyrimidinyl)-2-[1,1-di(methyl-d_3)ethyl-2,2,2-d_3]-4-thiazolyl]-2-fluorophenyl]-2,6-difluoro-benzenesulfonamide
MF:	$C_{23}H_{11}D_9F_3N_5O_2S_2$
FW:	528.6
Chemical Purity:	≥98% (Dabrafenib)
Deuterium Incorporation:	≥99% deuterated forms (d_1-d_9); ≤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

Dabrafenib-d_9 is intended for use as an internal standard for the quantification of dabrafenib (Item No. 16989) 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).

Dabrafenib-d_9 is supplied as a solid. A stock solution may be made by dissolving the dabrafenib-d_9 in the solvent of choice, which should be purged with an inert gas. Dabrafenib-d_9 is soluble in organic solvents such as methanol and DMSO.

Description

Dabrafenib is an ATP-competitive inhibitor of Raf kinases (IC_{50}s = 0.64, 0.68 and 5 nM for wild-type B-RAF kinase, mutant B-RAF^{V600E}, and wild-type C-RAF kinase, respectively).[1] It also inhibits the tyrosine kinase-like kinases ALK5 and LIMK1 (IC_{50}s = 11 and 15 nM, respectively) and the calcium/calmodulin-dependent protein kinases SIK2 and PDK2 (IC_{50}s = 27 and 57 nM, respectively), as well as NEK11, CK1, and BRK (IC_{50}s = 20, 41, and 79 nM, respectively) in a panel of 270 kinases at 300 nM. Dabrafenib inhibits the growth of 16 cancer cell lines expressing mutant B-RAF^{V600E} (GI_{50}s = <200 nM), five cell lines expressing other B-RAF mutants (GI_{50}s = <30 nM), and 19 cell lines expressing wild-type Ras and Raf (GI_{50}s = <7,000 nM). However, it does not inhibit the growth of four cancer cell lines expressing mutant B-RAF^{V600E}, 133 cell lines expressing wild-type Ras and Raf, or 18 cell lines expressing mutant Ras (GI_{50}s = >10 µM) in a panel of 195 cancer cell lines. Dabrafenib (8 nM) inhibits MAPK signaling, inhibiting phosphorylation of MEK and ERK, and activates caspase-3/7 in B-RAF^{V600E}-expressing A375P melanoma cells but not in wild-type B-RAF-expressing human foreskin fibroblasts (EC_{200}s =71 and >10,000 nM, respectively). It reduces tumor growth in an A375P mouse xenograft model when administered at doses ranging from 3 to 100 mg/kg. Formulations containing dabrafenib have been used in the treatment of B-RAF^{V600E}-expressing cancers.

Reference

1. King, A.J., Arnone, M.R., Bleam, M.R., *et al.* Dabrafenib; Preclinical characterization, increased efficacy when combined with trametinib, while BRAF/MEK tool combination reduced skin lesions. *PLoS One* **8(7)**, e67583 (2013).

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