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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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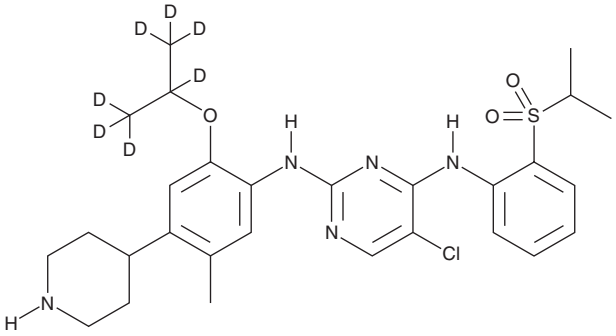
PRODUCT INFORMATION

Ceritinib-d_7

Item No. 28768

CAS Registry No.:	1632484-77-5
Formal Name:	5-chloro-N^4-[2-[(1-methylethyl)sulfonyl] phenyl]-N^2-[5-methyl-2-[1-(methyl-d_3) ethoxy-1,2,2,2-d_4]-4-(4-piperidinyl) phenyl]-2,4-pyrimidinediamine
Synonym:	LDK 378-d_7
MF:	$C_{28}H_{29}D_7ClN_5O_3S$
FW:	565.2
Chemical Purity:	≥95% (Ceritinib)
Deuterium Incorporation:	≥99% deuterated forms (d_1-d_7); ≤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

Ceritinib-d_7 is intended for use as an internal standard for the quantification of ceritinib (Item No. 19374) 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).

Ceritinib-d_7 is supplied as a solid. A stock solution may be made by dissolving the ceritinib-d_7 in the solvent of choice, which should be purged with an inert gas. Ceritinib-d_7 is soluble in the organic solvent methanol.

Description

Ceritinib is an inhibitor of anaplastic lymphoma kinase (ALK; IC_{50} = 0.2 nM).[1] It is selective for ALK over IGF-1R, InsR, STK22D, and FLT3 (IC_{50}s = 8, 7, 23, and 60 nM, respectively) as well as a panel of 25 additional kinases (IC_{50}s = >0.26 µM for all). Ceritinib inhibits the proliferation of Ba/F3 cells expressing the fusion protein nucleophosmin-ALK (NPM-ALK) or echinoderm microtubule-associated protein-like 4-ALK (ELM4-ALK; IC_{50}s = 0.02 and 0.021 µM, respectively), as well as several crizotinib-resistant NPM-ALK and ELM4-ALK mutants.[2] It reduces tumor growth in an H2228 non-small cell lung cancer (NSCLC) rat xenograft model when administered at a dose of 10 mg/kg per day and induces tumor regression at 25 mg/kg per day.[1] Ceritinib (25 and 50 mg/kg per day) also induces tumor regression in a Karpas299 lymphoma rat xenograft model. Formulations containing ceritinib have been used in the treatment of ALK-positive metastatic NSCLC.

References

1. Marsilje, T.H., Pei, W., Chen, B., *et al.* Synthesis, structure-activity relationships, and in vivo efficacy of the novel potent and selective anaplastic lymphoma kinase (ALK) inhibitor 5-chloro-*N*2-(2-isopropoxy-5-methyl-4-(piperidin-4-yl)phenyl)-*N*4-(2-(isopropylsulfonyl)phenyl)pyrimidine-2,4-diamine (LDK378) currently in phase 1 and phase 2 clinical trials. *J. Med. Chem.* **56(14)**, 5675-5690 (2013).
2. Fontana, D., Ceccon, M., Gambacorti-Passerini, C., *et al.* Activity of second-generation ALK inhibitors against crizotinib-resistant mutants in an NPM-ALK model compared to EML4-ALK. *Cancer Med.* **4(7)**, 953-965 (2016).

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