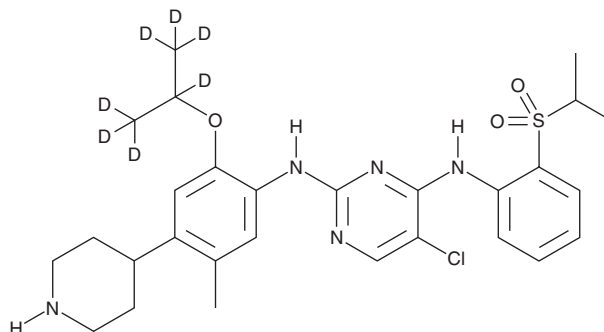


PRODUCT INFORMATION



Ceritinib-d₇ Item No. 28768

CAS Registry No.: 1632484-77-5
Formal Name: 5-chloro-N⁴-[2-[(1-methylethyl)sulfonyl]phenyl]-N²-[5-methyl-2-[1-(methyl-d₃)ethoxy-1,2,2,2-d₄]-4-(4-piperidinyl)phenyl]-2,4-pyrimidinediamine
Synonym: LDK 378-d₇
MF: C₂₈H₂₉D₇ClN₅O₃S
FW: 565.2
Chemical Purity: ≥95% (Ceritinib)
Deuterium Incorporation: ≥99% deuterated forms (d₁-d₇); ≤1% d₀
Supplied as: A solid
Storage: -20°C
Stability: ≥4years



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

Laboratory Procedures

Ceritinib-d₇ 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-d₇ is supplied as a solid. A stock solution may be made by dissolving the ceritinib-d₇ in the solvent of choice, which should be purged with an inert gas. Ceritinib-d₇ is soluble in the organic solvent methanol.

Description

Ceritinib is an inhibitor of anaplastic lymphoma kinase (ALK; IC₅₀ = 0.2 nM).¹ It is selective for ALK over IGF-1R, InsR, STK22D, and FLT3 (IC₅₀s = 8, 7, 23, and 60 nM, respectively) as well as a panel of 25 additional kinases (IC₅₀s = >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; IC₅₀s = 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-N²-(2-isopropoxy-5-methyl-4-(piperidin-4-yl)phenyl)-N⁴-(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).

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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