Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Ceritinib

Catalog No: tcsc1406

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Size: 2g

Size: 5g

Size: 10g

Specifications

CAS No:
1032900-25-6

Formula:
$C_{28}H_{36}ClN_5O_3S$

Pathway:
Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK

Target:
IGF-1R;Insulin Receptor;ALK

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Purity / Grade:
>98%

Solubility:
DMSO : 5.6 mg/mL (10.03 mM; Need ultrasonic)

Alternative Names:
LDK378

Observed Molecular Weight:
558.14

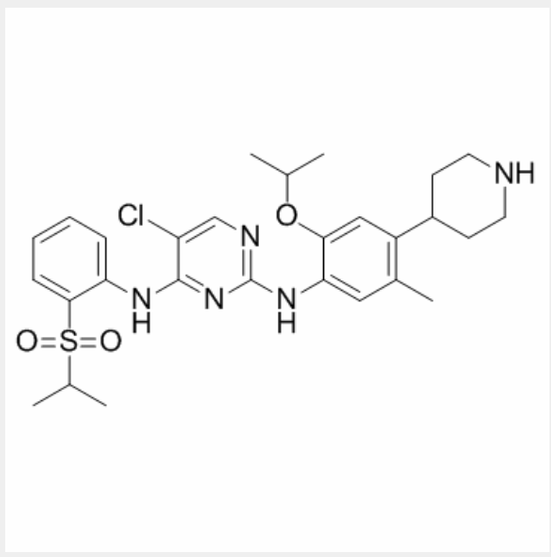
Product Description

Ceritinib (LDK378) is a potent and specific **ALK** inhibitor with an **IC_{50}** of 0.2 nM.

IC50 & Target: IC50: 0.2 nM (ALK), 7 nM (InsR), 8 nM (IGF-1R), 23 nM (STK22D), 60 nM (FLT3), 260 nM (FGFR2)[1]

In Vitro: Ceritinib (LDK378) also inhibits RET (IC_{50}=400 nM), FGFR3 (IC_{50}=430 nM), LCK (IC_{50}=560 nM), JAK2 (IC_{50}=610 nM), Aurora (IC_{50}=660 nM), LYN ($_{50}$=840 nM), EGFR (IC_{50}=900 nM), and FGFR4 (IC_{50}=950 nM)[1]. Ceritinib (LDK378) retains high potency against the ALK enzymatic activity with an IC_{50} value of 200 pM and shows only strong inhibition against IGF-1R, InsR, and STK22D out of a panel of 46 kinases with a minimum selectivity of 70-fold. In Ba/F3 cells transfected with various kinases, Ceritinib inhibits ALK activity with an IC_{50} value of 40.7 nM and had IC_{50} values of >100 nM against all other kinases tested. Ceritinib (LDK378) shows potent antiproliferative activity with an IC_{50} value of 22.8 nM in Karpas 299 human non-Hodgkin's K_i-positive large cell lymphoma carrying the NPM-ALK fusion gene and 26 nM in Ba/F3 cells transfected with the NPM-ALK fusion gene. Ceritinib also shows good selectivity over wild-type Ba/F3 cells (IC_{50}>2 μM) and Ba/F3 cells transfected with Tel-InsR gene (IC_{50}=320 nM)[2].

In Vivo: Ceritinib (LDK378) has an excellent pharmacokinetics profile in rodents and non-rodents with an oral bioavailability of >50%. Ceritinib demonstrates dose-dependent tumor growth inhibition and achieved partial tumor regression in the Karpas 299 rat xenograft model with daily administration but is capable of achieving complete tumor regression in the H2228 NSCLC rat xenograft model, which carries the EML4-ALK fusion gene. In both models, Ceritinib (LDK378) is well tolerated in animals. Ceritinib (LDK378) is further assessed for its ADME profile and is found to have a relatively good metabolic stability in liver microsomes, modest CYP3A4 inhibition, some hERG inhibition with an IC_{50} value of 46 μM in hERG patch clamp experiments, but no evidence of QTc prolongation in both dog and monkey telemetry studies[2].



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