Alectinib

Cat. No.: HY-13011

CAS No.: 1256580-46-7

Molecular Formula: $C_{30}H_{34}N_4O_2$

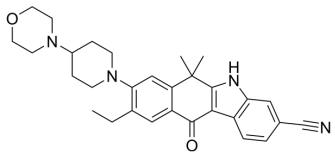
Molecular Weight: 482.62

Target: Anaplastic lymphoma kinase (ALK)

Pathway: Protein Tyrosine Kinase/RTK

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 3.85 mg/mL (7.98 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0720 mL	10.3601 mL	20.7202 mL
5 mM	0.4144 mL	2.0720 mL	4.1440 mL
10 mM	---	---	---

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 0.5% CMC-Na/saline water
   Solubility: 20 mg/mL (41.44 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 0.38 mg/mL (0.79 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.38 mg/mL (0.79 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Alectinib (CH5424802) is a potent, selective, and orally available ALK inhibitor with an IC_{50} of 1.9 nM and a K_d value of 2.4 nM (in an ATP-competitive manner), and also inhibits ALK F1174L and ALK R1275Q with IC_{50}s of 1 nM and 3.5 nM, respectively[1]. Alectinib demonstrates effective central nervous system (CNS) penetration[2].

IC_{50} & Target

IC50: 1.9 nM(ALK), 1 nM (ALK^{F1174L}), 3.5 nM (ALK^{R1275Q})[1]
Kd: 2.4 nM (ALK)[1]

In Vitro

Alectinib (0-1000 nM; 2 hours; NCI-H2228 cells) treatment could prevent autophosphorylation of ALK in NCI-H2228 cells expressing EML4-ALK, and it also resulted in substantial suppression of phosphorylation of STAT3 and AKT[1].
?Alectinib (0-1000 nM; 5 days; HCC827, A549, or NCIH522 cells) treatment reduces cell activity in a dose-dependent manner [1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	NCI-H2228 cells
Concentration:	0 nM,10 nM,100 nM, 1000 nM
Incubation Time:	2 hours
Result:	Inhibition of ALK phosphorylation and signal transduction.

Cell Viability Assay[1]

Cell Line:	HCC827, A549, or NCIH522 cells
Concentration:	0-1000 nM
Incubation Time:	5 days
Result:	Reduced cell activity in a dose-dependent manner.

In Vivo

Alectinib (0.2-20 mg/kg; oral administration; once daily; for 11 days; SCID or nude mice bearing NCI-H2228 cells) treatment can result in dose-dependent tumor growth inhibition (EC_{50} of 0.46 mg/kg) and tumor regression. At any dose level, no differences in body weight or gross signs of toxicity are observed[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	SCID or nude mice bearing NCI-H2228 cells[1]
Dosage:	0.2 mg/kg, 0.6 mg/kg, 2 mg/kg, 6 mg/kg, 20 mg/kg
Administration:	Oral administration; once daily; for 11 days
Result:	Resulted in dose-dependent tumor growth inhibition (EC_{50} of 0.46 mg/kg) and tumor regression.

CUSTOMER VALIDATION

- Science. 2017 Dec 1;358(6367):eaan4368.
- Science. 2014 Oct 3;346(6205):1255784.
- Cell Discov. 2021 May 11;7(1):33.
- Cancer Discov. 2018 Jun;8(6):714-729.
- Cancer Discov. 2016 Oct;6(10):1118-1133.

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REFERENCES

[1]. Sakamoto H, et al. CH5424802, a selective ALK inhibitor capable of blocking the resistant gatekeeper mutant. Cancer Cell. 2011, 19(5), 679-690.

[2]. Gadgeel S, et al. Alectinib versus crizotinib in treatment-naive anaplastic lymphoma kinase-positive (ALK+) non-small-cell lung cancer: CNS efficacy results from the ALEX study. Ann Oncol. 2018 Nov 1;29(11):2214-2222.

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