产品名称：**CH5424802**
产品别名：**Alectinib**；艾乐替尼

生物活性：

Description	Alectinib (CH5424802; RO5424802; AF802) is a potent, selective, and orally available ALK inhibitor with an IC50 of 1.9 nM and a Kd value of 2.4 nM (in an ATP-competitive manner), and also inhibits ALK F1174L and ALK R1275Q with IC50s 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 (ALKF1174L), 3.5 nM (ALKR1275Q)[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].	
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]..	
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.

In Vitro:

DMSO : 6.2 mg/mL (**12.85 mM;** Need warming)

H_2O : < 0.1 mg/mL (insoluble)

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	0.2072 mL	1.0360 mL	2.0720 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

Solvent&Solubility	储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。 -80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。 ***In Vivo:*** 请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 **In Vitro** 方式配制澄清的储备液，再依次添加助溶剂： ——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶 1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline Solubility: ≥ 0.38 mg/mL (0.79 mM); Clear solution 此方案可获得 ≥ 0.38 mg/mL (0.79 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 3.8 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。 2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: 0.38 mg/mL (0.79 mM); Precipitated solution; Need ultrasonic 此方案可获得 0.38 mg/mL (0.79 mM) 以 1 mL 工作液为例，取 100 μL 3.8 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 0.38 mg/mL (0.79 mM); Clear solution 此方案可获得 ≥ 0.38 mg/mL (0.79 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 3.8 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
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.