上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话：021-61312973　　传真：021-55068248
网址：www.shyuanye.com
邮箱：shyysw@sina.com

产品名称：CH5424802 (Hydrochloride)
产品别名：艾乐替尼盐酸盐；Alectinib Hydrochloride；RO5424802 Hydrochloride; AF-802 Hydrochloride

生物活性：

Description	Alectinib Hydrochloride (CH5424802 Hydrochloride; RO5424802 Hydrochloride; AF-802 Hydrochloride) 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].				
	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.			
Solvent&Solubility	***In Vitro:***				
	DMSO : 6 mg/mL (11.56 mM; Need ultrasonic and warming)				
	Preparing Stock Solutions	**Solvent / Mass / Concentration**	**1 mg**	**5 mg**	**10 mg**
		1 mM	1.9265 mL	9.6324 mL	19.2649 mL
		5 mM	0.3853 mL	1.9265 mL	3.8530 mL

		10 mM	0.1926 mL	0.9632 mL	1.9265 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。 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。				
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.				