



上海源叶生物科技有限公司
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产品名称: **ALS-8112**
产品别名: **ALS-8112**

生物活性:

Description	ALS-8112 is a potent and selective respiratory syncytial virus (RSV) polymerase inhibitor. The 5'-triphosphate form of ALS-8112 inhibits RSV polymerase with an IC ₅₀ of 0.02 μM.				
IC ₅₀ & Target	IC50: 0.02 μM (RSV) ^[1]				
In Vitro	The 5'-triphosphate form of ALS-8112 (ALS-8112-TP) is the active form of the drug and selectively inhibits RSV polymerase through chain termination of RNA synthesis ^[2] . ALS-008112 enters various types of epithelial cells in the respiratory tract and is subsequently phosphorylated to form an intracellular nucleoside triphosphate with a half-life of approximately 29 hours. The nucleoside triphosphate analogue inhibits RSV replication by means of chain termination ^[3] . ALS-8112 is a pan-strain inhibitor of RSV replication <i>in vitro</i> . The RNA transcription activity of the RSV–RNP complex is dose-proportionally inhibited by ALS-8112-TP with an IC ₅₀ of 0.020 ± 0.008 μM ^[4] .				
Solvent&Solubility	<i>In Vitro:</i> DMSO : ≥ 47 mg/mL (160.04 mM) * "≥" means soluble, but saturation unknown.				
		SolventMassConcentration	1 mg	5 mg	10 mg
	Preparing	1 mM	3.4051 mL	17.0253 mL	34.0507 mL
	Stock Solutions	5 mM	0.6810 mL	3.4051 mL	6.8101 mL
		10 mM	0.3405 mL	1.7025 mL	3.4051 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]. Wang G, et al. Discovery of 4'-chloromethyl-2'-deoxy-3',5'-di-O-isobutyryl-2'-fluorocytidine (ALS-8176), a first-in-class RSV polymerase inhibitor for treatment of human respiratory syncytial virus infection. J Med Chem. 2015 Feb 26;58(4):1862-78. [2]. Jordan PC, et al. Activation Pathway of a Nucleoside Analog Inhibiting Respiratory Syncytial Virus Polymerase. ACS Chem Biol. 2017 Jan 20;12(1):83-91. [3]. DeVincenzo JP, et al. Activity of Oral ALS-008176 in a Respiratory Syncytial Virus Challenge Study. N Engl J Med. 2015 Nov 19;373(21):2048-58. [4]. Deval J, et al. Molecular Basis for the Selective Inhibition of Respiratory Syncytial Virus RNA Polymerase by 2'-Fluoro-4'-Chloromethyl-Cytidine Triphosphate. PLoS Pathog. 2015 Jun 22;11(6):e1004995.				
实验参考:					
	ALS-8112 and its prodrug ALS-8176 are stored at 4°C in dimethyl sulfoxide (DMSO), and diluted in water. HEP-2 cells per well are plated in a 96-well plate. Each compound is serially diluted (1:3) up to 9 distinct concentrations. Cells are pre-incubated with compounds for 24 hours at 37°C in a 5% CO ₂ atmosphere.				



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Cell Assay	After 24 hours of pre-incubation with compounds, RSV A2, Long, or B1 at a multiplicity of infection (MOI) of 0.5 is added to the cells, except for the background controls. The plate is then incubated for additional 4 days in the same conditions and at the end of the incubation 50 μ L the supernatant from each well of the plate is collected ^[4] .
References	[1]. Wang G, et al. Discovery of 4'-chloromethyl-2'-deoxy-3',5'-di-O-isobutryl-2'-fluorocytidine (ALS-8176), a first-in-class RSV polymerase inhibitor for treatment of human respiratory syncytial virus infection. J Med Chem. 2015 Feb 26;58(4):1862-78. [2]. Jordan PC, et al. Activation Pathway of a Nucleoside Analog Inhibiting Respiratory Syncytial Virus Polymerase. ACS Chem Biol. 2017 Jan 20;12(1):83-91. [3]. DeVincenzo JP, et al. Activity of Oral ALS-008176 in a Respiratory Syncytial Virus Challenge Study. N Engl J Med. 2015 Nov 19;373(21):2048-58. [4]. Deval J, et al. Molecular Basis for the Selective Inhibition of Respiratory Syncytial Virus RNA Polymerase by 2'-Fluoro-4'-Chloromethyl-Cytidine Triphosphate. PLoS Pathog. 2015 Jun 22;11(6):e1004995.

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