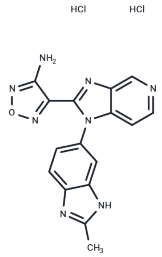
Data Sheet (Cat.No.T8378)

TargetMol

AS2863619

Chemical Properties



CAS No. : 2241300-51-4

Formula: C16H14Cl2N8O

Molecular Weight: 405.24

Storage: Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	AS2863619 is an oral inhibitor of cyclin-dependent kinase 8 (CDK8) and CDK19. Inhibition of CDK8/19 can enhance the activation of STAT5, thereby activating the Foxp3 gene. It can convert antigen-specific effector/memory T cells into Foxp3+ regulatory T cells to study various immune diseases.
Targets(IC50)	CDK,STAT
In vitro	**METHODS**: Mouse CD4 T cells were treated with AS2863619 (1 μM; 22 hours), and the possible effects of AS2863619 on STAT5 phosphorylation during Foxp3 induction in Tconv cells were investigated. **RESULTS** AS2863619 inhibited the PSP motif serine phosphorylation of STAT5b to about 40%, while increasing the tyrosine phosphorylation of the C-terminal domain to about 160%; the inhibitory effect of AS2863619 on STAT5b serine phosphorylation was dose-dependent with Foxp3 induction. [1]
In vivo	**METHODS**: Mice were orally treated with AS2863619 (3, 10, or 30 mg/kg). Plasma samples were collected from mice at 1, 2, 4, 8, and 24 hours after administration, and drug levels were determined by high-performance liquid chromatography-tandem mass spectrometry. **RESULTS** Compared with control mice, AS2863619 treatment after 2,4-dinitrofluorobenzene (DNFB) sensitization suppressed the extent of secondary responses, with less inflammatory cell infiltration into the skin and a lower proportion of interferon-γ (IFN-γ) cells in regional lymph nodes; depletion of Tregs abolished AS2863619-induced suppression before the induction of secondary responses. [1]

Solubility Information

Solubility	DMSO: 245 mg/mL (604.58 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5 mg/mL (12.34 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may*

In vivo Formulation	*vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4677 mL	12.3384 mL	24.6767 mL
5 mM	0.4935 mL	2.4677 mL	4.9353 mL
10 mM	0.2468 mL	1.2338 mL	2.4677 mL
50 mM	0.0494 mL	0.2468 mL	0.4935 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Akamatsu M, et al. Conversion of antigen-specific effector/memory T cells into Foxp3-expressing Treg cells by inhibition of CDK8/19. Sci Immunol. 2019 Oct 25;4(40):eaaw2707.