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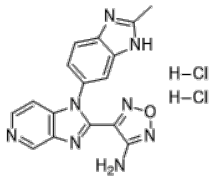
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	AS2863619
Cat. No.	:	PC-24914
CAS No.	:	2241300-51-4
Molecular Formula	:	$C_{16}H_{14}Cl_2N_8O$
Molecular Weight	:	405.24
Target	:	Cyclin-dependent Kinase (CDK)
Solubility	:	10 mM in DMSO



CAS: 2241300-51-4

Biological Activity

AS2863619 is a potent, selective and orally active inhibitor of CDK8 and CDK19 with IC50 of 0.61 nM and 4.28 nM, respectively, AS2863619 is a potent Foxp3 inducer in Tconv cells with EC50 of 32.5 nM.
AS2863619 could generate Foxp3+ T cells from naïve Foxp3−CD4+ Tconv cells in a dose-dependent fashion, also substantially enhances FOXP3 expression in human CD4+ and CD8+ Tconv cells in the peripheral blood.
AS2863619 does not exhibit cellular toxicity or hinder proliferative activity of Tconv cells in the concentration range having Foxp3-inducing activity.
AS2863619 inhibits the ability of activated CDK8/19 to phosphorylate the serine residue in the PSP motif of STAT5, augments the retention of the tyrosine-phosphorylated STAT5 in the nucleus, leading to enhanced activation of STAT5, which consequently activates the Foxp3 gene.
AS2863619 (30 mg/kg) induced Foxp3 in KJ1-26+ T cells in DO11.10 TCR transgenic mice, effectively suppressed skin contact hypersensitivity and autoimmune disease in animal models.

References

Wang L, et al. Mater Today Bio. 2023 Jan 20;19:100557.

Akamatsu M, et al. Sci Immunol. 2019 Oct 25;4(40):eaaw2707.

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