

Product Data Sheet

Product Name: AS2863619 free base

Cat. No.: GC38737

Chemical Properties

Cas. No. 2241300-50-3

SMILES NC1=NON=C1C(N2C3=CC=C4N=C(C)NC4=C3)=NC5=C2C=CN=C5

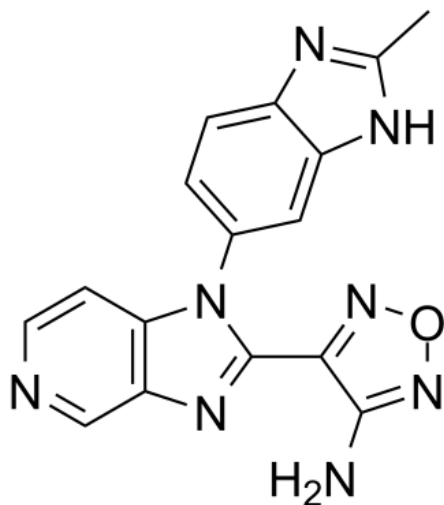
Formula $C_{16}H_{12}N_8O$ M.Wt 332.32

Solubility DMSO: 250 mg/mL (752.29 mM) Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Background

Caution: Product has not been fully validated for medical applications. For research use only.

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AS2863619 free base enables conversion of antigen-specific effector/memory T cells into Foxp3+ regulatory T (Treg) cells for the treatment of various immunological diseases. AS2863619 free base is a potent, orally active cyclin-dependent kinase 8 (CDK8) and CDK19 inhibitor with IC50s of 0.61 nM and 4.28 nM, respectively. STAT5 activation enhanced by AS2863619 free base inhibition of CDK8/19, which consequently activates the Foxp3 gene[1].

AS2863619 (1 μ M; 22 hours; mouse CD4+ T cells) treatment suppresses serine phosphorylation of the PSP motif of STAT5b to ~40% while enhancing tyrosine phosphorylation in the C-terminal domain to ~160% of control-treated samples[1]. Western Blot Analysis[1] Cell Line: Mouse CD4+ T cells

AS2863619 (30 mg/kg; oral administration; daily; for 2 weeks; mice) treatment after sensitization with 2,4-dinitrofluorobenzene (DNFB) dampens the degree of the secondary response, with milder infiltration of inflammatory cells into the skin and decreases ratios of interferon- γ + (IFN- γ +) cells in a skin contact hypersensitivity model, when compared with vehicle-treated control mice. Treg depletion before the elicitation of the secondary response abolishes AS2863619-induced suppression. KLRG1+ Foxp3+ T cells are specifically increased in DNFB sensitized AS2863619-treated mice[1]. Animal Model: Mice with DNFB-induced contact skin hypersensitivity[1]

[1]. 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). pii: eaaw2707.

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