

PI4K β -IN-1

Chemical Properties

CAS No. :

Formula:

Molecular Weight:

Storage: 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	PI4K β -IN-1 is an orally active selective inhibitor of PI4K β with an IC ₅₀ of 0.9 nM. It exhibits inhibitory activity across all stages of the P. falciparum lifecycle, including the blood, liver, and transmission phases. In mouse models infected with Plasmodium falciparum, PI4K β -IN-1 demonstrates significant antimalarial efficacy. This compound is applicable for research on malaria caused by Plasmodium falciparum.
Targets(IC ₅₀)	Parasite,PI4K
In vitro	PI4K β -IN-1 (Compound 18) is a potent inhibitor of recombinant Plasmodium vivax phosphatidylinositol-4-kinase III β (PvPI4K) in the presence of ATP, with an IC ₅₀ value of 1.2 nM. For Plasmodium falciparum asexual blood stage (ABS) parasites, it exhibits strong antimalarial activity, with IC ₅₀ values of 0.024 μ M for the NF54 drug-sensitive strain and 0.053 μ M for the K1 multidrug-resistant strain. Additionally, PI4K β -IN-1 has gametocidal activity against Plasmodium falciparum gametocytes, showing IC ₅₀ values of 0.38 μ M for immature gametocytes and 0.61 μ M for late-stage gametocytes. It inhibits Plasmodium falciparum liver schizonts (IC ₅₀ = 0.065 μ M) and blocks gamete formation (IC ₅₀ = 0.87 μ M). PI4K β -IN-1 exhibits low cytotoxicity towards mammalian cells, with IC ₅₀ values of 30 μ M in CHO cells, 18.2 μ M in HepG2 cells, and 47.8 μ M in L6 cells. Furthermore, it inhibits human ataxia telangiectasia mutated kinase (HsATM) with IC ₅₀ values of 2 nM at 10 μ M ATP and 103 nM at 500 μ M ATP.
In vivo	PI4K β -IN-1 (Compound 18) administrated orally at a dosage of 1-30 mg/kg once daily for four consecutive days, demonstrates potent in vivo antimalarial efficacy in a humanized NOD-scid IL-2R γ null (NSG) mouse model infected with Plasmodium falciparum Pf3D7 0087/N9.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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