

Product Data Sheet

Product Name: Purfalcamine

Cat. No.: GC61222

Chemical Properties

Cas. No. 1038620-68-6

SMILES O=C(C1=CC=C(NC2=C3N=CN(C4=CC=CC(F)=C4)C3=NC(N[C@H]5CC[C@H](N)CC5)=N2)C=C1)N6CCCCC6

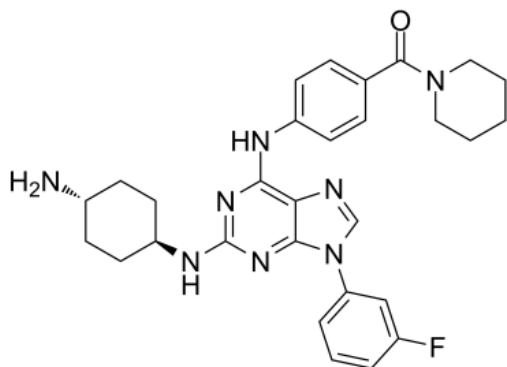
Formula $C_{29}H_{33}FN_8O$ M.Wt 528.62

Solubility DMSO : 12.5 mg/mL (23.65 mM; Need ultrasonic) 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

Purfalcamine is an orally active, selective Plasmodium falciparum calcium-dependent protein kinase 1 (PfCDPK1) inhibitor with an IC₅₀ of 17 nM and an EC₅₀ of 230 nM. Purfalcamine has antimalarial activity and causes malaria parasites developmental arrest at the schizont stage[1][2].

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

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Purfalcamine has low activity against *Toxoplasma gondii* calcium-dependent protein kinase 3 (TgCDPK3)[1]. Purfalcamine (225, 450 nM) has no effect on the parasitemia in the first 32 hours. After about 40 hours, parasite level remains stable and then begins dropping[1]. Purfalcamine inhibits proliferation with EC₅₀s of 171-259 nM for *P. falciparum* strains (3D7, Dd2, FCB, HB3 and W2), which indicates effectiveness against drug-resistant parasites[1]. Given that the EC₅₀ value for *P. falciparum* (3D7) is 230 nM, Purfalcamine shows a therapeutic window ranging from 23-fold to 36-fold (EC₅₀s for CHO=12.33 μ M, HEP2=7.235 μ M, HeLa=7.029 μ M and Huh7=5.476 μ M)[1].

Purfalcamine (10 mg/kg; oral gavage; BID; for 6 days) demonstrates a delay in the onset of parasitemia in treated mice[1]. Purfalcamine (20 mg/kg; orally gavage) exhibits a C_{max} of 2.6 μ M with a half-life of 3.1 hours[1]. Animal Model: Male BALB/c mice, 7 weeks of age with the malaria parasite[1]

[1]. Nobutaka Kato, et al. Gene expression signatures and small-molecule compounds link a protein kinase to *Plasmodium falciparum* motility. *Nat Chem Biol.* 2008 Jun;4(6):347-56. [2]. Rajshekhar Y Gaji, et al. Expression of the essential Kinase PfCDPK1 from *Plasmodium falciparum* in *Toxoplasma gondii* facilitates the discovery of novel antimalarial drugs. *Antimicrob Agents Chemother.* 2014 May;58(5):2598-607.

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