Product Data Sheet

Product Name: Piperaquine
Cat. No.: GC13875

Chemical Properties

Cas. No.	4085-31-8		
Chemical Name	4,4'-(1,3-propanediyldi-4,1-piperazinediyl)*bis*[7-chloro-quinoline		
SMILES	ClC1=CC2=C(C=C1)C(N3CCN(CC3)CCCN4CCN(CC4)C5=C6C=CC(Cl)=CC6=NC=C5)=CC=N2		
Formula	$C_{29}H_{32}Cl_2N_6$	M.Wt	535.5
Solubility	≤0.2mg/ml in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	Piperaquine		

Background

IC50: 9.8 to 217.3 nM for P. falciparum isolates

Piperaquine, an antimalarial drug, is first synthesised in the 1960s and extensively used as prophylaxis and treatment during the next 20 years.

In vitro: In 280 P. falciparum isolates, the IC50 for piperaquine ranged from 9.8 nM to 217.3 nM and a significant but low correlation was observed between the IC50 values for piperaquine and chloroquine. However, the coefficient of determination indicated that only 2.1% of the variation in the response to piperaquine was explained by the variation in the response to chloroquine. Moreover, the mean value for piperaquine was 74.0 nM in the Pfcrt K76 wild-type group and 87.7 nM in the 76T mutant group and such difference was not significant [1].

In vivo: Male SD rats were orally administered piperaquine or as a short-term i.v. infusion. Results showed that piperaquine disposition was best described by a 3-compartment model with a rapid initial distribution phase after i.v. administration. The PK of piperaquine was characterized by a low clearance, a large volume of distribution and a long terminal half-life [2].

Clinical trial: The safety and efficacy of a combination of dihydroartemisinin (DHA) and piperaquine was assessed in patients with uncomplicated falciparum malaria. Mean total DHA and piperaquine doses were 9.1 and 73.9 mg/kg, respectively, for children and 6.6 and 52.9 mg/kg for adults. Results showed that excluding the results for 1 child who died, there was a 96.9% 28-day cure rate. Side effects were reported by 22 patients but did not necessitate premature cessation of therapy [3].

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References:
[1] Pascual A et al. In vitro piperaquine susceptibility is not associated with the Plasmodium falciparum chloroquine resistance transporter gene. Malar J. 2013 Nov 25;12:431.
[2] Tarning J, Lindegardh N, Sandberg S, Day NJ, White NJ, Ashton M. Pharmacokinetics and metabolism of the antimalarial piperaquine after intravenous and oral single doses to the rat. J Pharm Sci. 2008 Aug;97(8):3400-10.
[3] Denis MB, Davis TM, Hewitt S, Incardona S, Nimol K, Fandeur T, Poravuth Y, Lim C, Socheat D. Efficacy and safety of dihydroartemisinin-piperaquine (Artekin) in Cambodian children and adults with uncomplicated falciparum malaria. Clin Infect Dis. 2002 Dec 15;35(12):1469-76.

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