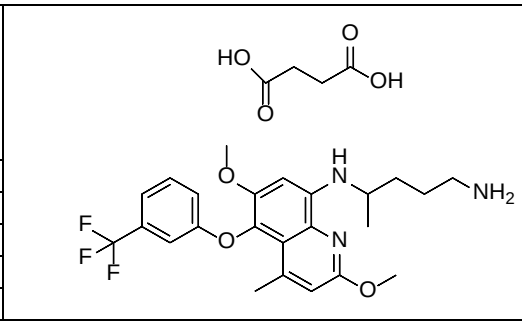
Product data sheet

MedKoo Cat#: 330165 Name: Tafenoquine succinate CAS#: 106635-81-8 (succinate) Chemical Formula: $C_{28}H_{34}F_3N_3O_7$ Molecular Weight: 581.59	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Tafenoquine, also known as WR-238605, is an oral active antimalaria drug that is being investigated as a potential treatment for malaria, as well as for malaria prevention. Tafenoquine Shows Activity against Trypanosoma brucei. Tafenoquine targets leishmania respiratory complex III and induces apoptosis. Tafenoquine has a long half-life of approximately 14 days and is generally safe and well tolerated, Malaria remains an important cause of global morbidity and mortality. As antimalarial drug resistance escalates, new safe and effective medications are necessary to prevent and treat malarial infection.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	125.0	214.93

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.72 mL	8.60 mL	17.19 mL
5 mM	0.34 mL	1.72 mL	3.44 mL
10 mM	0.17 mL	0.86 mL	1.72 mL
50 mM	0.03 mL	0.17 mL	0.34 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Ramharter M, Noedl H, Thimasarn K, Wiedermann G, Wernsdorfer G, Wernsdorfer WH. In vitro activity of tafenoquine alone and in combination with artemisinin against Plasmodium falciparum. Am J Trop Med Hyg. 2002 Jul;67(1):39-43. doi: 10.4269/ajtmh.2002.67.39. PMID: 12363062.
2. Carvalho L, Martínez-García M, Pérez-Victoria I, Manzano JI, Yardley V, Gamarro F, Pérez-Victoria JM. The Oral Antimalarial Drug Tafenoquine Shows Activity against Trypanosoma brucei. Antimicrob Agents Chemother. 2015 Oct;59(10):6151-60. doi: 10.1128/AAC.00879-15. Epub 2015 Jul 20. PMID: 26195527; PMCID: PMC4576119.

In vivo study

1. Mordue DG, Wormser GP. Could the Drug Tafenoquine Revolutionize Treatment of Babesia microti Infection? J Infect Dis. 2019 Jul 2;220(3):442-447. doi: 10.1093/infdis/jiz119. PMID: 31099380; PMCID: PMC6603973.

7. Bioactivity
Biological target: Tafenoquine is an anti-malarial agent.

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In vitro activity

Tafenoquine (TFQ) efficiently inhibited in vitro proliferation of different species of T. brucei at concentrations in the nanomolar range, with 50% effective concentrations (EC50s) ranging from 0.17 ± 0.02 μM for T. brucei rhodesiense and 0.22 ± 0.03 μM for T. brucei brucei S427 to 0.42 ± 0.02 μM for T. brucei brucei S16. Furthermore, TFQ produced a disintegration of cell membranes with loss of cytoplasmic contents confirming necrotic cell death.

Reference: Antimicrob Agents Chemother. 2015 Oct;59(10):6151-60. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC4576119/

In vivo activity

The efficacy of tafenoquine (TQ) for treatment of Babesia microti infection was evaluated in mice with severe combined immunodeficiency (SCID). SCID mice were infected with 1.1-1.5 × 108 B. microti-infected red blood cells by intraperitoneal injection. On day 3 or 4 postinfection, when parasitemia levels typically exceeded 10%, mice were treated with TQ vs vehicle alone, both administered by oral gavage. A single dose of TQ completely eliminated detectable parasites, with a >90% reduction in the level of parasitemia within just 4 days. Before elimination, a conspicuous phenotypic change in the parasite was observed. Although parasitologic cure was not achieved, there was no evidence for the development of drug resistance.

Reference: J Infect Dis. 2019 Jul 2;220(3):442-447. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6603973/