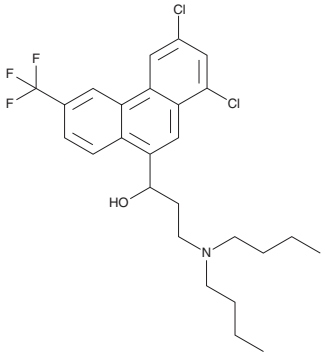
PRODUCT INFORMATION

Halofantrine

Item No. 46163



CAS Registry No.: 69756-53-2
Formal Name: 1,3-dichloro-α-[2-(dibutylamino)ethyl]-6-(trifluoromethyl)-9-phenanthrenemethanol
Synonyms: (±)-Halofantrine, SKF 102886, WR 171669
MF: $C_{26}H_{30}Cl_2F_3NO$
FW: 500.4
Purity: ≥98%
Supplied as: A neat oil
Storage: -20°C
Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Halofantrine is supplied as a neat oil. A stock solution may be made by dissolving the halofantrine in the solvent of choice, which should be purged with an inert gas. Halofantrine is slightly soluble (0.1-1 mg/ml) in ethanol.

Description

Halofantrine is an antimalarial agent.[1] It is active against *P. yoelii* (IC_{50} = 2.32 µM) and drug-sensitive and -resistant strains of *P. falciparum* (IC_{50}s = 0.2-1.1 nM). Halofantrine (4 mg/kg) reduces parasitemia in a mouse model of *P. yoelii* infection.[2] It also inhibits human-ether-a-go-go (hERG), also known as $K_V11.1$, in HEK293 cells expressing the human channel (IC_{50} = 0.04 µM) and induces bradycardia and QT prolongation in anesthetized guinea pigs at 10 mg/kg.[3,4] Formulations containing halofantrine have previously been used in the treatment of malaria.

References

1. Delves, M., Plouffe, D., Scheurer, C., *et al.* The activities of current antimalarial drugs on the life cycle stages of Plasmodium: A comparative study with human and rodent parasites. *PLoS Med.* **9(2)**, e1001169 (2012).
2. Singh, N. and Puri, S.K. Modulation of halofantrine resistance after coadministration of halofantrine with diverse pharmacological agents in a rodent malaria model. *Life Sci.* **67(11)**, 1345-1354 (2000).
3. Traebert, M., Dumotier, B., Meister, L., *et al.* Inhibition of hERG K^+ currents by antimalarial drugs in stably transfected HEK293 cells. *Eur. J. Pharmacol.* **484(1)**, 41-48 (2004).
4. Batey, A.J., Lightbown, I.D., Lambert, J.P., *et al.* Comparison of the acute cardiotoxicity of the antimalarial drug halofantrine *in vitro* and *in vivo* in anaesthetized guinea-pigs. *Br. J. Pharmacol.* **122(3)**, 563-569 (1997).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA
This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent *via* email to your institution.

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CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM