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# Non-Confidential Description - PSU No. 4266
# "Derivatives of Dehydroabietylbezamide for Treatment for Malaria"

**Keywords:**
antimalarial activity, diterpenoids, dehydroabietylamine derivatives, N-dehydroaabietic acid derivatives, malaria, cerebral malaria, drug-resistant P. falciparum,

**Publications:**
Sadashiva *et al*, Experimental Parasitology. 2015 Aug; 155:68-73; "A non-cytotoxic N-dehydroabietylamine derivative with potent antimalarial activity." PMID: 25982031

**Inventors:**
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## Background

Malaria is a serious global health problem responsible for over 200 million clinical cases every year that ultimately result in about 600,000 deaths. Infants are especially susceptible to malaria and are at much higher risk of developing a highly advanced, life threatening condition known as cerebral malaria. Thousands of travelers to malaria-endemic countries are also at risk of developing malaria. Due to existence of drug resistant P. falciparum parasites that cause malaria in humans, there is an urgent, unmet clinical need for new antimalarial drugs. The invention describes derivatives of naturally occurring abietanoids that show potent antimalarial activity in both drug-sensitive and drug-resistant P. falciparum parasites.

**Invention Description**The efficacy of naturally occurring diterpenoids, dehydroabietylamine and abietic acid, and their synthetic derivatives was assessed for antimalarial activity. Dehydroabietylamine and its N-trifluoroacetyl, N-tribromoacetyl, N-benzoyl, and N-benzyl derivatives showed excellent activity against P. falciparum parasites with IC50 values of 0.36 to 2.6 µM. Interestingly, N-dehydroabietylbenzamide showed potent antimalarial activity (IC50 0.36), and negligible cytotoxicity to mammalian cells (IC50 >100 µM) to mammalian cells; thus, this compound can be an important antimalarial drug. In contrast, abietic acid was only marginally effective against malaria parasites, exhibiting an IC50 value of ~82 µM. Several carboxylic group-derivatives of abietic acid were moderately active with IC50 values of ~8.2 to ~13.3 µM. These results suggest that a detailed understanding of the structure-activity relationship of abietane diterpenoids might provide strategies to exploit this class of compounds for malaria treatment.

## Advantages / Applications

- Antimalarial activity in both drug-sensitive and drug-resistant P. falciparum parasites.
- Negligible cytotoxicity to mammalian cells

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