Researchers at the University of South Florida have discovered an effective treatment that targets erythrocytic and exoerythrocytic stages of malaria.

Malaria is considered endemic in 104 countries and it is estimated that 3.4 billion people are at risk for contracting malaria. Although the number of deaths caused by malaria has decreased by 42% since the turn of the century, resistance to current treatments is a mounting problem. Effective drug treatment which ideally should be active against all developmental stages of the parasite within the host and within the mosquito vector remains the cornerstone of malaria control, nevertheless WHO states that without new therapeutics, all the strides made in reducing the deaths from the disease could be reversed owing to resistance of parasite strains to many of the common antimalarials and artemisinin combination therapies (ACTs). Due to the limited chemotypes active against malaria, researchers have begun to optimize old antimalarial agents or drugs, evaluating these in current preclinical efficacy models and assessing these for proper physicochemical properties.

One such compound is 4(1H)-quinolone ICI 56,780. It shows promise as therapeutic agent; however, the compound possesses poor aqueous solubility, and hence, poor bioavailability.

USF researchers have optimized a series of antimalarial piperazine-substituted 4(1H)-quinolones. The optimization invention increases the solubility and bioactivity of the compounds making them highly efficacious against erythrocytic and exoerythrocytic stages of malaria.

**ADVANTAGES:**
- High aqueous solubility
- Targets different stages of malaria
- Effective against multidrug resistant malaria strains

**Optimized 4(1H)-Quinolones**

**In vivo efficacy screening with bioluminescence imaging of mice infected with *P. berghei* sporozoites**

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