Imido-substituted 1,4-naphthoquinones for Treatment of Leishmaniasis

Howard University researchers have identified a family of compounds with improved activity against Leishmania parasites.

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Inventors

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Benefits/Features

Family of compounds exhibit improved toxicity versus cultured *L. donovani* and increased selectivity when compared with its toxicity toward fibroblasts.

Potential Commercial Applications

Current therapeutics for leishmaniasis are too expensive and exhibit unacceptable side effects. Numerous incentive programs exist for development of new leishmaniasis therapies.

Stage of Development

Provisional US patent application filed. *In vitro* activity and selectivity assays and preliminary *in vivo* mouse toxicity tests have been performed. Additional compounds in the family continue to be characterized.

Status

Seeking research collaboration & licensing partners

Background

Leishmaniasis is a tropical parasitic disease prevalent in both eastern and western hemispheres which is spread through the bite of sand flies. It can manifest itself as either a cutaneous form, which is disfiguring, or a visceral form, which if untreated is usually fatal. Consistent with its prevalence in underdeveloped regions, 80% of its victims live on less than $2 US a day. Twelve million people worldwide are infected, and 1-2 million contract it each year; about 350 million people live in conditions which expose them to infection. The treatments available require an extensive course of therapy, are expensive, and have serious side effects; moreover, new therapeutic development has languished though resistance to current treatment options has increased. Because of the severity of the disease, its impact on development potential of the regions it afflicts, and the lack of new effective therapeutics, the disease has been classified as a neglected tropical disease by the World Health Organization and the National Institutes of Health.

Description of Technology

Dr. Oladapo Bakare and colleagues at Howard University have identified a family of imido-substituted 1,4-naphthoquinone compounds with activity against *Leishmania donovani*. They have tested the compounds against promastigote and axenic amastigotes, as well as mouse fibroblast cells. Representative imido-naphthoquinone analogs exhibited increased IC_{50} values against *L. donovani* cultures and 10- to 1000-fold improvement in selectivity when compared to standard-of-care Amphoterecin B. Preliminary *in vivo* toxicity testing in mice has been conducted, but more characterization is required. These imido-substituted 1,4-naphthoquinones therefore have potential as a new family of compounds for the purpose of prophylaxis or treatment of patients afflicted by leishmaniasis disease.

Opportunity

The method of treating leishmaniasis with imido-substituted 1,4-naphthoquinone derivatives is available for licensing or as a collaborative research project. Characterization of additional members of this compound family continues. Researchers are seeking further small animal studies, to be performed at Howard University or by an interested company. Dr. Bakare is available for more discussions about his technology under NDA.