Triazolo/Phenylpyrimidine Compounds as Novel Candidate Treatments for Schistosomiasis

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BACKGROUND

Schistosomiasis is a disease caused by infection with parasitic flatworms called schistosomes. The three major medically important species are *Schistosoma mansoni* (causing intestinal schistosomiasis in Africa and South America), *S. japonicum* (intestinal schistosomiasis in East Asia), and *S. haematobium* (causing genitourinary schistosomiasis in Africa and the Middle East). Signs and symptoms may include abdominal pain, diarrhea, bloody stool, or blood in the urine. The treatment of schistosomiasis serves three purposes: reversing acute or early chronic disease, preventing complications associated with chronic infection, and preventing neuroschistosomiasis. The goal of treatment is to remove the worms that produce the eggs which, in turn, are responsible for disease morbidity and mortality. There is no effective vaccine against schistosomiasis.

TECHNOLOGY DESCRIPTION

The main treatment for schistosomiasis today as recommended by the WHO involves the use of a generic drug, praziquantel (PZQ), which has been successful because of its high efficacy, low toxicity, and its ease as a single, oral administration. Still, PZQ is not effective against the immature stages of schistosomes and has some pharmacological limitations. Other drugs that are used less frequently are: oxamniquine (only effective against intestinal schistosomiasis), artesunate and mefloquine, but by far PZQ is the most used drug and the most effective one. However, resistance to existing drugs is always a concern for the future. The inventors have developed a new compound: Phenylpyrimidine 51649, which shows anti-infective effects *in vitro* against this pathogen. The compound shows effects on both immature and adult worms (advantage over PZQ).

APPLICATIONS

The drug would be administered to patients for treatment of schistosomiasis

ADVANTAGES

The IC50 for this new compound is in the low micromolar (μM) range against the parasite *in vitro*; which for this parasite is a very good start and in the same range as the activity as PZQ. The scientists believe that Phenylpyrimidine 51649 must work via a different mechanism than PZQ: Phenylpyrimidine 51649 produces a flaccid paralysis in the parasite whereas PZQ causes spastic paralysis.

STATE OF DEVELOPMENT

The state of development is at the experimental stage whereby the inventors have no *in vivo* data on the efficacy of the compound in small animal models of schistosome infection, however, the compound is apparently not toxic at high doses (100mg/ kg twice a day for 4 days in a row).

INTELLECTUAL PROPERTY INFO

This technology is patent-pending and is available for licensing.

PATENT STATUS

Patent Pending

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OTHER INFORMATION

KEYWORDS

Schistosomiasis, chronic parasitic disease, trematode flatworm, anti-schistosomal therapeutics

CATEGORIZED AS

- **Medical**
  - Disease: Digestive System
  - Other
  - Therapeutics

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