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1,2,4,5-TETRAOXANE DERIVATIVES: NOVEL ANTIMALARIAL AGENTS

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The growing resistance and the lack of an effective antimalarial vaccine emphasize the need to develop a novel, safe, affordable antimalarial drug effective against multi drug-resistant malaria. A number of useful aminoquinoline-based antimalarials were synthesized that included pamaquine, chloroquine, amodiaquine, pentaquine, primaquine, mefloquine etc and research is still continuing to make effective new antimalarial agents by their structural modification which may be active towards resistant malaria parasites. The discovery of artemisinin was the beginning of a significant effort to identify synthetically accessible antimalarial peroxides. Artemisinin, a 1,2,4-trioxane compound isolated from Chinese plant Artemisia annua, has been one of the most effective antimalarial against P. falciparum. However, limited availability, high cost, and poor bioavailability have been the major drawback of artemisinin. A disadvantage with the semisynthetic compounds is that their production requires artemisinin as starting material. Artesunate and artemether, semi-synthetic derivatives of artemisinin, also show poor pharmacokinetic properties. Therefore, there is much need for the development of new and improved approaches to synthetic endoperoxides. Tetraoxanes are believed to have a similar mode of activity as the naturally occurring peroxides such are artemisinin. 1,2,4,5-Tetraoxane derivatives were designed via molecular docking analysis against Falcipain-2 protein and synthesized, Characterize, evaluated for their antimalarial activity. To enhance the antimalarial activity of the tetraoxane moiety, we synthesized a hybrid molecule (“Tetraoxaquine”) consisting of two pharmacophores, 1,2,4,5-tetraoxane and 7-chloro-4-aminoquinoline. These synthesized tetraoxaquine hybrid molecules showed excellent in vitro activity against chloroquine-resistant strains of P. falciparum.

Key words: Antimalarial activity, 1,2,4,5-Tetraoxane Derivatives, P. falciparum, Molecular docking studies, Falcipain-2.

Biography

Dr. Mukesh Kumar Kumawat has completed his PhD at the age of 26 years from Dibrugarh University, Dibrugarh, Assam in Pharmaceutical Sciences. He has more than 13 Years of experience in the field of teaching and research of various Pharmacy Colleges and Univsities of India. He has published 20 papers in reputed National and International journals, 05 Books and 01 Book Chapter with reputed publishers.