



HIV Integrase Inhibitors For AIDS Therapy

The Technology

Current generation anti-HIV retroviral drugs fall into two categories, reverse transcriptase inhibitors or protease inhibitors. Although combination therapies using existing drugs have provided tremendous clinical benefits, drug resistance can and does develop, and there is a constant need for new therapeutics. In addition to new molecules that inhibit the two proven targets, many scientists are trying to develop drugs that target other HIV proteins. The HIV integrase protein, which catalyzes the integration of viral DNA into the host cell genome, is one of the targets being investigated for the next generation of antiretroviral drugs.

Researchers at the University of Tennessee have developed a series of compounds (phenanthrene and anthracene diketo acids) that bind to and inhibit the HIV integrase protein. Their leading candidate exhibited a sub-micromolar IC₅₀ and an effective concentration of 8 μ m in inhibiting viral replication in cell culture studies, comparable to other reported integrase inhibitors. The researchers continue to refine and screen new compounds using computational modeling and binding simulations, and expect to develop even more effective compounds.

Related Publications:

- [Bioorg Med Chem. 2007 Feb 1;15\(3\):1212-28](#)

Features

- HIV integrase inhibitors with comparable efficacy to leading clinical candidates
- HIV integrase inhibitors have demonstrated efficacy against drug-resistant HIV (when included in a multidrug regimen)
- Low cytotoxicity during *in vitro* studies

Patents

- One US provisional patent application is pending.

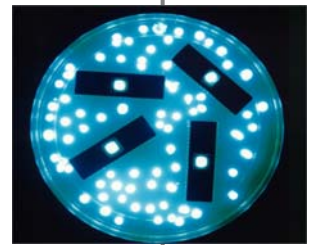
The Inventors

Dr. John Buolamwini is a Professor of Pharmaceutical Sciences at the University of Tennessee Health Science Center. His research interests include the development of novel pharmaceutical agents in the fields of cancer and HIV, and he is the Editor-in-Chief of the journal *Current Cancer Drug Targets*.

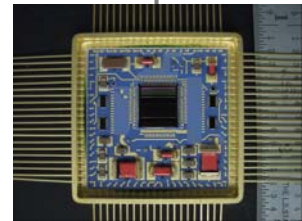
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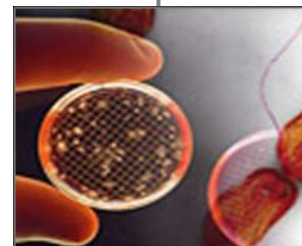
ENGINEERING



MATERIALS



MEDICINE





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