Design and synthesis of Quinolinonyl DKA derivatives as HIV-1 Integrase inhibitors and nanotubes conjugation to improve their cell penetration.

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HIV/AIDS remains one of the most important global health challenges, especially in sub-Saharan Africa. Highly active antiretroviral therapy (HAART), the standard of care for HIV/AIDS, comprises a multitarget regimen combining antiviral drugs with orthogonal mechanisms of action, thus increasing the genetic barrier against resistance selection when compared to monotherapy.
We have designed, synthesized, and evaluated a series of novel quinolinonyl diketo acid derivatives endowed with a "base-like" moiety for their inhibition against HIV integrase (IN). The compounds were also tested against the RNase H function of the reverse transcriptase (RT). To improve the pharmacokinetics and bioavailability profiles of these classes of therapeutic molecules, conjugation with carbon nanotubes (CNT) was performed.