Synthesis of BNM-III-170 bis TFA salt and development of concise synthesis

MCS Capstone Virtual Poster

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HIV/AIDS

- 1. Almost **33** million people have died of AIDS-related illnesses.
- 2. Estimated **38** million people living with HIV at the end of 2019.
- 3. In 2019, **690,000** people died from HIV-related causes and **1.7** million people were newly infected.
- 4. Current therapeutic approaches involve Highly Active Antiretroviral Therapy (HAART) which can achieve suppression of the HIV virus with no risk of infecting others. However, esistance mutations and issues of toxicity, tolerability and compliance limit the effectiveness.
- 5. Current therapies fail to deplete the latent viral reservoirs, therefore they are not curative.





World Health Organization. HIV/AIDS. https://www.who.int/news-room/factsheets/detail/hiv-aids (accessed March 15, 2020) Aiming For HIV's Weak Spot. *Chem. Eng. News Archive* **2014**, *92* (35), 14–21.

Binding of HIV glycoprotein with CD4mc



ADCC: Antibody-dependent cellular cytotoxicity



Richard et al. Co-Receptor Binding Site Antibodies Enable CD4-Mimetics to Expose Conserved Anti-Cluster A ADCC Epitopes on HIV-1 Envelope Glycoproteins. *EBioMedicine* **2016**, *12*, 208–218.

First Generation Synthesis of BNM-III-170





Melillo et al. Small-Molecule CD4-Mimics: Structure-Based Optimization of HIV-1 Entry Inhibition. ACS. Med. Chem. Lett. 2016, 26, 330-334.

Scaled up Synthesis of BNM-III-170



hemistry

Chen et al. Development of an Effective Scalable Enantioselective Synthesis of the HIV-1 Entry Inhibitor BNM-III-170 as the Bis-Trifluoroacetate Salt. *Org. Process Res. Dev.* **2019**, 23 (11), 2464–2469.

Concise Synthesis of BNM-III-170





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