

# Highly Efficient and Optically Active Synthesis of bis-THF Ligand of Darunavir

**An inexpensive and easily scalable method utilizing optically active sugars increases the efficiency and purity of bis-THF synthesis, a key component in the manufacture of the HIV-1 drug Darunavir.**

Researchers at Purdue University have developed an efficient method to synthesize an optically pure sub-unit bis-THF, a key structure for producing the HIV-1 drug Darunavir. Darunavir is the most recent FDA approved HIV-1 protease inhibitor drug for the treatment of patients with HIV-1 infection and AIDS. It is potent against highly multidrug resistant HIV-1 variants and has become the front-line therapy for the treatment of HIV/ AIDS. Current methods of Darunavir synthesis often involve numerous complex steps and the resulting bis-THF may not be optically pure. Further, these methods frequently have high cost associated with the starting materials and reagents and the synthesis time is often lengthy.

Researchers at Purdue University have developed a method that uses optically active sugars to synthesize optically pure bis-THF. This inexpensive and efficient method is beneficial for scaling up the synthesis of Darunavir.

â€

**Technology Validation:** Reactions were carried out under an atmosphere of argon. Purification was performed by flash chromatography. Analytical thin layer chromatography, NMR spectroscopy, and mass spectroscopy were conducted on samples for analysis.

## Advantages

- Increased synthesis efficiency
- Low cost
- Easily scaled up
- Produces a higher quantity of optically pure ligand

**Technology ID**  
2020-GHOS-68848

**Category**  
Pharmaceuticals/Pharmaceutical  
Manufacturing & Methods

**Authors**  
Arun K Ghosh  
William Robinson (DECEASED)

**Further information**  
Joe Kasper  
[JKKasper@prf.org](mailto:JKKasper@prf.org)

Nathan Smith  
[nesmith@prf.org](mailto:nesmith@prf.org)

**View online**



## Applications

- Treatment of HIV/Aids
- Drug manufacture (HIV/AIDS drug products)

**TRL:** 3

## Intellectual Property:

Provisional-Gov. Funding, 2020-08-11, United States | PCT-Gov. Funding, 2021-06-11, WO | NATL-Patent, 2021-06-11, Europe | NATL-Patent, 2023-02-08, United States

**Keywords:** bis-THF synthesis, Darunavir, HIV-1 protease inhibitor, optically pure ligand, optically active sugars, drug manufacture, anti-HIV/AIDS drug products, synthesis efficiency, low cost synthesis, scale-up synthesis