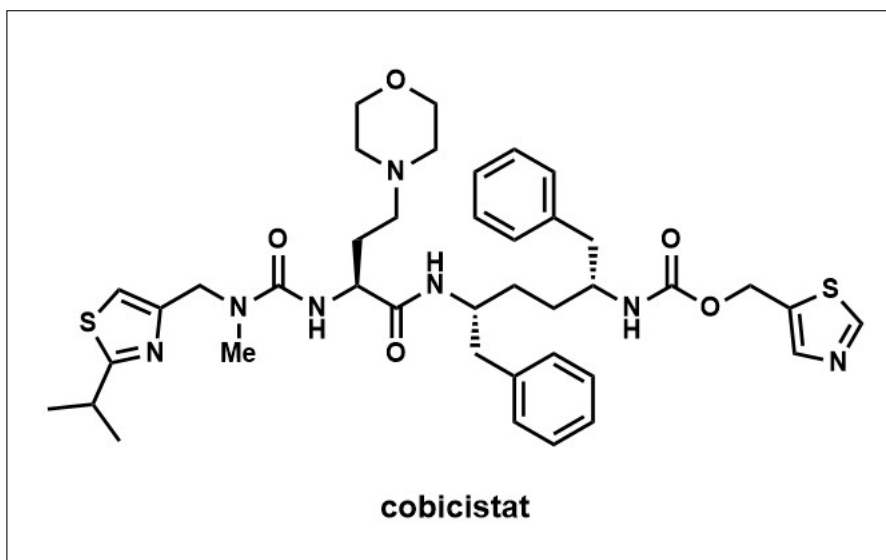


Cobicistat


Related reviews in Science of Synthesis

- Thiazoles
- Amides
- Urea Derivatives
- Alkenes by Reductive Extrusion of 1,3-Dithiolane-2-thiones

Synonyms: GS-9350

ATC: V03AX03

Use: anti-HIV; inhibitor of cytochrome P450

Chemical name: Thiazol-5-ylmethyl *N*-[1-benzyl-4-[[2-[[[(2-isopropylthiazol-4-yl)methyl-methyl-carbamoyl]amino]-4-morpholinobutanoyl]amino]-5-phenyl-pentyl]carbamate

Formula: C₄₀H₅₃N₇O₅S₂

MW: 776.0 g/mol

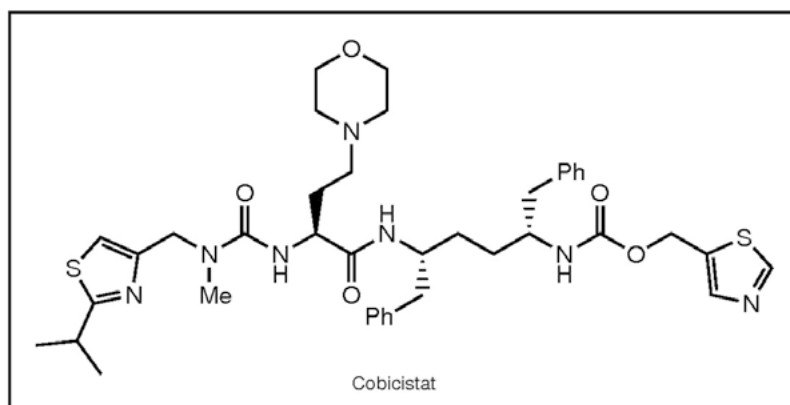
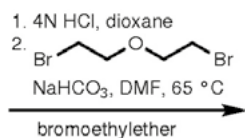
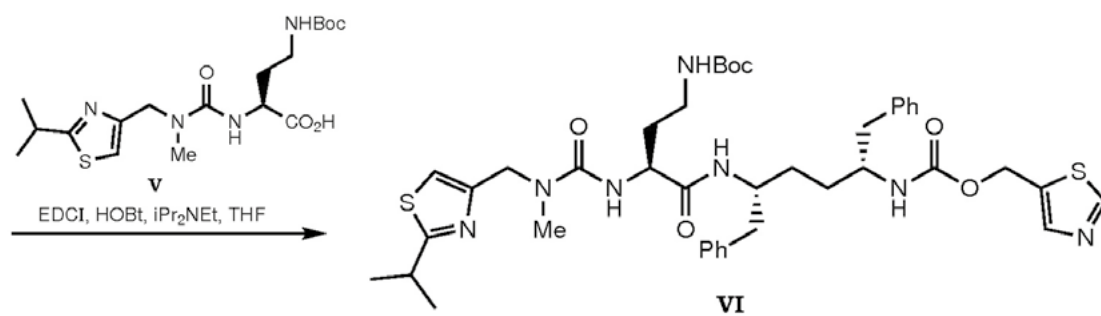
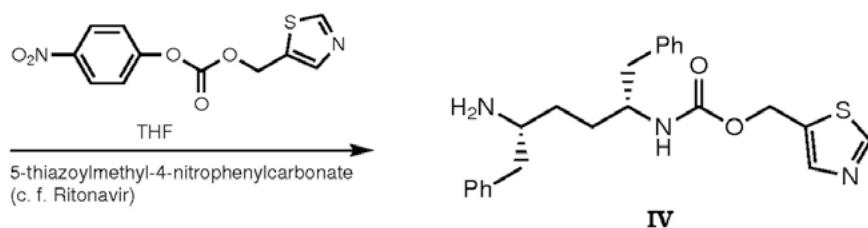
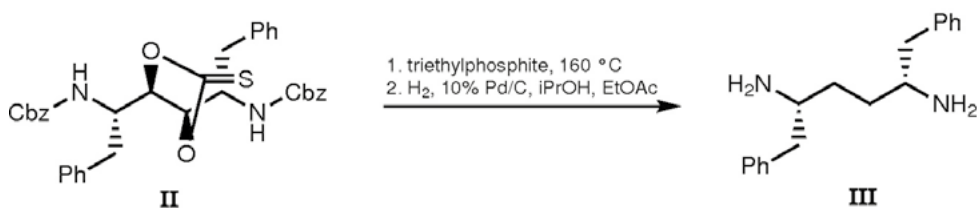
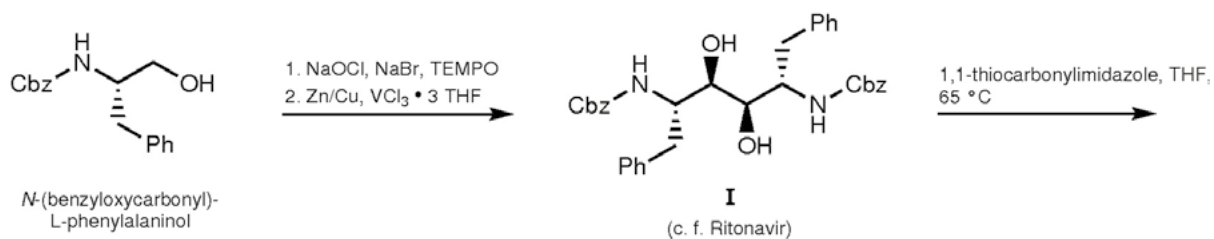
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InChI Key: ZCIGNRJZKPOIKD-CQXVEOKZSA-N

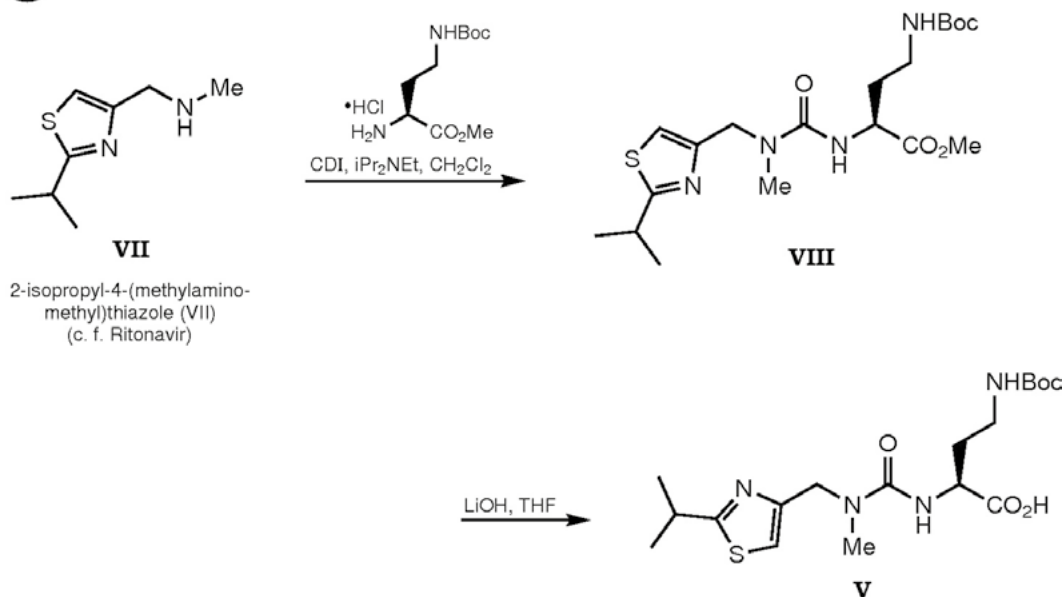
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Synthesis Path

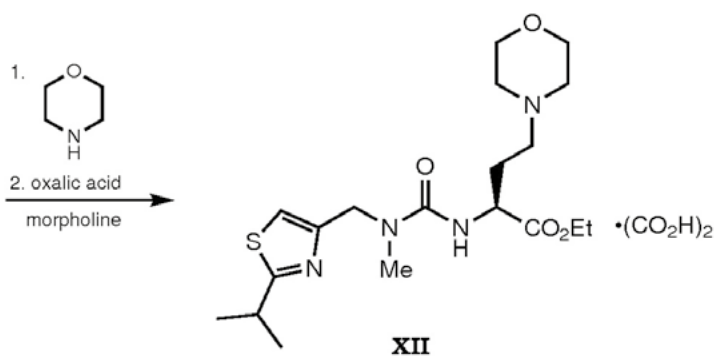
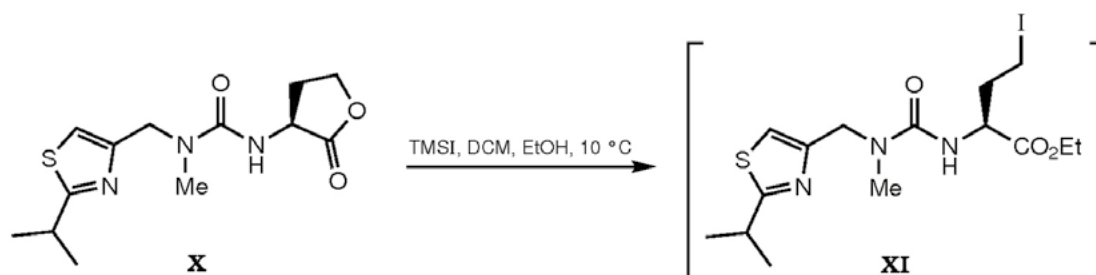
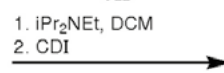
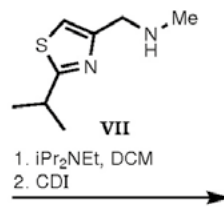
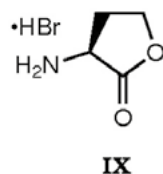
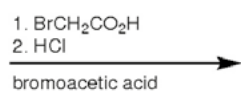
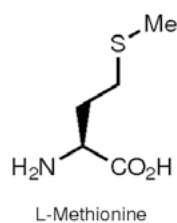
a



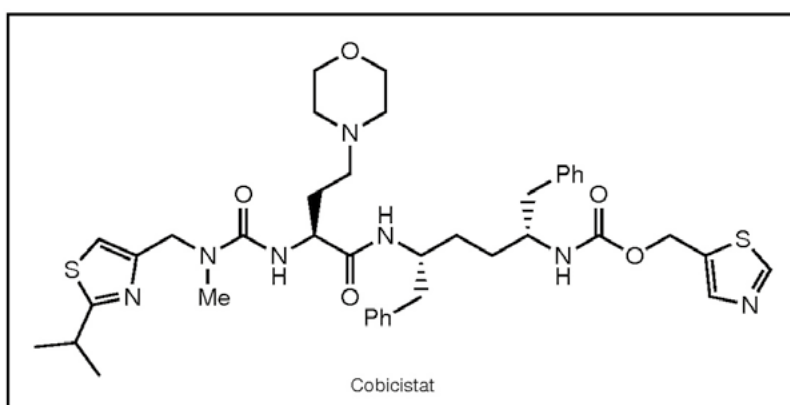
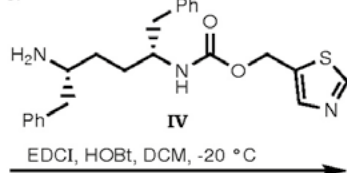
aa synthesis of V



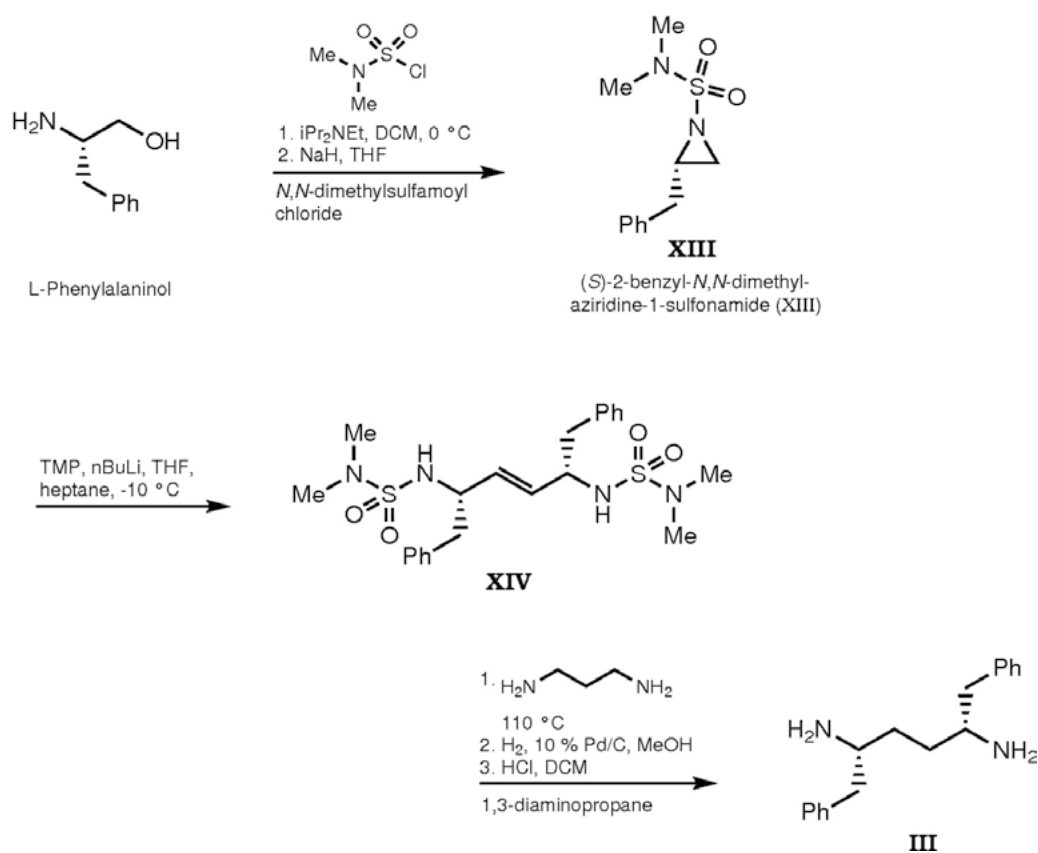
b improved synthesis



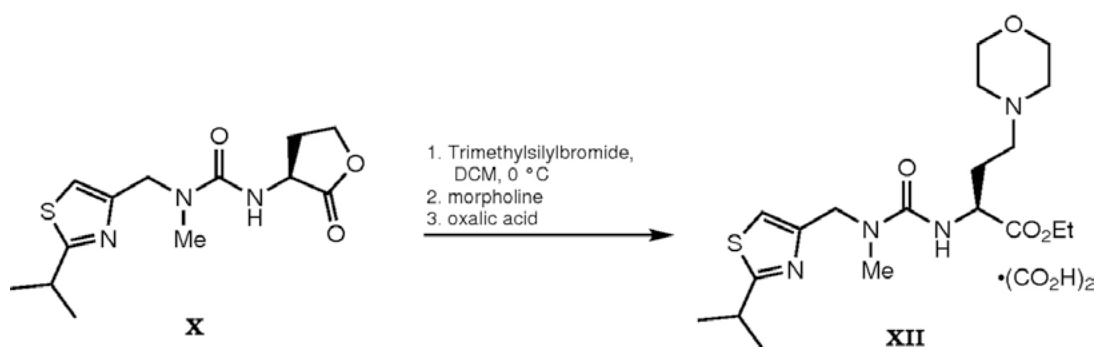
1. KHCO₃, H₂O, DCM
 2. KOH, H₂O
 3.



ba) alternative synthesis of intermediate III



c) alternative synthesis of XII



Substances Referenced in Synthesis Path

CAS-RN	Formula	Chemical Name
6372-14-1	C ₁₇ H ₁₉ NO ₃	<i>N</i> -(benzyloxycarbonyl)-L-phenylalaninol
144163-97-3	C ₁₁ H ₈ N ₂ O ₅ S	5-thiazoylmethyl-4-nitrophenylcarbonate
154212-60-9	C ₈ H ₁₄ N ₂ S	2-isopropyl-4-(methylaminomethyl)thiazole
63-68-3	C ₈ H ₁₄ N ₂ S	L-Methionine
3182-95-4	C ₅ H ₁₁ NO ₂ S	L-Phenylalaninol
13360-57-1	C ₂ H ₆ ClNO ₂ S	<i>N,N</i> -dimethylsulfamoyl chloride
902146-43-4	C ₁₁ H ₁₆ N ₂ O ₂ S	(<i>S</i>)-2-benzyl- <i>N,N</i> -dimethylaziridine-1-sulfonamide

Trade Names

Country	Trade Name	Vendor	Annotation
USA	Tybost	Gilead Sciences, 2014	
	Stribild	Gilead Sciences, 2012	in combination with Elvitegravir, Emtricitabine and Tenofovir
EU	Tybost	Gilead Sciences, 2014	
	Rezolsta	Jansen	in combination with Darunavir

Formulations

tabs; 150 mg; tabs.; 150 mg fixed combination with 150 mg Elvitegravir, 200 mg Emtricitabine and 300 mg Tenofovir;
 tabs.; 150 mg in fixed combination with 800 mg Darunavir

References

- b** Xu, L. et al., *ACS Med. Chem. Lett.*, (2010) **1**, 209-213.
a US 8 148 374 (Gilead Sciences; 3.4.2012; appl. 22.2.2008; USA-prior. 23.2.2007).
 US 8 497 396 (Gilead Sciences; 30.7.2013; appl. 1.4.2010; USA-prior. 3.4.2009).
c WO 2014 057498 (Mylan Labs.; 17.4.2014; appl. 7.10.2013; USA-prior. 8.10.2012).