Steroids
U 0300

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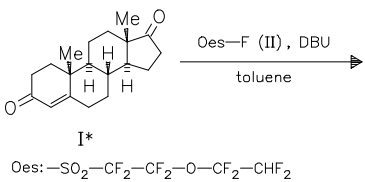
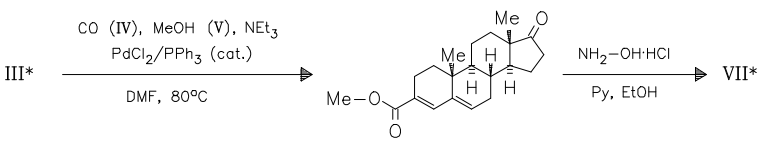
Discovery of a Novel Hybrid from Finasteride and Epristeride as 5α-Reductase Inhibitor. — Starting from androsterone derivative (I) the analogue (IX) of BPH drugs finasteride and epristeride is synthesized and tested as novel potent 5α-reductase inhibitor. Aromatization of the A ring and replacement of the carboxylic group lead to estrone derivative (XII) which shows diminished activities. — (YAO, Z.; XU, Y.; ZHANG, M.; JIANG, S.; NICKLAUS, M. C.; LIAO*, C.; Bioorg. Med. Chem. Lett. 21 (2011) 1, 475-478, http://dx.doi.org/10.1016/j.bmcl.2010.10.112 ; Cent. Cancer Res., Natl. Cancer Inst., Frederick, MD 21702, USA; Eng.) — H. Haber



I*

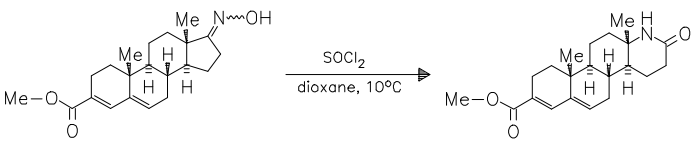
Oes: $-SO_2-CF_2-CF_2-O-CF_2-CHF_2$

III* 50%



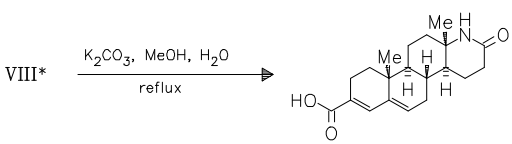
VI* 66%

91%

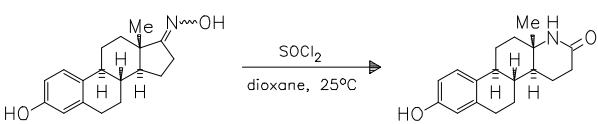


VII*

VIII* 83%

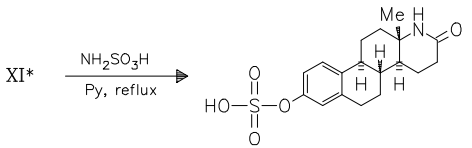


IX* 98%



X*

XI* 60%



XII* 50%