

论文

18-甲基炔诺酮中间体的拆分

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摘要:

D-及L-18-甲基炔诺酮是以消旋19-去甲基13β-乙基-3β,17β-双羟基17α-乙炔基-4-雄甾烯(III)为原料,经III的丁二酸单酯与(+)-α-甲基苯乙胺成盐,然后分离,分别水解,氧化即得D-左旋18-甲基炔诺酮(VIIa)和L-右旋18-甲基炔诺酮(VIIb)。如用(-)-α-甲基苯乙胺,首先得L-构型的右旋18-甲基炔诺酮(VIIb),而母液中的D-左旋体未进行分离。

关键词: 18-甲基炔诺酮 α-甲基苯乙胺 丁二酸酐

RESOLUTION OF AN INTERMEDIATE OF RACEMIC NORGESTREL

ZHU Li-Ya; LIN Zi-Yun and HUANG Liang

Abstract:

Optically active D-and L-norgestrel were obtained by resolution of racemic intermediate, 19-nor-13β-ethyl-3β, 17β-dihydroxy-17α-ethynyl-4-androsten(III) prior to oxidation with MnO<sub>2</sub>. Compound III was first changed to its hemisuccinic ester which was then treated with (+)-α-methyl ethyl phenylamine. The enantiomer salts (Va,Vb) were easily separated by fractional recrystallization with methanol. Subsequent hydrolysis of the optically active Va and Vb in alcoholic sodium hydroxide, followed by neutralization with 36% acetic acid, gave the corresponding optically active VIa and VIb which on oxidation with MnO<sub>2</sub> afforded the desired D-[[α]<sub>D</sub><sup>22</sup>-41,2°(c 0.076, CHCl<sub>3</sub>)] and L-Norgestrel [[α]<sub>D</sub><sup>22</sup>+39.5°(0.081, CHCl<sub>3</sub>)] respectively.

Keywords: Chiral benzylethylamine, Succinic anhydride. Norgestrel

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