Additions & Corrections

A Review of U.S. Patents in the Field of Organic Process Development Published During August and September 2009

Keith Turner* [Org. Process Res. Dev. 2010, 14, 8–18].

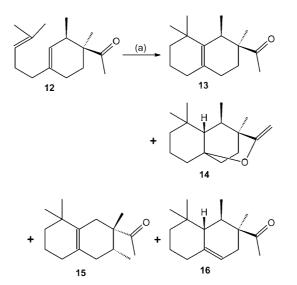
On page 10 in the review of U.S. Patent 7,569,732 there were inaccuracies in Reaction 4 and associated text. The molecules **12**, **13**, **15**, and **16** in Reaction 4 were inadvertently drawn as aldehydes instead of methyl ketones. The correct reaction scheme is shown below, and sentences two, three, four, and the advantages should read as follows:

The other structural isomers of **13** are less potent, and so any preparation of this compound is aimed at increasing the amount of the desired isomer. Cyclisation of **12** using H_3PO_4 is known to produce **13** plus **15**, and since the latter cannot be converted to **13**, its formation is undesirable. Reaction 4 shows the improved route that produces **13** plus **14** and **16**, and these can both be converted to **13**. The amount of **15** formed may be present at between 1 and 5% by weight although it is reported that it is usually completely absent from the reaction mixture.

Advantages

The advantage of the process is that it gives an improved yield and higher-purity product compared to the method that uses H_3PO_4 .

Reaction 4



(a) (i) MeAlCl₂, PhMe, 70 °C, 3 h; (ii) EtOH, 0 °C; (iii) 2M HCl, separate; (iv) Extract in MTBE, wash, dry, distil.

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