SYNTHESIS OF cis AND trans-3'-HYDROXYBUTORPHANOL

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Butorphanol 1 being a synthetic opioid is an agonist-antagonist analgesic with substantial affinity for \( \mu \) and \( \kappa \)-opioid receptor sites [1,2] as well as a veterinary analgesic. It is used in treatment of post-surgical, dental-related pain and migraine [3]. Known metabolites include trans-hydroxybutorphanol 2, norbutorphanol, and its glucuronide conjugates [4]. Although several analytical and pharmacological studies are known, the synthesis has not been described [5]. We will report a detailed synthesis of 2 and 3 (Fig. 1).

Figure 1:

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\begin{align*}
R = H & \quad \text{Butorphanol 1} \\
R = \text{trans-OH} & \quad \text{trans-3'-hydroxy butorphanol 2} \\
R = \text{cis-OH} & \quad \text{cis-3'-hydroxybutorphanol 3}
\end{align*}
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