



achieved by reducing (3) to the alcohol (7) ( $\text{AlH}_3$ ;  $\text{Et}_2\text{O}$ ;  $0^\circ\text{C}$ ). The corresponding acetyl derivative (8), obtained quantitatively by a standard procedure ( $\text{Ac}_2\text{O}$ ; pyridine; room temp.; 6 h), underwent intramolecular cycloaddition on the trisubstituted double bond ( $\text{PhNCO}$ ;  $\text{Et}_3\text{N}$ ;  $80^\circ\text{C}$ ; 48 h) to afford a 90% yield of (9) as a mixture of diastereoisomers. Saponification ( $\text{K}_2\text{CO}_3$ ;  $\text{MeOH-H}_2\text{O}$ , 3:1; reflux; 4 h) gave the alcohol (10), which, without purification, was transformed by Moffatt oxidation into an inseparable mixture (1:1) of ketones (4) and (6), which was directly acetalized to produce (5) in a less straightforward but more convenient pathway.

Unmasking of the heterocyclic nucleus to reveal the  $\beta$ -hydroxy ketone by known hydrogenolytic methods<sup>9</sup> preserving the protective group (W-2 Raney nickel, acetic acid or boric acid) led surprisingly to the isolation of the stable  $\beta$ -hydroxy imine intermediate (11) (m.p.  $99-100^\circ\text{C}$ ‡) which, however, can be hydrolysed to the crucial intermediate (12) [m.p.  $103-104^\circ\text{C}$  (from n-pentane)] by stirring for 12 h in the presence of  $\text{SiO}_2$ . In conclusion a highly functionalized AB ring system along the route to forskolin (1) has been synthesized,

‡ To the best of our knowledge this is first example of isolation of the labile  $\beta$ -hydroxy imine intermediate during the transformation of  $\Delta^2$ -isoxazolines to  $\beta$ -hydroxy ketones.

and its elaboration to the target compound is currently under active investigation.

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