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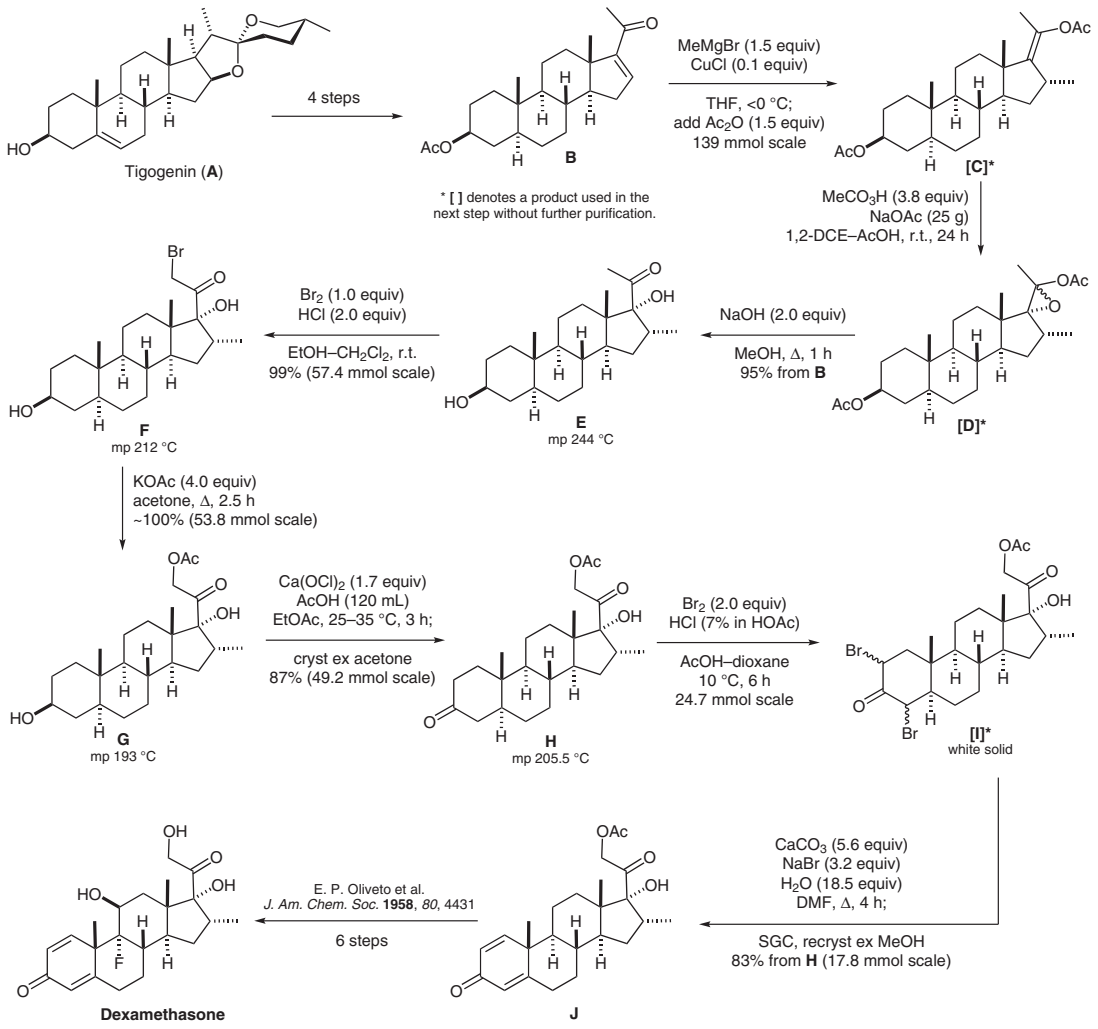
Improved Synthetic Route to Dexamethasone Acetate from Tigogenin
Org. Process Res. Dev. **1997**, *1*, 420–424.

Synthesis of Dexamethasone



Significance: Dexamethasone is a corticosteroid that was first synthesized in 1957 and was approved in 1961 for the treatment of a wide range of inflammatory disorders. It is on the WHO List of Essential Medicines. In June 2020, dexamethasone was given preliminary approval for the treatment of COVID-19 because it improved survival rates of hospitalized patients receiving oxygen or on a ventilator. In 1997, Furukawa and co-workers reported a synthesis of dexamethasone from tigogenin.

Comment: For the introduction of the 17α-hydroxy-16α-methyl moiety (**B** → **E**) the key epoxidation reaction was accomplished with peracetic acid in a buffer solution of sodium acetate and acetic acid (95% overall yield). Then the introduction of the 1,4-diene in the A-ring (**H** → **J**, 83% yield) was greatly improved by bromination–dehydrobromination, in which dehydrobromination proceeded smoothly in a mixture of DMF and 6% of water (83% yield).

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Category
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