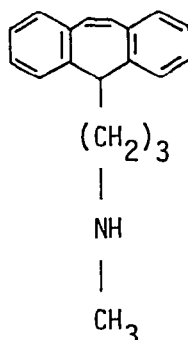


GENERAL LABELING OF PROTRIPTYLINE WITH TRITIUM

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Protriptyline is a tricyclic antidepressant of the dibenzocycloheptatriene series. It is known⁽¹⁾ that the double bond of the central ring of such derivatives is very sensitive to hydrogen in the presence of a catalyst, even under mild experimental conditions. It seemed that



Protriptyline

the synthesis of a high-specific-activity tritium labeled derivative would be tedious and uncertain. Surprisingly, and in contrast to our previous experience with similar compounds, attempts to label this compound with tritium showed that the competitive catalyzed reduction of the double bond was not immediate. Furthermore, this could be avoided by stopping the exchange reaction immediately at the end of the catalyst pre-activation step⁽²⁾.

We developed appropriate experimental conditions and succeeded in labeling protriptyline (free base) at a specific activity of 20.0 Ci/mmol. The behavior of this compound is still under investigation. Preliminary results indicate that the "non-specific" exchange procedure directed the tritium atoms to positions 10 and 11; this means that the isotopic exchange occurred preferentially on the carbons of the central double bond.

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