

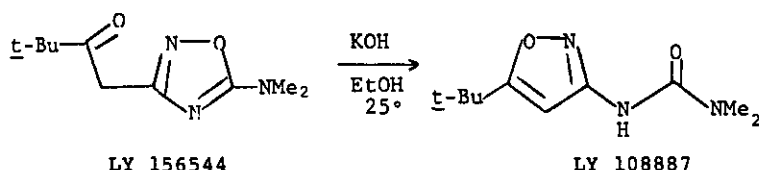
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NEW APPLICATIONS OF MONONUCLEAR HETEROCYCLIC REARRANGEMENTS (MHR's) IN ORGANIC SYNTHESIS

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Mononuclear heterocyclic rearrangements¹ represent a class of azole/azole interconversions first systematized by Boulton and Katritzky². Interest in the synthesis of LY 108887 prompted our consideration of a scarcely documented version of this rearrangement. Thus, reaction of methyl pivalate with 3-methyl-5-dimethylamino-1,2,4-oxadiazole in the presence of lithium diisopropylamide (LDA) at low temperature, followed by quench with aqueous acid at 0° gave LY 156544 in high yield. Treatment of this compound with ethanolic potassium hydroxide gave LY 108887 in quantitative yield.



Further examples of this condensation/rearrangement sequence and extensions to other heterocyclic ring systems are discussed.

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6-SUBSTITUTED NICOTINIC ACIDS FROM 2-METHYL-5-ETHYLPYRIDINE

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In our continuing exploration of the chemistry of isocinchomeric