

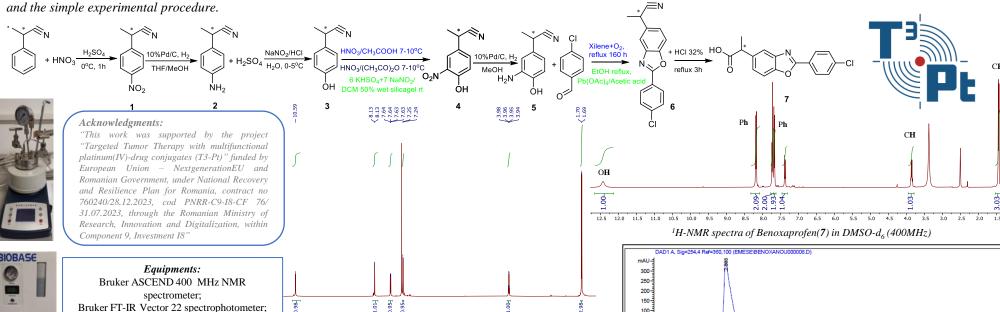
BENOXAPROFEN: Nonsteroidal Anti-Inflammatory Drugs (NSAIDs) Synthesis, Analysis of the Intermediates, Synthesis Improvement



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ABSTRACT Aryl and heteroaryl alkanoic acids are well known as nonsteroidal anti-inflammatory agents. Most active compounds of this type will fit hypothetical "receptor sites". Both these models incorporate a large flat area, a trough to accommodate an out of plane group, and a cationic site to accommodate an acid anion. However, there are many instanced in which inactive members of chemical series conform to these structural requirements indicating that more subtle chemical properties are necessary for useful biological activity. These include the type and position of substituents, which control the physicochemical characteristics of the molecule and influence its duration of action. The title compound had been prepared in seven steps from the readily available α -methylbenzyl cyanide. The advantages of this procedure are the ready availability of the starting materials and the simple experimental procedure.



¹H-NMR spectra of **4** in CDCl₃ (400MHz)

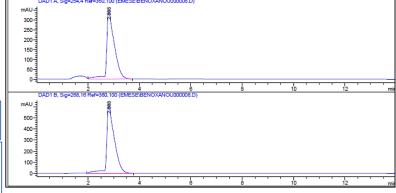
Conclusion: The key to achieving high selectivity and excellent functional-group tolerance is the use of mild oxidant that selectively oxidizes the reaction intermediates through its multiple reactivity modes, thus facilitating the individual steps to proceed in succession

References

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Shimadzu QP-2010 PLUS mass spectrometer; HRMS Thermo Scientific LTO Orbitrap XL

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HPLC chromatogram of Benoxaprofen(7), 1μg/ml, Zorbax Eclips XDB-C18, ACN/H₂O=75/25

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