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Semi Synthesis of Open (1,2,9,10) and Closed (1,2 & 9,10) 7-Oxoaporphines and Related Analogues of Boldine

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ABSTRACT

Boldine analogues are prepared by modifying the functional groups at 2nd , 7th and 9th positions over the aporphine skeleton. After blocking both the free hydroxyl groups at 2nd and 9thpositions as tetrazolyl derivatives oxidation at 7th position was achieved with manganese(III) acetate. Similarly, oxidation was also attempted over Boldine analogue having the methylene dioxy protection at 1, 2 and 9, 10 catechol fragments after the demethylenation. In both cases yields were considerably good. Selective removal of tzoxy group was also explained enabling the acetylation at 1st and 9th positions. Overall OPEN (1, 2, 9, 10) and CLOSED (1,2 and 9,10) 7-oxoaporphines were synthesized at ease with better yields.

Keywords: Boldine, Aporphine, OPEN (1,2,9,10) 7-OxoAporphine, CLOSED (1,2 and 9,10) 7-OxoAporphines.