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Please use this identifier to cite or link to this item: http://hdl.handle.net/123456789/4472 Title: Direct Lactamization of  $\beta$ -Arylated  $\delta$ -Aminopentanoic Acid Carboxamides: En Route to 4-aryl-2-Piperidones, Piperidines, Antituberculosis Molecule Q203 (Telacebec) and its Analogues Authors: Tomar, Radha (/jspui/browse?type=author&value=Tomar%2C+Radha) Bhattacharya, Debabrata (/jspui/browse?type=author&value=Bhattacharya%2C+Debabrata) Babu, Srinivasarao Arulananda (/jspui/browse? type=author&value=Babu%2C+Srinivasarao+Arulananda) Keywords: Antituberculosis Molecule Lactamization β-Arylated δ-Aminopentanoic Acid Issue Date: 2022 Publisher: Wiley Citation: Asian Journal of Organic Chemistry, 11(2), 2100736 We report the synthesis of 4-aryl-2-piperidone, 4-arylpiperidine motifs, antituberculosis molecule Abstract: Q203 (Telacebec) and its analogues. Direct lactamization of β-C-H arylated N-phthaloyl δaminopentanoic acid carboxamides yielded 4-aryl-2-piperidone (4-aryl-δ-valerolactam) scaffolds. The required β-C-H arylated N-phthaloyl δ-aminopentanoic acid carboxamides were assembled via the Pd(II)-catalyzed, 8-aminoquinoline-aided, sp3 β-C-H activation and arylation method. The β-C-H arvlated N-phthaloyl δ-aminopentanoic acid carboxamides containing both 8aminoquinoline and N-phthaloyl protecting groups directly underwent the hydrazine-mediated lactamization to afford 4-aryl-2-piperidones. 4-Aryl-2-piperidone scaffolds were then converted into N-functionalized 4-aryl-2-piperidones, 4-arylpiperidines, which are structurally closer to bioactive 4-aryl- 2-piperidone and piperidine motifs. A synthetic route for assembling antituberculosis molecule Q203 and its analogues from the corresponding 4-aryl-2-piperidones was also shown. Description: Only IISERM authors are available in the record URI: https://doi.org/10.1002/ajoc.202100736 (https://doi.org/10.1002/ajoc.202100736) http://hdl.handle.net/123456789/4472 (http://hdl.handle.net/123456789/4472) Research Articles (/jspui/handle/123456789/9) Appears in Collections:

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