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Title: Assembling of medium/long chain-based β -arylated unnatural amino acid derivatives via the Pd(II)-catalyzed sp³ β -C-H arylation and a short route for rolipram-type derivatives

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Abstract: In this paper, we report the assembling of libraries of β -arylated short/medium/long chain-based non- α -amino acid (aminoalkanoic acid) derivatives via the Pd(II)-catalyzed, bidentate directing group 8-aminoquinoline-aided sp³ β -C-H activation/arylation method. Short/medium chain-based unnatural amino acid derivatives containing an aryl group at the β -position are promising small molecules with therapeutic properties. Thus, it is necessary to enrich the libraries of short/medium/long chain-based unnatural amino acid derivatives containing an aryl group at the β -position. Considering the importance of β -arylated short/medium/long chain-based non- α -amino acid derivatives, an inclusive attention was paid to explore the Pd(II)-catalyzed sp³ β -C-H arylation of short/medium/long chain-based non- α -amino acids. Representative synthetic transformations including a short route for the assembling of rolipram and related compounds and 3-arylated GABA derivatives such as, baclofen, phenibut and tolbut were shown using selected β -C-H arylation non- α -amino acid derivatives.

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