

Abstract

In order to obtain novel compounds with potent anti-inflammatory, anti-allergic and anti-diarrhea activities, 2-benzyloxybenzaldehyde was used as the lead compound, and 2-substituted benzyloxy benzoic acid derivatives were synthesized.

2-substituted benzyloxy benzonitriles **17-25**, 2-substituted benzyloxy 2-methylbenzenes **26-29**, 2-substituted benzyloxy phenyl methanols **30-33**, 2-substituted benzyloxy benzoates **34-41**, 2-substituted benzyloxy benzamides **42-44** and 2-substituted benzylamino benzoates **45-55** were synthesized by the benzylation with potassium carbonate and potassium iodide through the substituted benzyl chloride react with 2-cyanophenol, *o*-cresol, saligenin, methyl salicylate, salicylamide, ethyl anthranilate and methyl 2-amino-4,5-dimethoxybenzoate respectively. Then, the related compounds were hydrolyzed by sodium hydroxide to give 2-substituted benzyloxy benzoic acids **56-64** and 2-substituted benzylamino benzoic acids **65-75**.

After screening for their biological activities, most of them have no anti-inflammatory and anti-allergic activities. However, 2-[(4-methoxybenzyl)oxy] benzoic acid (**64**) and 2-[(4-chlorobenzyl) amino] benzoic acid (**68**) showed significant anti-diarrhea activities and worthy for further investigation.