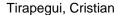
Easy and rapid preparation of benzoylhydrazides and their diazene derivatives as inhibitors of 15-lipoxygenase



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© 2017 Elsevier Ltd Two series of diaza derivatives were prepared by solvent-free condensation of benzoic acid and 4-substituted phenylhydrazines in order to obtain phenylhydrazides (HYD series) and, by oxidation of these compounds, the corresponding benzoyldiazenes (DIA series). Both sets were evaluated as inhibitors of soybean 15-lipoxygenase activity and antioxidant capability in the FRAP and CUPRAC assays. The most potent inhibitors of both series exhibited IC50 values in the low micromolar range. Kinetic studies showed that at least the more active compounds were competitive inhibitors. Docking results indicated that the most potent inhibitor interacts strongly with Ile-839 and iron in the active site.