



Correction to Synthesis and SAR of 5-Amino- and 5-(Aminomethyl)benzofuran Histamine H₃ Receptor Antagonists with Improved Potency

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Journal of Medicinal Chemistry 2005, 48, 6482-6490. DOI: 10.1021/jm0504398

Page 6486. It has been brought to the attention of the authors that the described experimental procedure for the synthesis of 4-hydroxy-3-iodobenzonitrile (8) carries significant potential hazards when the components are not added in the correct order described. Specifically, mixtures of ammonium hydroxide and iodine can react to form NI₃ (nitrogen triiodide), a sensitive compound with explosive potential and particularly hazardous in dry form. Although we did not encounter any such instances by the procedure as written, the scale and potential for hazard recommend that ammonium hydroxide and iodine not be used together. Instead, we recommend alternative methods for iodination of phenols. Examples of alternative methods include the NaOH/NaOCl/I2 combination described for compound 17 in Cowart et al. J. Med. Chem. 2005, 48, 38-55 and in Bioorg. Med. Chem. Lett. 2004, 14, 689-693 or the AcOH/H₂SO₄/N-iodosuccinimide combination to 3b described in Pu et al. Org. Process Res. Dev. 2005, 9, 45-50.

