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Synthesis of m-Iodobenzylguanidine (m-IBG) by solid phase method and its evaluation

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ABSTRACT
Meta-iodobenzylguanidine (MIBG) radioiodinated with 123I, and 131I is one of the most important radiopharmaceuticals used in Nuclear Medicine. It's used for diagnosis and treatment of pheochromacytoma and neuroblastoma, imaging of adrenal medulla, and for studying heart sympathetic nerves.
Almost described methods of synthesis of cold meta-iodobenzylguanidine hemi-sulfate are performed in accordance to Wieland and al procedure (1980), by condensation for 4 hours of meta-iodobenzylamine hydrochloride with Cyanamid into an oil bath heated at 100°C. This method seems to be long and difficult to implement for routine production.
The objective of this study was to develop an efficient and rapid method for preparation of MIBG.
Various experiments in order to reduce the time of the synthesis were carried out by heating in an oven a mixture of meta-iodobenzylamine Hydrochloride and cyanamid at 120°C during several times. The second step was done according to Wieland's method. We have also studied the effect of the reaction time on the yield of meta-iodobenzylguanidine bicarbonate.
Physicals and chemicals properties of synthetized MIBG was evaluated by the determination of melting point, UV-Visible spectrophotometry, spectroscopy IR and HPLC.
Results showed high purity of synthesized molecule with yields similar to those obtained by Wieland and al (70%) and purity over 98 % after 30 min of reaction at 120°C. The HPLC analysis of MIBG gives a good retention time.
A procedure for radioiodinated of cold m-iodobenzylguanidine with iodine-125 has been developed in our laboratory. Freeze-dried kits prepared from MIBG (synthesized and reference), ascorbic acid and copper nitrate were reconstituted in deaerated distilled water and labeled with 9-18 MBq of sodium iodide (NaI-125). The vials were heated in an oil bath at 100 ° C for 20 minutes.
The labeled MIBG kit presents a very high radiochemical purity and a high labeling efficiency.
Keywords : MIBG synthesis, MIBG radiolabelling, Wieland. and al (1980), UV-spectrometry, HPLC, radiolabelling, diagnostic imaging, neuroendocrine tumors therapy.

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