

SYNTHESES AND CHARACTERIZATION OF meta-IODOBENZYLGUANIDINE AND EVALAUTION OF RADIOIODINATION CATALYZED BY *in situ* GENERATED Cu(I)

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AIM: The aims of this study were:

To introduce unlabeled MIBG as a therapeutic agent in therapy of pheochromocytoma and neuroblastoma.

To obtained higher labeling yield of MIBG by using a different catalyst such as Cu(I), generated *in situ*.

MATERIALS: m-iodobenzylamine hydrochloride (Aldrich), Cyanamid (Sigma) and other chemicals of p.a (Merck) and Na¹³¹I solution obtained from Institute of Isotopes Co., Ltd. Budapest .

METHODS: MIBG was produced by reaction of MIBA and cyanamid according to a slightly modified version of the method (Wieland et al.)

Products analysis was performed by RP-HPLC/UV method (Hewlet Packard HPLC system, Model HP 1050 Series), and separation is performed by using an analytical column LiChroCART Supersphere 100 RP-18 (125mm x 4mm I.D.), particle size 4µm (Merck).

Product characterization by IR, ¹H-NMR and elemental analyses was also performed.

Detection (for MIBG and ¹³¹I-MIBG) was carried out at 225nm, the mobile phase (0.01M Na₂HPO₄ x 2H₂O:CH₃CN=80:20 v/v) flow-rate was 1.0 ml/min and the column was maintained at 25 °C, 5µl samples were injected.

Radioiodination involved nucleophilic exchange reaction (160 °C, 30 min) catalyzed by Cu(I) generated *in situ* by addition of Na₂S₂O₅ to CuSO₄.

The purity and stability of MIBG-¹³¹I was confirmed by TLC and HPLC method.

RESULTS: Chemical characterization of MIBG shows that MIBG was 98-99% pure. Isotopic exchange labeling of MIBG with ¹³¹I catalyzed by Cu(I) is much more efficiency than when using ammonium sulfate (we achieved radiochemical yield over 90% instead no more than 70%), while the RC purity of ¹³¹I-MIBG was not less than 98%.

CONCLUSION: Results from this study indicate that:

Non-labeled MIBG, could be commercially available in solution/lyophilized for routine clinical application.

That copper catalyzed radioisotopic exchange reaction can be conveniently employed for preparation of ¹³¹I-MIBG suitable for clinical use.