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CHEMICAL STUDIES ON RADIOIODINATION OF ATENOLOL USING DIFFERENT OXIDIZING AGENT

N. R. A. EL-MOUHTY^{1,2} AND A. Y. EL-NAGGAR^{1,3}

 ¹ Chemistry Department, Faculty of Science, Taif University, 888-Taif, KSA.
² Labelled Compounds Department, Radioisotopes Production and Radioactive Sources Division, Hot Laboratories Center ,Atomic Energy Authority, P.O. Box 13759, Egypt
³ Egyptian Petroleum Research Institute-Nasr City-Cairo-Egypt

Abstract

An adopted method for the preparation of high radiochemical purity [¹²⁵I] iodoatenolol (3-[¹²⁵I]-4-(2-hydroxy-3-isopropyl aminopropoxy) phenylaceta-mide) was developed in order to characterize the binding properties of β_1 -receptors. Direct radioiodination of atenolol (RS)-4-(2-hydroxy-3-isopropyl aminopropoxy) phenylacetamide) was carried out using chloramine-T (N-chloro-p-toluene sulfonamide sodium salt) or iodogen (1,3,4,6-tetrachloro-3 α , 6 α -diphenyl glycoril) as an oxidizing agent. The reaction proceeds well within 30 min at ambient room temperature up to 25 ± 1°C and afforded a radiochemical yield up to 85 % as pure as [¹²⁵I] iodoatenolol increased to over 95 % using neutral lyophilized solution of Na¹²⁵I. Different chromatographic techniques (electrophoresis and thin layer chromatography TLC) were used to evaluate the radiochemical yield and purity of the labeled product. Biodistribution studies were carried out in normal Albino Swiss mice and the results indicate the possibility of using [¹²⁵I] iodoatenolol as myocardial imaging agent.

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