Chlorpromazine hydrochloride generally labelled with tritium

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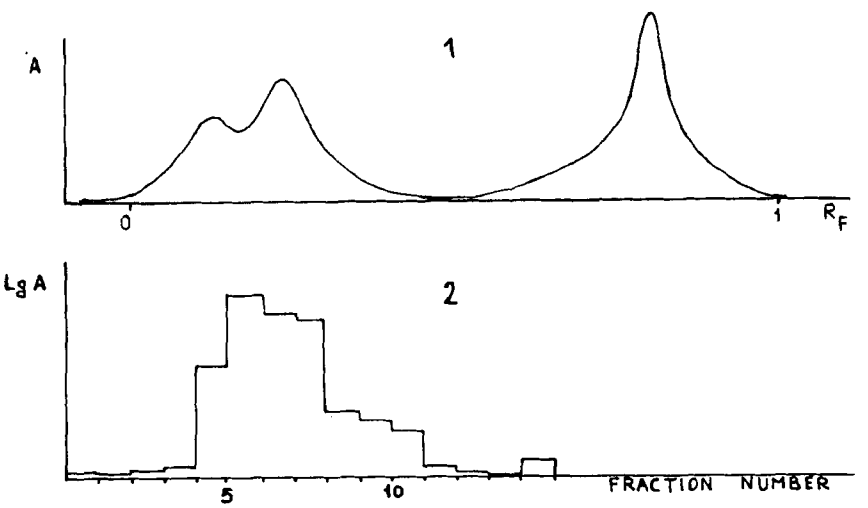
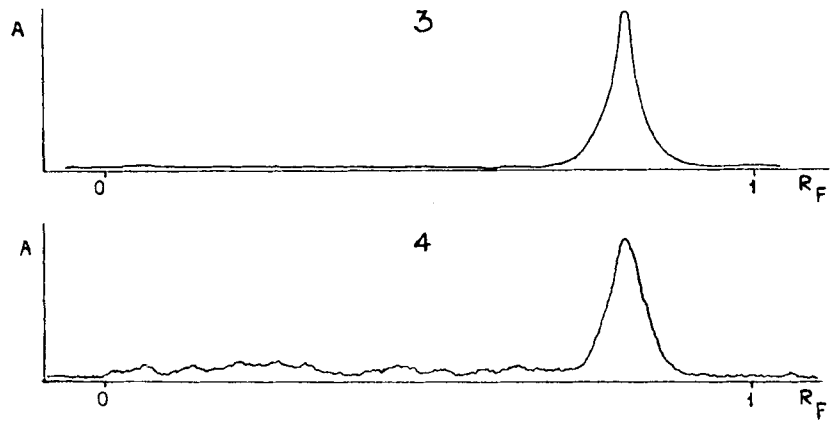
A solution of 180 mg of Chlorpromazine HCl in 5 ml of water, was lyophilized, and then was exposed for 7 days to 1,5 C of Tritium-gas, at a pressure of 240 mm Hg, at room temperature. The technique used has been described by Wenzel [1]. To remove labile bound tritium atoms, the labelled substance was dissolved in an excess of water and lyophilized twice. 172 mg of raw material with an activity of 50.7 mC were obtained, and then chromatographated [2] on paper (Whatman no. 1, descending, solvent system: sodium acetate M-acetic acid M-acetone 20:5:10). The radiochromatogram (figure 1) showed the presence of several radioactive impurities. The raw material was dissolved in methanol, precipitated by the addition of ethyl ether, separated by centrifugation, chromatographated on a column of Aluminumoxid (⌀ 9 mm, h 35 cm), and eluted with methanol-benzene 1:1. Fractions of 3 ml were collected. The activity of each fraction was determined in a liquid scintillation counter (figure 2). Fractions 5th, 6th, 7th and 8th were combined, neutralized with 0, 1 N HCl, and dried under vacuum; 101 mg of labelled chlorpromazine HCl were obtained with a specific activity of 19.2 mC/mM. The purity of the labelled product was





FIGS. 1, 2, 3, 4.

A = relative activity.
1 = radiochromatogram of raw material.
2 = column chromatography.
3 = radiochromatogram of pure product.
4 = radiochromatogram of product after storage.

tested by paper-chromatography according to the procedure already described (figure 3).

A sample of the pure lyophilized product sealed in an evacuated glass ampoule has been stored for eleven months in darkness at −20°C and no appreciable degradation was observed (figure 4).

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