

Enantiomeric resolution of albuterol sulfate by preferential crystallization

Palacios, Sara María and Palacio, Marcela A. (2007) *Enantiomeric resolution of albuterol sulfate by preferential crystallization*. *Tetrahedron Asymmetry*, 18 (10). pp. 1170-1175. ISSN 1362-511X

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RESUMEN

Albuterol is a β 2-adrenoceptor agonist prescribed for the treatment of bronchial asthma; it exists as a racemate and its bronchodilator activity resides in the (R)-isomer or levalbuterol. The aim of this study was to determine a methodology that would separate the enantiomers of albuterol by preferential crystallization after a conglomerate is identified within its derivatives. We found that albuterol sulfate behaves as a conglomerate showing the characteristic α -value = 2 (mole fraction solubility ratio of racemate vs enantiomer), the V-shaped ternary phase diagram and the preferential crystallization by seeding with the pure enantiomer. On the basis of these characteristics, we separated the enantiomers by entrainment, and crystallizing out a saturated methanolic solution of albuterol sulfate at 15 °C.

TIPO DE DOCUMENTO: Artículo

DOI: <https://doi.org/10.1016/j.tetasy.2007.05.010>

PALABRAS CLAVE: Bronchodilatation. Crystallization. Drug solubility. Enantiomer. Racemic mixture.

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