

论文

反式曲马朵和反式氧去甲基曲马朵在大鼠胆汁中排泄的立体选择性反式曲马朵和反式氧去甲基曲马朵在大鼠胆汁中排泄的立体选择性

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摘要:

目的研究反式曲马朵(trans T)及反式氧去甲基曲马朵(M1)在大鼠胆汁中排泄的立体选择性。方法高效毛细管电泳法测定大鼠iv trans T或 M1后胆汁和血浆中trans T, M1和与葡糖醛酸结合M1(M1c)的对映体。结果大鼠iv trans T后,胆汁中(+)-transT水平较(-)-trans T高,(+)/(-)-trans T较血浆中(+)/(-)-trans T的比值小。大鼠iv M1后,胆汁中(+)-M1水平较(-)-M1高,(+)-M1c较(-)-M1c低,(+)-M1与葡糖醛酸的结合率较(-)-M1低。结论trans T和M1在大鼠胆汁中排泄具立体选择性,(+)-trans T和(-)-M1被优先排泄。

关键词: 反式曲马朵 反式氧去甲基曲马朵 对映体 胆汁中排泄 立体选择性

Stereoselectivity in biliary excretion of trans tramadol and trans O-demethyltramadol in rats

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Abstract:

AimTo investigate the stereoselectivity in biliary excretion of trans tramadol (trans T) and trans O-demethyltramadol (M1) in rats. MethodsAfter a single intravenous dose of trans T hydrochloride (10 mg·kg<sup>-1</sup>) or M1 (2.5 mg·kg<sup>-1</sup>) to rats, the bile was collected for 30 min, then, blood was obtained from the heart. The enantiomers of trans T, M1 and M1 conjugated with glucuronic acid (M1c) in the bile and plasma were analyzed by high performance capillary electrophoresis (HPCE). ResultsAfter the rats were given trans T, the bile concentrations of (+)-trans T were higher than those of (-)-trans T, and the (+)/(-)-trans T ratios were lower compared with those in the plasma. After the rats were given M1, the bile concentrations of (+)-M1 were higher than those of (-)-M1, and the bile concentrations of (+)-M1c were lower than those of (-)-M1c. The glucuronidation rate of (+)-M1 was lower than that of (-)-M1 in the bile. ConclusionThe biliary excretion of trans T and M1 was stereoselective, (+)-trans T and (-)-M1 being preferentially excreted.

Keywords: trans O-demethyltramadol enantiomer biliary excretion stereoselectivity trans tramadol

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