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氟喹诺酮类药物对大肠杆菌抑制作用量效关系的 热化学研究

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摘要 用LKB-2277生物活性检测系统，测定了洛美沙星、诺氟沙星、培氟沙星三种氟喹诺酮类抗菌素在37℃时，对大肠杆菌的抑制作用过程的热效应变化。根据热动力学模型，拟合了药物的k～c，P~m~a~x～k，I%～c等关系，定量计算了药物的半抑制浓度Ic~5~0，
从热动力学角度研究了氟喹诺酮类药物抗菌作用的量效关系，
探讨了诺氟沙星和培氟沙星杀菌作用随着药物浓度增加呈双相变化的PE现象和作用机制。

关键词 氟喹诺酮 大肠杆菌 热化学 定量构效关系

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thermochemical studies on the quantity-antibacterial effect relationship of fluoroquinolones

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Abstract Using LKB-2277 bioactivity monitor, the inhyibitory action of three fluoroquinolones on Escherichia coli growth was investigated at 37℃. The three fluoroquinolones were Lomefloxacin, Norfloxacin and Pefloxacin. In accordance with thermokinetic model, the relationships of the drugs, such as k～c, P~m~a~x～k and I%～c, were obtained. half inhibitory concentrations of the drugs, Ic~5~0, were obtained by quantitative analysis. from the view of thermodynamics, the relationship between quantity and effect of fluoroquinolones was investigated, which showed paradioxical effect (PE) situation. Th action mechanism of apradioxical effect was also studied.

Key words ESCHERICHIA COLI THERMOCHEMISTRY QUANTITATIVE STRUCTURE ACTIVITY RELATIONSHIP

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