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研究报告

叶酸-青霉素G酰化酶对SKOV3实体肿瘤靶向性的实验研究

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摘要

采用Iodogen法对叶酸 青霉素G酰化酶（Folate conjugated Penicillin G Amidase，F PGA）和PGA进行 125 I标记。将纯化后的标记物由尾静脉注入荷SKOV3实体瘤裸鼠体内，观察F PGA对叶酸受体阳性的SKOV3的靶向性。结果显示：标记产品纯化后放化纯度>95%，且体内外稳定性较好；荷SKOV3肿瘤的裸鼠注射 125 I F PGA后4~24 h肿瘤显像较清晰，而注射 125 I PGA组所有时相均未见明显的肿瘤部位放射性浓聚影； 125 I F PGA组的肿瘤与健侧肌肉的摄取比值（T/M）明显高于对照组（ F=13.38，P =0.014 6），且在非靶组织中清除较快。表明F PGA在荷瘤鼠体内能特异性地与叶酸受体阳性的SKOV3实体肿瘤进行靶向结合，其T/NT＞1，有望用于靶向治疗。

关键词 叶酸偶联的青霉素G酰化酶 叶酸受体 靶向性

分类号

Experimental study on the targeting ability of folate-conjugated penicillin G amidase to SKOV3 solid tumors

Abstract By isotope tracer technique, experiments of SPECT and biodistribution on nude mice bearing tumor are performed to explore whether folate conjugated penicillin G amidase (F PGA) has the ability of targeting to folate receptor positive solid tumors, which will be helpful to establish a base for further targeting therapies. The results showed that the labeling efficiencies of 125 I F PGA and 125 I PGA are 90%, and their radiochemical purities are more than 95% after purified, with suitable stabilities both in vivo and in vitro. At 4~24 h postinjection, the appreciable radioactivity accumulation at tumor position can be obtained from SPECT images of 125 I F PGA administered group, however which is not seen in the contrast group of 125 I PGA at any time. The radioactivity ratio of tumor to muscle (T/M) of 125 I F PGA is obviously higher than that of the contrast (F=13.38, P =0.014 6). 125 I F PGA is quickly cleared from non targeted sites. It indicated that by folate receptor pathway, F PGA can specially target to folate receptor positive SKOV3 solid tumors in vivo, with good feature of target to non target tissues, and it may be an ideal agent for targeting therapies.

Key words Folate-conjugated penicillin G amidase Folate receptor Targeting abilities

DOI

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