

快 报

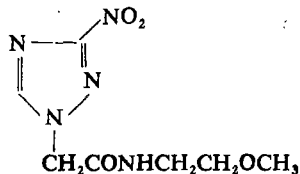
AK-2123 放射增敏性的研究

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由于 Miso 其神经毒性较大, 用于临床常规治疗尚有一定问题, 世界各地又进入一个寻找更好的高效、低毒放射增敏剂的热潮。

作者与日本京都大学合作, 用津白 II 号纯系小鼠、以自发的乳腺癌 MA-737 为实验材料, 采用国际上承认的 Regrowth Delay 方法, 对 AK-2123 增敏性进行了实验。AK-2123 是 Miso 的类似物, 其化学结构为:



AK-2123 脑组织药物浓度较 Miso 低 10 倍, 其 LD_{50} 为 2.72g/kg, 作者采用 LD_{50} 的 1/5~1/6 量(0.5 g/kg)进行了增敏实验, 空气下肿瘤的 SER 为 1.32, 乏氧肿瘤的 SER 为 1.56~1.65。

从实验结果来看, AK-2123 是一个非常希望的增敏剂, 但能否应用于临床治疗, 还有待于做药物毒理性实验, 人瘤 Xenograft 的实验及进一步的临床验证。

RADIOSENSITIZATION STUDY OF AK-2123 IN VIVO

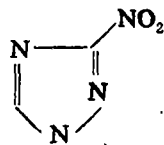
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The use of Miso in conventional radiotherapy was unsatisfactory, owing to its high neurotoxicity. An upsurge in searching for high efficiency and low toxicity radiosensitizers through various ways is carrying out over the whole world.

In cooperation with Japan Kyoto University, a new radiosensitizer synthesized by the Kagiya laboratory of Kyoto University, named AK-2123, was used in this experiment. The drug was tested for radiosensitization on transplantable spontaneous mammary cancer (MA-737) of the JB II mouse, using the international tumor regrowth delay method.

AK-2123 is a nitro-triazole compound. The chemical structure is



The brain/plasma ratio of the drug concentration was almost 10 times lower than MISO. LD_{50} for JB II mouse was 2.72 g/kg.

Around 1/5 of the LD_{50} (0.5 g/kg) was used in the sensitization study. The SER for tumors under air condition and hypoxic condition were 1.32 and 1.56~1.65 respectively.

It seems AK-2123 is a quite promising radiosensitizer for further investigation.

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