Antitumor activity of a benzaldehyde derivative.

Kochi M, **Isono N**, **Niwayama M**, **Shirakabe K**.

Benzaldehyde, in the form of 4,6-benzylidene-alpha-D-glucose (BG), was given iv at a daily dose of 720-1800 mg/m2 to 65 patients with inoperable carcinoma in the advanced stages. The overall objective response rate was 55%; seven patients achieved complete response, 29 achieved partial response, 24 remained stable, and five showed progressive disease. Response was seen in various cell types. Prolongation of survival was apparent for the patients. Toxic reactions were not observed during long-term injection with BG.

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Inhibition of experimental pulmonary metastasis in mice by β-cyclodextrin-benzaldehyde

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Summary The effect of β-cyclodextrin-benzaldehyde (CDBA) on experimental pulmonary metastasis in C3H/He mice was examined. In an in vitro assay, the growth of RCT(+) cells was inhibited by 1200 μg/ml CDBA using unrenewed media, and by 600 μg/ml CDBA in that using daily renewed media. When mice were treated daily with CDBA, 3 weeks later the number of lung nodules developing after i.v. injection of 1×10^6

RCT(+) cells was significantly decreased in a dose-dependent manner, i.e., 73.8%, 85.6%, and 95.7% inhibition was observed following 0.5, 5, and 25 mg CDBA/mouse per day p.o. administration, respectively. The same mice showed almost as much natural killer (NK) activity as normal mice. Therefore, experiments were designed to evaluate the effect of CDBA on the NK activity of tumor-free mice whose immunity had been suppressed by 5-fluorouracil (5FU). Injections of 5FU only suppressed this activity to about 50% of normal mice, but the combined treatment with CDBA negated the suppressive effect of 5FU on NK activity. The results suggested that the inhibition of experimental pulmonary metastasis might be induced by the possible combined effects of CDBA; that is, the direct inhibition of tumors and the augmentation of NK cell activity.

Key words β-Cyclodextrin-benzaldehyde - Experimental pulmonary metastasis - Per os administration - NK cell activity