



# Bleomycin A5 hydrochloride PRODUCT DATA SHEET

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**Product Name:** Bleomycin A5 hydrochloride

**Product Number:** B004

**CAS Number:** 55658-47-4

**Molecular Formula:**  $C_{57}H_{89}N_{19}O_{21}S_2 \cdot HCl$

**Molecular Weight:** 1477.02

**Description:** Bleomycin A5 hydrochloride is part of the Bleomycin complex. It is an anti-neoplastic glycoprotein antibiotic used in cancer research. The Bleomycins were originally isolated for their broad spectrum antibacterial activity.

We offer five forms of Bleomycin:

- Bleomycin A5 hydrochloride
- Bleomycin sulfate ([B005](#))
- Bleomycin A2 sulfate, Evopure® ([B019](#))
- Bleomycin B2 sulfate, Evopure® ([B020](#))
- Bleomycin ([B053](#))

**Mechanism of Action:** Bleomycin's anticancer activities include the increase of caspase-3 and p53, and the inhibition of telomerase activity leading to apoptosis. The antitumor activity derives from their ability to effect DNA cleavage in cancer cells.

**Microbiology Applications** Bleomycin A5 hydrochloride is used in gene selection using the Sh *b/e* gene which confers resistance to Bleomycin and Bleomycin A5.

## Cancer Applications

Bleomycin contains a disaccharide moiety composed of 2 unusual sugars, L-gulose and 3-O-carbamoyl-D-mannose. Bleomycin could be regarded as a modular system composed of a tumor-targeting agent (the disaccharide moiety) and a tumoricidal agent (deglycobleomycin). The disaccharide moiety is responsible for the tumor cell targeting properties of bleomycin. Bleomycin analogs were prepared, the glycosylated analogs were more cytotoxic to cultured DU145 prostate cancer cells. These findings establish a role for the bleomycin disaccharide in tumor targeting/uptake and suggest that the disaccharide moiety may be capable of delivering other cytotoxins to cancer cells. (Schroeder et al, 2014).

Bleomycin is used in combination with other antineoplastic agents in studying lymphomas, testicular carcinomas, and squamous cell carcinomas. In this report, we found that the human L-carnitine transporter (hCT2) is involved in bleomycin-A5 uptake. NT2/D1 human testicular cancer cells which highly express hCT2 are very sensitive to Bleomycin-A5. Data suggest that hCT2 can mediate the uptake of Bleomycin A5 (Aouida M et al, 2010).

In cell culture experiments with Bleomycins and BLM carbohydrates conjugated to microbubbles it has been demonstrated that Bleomycins are tumor-seeking molecules. Biotinylate bleomycin A5 was attached to microbubbles, and a conjugate-containing solution was passed over a monolayer of MCF-7 cells. The microbubbles adhered to the MCF-7 cells. The conjugate did not bind to a normal breast cell line or to matched noncancer cell lines. No binding occurred if the microbubbles lacked conjugated bleomycin A5 or if the microbubble lacked the carbohydrate moiety (Chapuis et al, 2009).

A well-known characteristic of tumor cells is the Warburg effect, that is the propensity of tumor cells to produce increased ATP via glycolysis rather than by mitochondrial oxidative phosphorylation. The shift to glycolysis is accompanied by upregulation of glucose transporters to provide the greater amounts of glucose needed to support increased glycolysis. If authors treated two normal cell lines (normal lung WI-38 cells and normal kidney CCD-1105 KIDTr cells) with the inhibitor rotenone, (a mitochondrial complex 1 inhibitor), this forced these cells to use increase glycolysis in the same fashion as tumor cells and this resulted in an enhanced ability to incorporate BLM-Cy5. The finding implies that the BLM saccharide moiety may be able to deliver other cytotoxins selectively to tumor cells (Mobasheril, 2005).

In a study of the Bleomycin A5 was applied on a human oral epidermoid carcinoma cell line (KB) to study the signal transduction pathways that might exert apoptotic effects of Bleomycin A5 on tumor cells. Researchers found that c-Jun N-terminal kinases (JNK) were activated, suggesting that JNK plays a role in apoptosis. In addition, combining Bleomycin A5 with MAP kinase-ERK kinase inhibitor could lead to enhanced apoptosis (Yang L et al, 2004).

## References:

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