

Product Name:	Bleomycin
Product Number:	B053
CAS Number:	11056-06-7
Molecular Formula:	$C_{55}H_{84}N_{17}O_{21}S_3$ (for A2)
Molecular Weight:	1415.6 (for A2)
Appearance:	Off-white solid
Solubility:	Bleomycin is soluble in water and methanol, with moderate ethanol solubility.
Storage Conditions:	-20°C
Description:	<p>Bleomycin is a complex of 11 glycopeptide antibiotics originally isolated from <i>Streptomyces verticillus</i> in 1972. The dominant components are Bleomycin A2 and Bleomycin B2, which typically represent >90% of the total weight. Bleomycin has anti-cancer properties.</p> <p>TOKU-E offers five forms of Bleomycin:</p> <ul style="list-style-type: none">• Bleomycin (B053)• Bleomycin sulfate, USP (B005)• Bleomycin A2 sulfate (B019)• Bleomycin A5 hydrochloride (B004)• Demethylbleomycin A2 sulfate, EvoPure® (D023) <p>Bleomycin is soluble in water and methanol, and moderately soluble in ethanol.</p>
Mechanism of Action:	<p>Bleomycins act by intercalation of DNA and RNA. In the presence of oxygen and metal ions, notably copper and iron, Bleomycins form a pseudo-enzyme that induces DNA cleavage. Bleomycin's anticancer activities include the increase of caspase-3 and p53, and the inhibition of telomerase activity leading to apoptosis. The anti-cancer properties derives from its ability to effect DNA cleavage in cancer cells.</p>

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. Cytotoxicity testing with DU145 human prostate cancer cells *in vitro*. (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 increased 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).

References:

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