5-Fluorocytosine
PRODUCT DATA SHEET

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Product Name:	5-Fluorocytosine
Product Number:	F003
CAS Number:	2022-85-7
Molecular Formula:	$C_4H_4FN_3O$
Molecular Weight:	129.09
Form:	Powder
Appearance:	White or almost white crystalline powder
Solubility:	Sparingly soluble in water (10.5 mg/mL). Slightly soluble in alcohol.
Source:	Synthetic
Storage Conditions:	2-8°C; Protect from light.
Description:	5-Fluorocytosine (Flucytosine) is a fluorinated cytosine (pyrimidine) analog with antifungal activity. It was first developed in 1957 and is a competitive inhibitor of uracil metabolism. 5-Fluorocytosine is taken up by the fungus and is converted to the cytostatic 5-fluorouracil by cytosine deaminase. The compound is active against *Candida* and *Cryptococcus.* 5-Fluorocytosine can also be used in gene therapy, using a virus to deliver the gene coding for cytosine deaminase gene to brain cancer tumors, and the resulting fluorouracil selectively kills malignant cells. 5-Fluorocytosine is sparingly soluble in water.
Mechanism of Action:	5-Fluorocytosine (5-FC) is taken up by the fungus and is deaminated by cytosine deaminase (CD) and converted to the cytostatic 5-fluorouracil (5-FU). During transcription, the pyrimidine analog is incorporated into the RNA which inhibits subsequent rounds of transcription and building of certain essential proteins. An additional mechanism of action involves the conversion of 5-Fluorocytosine to 5-fluorodeoxyuridinemonophosphate, which inhibits fungal DNA synthesis.
Spectrum:	5-Fluorocytosine is active *in vitro* and *in vivo* against some strains of *Candida* and Cyptococcus species.

Microbiology Applications

5-Fluorocytosine was found to be very active in vitro in a study with 8803 Candida isolates representing 18 yeast species (8803 isolates) using broth microdilution testing, with 95% of the isolates being susceptibility. The compound had a prolonged post-antifungal effect and concentration-independent activity (Pfaller et al, 2002).

In a study of over 1000 isolates of *Candida exposed to* 5-Fluorocytosine, 83.4% of them were susceptible. Resistance was uncommon (10.4% of isolates) and an MIC > 32 µg/ml was an indication of resistance *in vitro* (Quindós et al, 2004).

Representative MIC data for 5-Fluorocytosine is available from our Antimicrobial Index.

Plant Biology Applications

5-Fluorocytosine can be used as a negative selection agent in transgenic plants using a bacterial cytosine deaminase *codA* gene in tobacco plant systems. In transformed callus, expression of this gene results in cell death on 5-Fluorocytosine (Stougaard et al, 1993).

Cancer Applications

5-Fluorocytosine can be used in gene therapy applications in mouse models of brain cancer. Researchers used replicating virus Toca 511 that infects dividing cells, preferentially malignant cells. The virus encodes an optimized yeast-derived cytosine deaminase (CD) that converts 5-Fluorocytosine (5-FC) into 5-fluorouracil (5-FU) which selectively kills dividing cells. This allows infected cells to remain as a reservoir of virus capable of infecting new malignant cells during subsequent viral proliferation. Authors used 2 immunocompetent mouse brain tumor models (CT26 in BALB/c mice and Tu-2449 in B6C3F1 mice) to show that the conversion proceeded *in vivo*. Repeated cycles of 5-FC administration was able to shrink and prevent further growth of the IC tumor (Ostertag et al, 2012).

References:

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