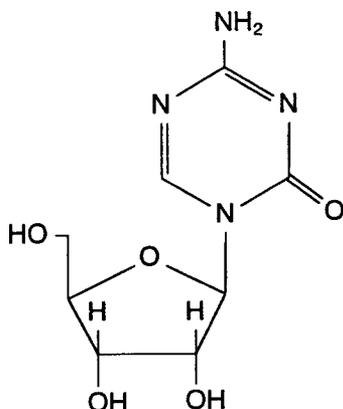


# AZACITIDINE

NSC - 102816



**Chemical Name:**

4-Amino-1-β-*D*-ribofuranosyl-1,3,5-triazin-1(*H*)-one

**Other Names:**

Azacitidine (USAN); 5-Azacytidine; Ladakamycin

**CAS Registry Number:** 320-67-2

**Molecular Formula:** C<sub>8</sub>H<sub>12</sub>N<sub>4</sub>O<sub>5</sub>

**M.W.:** 244.2

**Approximate Solubility:**

(mg/mL)

DMSO	52.7
Distilled H <sub>2</sub> O	13.7-14.0
0.1 N HCL	27.7-28.0
0.1 N NaOH	42.0-43.8
35% Ethyl alcohol	14.2-15.0

**Stability:****Bulk:**

Samples of 5-azacitidine and 5-azacitidine hydrate were found to be stable at 25 °C and 60 °C for at least 30 days.

**Solution:**

Dilute aqueous solutions of 5-azacitidine have been found to be unstable at 24-26 °C. A 1% aqueous solution at 5-6 °C decomposes 2, 5, and 9% in 2, 8, and 24 hours respectively. At room temperature a 1% aqueous solution shows 7, 20 and 41% decomposition in 2, 8, and 24 hours respectively (UV and NMR).

**Ultraviolet Absorption:**

(0.1 M acetate buffer, pH 5)

$$\lambda_{\max} = 242 \pm 2 \text{ nm}$$

$$\epsilon = 6,850 - 7,250$$

**High Performance Liquid Chromatography:**

**Column:** Alltech C<sub>8</sub> 300 mm x 4.6 mm i.d.

**Mobile Phase:** pH 6.5, 0.02 M KH<sub>2</sub>PO<sub>4</sub>

**Flow Rate:** 1.5 mL/min

**Detection:** UV at 210 nm

**Sample Preparation:** 0.5 mg of the sample is

quickly dissolved in 1.0 mL of  
the mobile phase or internal  
standard solution

**Internal Standard:** Uridine (1.5 mg/mL in mobile  
phase)

**Retention Volume:** 6.8 mL (NSC - 102816)  
9.0 mL (I.S)

**Optical Rotation:**

(c = 1, H<sub>2</sub>O)

$$[\alpha]_D^{20} = 40.0 \pm 1.0^\circ$$

**Toxicity Data:**

Woman(iv): TD<sub>Lo</sub>: 500 µg/kg  
Cancer Chemotherapy Reports, 56,413,(1972)

Mouse(po): LD<sub>50</sub>: 572 mg/kg  
Toxicology and Applied Pharmacology, 19,382,(1971)

Mouse(ip): LD<sub>50</sub>: 68 mg/kg  
Experientia, 22,53,(1966)

Mouse(iv): LD<sub>50</sub>: 229 mg/kg  
National Technical Information Service, PB84-211432

Dog(iv): LD<sub>50</sub>: 7200 µg/kg  
Advances in Pharmacology and Chemotherapy,  
14,285,(1977)