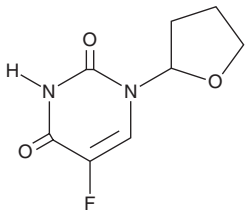
PRODUCT INFORMATION

Tegafur

Item No. 26076

CAS Registry No.:	17902-23-7
Formal Name:	5-fluoro-1-(tetrahydro-2-furanyl)-2,4(1H,3H)-pyrimidinedione
Synonyms:	5-Fluoro-1-(tetrahydro-2-furfuryl)uracil, Ftorafur, NSC 148958, TS-1
MF:	$C_8H_9FN_2O_3$
FW:	200.2
Purity:	≥98%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Tegafur is supplied as a solid. A stock solution may be made by dissolving the tegafur in the solvent of choice. Tegafur is soluble in the organic solvent ethanol, which should be purged with an inert gas, at a concentration of approximately 7 mg/ml. Tegafur is also soluble in DMSO.

A stock solution may be made by dissolving the tegafur in water. The solubility of tegafur in water is approximately 8 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Tegafur is an orally bioavailable prodrug form of 5-fluorouracil (5-FU; Item No. 14416).[1] It is converted to 5-FU *in vivo* enzymatically or by cytochrome P450 oxidation. Tegafur inhibits proliferation of HT-29, BxPC-3, and Panc02 cells (IC_{50}s = 201, 172, and 179 µM, respectively).[2] It also reduces tumor growth in an HT-27 colon carcinoma mouse xenograft model when administered at a dose of 50 mg/kg and in a 4-1ST gastric carcinoma mouse xenograft model when used in combination with uracil.[2,3] Formulations containing tegafur, in combination with uracil, have been used in the treatment of cancer.

References

1. El Sayed, Y.M. and Sadée, W. Metabolic activation of R,S-1-(tetrahydro-2-furanyl)-5-fluorouracil (ftorafur) to 5-fluorouracil by soluble enzymes. *Cancer Res.* **43(9)**, 4039-4044 (1983).
2. Engel, D., Nudelman, A., Tarasenko, N., *et al.* Novel prodrugs of tegafur that display improved anticancer activity and antiangiogenic properties. *J. Med. Chem.* **51(2)**, 314-323 (2008).
3. Hanada, M., Noguchi, T., and Yamaoka, T. Amrubicin, a novel 9-aminoanthracycline, enhances the antitumor activity of chemotherapeutic agents against human cancer cells *in vitro* and *in vivo*. *Cancer Sci.* **98(3)**, 447-454 (2007).

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