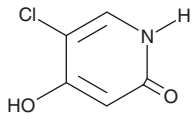
PRODUCT INFORMATION

Gimeracil

Item No. 16525

CAS Registry No.:	103766-25-2
Formal Name:	5-chloro-4-hydroxy-2(1H)-pyridinone
Synonyms:	CDHP, 5-Chlorodihydropyrimidine, Gimestat
MF:	$C_5H_4ClNO_2$
FW:	145.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 213, 291 nm
Supplied as:	A crystalline 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

Gimeracil is supplied as a crystalline solid. A stock solution may be made by dissolving the gimeracil in the solvent of choice, which should be purged with an inert gas. Gimeracil is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of gimeracil in these solvents is approximately 0.1, 25, and 20 mg/ml, respectively.

Gimeracil is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, gimeracil should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Gimeracil has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Gimeracil is an inhibitor of dihydropyrimidine dehydrogenase (DYPD; K_i = 0.36 µM), the rate limiting enzyme in the catabolism of pyrimidines, including 5-fluorouracil (5-FU; Item No. 14416).[1] It inhibits the degradation of 5-FU in rat liver extracts (IC_{50} = 0.1 µM). Gimeracil inhibits homologous recombination and enhances radiation-induced cell death in DLD-1 human colorectal cancer cells.[2] Formulations containing gimeracil have been used in conjunction with 5-fluorouracil in the treatment of various cancers.

References

1. Tatsumi, K., Fukushima, M., Shirasaka, T., *et al.* Inhibitory effects of pyrimidine, barbituric acid and pyridine derivatives on 5-fluorouracil degradation in rat liver extracts. *Jpn. J. Cancer Res.* **78(7)**, 748-755 (1987).
2. Sakata, K.I., Someya, M., Matsumoto, Y., *et al.* Gimeracil, an inhibitor of dihydropyrimidine dehydrogenase, inhibits the early step in homologous recombination. *Cancer Sci.* **102(9)**, 1712-1716 (2011).

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