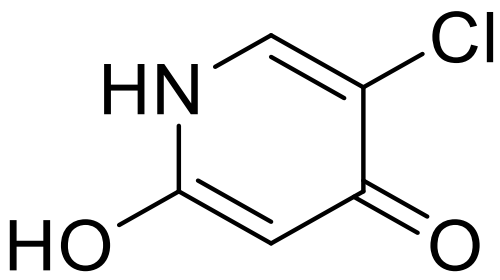
Product data sheet

MedKoo Cat#: 206066 Name: Gimeracil CAS: 103766-25-2 Chemical Formula: $C_5H_4ClNO_2$ Exact Mass: 144.99306 Molecular Weight: 145.542	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Gimeracil is a pyridine derivative with antitumor activity. Gimeracil enhances the antitumor activity of fluoropyrimidines by competitively and reversibly inhibiting the enzyme dihydropyrimidine dehydrogenase causing decreased degradation of the fluoropyrimidines. Check for active clinical trials or closed clinical trials using this agent. (NCI Thesaurus).

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMF	20.0	137.42
DMSO	51.33	352.70
DMSO:PBS (pH 7.2) (1:1)	0.5	3.44
Ethanol	0.1	0.69

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	6.87 mL	34.35 mL	68.71 mL
5 mM	1.37 mL	6.87 mL	13.74 mL
10 mM	0.69 mL	3.44 mL	6.87 mL
50 mM	0.14 mL	0.69 mL	1.37 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Sakata K, Someya M, Matsumoto Y, Tauchi H, Kai M, Toyota M, Takagi M, Hareyama M, Fukushima M. Gimeracil, an inhibitor of dihydropyrimidine dehydrogenase, inhibits the early step in homologous recombination. Cancer Sci. 2011 Sep;102(9):1712-6. doi: 10.1111/j.1349-7006.2011.02004.x. Epub 2011 Jul 21. PMID: 21668582.
2. Takagi M, Sakata K, Someya M, Tauchi H, Iijima K, Matsumoto Y, Torigoe T, Takahashi A, Hareyama M, Fukushima M. Gimeracil sensitizes cells to radiation via inhibition of homologous recombination. Radiother Oncol. 2010 Aug;96(2):259-66. doi: 10.1016/j.radonc.2010.05.020. Epub 2010 Jun 26. PMID: 20584556.

In vivo study

1. Fukushima M, Sakamoto K, Sakata M, Nakagawa F, Saito H, Sakata Y. Gimeracil, a component of S-1, may enhance the antitumor activity of X-ray irradiation in human cancer xenograft models in vivo. Oncol Rep. 2010 Nov;24(5):1307-13. doi: 10.3892/or_00000987. PMID: 20878125.

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7. Bioactivity

Biological target:

Gimeracil, a component of an oral fluoropyrimidine derivative S-1, inhibits DNA DSB repair and is a potent inhibitor of DPYD (dihydropyrimidine dehydrogenase, DPD).

In vitro activity

Results of gamma-H2AX focus assay indicated that Gimeracil inhibited DNA DSB repair. It did not sensitize cells deficient in HR but sensitized those deficient in NHEJ. In SCneo assay, Gimeracil reduced the frequency of neo-positive clones.

Reference: Radiother Oncol. 2010 Aug;96(2):259-66. https://pubmed.ncbi.nlm.nih.gov/20584556/

In vivo activity

These experiments demonstrated that gimeracil enhanced the efficacy of X-ray irradiation against lung as well as head and neck cancer xenografts in a dose-dependent manner. Furthermore, this study observed decreased expression of γ-H2AX protein, a marker of DNA repair, in LC-11 tumors treated with X-ray irradiation and gimeracil compared to that observed in tumors treated with X-ray irradiation alone, suggesting that gimeracil may inhibit rapid repair of X-ray-induced DNA damage in tumors.

Reference: Oncol Rep. 2010 Nov;24(5):1307-13. https://pubmed.ncbi.nlm.nih.gov/20878125/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.