PRODUCT INFORMATION



Tipiracil (hydrochloride)

Item No. 23319

| CAS Registry No.: | 183204-72-0 | |
|------------------------|--|---|
| Formal Name: | 5-chloro-6-[(2-imino-1-pyrrolidinyl)methyl]- | |
| | 2,4(1H,3H)-pyrimidinedione, monohydrochloride | 0 |
| Synonym: | TPI | н, Ц сн с |
| MF: | $C_{9}H_{11}CIN_{4}O_{2} \bullet HCI$ | N N |
| FW: | 279.1 | |
| Purity: | ≥98% | 0 N |
| UV/Vis.: | λ _{max} : 205, 278 nm | • HCI NH |
| Supplied as: | A crystalline solid | CI |
| Storage: | -20°C | |
| Stability: | ≥4 years | |
| Information represents | the product specifications. Batch specific analytical results an | e provided on each certificate of analvsis. |

Laboratory Procedures

Tipiracil (TPI) (hydrochloride) is supplied as a crystalline solid. Aqueous solutions of TPI (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of TPI (hydrochloride) in PBS (pH 7.2) is approximately 2 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Tipiracil is an inhibitor of thymidine phosphorylase ($IC_{50} = 35 \text{ nM}$).¹ It is selective for thymidine phosphorylase over uridine phosphorylase (UPase), thymidine kinase (TK), orotate phosphoribosyltransferase (OPRTase), and dihydropyrimidine dehydrogenase (DPD; $IC_{50}s = > 1,000 \mu M$ for all). Tipiracil also inhibits the severe acute respiratory coronavirus 2 (SARS-CoV-2) endoribonuclease nsp15 ($IC_{50} = 7.5 \mu M$).² It reduces the levels of SARS-CoV-2 spike glycoprotein, also known as the surface glycoprotein, in SARS-CoV-2-infected A549 lung cancer cells when used at a concentration of 50 μ M. Tipiracil (1 μ M) prevents the metabolism of the DNA synthesis inhibitor trifluorothymidine (Item No. 21366) in human liver extracts.¹. It increases the plasma levels and potentiates the cytotoxicity of trifluorothymidine in an AZ-521 gastric carcinoma mouse xenograft model when administered at an equimolar dose. Formulations containing tipiracil have been used in the treatment of metastatic colorectal and gastric cancers.

References

- 1. Fukushima, M., Suzuki, N., Emura, T., et al. Structure and activity of specific inhibitors of thymidine phosphorylase to potentiate the function of antitumor 2'-deoxyribonucleosides. Biochem. Pharmacol. 59(10), 1227-1236 (2000).
- 2. Kim, Y., Wower, J., Maltseva, N.I., et al. Tipiracil binds to uridine site and inhibits Nsp15 endoribonuclease NendoU from SARS-CoV-2. Commun. Biol. 4(1), 193 (2021).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFFTY DATA

SAFETY DATA This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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