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TAS-102

产品编号: D51263

CAS: 733030-01-8

分子式: C19H23Cl2F3N6O7

纯度: ≥98%

InChi: InChI=1S/C10H11F3N2O5.C9H11ClN4O2.ClH/c11-10(12,13)4-2-15(9(19)14-8(4)18)7-1-5(17)6(3-16)20-7;10-7-5(12-9(16)13-8(7)15)4-14-3-1-2-6(14)11;/h2,5-7,16-17H,1,3H2,(H,14,18,19);11H,1-4H2,(H2,12,13,15,16);1H/t5-,6+,7+;;/m0../s1

InChi Key: PLIXOHWIPDGJEI-OJSHLMAWSA-N

Smiles: Cl.N=C1CCCN1CC1NC(=O)NC(=O)C=1Cl.O[C@H]1C[C@@H](O[C@@H]1CO)N1C=C(C(=O)NC1=O)C(F)(F)F

外观: 固体粉末

作用通路: Nucleoside Antimetabolite/Analog

溶解性: Soluble in DMSO, not in water

保存条件: Store in dry, dark place for one year.

产品介绍: TAS-102 is an investigational drug candidate for metastatic colorectal cancer. It contains trifluridine (TFT) and Tipiracil hydrochloride (TTP) in a molar ratio of 1;0.5. Trifluridine is a nucleoside analog, and tipiracil hydrochloride is a thymidine phosphorylase inhibitor, which prevents rapid metabolism of trifluiridine, increasing the bioavailability of trifluiridine. After oral administration of TAS-102, TFT is phosphorylated to the active monophosphate form TF-TMP, which binds covalently to the active site of thymidylate synthase, thereby reducing the nucleotide pool levels required for DNA replication. Furthermore, the triphosphate form TF-TTP can be incorporated into DNA, which induces DNA fragmentation and leads to the inhibition of tumor growth. TPI exhibits a dual effect: 1) an anti-angiogenic effect mediated through the inhibition of thymidine phosphorylase, which plays an important role in nucleotide metabolism and a variety of development processes, including angiogenesis, 2) increased bioavailability of the normally short-lived antimetabolite TFT by preventing its degradation into the inactive form trifluorothymine (TF-Thy). The synergistic effect of the components in TAS-102 may demonstrate antitumor activity in 5-FU-resistant cancer cells.