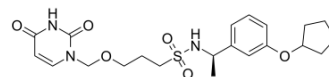


TAS-114

Cat. No.:	HY-124062
CAS No.:	1198221-21-4
Molecular Formula:	C ₂₁ H ₂₉ N ₃ O ₆ S
Molecular Weight:	451.54
Target:	Others
Pathway:	Others
Storage:	Please store the product under the recommended conditions in the COA.



BIOLOGICAL ACTIVITY

Description	TAS-114 is a dual dUTPase/dihydropyrimidine dehydrogenase (DPD) inhibitor, can improving the therapeutic efficacy of fluoropyrimidine ^[1] .								
IC₅₀ & Target	dUTPase, DPD								
In Vitro	<p>TAS-114 (1-10 μM; 72 hours) increases the cytotoxicity of 5-Fluorouracil (5-FU) and 5-FU,2'-deoxy-5-fluorouridine (FdUrd) against various cancer cell lines in dose-dependent manner^[1].</p> <p>Cell Cytotoxicity Assay^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>HeLa, NUGC-4, NCI-H441, HT-29, CFPAC-1, and MCF-7 cell lines</td> </tr> <tr> <td>Concentration:</td> <td>72 hours</td> </tr> <tr> <td>Incubation Time:</td> <td>1 μM, 3 μM, and 10 μM</td> </tr> <tr> <td>Result:</td> <td>Clearly increased the cytotoxicity of FdUrd and 5-FU against various cancer cell lines in dose-dependent manner.</td> </tr> </table>	Cell Line:	HeLa, NUGC-4, NCI-H441, HT-29, CFPAC-1, and MCF-7 cell lines	Concentration:	72 hours	Incubation Time:	1 μM, 3 μM, and 10 μM	Result:	Clearly increased the cytotoxicity of FdUrd and 5-FU against various cancer cell lines in dose-dependent manner.
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Result:	Clearly increased the cytotoxicity of FdUrd and 5-FU against various cancer cell lines in dose-dependent manner.								
In Vivo	<p>TAS-114 (37.5-1,200 mg/kg/day; orally; 1-14 days) increases the antitumor activity of 5-FU when co-administers with Capecitabine (539 mg/kg/day) in mice^[1].</p> <table border="1"> <tr> <td>Animal Model:</td> <td>BALB/c nude mice with MX-1 human breast cancer xenografts^[1]</td> </tr> <tr> <td>Dosage:</td> <td>37.5 to 1,200 mg/kg/day</td> </tr> <tr> <td>Administration:</td> <td>Orally; daily; 1-14 days</td> </tr> <tr> <td>Result:</td> <td>Decreased the tolerable doses of Capecitabine (539 mg/kg/day) in a dose-dependent manner in mice.</td> </tr> </table>	Animal Model:	BALB/c nude mice with MX-1 human breast cancer xenografts ^[1]	Dosage:	37.5 to 1,200 mg/kg/day	Administration:	Orally; daily; 1-14 days	Result:	Decreased the tolerable doses of Capecitabine (539 mg/kg/day) in a dose-dependent manner in mice.
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REFERENCES

[1]. Yano W, et al. TAS-114, a First-in-Class Dual dUTPase/DPD Inhibitor, Demonstrates Potential to Improve Therapeutic Efficacy of Fluoropyrimidine-Based Chemotherapy. Mol Cancer Ther. 2018 Aug;17(8):1683-1693.

Caution: Product has not been fully validated for medical applications. For research use only.

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