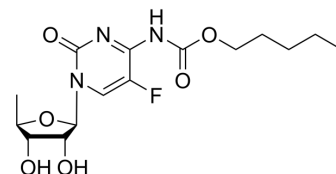


## Capecitabine

<b>Cat. No.:</b>	HY-B0016		
<b>CAS No.:</b>	154361-50-9		
<b>Molecular Formula:</b>	C <sub>15</sub> H <sub>22</sub> FN <sub>3</sub> O <sub>6</sub>		
<b>Molecular Weight:</b>	359.35		
<b>Target:</b>	DNA/RNA Synthesis; Nucleoside Antimetabolite/Analog; Apoptosis		
<b>Pathway:</b>	Cell Cycle/DNA Damage; Apoptosis		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	1 year
		-20°C	6 months



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : 250 mg/mL (695.70 mM; Need ultrasonic)

H<sub>2</sub>O : ≥ 33.33 mg/mL (92.75 mM)

\* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.7828 mL	13.9140 mL	27.8280 mL
	5 mM	0.5566 mL	2.7828 mL	5.5656 mL
	10 mM	0.2783 mL	1.3914 mL	2.7828 mL

Please refer to the solubility information to select the appropriate solvent.

#### In Vivo

- Add each solvent one by one: PBS  
Solubility: 25 mg/mL (69.57 mM); Clear solution; Need ultrasonic
- Add each solvent one by one: 50% PEG300 >> 50% saline  
Solubility: 20 mg/mL (55.66 mM); Clear solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
Solubility: ≥ 2.08 mg/mL (5.79 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
Solubility: ≥ 2.08 mg/mL (5.79 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
Solubility: ≥ 2.08 mg/mL (5.79 mM); Clear solution

### BIOLOGICAL ACTIVITY

#### Description

Capecitabine is an oral proagent that is converted to its active metabolite, 5-FU, by thymidine phosphorylase.

<b>IC<sub>50</sub> &amp; Target</b>	DNA/RNA Synthesis <sup>[1]</sup>
<b>In Vitro</b>	Capecitabine is an anti-cancer chemotherapy drug. It is classified as an antimetabolite. Capecitabine is converted into 5'-deoxy-5-fluorocytidine (5'DFCR), 5'-deoxy-5-fluorouridine (5'DFUR) and 5-FU by carboxylesterases (CES1 and 2), cytidine deaminase (CDD), and thymidine phosphorylase (TP), in both liver and tumour. Capecitabine induces a significant cytotoxic effect in vitro only at high concentrations. Mean IC <sub>50</sub> values vary from 860 μM in COLO205 cells to 6000 μM in HCT8 cells <sup>[2]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
<b>In Vivo</b>	A pharmacokinetic/pharmacodynamic study is carried out in mice bearing HCT 116 xenografts receiving 0.52 and 2.1 mmol/kg/d of Capecitabine by oral gavage. Capecitabine administered at 0.52 mmol/kg/day induces partial control of HCT 116 xenografts tumour growth: growth rate = 7.5±0.5 on day 21. Capecitabine 2.1 mmol/kg/day achieves complete control of tumor growth during the treatment period: growth rate = 1±0.2 on day 21 <sup>[2]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## PROTOCOL

<b>Cell Assay</b> <sup>[2]</sup>	HCT 116, HCT8, HCT15, HT29, SW620 and COLO205 human colon cancer cells are used. Cells are plated on day 1 in 96-well plates at a density of 2500 cells/well for HCT 116, 3500 cells/well for HCT8 and HT29, 5000 cells/well for HCT15, 6000 cells/well for SW620 and 7000 cells/wells for COLO205 in a volume of 150 μL/well. All cell lines are treated on day 2 with increasing concentrations of Capecitabine (0.1-10 mM), 5'DFCR (10 nM-100 μM), 5'DFUR (2.5-500 μM) or 5-FU (0.5-250 μM) for 24 h. After drug exposure, cells are washed once with cold PBS and placed in 200 μL of drug-free medium for 72 h after the end of drug exposure. The cells are then fixed with trichloroacetic acid and stained with sulforhodamine B. Optical densities are measured at 540 nm with a Biohit BP-800. The results are based on three independent experiments performed in triplicate <sup>[2]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
<b>Animal Administration</b> <sup>[2]</sup>	Mice <sup>[2]</sup> Six-week-old C57/Bl6 Nu/Nu mice are used. Bilateral HCT 116 xenografts are obtained by subcutaneous injection of 10 <sup>7</sup> cells/flank. Animals bearing HCT 116 xenografts are treated with vehicle or Capecitabine 0.52 or 2.1 mmol/kg (563 and 2250 mg/m <sup>2</sup> , respectively) given once daily for 5 consecutive days/week by oral gavage for 3 weeks (days 0-4, 7-11, 14-18). Animals are culled on day 0 at 15, 30 min, 1, 2, 4, 8 and 24 h, and prior to planned treatment on days 7 and 14 after the start of treatment. Three animals per time-point are analysed. At the time of collection, blood is collected in heparin, and plasma isolated and stored at -80°C. The liver is removed immediately and stored in RNAlater solution. Tumours are macro-dissected to remove fibrotic tissue and blood vessels and snap-frozen in liquid nitrogen. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## CUSTOMER VALIDATION

- J Clin Invest. 2024 Mar 7:e172716.
- Nano Lett. 2023 Oct 25;23(20):9437-9444.
- J Adv Res. 12 June 2021.
- Cancer Lett. 2023 Dec 13:216596.
- Cancer Lett. 2020 Apr 28;476:67-74.

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## REFERENCES

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[1]. PharmD CM, et al. Capecitabine: A review. Clinical Therapeutics. 2005 Jan; 27(1): 23-44.

[2]. Guichard SM, et al. Gene expression predicts differential capecitabine metabolism, impacting on both pharmacokinetics and antitumour activity. Eur J Cancer. 2008 Jan;44(2):310-7.

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

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