

## Product Data Sheet

Product Name: Camptothecin

Cat. No.: GC15439

### Chemical Properties

Cas. No. 7689-03-4

Chemical Name (S)-4-ethyl-4-hydroxy-1H-pyrano[3',4':6,7]indolizino[1,2-b]quinoline-3,14(4H,12H)-dione

SMILES O=C(N(CC1=CC(C=CC=C2)=C2N=C13)C3=C4)C(CO5)=C4[C@@](O)(CC)C5=O

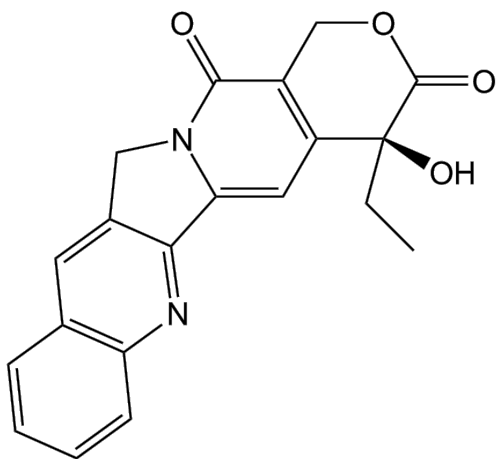
Formula  $C_{20}H_{16}N_2O_4$  M.Wt 348.35

Solubility 10mg/mL in 1M NaOH; 6.7mg/mL in DMSO Storage 4°C, protect from light

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



### Protocol

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

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### Cell experiment [1]:

|                     |                                                                                                                                                                                                                                                                                |
|---------------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Cell lines          | MCF7 (Luminal subtype)、 HCC1419 (HER2 subtype) cells                                                                                                                                                                                                                           |
| Preparation Method  | Cells were seeded into 96-well flat-bottom plates with 100 $\mu$ l of growth medium. After 24 h, the cell culture medium was replaced with medium alone, DMSO medium, or Camptothecin medium (at concentrations ranging from 0.1 $\mu$ M to 5 $\mu$ M) and incubated for 72 h. |
| Reaction Conditions | 0.1-5 $\mu$ M; 72h                                                                                                                                                                                                                                                             |
| Applications        | High TOP1 enzymatic activity MCF7(Luminal subtype) and HCC1419(HER2 subtype) show high sensitivity toward camptothecin treatment, exhibiting the IC <sub>50</sub> values of 0.089 $\mu$ M and 0.067 $\mu$ M, respectively.                                                     |

### Animal experiment [2]:

|                    |                                                                                                                                                                                                      |
|--------------------|------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Animal models      | Male Gf $\alpha$ <sup>+/+</sup> and Gf $\alpha$ <sup>-/-</sup> mice                                                                                                                                  |
| Preparation Method | Mice at 8 weeks of age were maintained on HFD for 5 weeks. Each mouse received Camptothecin (1mg/kg) by gavage daily for 30 days, and their body weight and food intake were monitored every 3 days. |
| Dosage form        | 1mg/kg; p.o.                                                                                                                                                                                         |
| Applications       | Camptothecin induces hepatic expression and secretion of GDF15 in mice, which reduces food intake and thereby normalizing body weight and reversing metabolic dysfunctions in obese mice.            |

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### References:

[1]Tesauro C, Simonsen A K, Andersen M B, et al. Topoisomerase I activity and sensitivity to camptothecin in breast cancer-derived cells: a comparative study[J]. BMC cancer, 2019, 19: 1-15.

[2]Lu J F, Zhu M Q, Xie B C, et al. Camptothecin effectively treats obesity in mice through GDF15 induction[J]. PLoS Biology, 2022, 20(2): e3001517.

### Background

Camptothecin is a specific DNA topoisomerase I (Top1) inhibitor with an IC<sub>50</sub> value of 679 nM<sup>[1]</sup>.

Camptothecin is a plant alkaloid that induces DNA breaks and protein-DNA crosslinks<sup>[2]</sup>.

Camptothecin has potent antitumor activity against colorectal, breast, lung and ovarian cancers<sup>[3]</sup>.

In vitro, treatment of breast cancer-derived cells with high TOP1 enzyme activity (luminal subtype MCF7 and HER2 subtype HCC1419) with camptothecin (0.1-5μM) for 72h significantly inhibited cell viability with IC<sub>50</sub> values of 0.089μM and 0.067μM, respectively<sup>[4]</sup>. Treatment of HeLa and HEK293 cells with camptothecin (0.5μM) for 8-24h significantly reduced the accumulation of hypoxia-inducible factor-1α (HIF-1α) but did not affect HIF-1β levels<sup>[5]</sup>.

In vivo, oral treatment of obese mice with camptothecin (1 mg/kg) for 30 days induced the expression and secretion of growth differentiation factor 15 (GDF15) in the liver of mice, thereby reducing food intake, normalizing body weight and reversing metabolic dysfunction<sup>[6]</sup>. Camptothecin (2 mg/kg) treated mice with melanoma cell metastasis and significantly reduced the number of lung metastases<sup>[7]</sup>.

### References:

[1]Luzzio M J, Besterman J M, Emerson D L, et al. Synthesis and antitumor activity of novel water soluble derivatives of camptothecin as specific inhibitors of topoisomerase I[J]. Journal of medicinal chemistry, 1995, 38(3): 395-401.

[2]Stingle J, Jentsch S. DNA–protein crosslink repair[J]. Nature reviews Molecular cell biology, 2015, 16(8): 455-460.

[3]Huang Q, Wang L, Lu W. Evolution in medicinal chemistry of E-ring-modified Camptothecin

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analogs as anticancer agents[J]. European journal of medicinal chemistry, 2013, 63: 746-757.

[4]Tesauro C, Simonsen A K, Andersen M B, et al. Topoisomerase I activity and sensitivity to camptothecin in breast cancer-derived cells: a comparative study[J]. BMC cancer, 2019, 19: 1-15.

[5]Bertozzi D, Marinello J, Manzo S G, et al. The natural inhibitor of DNA topoisomerase I, camptothecin, modulates HIF-1 $\alpha$  activity by changing miR expression patterns in human cancer cells[J]. Molecular cancer therapeutics, 2014, 13(1): 239-248.

[6]Lu J F, Zhu M Q, Xie B C, et al. Camptothecin effectively treats obesity in mice through GDF15 induction[J]. PLoS Biology, 2022, 20(2): e3001517.

[7]Schön M, Wienrich B G, Kneitz S, et al. KINK-1, a novel small-molecule inhibitor of IKK $\beta$ , and the susceptibility of melanoma cells to antitumoral treatment[J]. Journal of the National Cancer Institute, 2008, 100(12): 862-875.

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