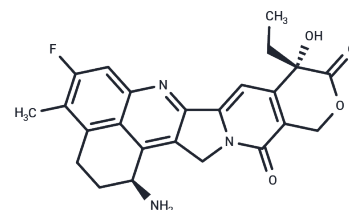


## Exatecan

### Chemical Properties

|                   |                                                                |
|-------------------|----------------------------------------------------------------|
| CAS No. :         | 171335-80-1                                                    |
| Formula:          | C <sub>24</sub> H <sub>22</sub> FN <sub>3</sub> O <sub>4</sub> |
| Molecular Weight: | 435.45                                                         |
| Appearance:       | no data available                                              |
| Storage:          | Powder: -20°C for 3 years   In solvent: -80°C for 1 year       |



### Biological Description

|                            |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                  |
|----------------------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Description                | Exatecan (DX-8951f) is a DNA topoisomerase I (TOP1) inhibitor with an IC <sub>50</sub> value of 2.2 μM (0.975 μg/mL). Exatecan has antitumor activity and may be used in cancer research.                                                                                                                                                                                                                                                                                                                                                                                                                                                        |
| Targets(IC <sub>50</sub> ) | Topoisomerase                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                    |
| In vitro                   | <p>Exatecan (DX-8951f) displays cytotoxic activities against PC-6, and PC-6/SN2-5 cells, with mean GI<sub>50</sub>s of 0.186 and 0.395 ng/mL, respectively.[2]</p> <p>Exatecan (34 nM) stabilizes DNA-TopoI complexes in PC-6 and PC-6/SN2-5 cells.[2]</p> <p>Exatecan is a potent topoisomerase I inhibitor, with an IC<sub>50</sub> of 0.975 μg/mL.[2]</p> <p>Exatecan significantly inhibits the proliferation of several cancer cell lines, with mean GI<sub>50</sub>s of 2.02 ng/mL, 2.92 ng/mL, 1.53 ng/mL, and 0.877 ng/mL for breast cancer cells, colon cancer cells, stomach cancer cells, and lung cancer cells, respectively.[2]</p> |
| In vivo                    | <p>Exatecan (DX-8951f) (3.325-50 mg/kg; i.v.) exhibits antitumor activities in the mice model bearing tumor cells, without toxic death.[3]</p> <p>Exatecan (15, 25 mg/kg; i.v.) highly inhibits MIA-PaCa, BxPC-3 primary tumor growth in the MIA-PaCa-2 early-stage model and early-stage model of BxPC-3. Exatecan also significantly suppresses BxPC-3 lymphatic metastasis and completely eliminates lung metastasis in the BxPC-3 late-stage cancer model.[3]</p>                                                                                                                                                                            |

### Solubility Information

|            |                                                                                                                          |
|------------|--------------------------------------------------------------------------------------------------------------------------|
| Solubility | DMSO: 21.77 mg/mL (50 mM), Sonication is recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |
|------------|--------------------------------------------------------------------------------------------------------------------------|

## A DRUG SCREENING EXPERT

### Preparing Stock Solutions

|       | 1mg       | 5mg        | 10mg       |
|-------|-----------|------------|------------|
| 1 mM  | 2.2965 mL | 11.4824 mL | 22.9647 mL |
| 5 mM  | 0.4593 mL | 2.2965 mL  | 4.5929 mL  |
| 10 mM | 0.2296 mL | 1.1482 mL  | 2.2965 mL  |
| 50 mM | 0.0459 mL | 0.2296 mL  | 0.4593 mL  |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

### Reference

Mitsui I, et al. A new water-soluble camptothecin derivative, DX-8951f, exhibits potent antitumor activity against human tumors in vitro and in vivo. Jpn J Cancer Res. 1995 Aug;86(8):776-82.

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