

Product Data Sheet

Product Name: Cabazitaxel

Cat. No.: GC11765

Chemical Properties

Cas. No. 183133-96-2

SMILES CO[C@H]1C[C@]2([H])[C@](CO2)(OC(C)=O)[C@]3([H])[C@]1(C)C([C@H](OC)C4=C(C)[C@@H](OC([C@H](O)[C@H](C5=CC=CC=C5)NC(OC(C)(C)C)=O)=O)C[C@]([C@H]3OC(C6=CC=CC=C6)=O)(O)C4(C)C)=O

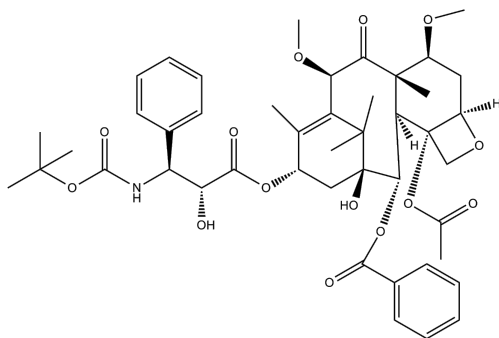
Formula C₄₅H₅₇NO₁₄ M.Wt 835.93

Solubility ≥ 22.3mg/mL in DMSO Storage Store at -20°C

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

Cell experiment [1]:

Cell lines P-glycoprotein-expressing cell lines with chemotherapy resistance

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

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Preparation method The solubility of this compound in DMSO is > 22.3 mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below - 20 °C for several months.

Reacting condition 96 hrs

Applications Cabazitaxel showed antiproliferative activity by decreasing the lag time of tubulin assembly and the rate of cold-induced microtubule depolymerization. In P-glycoprotein-expressing cell lines with resistance to taxanes (P388/TXT, Calc18/TXT and HL60/TAX) or to other chemotherapy agents (P388/DOX, P388/VCR and KBV1), Cabazitaxel was more effective than Docetaxel (IC50 ranges: Cabazitaxel, 0.013 ~ 0.414 mM; Docetaxel, 0.17 ~ 4.01 mM). Cabazitaxel showed relatively lower resistance factors (2 ~ 10) than those of Docetaxel (5 ~ 59).

Animal experiment [1]:

Animal models Mice bearing Docetaxel-sensitive MA16/C adenocarcinomas

Dosage form 64.5, 40, 24.8 or 15.4 mg/kg; i.v.

Applications In mice bearing Docetaxel-sensitive MA16/C adenocarcinomas, Cabazitaxel showed significant anti-tumor activity, inducing CRs in 80% of mice and displaying a log cell kill of 3.7 at the HNTD of 40 mg/kg. The maximum drug concentration in tumors was reached 15 mins after dosing. At 48 hrs after dosing, the concentration of Cabazitaxel in tumors was 40-fold higher than that in plasma.

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Other notes Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

References:

[1]. Vrignaud P, Sémiond D, Lejeune P, Bouchard H, Calvet L, Combeau C, Riou JF, Commeron A, Lavelle F, Bissery MC. Preclinical antitumor activity of cabazitaxel, a semisynthetic taxane active in taxane-resistant tumors. Clin Cancer Res. 2013 Jun 1;19(11):2973-83.

Background

Cabazitaxel is a semi-synthetic derivative of the natural taxoid 10-deacetylbaaccatin III with potential antineoplastic activity.

The cytotoxicity of cabazitaxel (100 µg/mL) on 4T1 cells without irradiation is 70.8%. Cabazitaxel (100 µg/mL) exhibits a concentration-dependent antiproliferation effect, with the antiproliferative activity of 56.2%[1].

Cabazitaxel (10 mg/kg, i.v.) has certain toxicity to liver and kidney but it can be avoided by integrated into Ans. The body weights of mice treated with AN-ICG-CBX and AN-CBX have a slightly decrease, while body weights of the free CBX group significantly decrease compared to the control group[1].

References:

[1]. Tai X, et al. Cabazitaxel and indocyanine green co-delivery tumor-targeting nanoparticle for improved antitumor efficacy and minimized drug toxicity. J Drug Target. 2016 Sep 9:1-29.

[2]. Gdowski AS, et al. Bone-targeted cabazitaxel nanoparticles for metastatic prostate cancer skeletal lesions and pain. Nanomedicine (Lond). 2017 Sep;12(17):2083-2095.

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