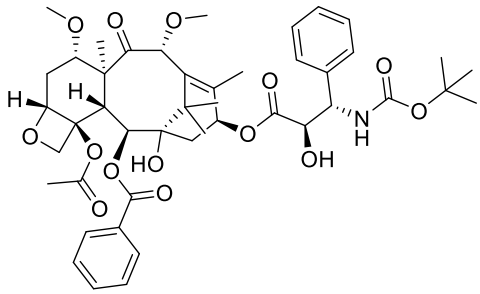
Product data sheet

MedKoo Cat#: 200585 Name: Cabazitaxel CAS#: 183133-96-2 Chemical Formula: $C_{45}H_{57}NO_{14}$ Exact Mass: 835.37791 Molecular Weight: 835.93	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Cabazitaxel is a semi-synthetic derivative of the natural taxoid 10-deacetylbaccatin III with potential antineoplastic activity. Cabazitaxel binds to and stabilizes tubulin, resulting in the inhibition of microtubule depolymerization and cell division, cell cycle arrest in the G2/M phase, and the inhibition of tumor cell proliferation. Unlike other taxane compounds, this agent is a poor substrate for the membrane-associated, multidrug resistance (MDR), P-glycoprotein (P-gp) efflux pump and may be useful for treating multidrug-resistant tumors. In addition, cabazitaxel penetrates the blood-brain barrier (BBB).

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	100	119.63

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	1.20 mL	5.98 mL	11.96 mL
5 mM	0.24 mL	1.20 mL	2.39 mL
10 mM	0.12 mL	0.60 mL	1.20 mL
50 mM	0.02 mL	0.12 mL	0.24 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Vrignaud P, Sémiond D, Lejeune P, Bouchard H, Calvet L, Combeau C, Riou JF, Commerçon 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. doi: 10.1158/1078-0432.CCR-12-3146. Epub 2013 Apr 15. PMID: 23589177.

2. Azarenko O, Smiyun G, Mah J, Wilson L, Jordan MA. Antiproliferative mechanism of action of the novel taxane cabazitaxel as compared with the parent compound docetaxel in MCF7 breast cancer cells. Mol Cancer Ther. 2014 Aug;13(8):2092-103. doi: 10.1158/1535-7163.MCT-14-0265. Epub 2014 Jun 30. PMID: 24980947.

In vivo study

1. Vrignaud P, Sémiond D, Lejeune P, Bouchard H, Calvet L, Combeau C, Riou JF, Commerçon 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. doi: 10.1158/1078-0432.CCR-12-3146. Epub 2013 Apr 15. PMID: 23589177.

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7. Bioactivity

Biological target:

Cabazitaxel (RPR-116258A, XRP6258, TXD 258, Taxoid XRP6258) is a semi-synthetic derivative of a natural taxoid that kills cancer cells by inhibiting cell division and growth.

In vitro activity

Cabazitaxel had similar efficiency compared with docetaxel for reducing the lag time for tubulin assembly (LT50 = 0–0.1 μmol/L for both) and the rate of cold-induced microtubule depolymerization (dIC50 = 0.1–0.25 μmol/L for both) in vitro (Table 2). In vitro antiproliferative activity in chemotherapy-sensitive and -resistant cell lines. Cabazitaxel showed similar antiproliferative activity compared with docetaxel in cell lines sensitive to chemotherapy (murine leukemia P388, human tumor HL60 and KB, and breast Calc18), as shown by the similar IC50 ranges across different cell types (cabazitaxel, 0.004–0.041 μmol/L; docetaxel, 0.008–0.079 μmol/L; Table 3). In P-glycoprotein–expressing cell lines with in vitro–acquired resistance to taxanes (P388/TXT, Calc18/TXT, and HL60/TAX) or to other chemotherapy agents (P388/DOX, P388/VCR, and KBV1), cabazitaxel was found to be more active than docetaxel (IC50 ranges: cabazitaxel, 0.013–0.414 μmol/L; docetaxel, 0.17–4.01 μmol/L). Resistance factors (an indication of the difference in drug concentrations needed to inhibit resistant vs. sensitive/parental cell lines) were 2 to 10 for cabazitaxel and 5 to 59 for docetaxel. Cell lines expressing moderate levels of P-glycoprotein (P388/TXT, P388/VCR, HL60/TAX, and Calc18/TXT), which may be more clinically representative, had minimal cross-resistance to cabazitaxel (resistance factors = 2–4).

Reference: Clin Cancer Res. 2013 Jun 1;19(11):2973-83. https://clincancerres.aacrjournals.org/content/19/11/2973.long

In vivo activity

The pharmacokinetic profile of cabazitaxel was evaluated in mice bearing docetaxel-sensitive murine mammary MA16/C adenocarcinoma tumors. Cabazitaxel was highly active in this tumor model, inducing CRs in 80% of mice and having a log cell kill of 3.7 at the HNTD of 40 mg/kg (Table 1). This antitumor activity was consistent with drug uptake into the tumor, which was both rapid (maximum drug concentrations were reached 15 minutes after dosing) and sustained (at 48 hours post-dose, cabazitaxel concentrations were 40-fold higher in the tumor vs. plasma; Fig. 1). Ratios of cabazitaxel exposure in tumors versus plasma were 1.6 from 0 to 48 hours and 2.9 over the entire experimental period. Cabazitaxel concentrations were maintained above the range of cellular antiproliferative IC50 values [0.004–0.041 μmol/L (see Table 3), corresponding to 3–29 ng/mL, 4-day exposure] for 24 hours in plasma and 96 hours in the tumor.

Reference: Clin Cancer Res. 2013 Jun 1;19(11):2973-83. https://clincancerres.aacrjournals.org/content/19/11/2973.long

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.