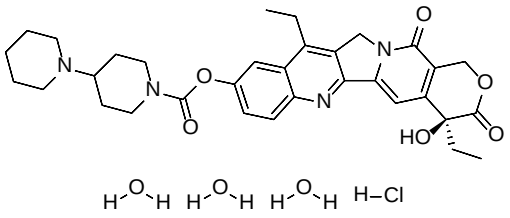
Product data sheet

MedKoo Cat#: 100480 Name: Irinotecan HCl trihydrate CAS#: 136572-09-3 (HCl trihydrate) Chemical Formula: $C_{33}H_{45}ClN_4O_9$ Exact Mass: 676.29 Molecular Weight: 677.19	
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:
Irinotecan hydrochloride is the hydrochloride salt of a semisynthetic derivative of camptothecin, a cytotoxic, quinoline-based alkaloid extracted from the Asian tree Camptotheca acuminata. Irinotecan, a prodrug, is converted to a biologically active metabolite 7-ethyl-10-hydroxy-camptothecin (SN-38) by a carboxylesterase-converting enzyme. One thousand-fold more potent than its parent compound irinotecan, SN-38 inhibits topoisomerase I activity by stabilizing the cleavable complex between topoisomerase I and DNA, resulting in DNA breaks that inhibit DNA replication and trigger apoptotic cell death.

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	56.67	83.68
Water	1.26	1.86
Ethanol	12.0	17.72
DMF	20.0	29.53
DMSO:PBS (pH 7.2) (1:1)	0.50	0.74

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	1.48 mL	7.38 mL	14.77 mL
5 mM	0.30 mL	1.48 mL	2.95 mL
10 mM	0.15 mL	0.74 mL	1.48 mL
50 mM	0.03 mL	0.15 mL	0.30 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. Rajpoot K, Jain SK. Irinotecan hydrochloride trihydrate loaded folic acid-tailored solid lipid nanoparticles for targeting colorectal cancer: development, characterization, and in vitro cytotoxicity study using HT-29 cells. J Microencapsul. 2019 Nov;36(7):659-676. doi: 10.1080/02652048.2019.1665723. Epub 2019 Sep 18. Erratum in: J Microencapsul. 2019 Nov;36(7):I. PMID: 31495238.

In vivo study

1. Barth SW, Briviba K, Watzl B, Jäger N, Marko D, Esselen M. In vivo bioassay to detect irinotecan-stabilized DNA/topoisomerase I complexes in rats. Biotechnol J. 2010 Mar;5(3):321-7. doi: 10.1002/biot.200900174. PMID: 20213647.

7. Bioactivity
Biological target: Topoisomerase I inhibitor.

Product data sheet

In vitro activity

The potential of folic acid-tailored solid lipid nanoparticles (SLNs) for encapsulation as well as for in vitro cytotoxicity study of irinotecan hydrochloride trihydrate (IHT) against colorectal cancer (CRC) was evaluated by using HT-29 cells. The uncoupled SLNs (IRSLNs) and folic acid-coupled SLNs (IRSLNFs) formulations revealed not only high % entrapment efficiency but also small particle size. Moreover, in vitro drug release results from IRSLNs and IRSLNFs confirmed that they followed sustained-release effect for up to 144 h. Whereas, in vitro cell viability study against HT-29 cell line suggested significantly ($p < 0.05$) higher cytotoxicity (IC50 = 15 µg/ml) of IRSLNFs over IRSLNs and IHT solution.

Reference: J Microencapsul. 2019 Nov;36(7):659-676. https://pubmed.ncbi.nlm.nih.gov/31495238/

In vivo activity

An 'in vivo complexes of enzyme to DNA' (ICE) bioassay was adapted to assess irinotecan activity in the stomach, duodenum, colon and liver of male Wistar rats after a single treatment with irinotecan (100 mg/kg body weight, intraperitoneally). This was compared to the control group receiving 0.9% sodium chloride intraperitoneally. In addition, the DNA strand breaking properties of irinotecan were measured in mucosal cells from the distal colon by single-cell gel electrophoresis (comet assay) to investigate the association of topoisomerase poisoning and DNA damage in vivo. A single dose of irinotecan significantly increased amounts of topoisomerase I covalently bound to DNA in stomach, duodenum, colon and liver. Concomitantly, the irinotecan-treated group showed significantly higher amounts of DNA strand breaks in colon mucosa cells compared to the control group.

Reference: Biotechnol J. 2010 Mar;5(3):321-7. https://onlinelibrary.wiley.com/doi/abs/10.1002/biot.200900174

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.