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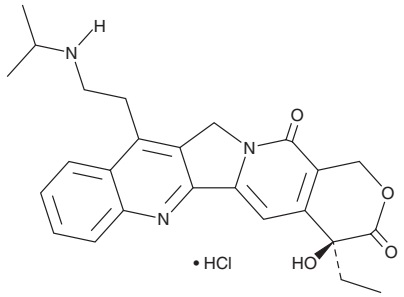
PRODUCT INFORMATION

Belotecan (hydrochloride)

Item No. 35488

CAS Registry No.: 213819-48-8
Formal Name: (4S)-4-ethyl-4-hydroxy-11-[2-[(1-methylethyl) amino]ethyl]-1H-pyrano[3′,4′:6,7]indolizino[1,2-b] quinoline-3,14(4H,12H)-dione, monohydrochloride
Synonyms: 7-[2-(N-isopropylamino)ethyl]-(20S)-Camptothecin, CKD602, (S)-CKD602
MF: $C_{25}H_{27}N_3O_4 \bullet HCl$
FW: 470.0
Purity: ≥95%
UV/Vis.: λ_{max}: 220, 254, 362 nm
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Belotecan (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the belotecan (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Belotecan (hydrochloride) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of belotecan (hydrochloride) in these solvents is approximately 14 and 20 mg/ml, respectively. It is also slightly soluble in ethanol.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of belotecan (hydrochloride) can be prepared by directly dissolving the solid in aqueous buffers. The solubility of belotecan (hydrochloride) in PBS (pH 7.2) is approximately 0.16 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Belotecan is an inhibitor of DNA topoisomerase I (IC_{50} = 0.119 µg/ml) and a derivative of the DNA topoisomerase I inhibitor camptothecin (Item No. 11694).[1] It inhibits the proliferation of various cancer cell lines, including KATO III stomach, HT-29 colon, A549 lung, MDA-MB-231 breast, and SKOV3 ovarian cancer cells (IC_{50}s = 160, 10.9, 9, 345, and 31 ng/ml, respectively). Belotecan (150 ng/ml) induces apoptosis and cell cycle arrest at the G_2/M phase in, and inhibits invasion of, SiHa cervical cancer cells.[2] It reduces tumor growth in a Ca Ski cervical cancer mouse xenograft model when administered at a dose of 25 mg/kg. Belotecan (80 µg/kg) reduces food intake and body weight in pregnant dams and increases fetal deaths and decreases litter size.[3] Formulations containing belotecan have been used in the treatment of ovarian and small cell lung cancer.

References

1. Lee, J.H., Lee, J.M., Kim, J.K., *et al.* Antitumor activity of 7-[2-(N-isopropylamino)ethyl]-(20S)-camptothecin, CKD602, as a potent DNA topoisomerase I inhibitor. *Arch. Pharm. Res.* **21(5)**, 581-590 (1998).
2. Lee, S., Ho, J.Y., Liu, J.J., *et al.* CKD-602, a topoisomerase I inhibitor, induces apoptosis and cell-cycle arrest and inhibits invasion in cervical cancer. *Mol. Med.* **25(1)**, 23 (2019).
3. Chung, M.-K., Kim, J.-C., and Han, S.-S. Embryotoxic effects of CKD-602, a new camptothecin anticancer agent, in rats. *Reprod. Toxicol.* **20(1)**, 165-173 (2005).

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