PRODUCT INFORMATION



Rubitecan

Item No. 28956

CAS Registry No.: 91421-42-0

Formal Name: (4S)-ethyl-4-hydroxy-10-nitro-1H-

> pyrano[3',4':6,7]indolizino[1,2-b] quinoline-3,14(4H,12H)-dione

Synonyms: 9-nitro-20(S)-Camptothecin,

RFS 2000

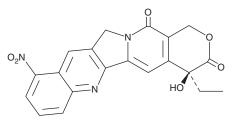
MF: $C_{20}H_{15}N_3O_6$ FW: 393.4 **Purity:** ≥98%

 λ_{max} : 221, 240, 318, 334, 377 nm UV/Vis.:

A crystalline solid Supplied as:

-20°C Storage: ≥4 years Stability:

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



Laboratory Procedures

Rubitecan is supplied as a crystalline solid. A stock solution may be made by dissolving the rubitecan in the solvent of choice, which should be purged with an inert gas. Rubitecan is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of rubitecan in these solvents is approximately 25 mg/ml. Rubitecan is slightly soluble in ethanol.

Description

Rubitecan is a DNA topoisomerase I inhibitor. 1.2 It inhibits DNA topoisomerase I and increases the fraction of supercoiled DNA in a cell-free assay in a concentration-dependent manner. Rubitecan inhibits the growth of A121 ovarian and H460 lung cancer cells (IC_{50} s = 4 and 2 nM, respectively).³ It also inhibits the growth of doxorubicin-susceptible and -resistant MCF-7 breast cancer cells (IC50s = 2 and 3 nM, respectively). Rubitecan (4 mg/kg twice per week) reduces tumor growth in a U937 leukemia mouse xenograft model.⁴

References

- 1. Rubin, E., Pantazis, P., Bharti, A., et al. Identification of a mutant human topoisomerase I with intact catalytic activity and resistance to 9-nitro-camptothecin. J. Biol. Chem. 269(4), 2433-2439 (1994).
- 2. Hinz, H.R., Harris, N.J., Natelson, E.A., et al. Pharmacokinetics of the in vivo and in vitro conversion of 9-nitro-20(S)-camptothecin to 9-amino-20(S)-camptothecin in humans, dogs, and mice. Cancer Res. 54(12), 3096-3100 (1994).
- 3. Bernacki, R.J., Pera, P., Gambacorta, P., et al. In vitro antitumor activity of 9-nitro-camptothecin as a single agent and in combination with other antitumor drugs. Ann. N.Y. Acad. Sci. 922, 293-297 (2000).
- Pantazis, P., Mendoza, J.T., Early, J.A., et al. 9-Nitro-camptothecin delays growth of U-937 leukemia tumors in nude mice and is cytotoxic or cytostatic for human myelomonocytic leukemia lines in vitro. Eur. J. Haematol. 50(2), 81-89 (1993).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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