

Product Data Sheet

Product Name: Namitecan (ST-1968)

Cat. No.: GC34156

Chemical Properties

Cas. No. 372105-27-6

SMILES O=C1[C@](O)(CC)C2=C(CO1)C(N3CC4=C(/C=N/OCCN)C5=CC=CC=C5N=C4C3=C2)=O

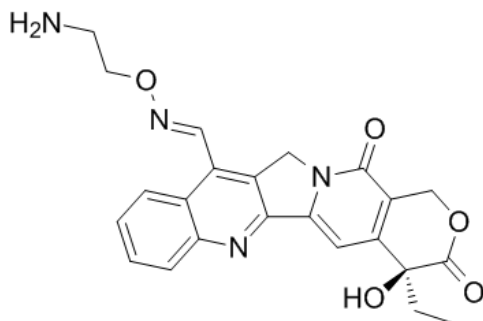
Formula $C_{23}H_{22}N_4O_5$ M.Wt 434.44

Solubility DMSO: 250 mg/mL (575.45 mM) 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: The antiproliferative activity is evaluated after 72 hours of drug exposure by cell counting. Drug concentrations able to inhibit cell proliferation by 50% (IC50) and 20% (IC20) are calculated from dose-response curves.

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

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Animal experiment: To generate tumor xenografts, exponentially growing cells (A431 and A431/topotecan, 10⁷ cells/mouse; SiHa 2.5 × 10⁷ cells/mouse, Caski 10⁷ cells/mouse) are s.c. injected into the mice flanks. For antitumor activity studies, groups of four/five mice bearing tumor implanted in both flanks are used. Tumor fragments are implanted on day 0, and tumor growth is followed by biweekly measurements of tumor diameters with a Vernier caliper. Tumor volume (TV) is calculated according to the formula $TV (mm^3) = d^2 \times D/2$, in which d and D are the shortest and the longest diameter, respectively. Treatment starts 5 to 13 days after implant, when the tumors are just palpable, but established (TV = 80-90 mm³). Namitecan, irinotecan, and cetuximab are administered every fourth day for four times. Cetuximab is given 1 hour after each administration of the camptothecin.

References:

- [1]. De Cesare M, et al. Synergistic antitumor activity of cetuximab and namitecan in human squamous cell carcinoma models relies on cooperative inhibition of EGFR expression and depends on high EGFR gene copy number. Clin Cancer Res. 2014 Feb 15;20(4):995-1006.
- [2]. Zuco V, et al. Efficacy of ST1968 (namitecan) on a topotecan-resistant squamous cell carcinoma. Biochem Pharmacol. 2010 Feb 15;79(4):535-41.

Background

Namitecan is a potent topoisomerase I inhibitor, with antitumor property.

Namitecan and cetuximab cooperate in inhibiting EGFR expression. Namitecan induces a dose-dependent decrease in EGFR expression in the different cell lines[1]. ST1968 induces a comparable level of apoptosis in A431 and A431/TPT cells with IC₅₀ of 0.21 and 0.29 μM[2].

Namitecan (10 mg/kg) in combination with cetuximab (1 mg/mouse) induces synergistic antitumor effects in SCC models as a function of EGFR gene copy number[1]. ST1968 (25 mg/kg) causes acceptable body weight loss and no toxic deaths. ST1968 produces a 100% complete response rate in the mice bearing the A431 tumor, and retains a relevant activity in the topotecan-resistant tumor[2].

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