
Product Data Sheet

Product Name: Intoplicine dimesylate

Cat. No.: GC63354

Chemical Properties

Cas. No. 133711-99-6

Formula $C_{23}H_{32}N_4O_7S_2$

M.Wt 540.65

Solubility DMSO : 115 mg/mL (212.71 mM; Need ultrasonic) 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

Background

Intoplicine (RP 60475) dimesylate, an antitumor derivative in the 7H-benzo[e]pyrido[4,3-b]indole series, is a DNA topoisomerase I and II inhibitor. Intoplicine dimesylate strongly binds DNA ($K_A = 2 \times 10^5 /M$) and thereby increases the length of linear DNA[1][2].

With 1-hour exposure to Intoplicine dimesylate at final concentrations of 2.5 micrograms/mL and 10.0 micrograms/mL, 26% and 54% of the assessable specimens shows positive in vitro responses, respectively[2]. With continuous exposure to Intoplicine dimesylate at concentrations of 0.25 micrograms/mL and 2.5 micrograms/mL, 16% and 71% of the assessable specimens showed positive responses, respectively[2]. Activity is seen against breast (71%), non-small-cell lung (69%), and ovarian (45%) cancer colony-forming units at a Intoplicine dimesylate concentration of 10.0 micrograms/mL after 1-hour exposure[2].

At the highest non-toxic dose (HNTD) (6 mg/kg/injection, total dose, 36 mg/kg), intoplicine dimesylate shows highly active with a T/C of 0% and a corresponding total log cell kill of 3[3].

[1]. Riou JF, et al. Intoplicine (RP 60475) and its derivatives, a new class of antitumor agents inhibiting both topoisomerase I and II activities. Cancer Res. 1993;53(24):5987-

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

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5993.

[2]. Eckardt JR, et al. Activity of intoplicine (RP60475), a new DNA topoisomerase I and II inhibitor, against human tumor colony-forming units in vitro. J Natl Cancer Inst. 1994;86(1):30-33.

[3]. Bissery MC, et al. Antitumor activity of intoplicine (RP 60475, NSC 645008), a new benzo-pyrido-indole: evaluation against solid tumors and leukemias in mice. Invest New Drugs. 1993;11(4):263-277.

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