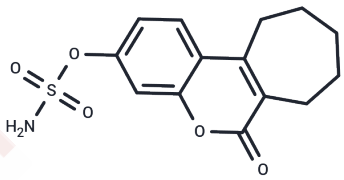
Data Sheet (Cat.No.T4464)

TargetMol

Irosustat

Chemical Properties



CAS No. : 288628-05-7

Formula: C14H15NO5S

Molecular Weight: 309.34

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Irosustat (667-Coumate) is a potent steroid sulfatase inhibitor, with an IC50 of 8 nM, and exhibits anti-breast cancer activity.
Targets(IC50)	Others
In vitro	Irosustat (667 COUMATE), a potent steroid sulfatase inhibitor, exhibits an IC50 of 8 nM and inhibits steroid sulfatase (STS) activity in MCF-7 cells with an IC50 of 0.2 nM, without affecting the morphology or proliferation of MCF-7 cells at 10 μM.
In vivo	Irosustat effectively inhibits over 90% of rat liver activity at a concentration of 1 mg/kg. At doses of 2 mg/kg administered orally for five days, it impedes uterine growth induced by oestrone sulfate (E1S) in ovariectomized rats. Additionally, when combined with E1S, Irosustat, at dosages of 2 and 10 mg/kg administered orally, reduces the growth of NMU-induced mammary tumors in these rats in a dose-dependent manner. Moreover, at a dosage of 10 mg/kg administered orally, Irosustat (identified as 667 COUMATE) achieves a 97.9 ± 0.06% inhibition of steroid sulphatase (STS) activity in rat
Cell Research	MCF-7 cells are cultured in growth medium (minimum essential medium (MEM) containing, phenol red, 10% foetal calf serum (FCS) and essential nutrients). When the cells reach 60% confluency, they are treated with Irosustat (0.001-10 μM) in growth medium. After 72 h of incubation, photographs are taken under normal conditions of light and the number of attached cells in each flask is determined using a Coulter cell counter
Animal Research	Irosustat is formulated in propylene glycol.RatsLudwig rats bearing mammary tumors are used in the assay. Tumor development is monitored, and animals are ovariectomized when tumors reach 0.8-1.5 cm in diameter. Tumors are allowed to regress over a 12- to 13-day period to confirm their hormone-dependent status. Regrowth of tumors is stimulated with oestrone sulfate (E1S; 50 μg/day, s.c.). When tumors have regrown, animals continue to receive either E1S alone or E1S plus Irosustat at 10 mg/kg/day or 2 mg/kg/day, p.o., until tumor regression has occurred. Tumor volumes are calculated from two measured diameters.

Solubility Information

Solubility	DMSO: 55 mg/mL (177.8 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (6.47 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.2327 mL	16.1634 mL	32.3269 mL
5 mM	0.6465 mL	3.2327 mL	6.4654 mL
10 mM	0.3233 mL	1.6163 mL	3.2327 mL
50 mM	0.0647 mL	0.3233 mL	0.6465 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Purohit A,etal.In vivo inhibition of estrone sulfatase activity and growth of nitrosomethylurea-induced mammary tumors by 667 COUMATE.Cancer Res. 2000 Jul 1;60(13):3394-6.

Raobaikady B,etal.Inhibition of MCF-7 breast cancer cell proliferation and in vivo steroid sulphatase activity by 2-methoxyoestradiol-bis-sulphamate.J Steroid Biochem Mol Biol. 2003 Feb;84(2-3):351-8.

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