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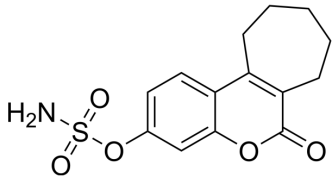
Irosustat

Cat. No.:	HY-14586
CAS No.:	288628-05-7
Molecular Formula:	$C_{14}H_{15}NO_5S$
Molecular Weight:	309.34
Target:	Steroid Sulfatase
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (323.27 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (8.08 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.08 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.08 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Irosustat is a potent steroid sulfatase inhibitor, with an IC_{50} of 8 nM, and exhibits anti-breast cancer activity.
IC_{50} & Target	IC50: 8 nM (Steroid sulfatase)[1], 0.2 nM (Steroid sulfatase, MCF-7 cells)[2]
In Vitro	Irosustat (667 COUMATE) is a potent steroid sulfatase inhibitor, with an IC_{50} of 8 nM[1]. Irosustat (667 COUMATE) inhibits steroid sulphatase (STS) activity in MCF-7 cells with an IC_{50} of 0.2 nM, but has no effect on the morphology or proliferation of

	MCF-7 cells at 10 μM[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Irosustat potently inhibits rat liver, with inhibition of >90% when at a 1 mg/kg concentration. Irosustat (2 mg/kg, p.o. for 5 d) blocks the uterine growth stimulated by oestrone sulfate (E1S) in ovariectomized rats. In addition, Irosustat (2, 10 mg/kg, p.o.) plus E1S dose-dependently decreases the growth of NMU-induced mammary tumors in ovariectomized rats[1]. Irosustat (667 COUMATE; 10 mg/kg, p.o.) shows 97.9 ± 0.06% inhibition on steroid sulphatase (STS) activity in rat liver[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	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[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Rats[1] Ludwig 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[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Mol Cell Endocrinol. 2022 Jan 15;111561.

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REFERENCES

[1]. Purohit A, et al. 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.

[2]. Raobaikady B, et al. 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.

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

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