For Research Use Only

Irosustat

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Catalog Number: CM06563

产品信息

Catalog Number:
CM06563

CAS号:
288628-05-7

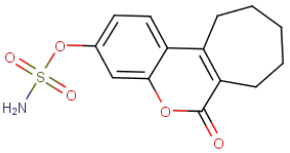
分子式:
$C_{14}H_{15}NO_5S$

主要靶点:
Others

主要通路:
其他

分子量:
309.34

溶解度:
DMSO:55 mg/mL (177.8 mM)



靶点活性

Steroid sulfatase (MCF-7 cells):0.2 nM|Steroid sulfatase:IC50:8 nM

体外活性

Irosustat（667 COUMATE）是一种高效的类固醇硫酸酯酶抑制剂，其IC50为8 nM。在MCF-7细胞中，Irosustat（667 COUMATE）以0.2 nM的IC50抑制类固醇硫酸酶（STS）活性。但在10 μM的浓度下，对MCF-7细胞的形态或增殖没有影响。

体内活性

Irosustat以1 mg/kg的浓度对大鼠肝脏显示出超过90%的强效抑制作用。以2 mg/kg剂量口服给予去卵巢大鼠5天，可有效阻断由雌二醇硫酸盐(E1S)刺激的子宫生长。此外，Irosustat（2, 10 mg/kg, 口服）加上E1S能剂量依赖性地降低去卵巢大鼠NMU诱导的乳腺肿瘤生长。当Irosustat的剂量提升至10 mg/kg时，能在大鼠肝脏中对类固醇硫酸酯酶(STS)活性表现出97.9 ± 0.06%的抑制率。

动物实验

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.

细胞实验

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

储存

Powder: -20°C for 3 years | In solvent: -80°C for 1 year | Shipping with blue ice.