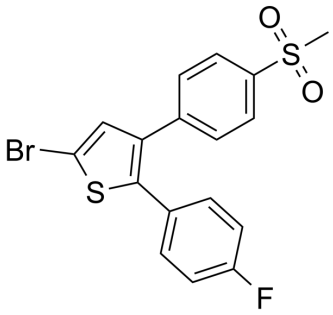
DuP-697

Cat. No.:	HY-103387
CAS No.:	88149-94-4
Molecular Formula:	$C_{17}H_{12}BrFO_2S_2$
Molecular Weight:	411.31
Target:	COX; Apoptosis
Pathway:	Immunology/Inflammation; Apoptosis
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMF : ≥ 54 mg/mL (131.29 mM)
DMSO : ≥ 15 mg/mL (36.47 mM)
Ethanol : ≥ 7 mg/mL (17.02 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	2.4313 mL	12.1563 mL	24.3126 mL
5 mM	0.4863 mL	2.4313 mL	4.8625 mL
10 mM	0.2431 mL	1.2156 mL	2.4313 mL

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

BIOLOGICAL ACTIVITY

Description

DuP-697 is a member of the vicinal diaryl heterocycles and a potent, irreversible, selective and orally active COX-2 inhibitor (IC_{50} of 10 nM and 800 nM for human COX-2 and COX-1, respectively). DuP-697 exerts antiproliferative (IC_{50} of 42.8 nM), antiangiogenic and apoptotic effects on HT29 colorectal cancer cells. DuP-697 inhibits prostaglandin synthesis and has anti-inflammatory, anticancer and antipyretic effects[1][2][3].

IC_{50} & Target

hCOX-2	hCOX-1
10 nM (IC_{50})	800 nM (IC_{50})

In Vitro

DuP-697 (0-100 nM; 24 hours; HT29 cells) treatment shows antiproliferative with an IC_{50} value of 42.8 nM[1].
DuP-697 (25-100 nM; 72 hours; HT29 cells) treatment causes concentration dependent apoptosis in HT29 cells. The percentage of UR (apoptosis portion) area increases gradually according to the concentration of DuP-697 from 7% in control group to 52% in 100 nM DuP-697[1].
DuP-697 in 100, 10 and 1 nM concentrations cause antiangiogenic effect. Antiangiogenic scores of DuP-697 are 1.2, 0.8 and

0.5, respectively[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	HT29 cells
Concentration:	0 nM, 12.5 nM, 25 nM, 50 nM, 100 nM
Incubation Time:	24 hours
Result:	Exhibited decreasing CI values in a concentration dependent manner. Showed statistically significant cytotoxic effect.

Apoptosis Analysis[1]

Cell Line:	HT29 cells
Concentration:	25 nM, 50 nM, 100 nM
Incubation Time:	72 hours
Result:	Caused concentration dependent apoptosis in HT29 cells.

In Vivo

DuP-697 is a potent inhibitor of paw swelling in nonestablished and established adjuvant arthritis in rats (ED_{50} = 0.03 and 0.18 mg/kg/day, respectively). DuP-697 has no effect on phenylquinone writhing in rats (ED_{50} greater than 100 mg/kg), but is analgetic against inflammation-related pain in the Randall-Selitto assay (ED_{50} = 3.5 mg/kg) and is a very potent antipyretic agent (ED_{50} = 0.05 mg/kg). DuP-697 (5 mg/kg i.v.) does not alter renal blood flow or the renal vascular response to angiotensin II in furosemide-pretreated, volume-depleted rats[2].

DuP-697 is a moderate inhibitor of bull seminal vesicle prostaglandin (PG) synthesis (IC_{50} of 24 μM) and a potent inhibitor of rat brain PG synthesis (IC_{50} of 4.5 μM) but was ineffective against rat kidney PG synthesis (IC_{50} of 75 μM)[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Altun A, et al. Anticancer effect of COX-2 inhibitor DuP-697 alone and in combination with tyrosine kinase inhibitor (E7080) on colon cancer cell lines. Asian Pac J Cancer Prev. 2014;15(7):3113-21.

[2]. Gans KR, et al. Anti-inflammatory and safety profile of DuP 697, a novel orally effective prostaglandin synthesis inhibitor. J Pharmacol Exp Ther. 1990 Jul;254(1):180-7.

[3]. Gierse JK, et al. Expression and selective inhibition of the constitutive and inducible forms of human cyclo-oxygenase. Biochem J. 1995 Jan 15;305 (Pt 2):479-84.

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