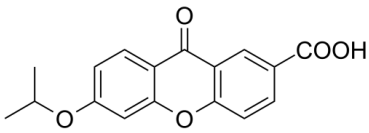
Data Sheet

Product Name:	AH 6809
Cat. No.:	CS-1549
CAS No.:	33458-93-4
Molecular Formula:	C17H14O5
Molecular Weight:	298.29
Target:	Prostaglandin Receptor
Pathway:	GPCR/G Protein
Solubility:	H2O : < 0.1 mg/mL (insoluble); DMSO : 25 mg/mL (83.81 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

AH 6809 is an EP and DP receptor antagonist with nearly equal affinity for the cloned human EP1, EP2, EP3-III, and DP1 receptors. IC50 Value: ~3 nM (EC50 for calcium mobilization by PGE2) [1] Target: EP/DP receptor in vitro: AH6809also antagonized the aggregatory effect of U-46619 in whole blood (pA2 = 4.45). However, concentrations of AH6809 up to 300 microM were without effect upon either ADP- or platelet activating factor (Paf)-induced aggregation (pA2 less than 3.5) [2]. Preincubation of control cells in 10(-4) M concentrations of AH6809 inhibited PGE2-induced activation of AC by greater than 80% without significant (P greater than .05) inhibition of basal activity by the antagonist [3]. in vivo: Exposure to a selective COX-2 inhibitor (SC58125) or an EP1/EP2 antagonist (AH6809), but not an EP4 antagonist (AH23848B), significantly reduced cell proliferation of esophageal explants in 24 hour-organ culture experiments [4]. Oral administration of the EP1 receptor antagonist, AH6809 (10 mg/kg/day, for 4 days), significantly reduced the systolic blood pressure in db/db, but not in control mice [5].

References:

[1]. http://www.millipore.com/publications.nsf/a73664f9f981af8c852569b9005b4eee/cae2c825891fb78e85257b19005fa865/$FILE/HTS099C%20ep1%20datasheet%2012

[2]. Keery RJ, et al. AH6809, a prostaglandin DP-receptor blocking drug on human platelets. Br J Pharmacol. 1988 Jul;94(3):745-54.

[3]. Capehart AA, et al. Effects of a putative prostaglandin E2 antagonist, AH6809, on chondrogenesis in serum-free cultures of chick limb mesenchyme. J Cell Physiol. 1991 Jun;147(3):403-11.

[4]. Piazuelo E, et al. Characterization of the prostaglandin E2 pathway in a rat model of esophageal adenocarcinoma. Curr Cancer Drug Targets. 2012 Feb;12(2):132-43.

[5]. Rutkai I, et al. Activation of prostaglandin E2 EP1 receptor increases arteriolar tone and blood pressure in mice with type 2 diabetes. Cardiovasc Res. 2009 Jul 1;83(1):148-54.

CAIndexNames:

9H-Xanthene-2-carboxylic acid, 6-(1-methylethoxy)-9-oxo-

SMILES:

O=C1C2=C(C=CC(C(O)=O)=C2)OC3=CC(OC(C)C)=CC=C31

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

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