Product Data Sheet

Product Name: Fiduxosin
Cat. No.: GC30679

Chemical Properties

Cas. No.	208993-54-8		
SMILES	O=C(N1CCCCN2C[C@]3([H])[C@](COC4=CC=CC(OC)=C34)([H])C2)C5=C(NC1=O)C6=NC(C7=CC=CC=C7)=CN=C6S5		
Formula	$C_{30}H_{29}N_5O_4S$	M.Wt	555.65
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Fiduxosin		

Protocol

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Animal experiment:

Male beagle dogs (>2 years old, 12-15 kg) are chronically instrumented for the continuous measurement of arterial blood pressure by implanting a telemetry transducer/transmitter (TA11PA-C40) into a carotid artery. On test day, dogs are placed in sling restraints and an Abbocath-T i.v. catheter (18-G) is inserted into a cephalic vein for blood sampling and for the administration of agonist. Prostatic intraurethral pressure (IUP) is measured using a transurethral 7F Swan-Ganz balloon catheter (41224-01). Dose responses of the intraurethral and arterial pressor effects of 8, 16, and 32 μg/kg i.v. phenylephrine (PE) are obtained before and at various time points after a single p.o. dose of an antagonist. Fiduxosin is dissolved in a vehicle of 20% ethanol, 30% propylene glycol, and 50% water. Terazosin and tamsulosin are dissolved in water. All antagonists are given by gavage in a volume of 1 mL/kg. PE is dissolved in saline and administered in a volume of 0.1 mL/kg. The increase in IUP or mean arterial pressure (MAP) caused by PE is allowed to return to baseline before the next dose is administered.

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References:

[1]. Hancock AA, et al. Preclinical pharmacology of fiduxosin, a novel alpha(1)-adrenoceptor antagonist with uroselective properties. J Pharmacol Exp Ther. 2002 Feb;300(2):478-86.
[2]. Brune ME, et al. Effect of fiduxosin, an antagonist selective for alpha(1A)- and alpha(1D)-adrenoceptors, on intraurethral and arterial pressure responses in conscious dogs. J Pharmacol Exp Ther. 2002 Feb;300(2):487-94.

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Background

Fiduxosin is a potent α1-adrenoceptor antagonist, with Ki of 0.160 nM, 24.9 nM, and 0.920 nM for α1a-, α1b-, and α1d-adrenoceptors, respectively.

Fiduxosin displays low affinity for other adrenoceptors, including cloned human α2a- (92 nM) and α2c-adrenoceptors (22 nM) and rat neonatal lung α2b-adrenoceptors (21 nM), in addition to β-adrenoceptors (2-5 μM). Fiduxosin also has low affinity for 5HT1A receptors in rat cortex (29 nM) compared with its affinity at α1a-adrenoceptors (0.16 nM). Fiduxosin antagonizes competitively PE-induced responses with a pA2 value of 7.58, in the rabbit urethra[1].

Fiduxosin (30, 100, and 300 μg/kg, i.v.) antagonizes IUP responses to i.v. EPI in anesthetized dogs. Fiduxosin (178, 592, and 1780 μg/kg, i.v.) elicits transient effects on blood pressure, with no effect of the lowest dose on MAP in spontaneously hypertensive rats (SHR). Fiduxosin (3 μmol/kg or 1780 μg/kg i.v.) slightly reduces MAP, but head-up tilt causes further diminution of MAP at only the 15-min observation with minimal additional changes in MAP at times ≥30 min postdosing in SHR[1]. Fiduxosin (0.1, 0.3, 1.0, and 3.0 mg/kg p.o.) blocks prostatic intraurethral pressure (IUP) responses to a greater extent than MAP responses. The IUP ED50 values of fiduxosin is 0.24 mg/kg[2].

[1]. Hancock AA, et al. Preclinical pharmacology of fiduxosin, a novel alpha(1)-adrenoceptor antagonist with uroselective properties. J Pharmacol Exp Ther. 2002 Feb;300(2):478-86. [2]. Brune ME, et al. Effect of fiduxosin, an antagonist selective for alpha(1A)- and alpha(1D)-adrenoceptors, on intraurethral and arterial pressure responses in conscious dogs. J Pharmacol Exp Ther. 2002 Feb;300(2):487-94.

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