**Fiduxosin**

Cat. No.: HY-U00399  
CAS No.: 208993-54-8  
Molecular Formula: C₃₀H₂₉N₅O₄S  
Molecular Weight: 555.65  
Target: Adrenergic Receptor  
Pathway: GPCR/G Protein  
Storage: Please store the product under the recommended conditions in the COA.

**BIOLOGICAL ACTIVITY**

**Description**  
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.

**IC₅₀ & Target**  
Ki: 0.16 nM (α₁a-adrenoceptor), 24.9 nM (α₁b-adrenoceptor), 0.92 nM (α₁d-adrenoceptor), 92 nM (Human α₂a-adrenoceptor), 22 nM (Human α₂c-adrenoceptor), 21 nM (Rat α₂b-adrenoceptor), 29 nM (Rat 5HT1A receptor) [1]

**In Vitro**  
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].

**In Vivo**  
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].

**PROTOCOL**

**Animal Administration** [2]  
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.
REFERENCES


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

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