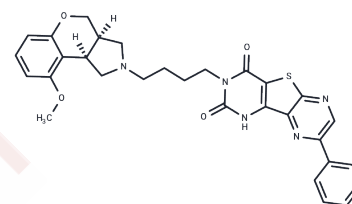


## Fiduxosin

## Chemical Properties

CAS No. :	208993-54-8
Formula:	C30H29N5O4S
Molecular Weight:	555.65
Storage:	Store at low temperature Powder: -20°C for 3 years   In solvent: -80°C for 1 year <small>Actual storage temperature shall be subject to the COA.</small>



## Biological Description

Description	Fiduxosin is a selective and potent $\alpha$ 1-adrenoceptor antagonist with inhibitory effects on $\alpha$ 1a-adrenoceptor, $\alpha$ 1b-adrenoceptor and $\alpha$ 1d-adrenoceptor, with $K_i$ values of 0.160 nM, 24.9 nM and 0.920 nM, respectively. Fiduxosin can be used for the treatment of benign prostatic hyperplasia.
Targets(IC50)	Adrenergic Receptor
In vitro	Fiduxosin, in addition to $\beta$ -adrenoceptors (2-5 $\mu$ M), exhibits low affinity for other adrenoceptors, including cloned human $\alpha$ 2a-adrenoceptors (92 nM), $\alpha$ 2c-adrenoceptors (22 nM), and rat neonatal lung $\alpha$ 2b-adrenoceptors (21 nM). Moreover, Fiduxosin shows low affinity for 5HT1A receptors in rat cortex (29 nM) compared to its affinity at $\alpha$ 1a-adrenoceptors (0.16 nM). In the rabbit urethra, Fiduxosin competitively antagonizes PE-induced responses with a $pA_2$ value of 7.58[2].
In vivo	In anesthetized dogs, Fiduxosin (i.v.) at doses of 30, 100, and 300 $\mu$ g/kg antagonizes intraurethral pressure (IUP) responses to intravenous epinephrine (EPI). Transient effects on blood pressure are observed with Fiduxosin at doses of 178, 592, and 1780 $\mu$ g/kg (i.v.) in spontaneously hypertensive rats (SHR), with the lowest dose having no effect on mean arterial pressure (MAP). In SHR, Fiduxosin at a dose of 3 $\mu$ mol/kg or 1780 $\mu$ g/kg (i.v.) causes a slight reduction in MAP. However, head-up tilt results in a further diminution of MAP at the 15-minute observation point, with minimal additional changes observed at times $\geq$ 30 minutes postdosing. When administered orally at doses of 0.1, 0.3, 1.0, and 3.0 mg/kg, Fiduxosin blocks prostatic intraurethral pressure (IUP) responses to a greater extent than MAP responses. The effective dose (ED50) for IUP is calculated to be 0.24 mg/kg[1].

### Preparing Stock Solutions

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	<b>1mg</b>	<b>5mg</b>	<b>10mg</b>
1 mM	1.7997 mL	8.9985 mL	17.9969 mL
5 mM	0.3599 mL	1.7997 mL	3.5994 mL
10 mM	0.180 mL	0.8998 mL	1.7997 mL
50 mM	0.036 mL	0.180 mL	0.3599 mL

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Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

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.  
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.

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