

Silodosin

Catalog No: tcsc0284



Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

160970-54-7

Formula:

$C_{25}H_{32}F_3N_3O_4$

Pathway:

GPCR/G Protein

Target:

Adrenergic Receptor

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 50 mg/mL (100.90 mM)

Alternative Names:

KAD 3213;KMD 3213

Observed Molecular Weight:

495.53

Product Description

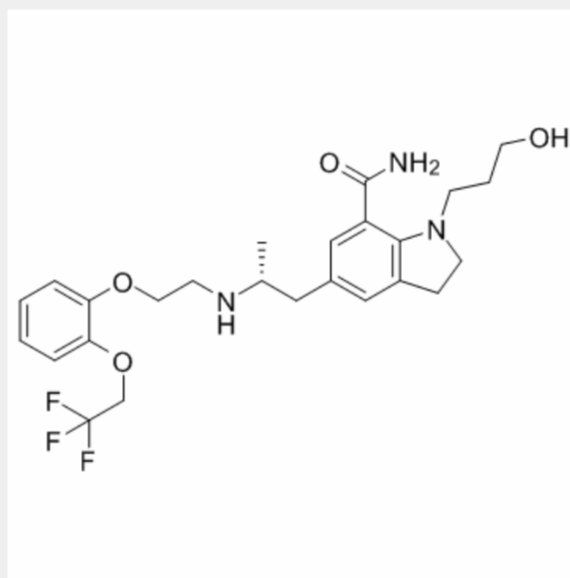
Silodosin (Rapaflo; KMD-3213) is an α 1-adrenoceptor antagonist with high uroselectivity; In treatment of dysuria.

IC50 Value:

Target: Adrenergic Receptor

in vitro: Silodosin potently inhibited 2-[2-(4-hydroxy-3-[125I]iodophenyl)ethylaminomethyl]- α -tetralone binding to the cloned human α 1a-AR, with a K_i value of 0.036 nM, but had 583- and 56-fold lower potency at the α 1b- and α 1d-ARs, respectively. Silodosin inhibited norepinephrine-induced increases in intracellular Ca^{2+} concentrations in α 1a-AR-expressing Chinese hamster ovary cells with an IC50 of 0.32 nM but had a much weaker inhibitory effect on the α 1b- and α 1d-ARs.

in vivo: Using pharmacologically well characterized native rat tissues [submaxillary gland (α 1A-AR-expressing tissue), liver (α 1B-AR-expressing tissue), and heart (mixed α 1A- and α 1B-AR-expressing tissue)], binding studies showed that inhibition curves for Silodosin in submaxillary gland and liver best fit a one-site model (with K_i values of 0.15 and 16 nM, respectively), whereas Silodosin had high and low affinity sites in heart membranes. Chloroethylclonidine treatment of rat heart membranes completely eliminated the low affinity sites for Silodosin. Furthermore, in human liver and prostate Silodosin could identify high and low affinity sites, the K_i values of which corresponded well to those for the cloned human α 1a- and α 1b-ARs, respectively. Moreover, the affinity of Silodosin was found to be approximately 10-fold higher at the cloned human α 1a-AR than at the cloned rat α 1a-AR.v



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