



## Clemizole

**Catalog No: tcsc1481** 

Available Sizes
Size: 5mg
Size: 10mg
Size: 50mg
Specifications
CAS No: 442-52-4
Formula: $C_{19}^{\text{H}}_{20}^{\text{CIN}}_{3}$
Pathway: Metabolic Enzyme/Protease;Immunology/Inflammation;GPCR/G Protein;Anti-infection
Target: HCV Protease;Histamine Receptor;Histamine Receptor;HCV
Purity / Grade: >98%
Solubility: 10 mM in DMSO
Observed Molecular Weight: 325.84

## **Product Description**

Clemizole is an **H1 histamine receptor** antagonist, is found to substantially inhibit **HCV** replication. The  $IC_{50}$  of Clemizole for RNA binding by **NS4B** is 24±1 nM, whereas its  $EC_{50}$  for viral replication is 8  $\mu$ M.





IC50 & Target: IC50: 24 nM (NS4B)<sup>[1]</sup>

H1 histamine receptor<sup>[1]</sup>

In Vitro: Clemizole hydrochloride is found to inhibit HCV RNA replication in cell culture that is mediated by its suppression of NS4B's RNA binding, with little toxicity for the host cell. The EC $_{50}$  of Clemizole on the W55R mutant J6/JFH RNA is ~18  $\mu$ M (2.25 times the EC $_{50}$  of the wild-type RNA)<sup>[1]</sup>. Clemizole is a novel inhibitor of TRPC5 channels. Clemizole efficiently blocks TRPC5 currents and Ca $^{2+}$  entry in the low micromolar range (IC $_{50}$ =1.0-1.3  $\mu$ M). Clemizole exhibits a six-fold selectivity for TRPC5 over TRPC4 $\beta$  (IC $_{50}$ =6.4  $\mu$ M), the closest structural relative of TRPC5, and an almost 10-fold selectivity over TRPC3 (IC $_{50}$ =9.1  $\mu$ M) and TRPC6 (IC $_{50}$ =11.3  $\mu$ M). Clemizole hydrochloride as a novel blocker of TRPC5 with a half-maximal inhibitory concentration of 1.1  $\mu$ M. The concentration-response curves confirmed a concentration-dependent block of TRPC5 by Clemizole and revealed an apparent IC $_{50}$  of 1.1±0.04  $\mu$ M<sup>[2]</sup>

In Vivo: Clemizole hydrochloride has an unexpectedly short plasma half-life (measured at 0.15 hours); it is very rapidly biotransformed into a glucuronide (M14) and a dealkylated metabolite (M12) and into a variety of lesser metabolites in C57BL/6J mice [3].

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