Histaminergic receptors

	H_2	H_2	H_3
1. Selective agonist [relative selectivity] H_1, H_2	2-Methyl histamine 2-Pyridylethylamine 2-Thiazolyl ethylamine	Dimaprit Amproxidine	(R)α-Methyl histamine Imetit
2. Selective antagonist	Mepyramine Chlorpheniramine	Cimetidine Ranitidine	Thioperamide Ampromidine Tiprolisant
3. Receptor type	G_q-protein coupled	G_{rs}-protein cou.	G_i / G_{i0}-protein cou.
4. Effector pathway	PIP_2 hydrolysis → IP_3/DAG ↑ Release of Ca^{++} from intracellular stores; Protein Kinase-C activation NO release → cGMP	AC activation → cAMP ↑ → phosphorylation of specific proteins	a) Restricting Ca^{++} influx b) K^+ channel activation c) cAMP ↓
5) Distribution	a) Smooth muscles (intestine, airway, uterus) - contraction b) Blood vessels i) Endothelium: Release of NO & PGI_2 - vasodilation	a) Gastric gland - ↑ secretion b) Blood vessels (smooth muscle) - dilatation	a) Brain (presynaptically) inhibition of histamine release - sedation

H_1

Widening gap junction -
↑ed capillary permeability
ii) Smooth muscle of larger vessels - vasoconstriction
c) Afferent nerve endings - stimulation
d) Ganglionic cell - stimulation
e) Adrenal medulla - release of CA's
f) Brain - transmitter

H_2

c) Heart:
Atria: +ve chronotropy
Ventricle: +ve inotropy
d) Uterus (rat) - relaxation
e) Brain - transmitter

H_3

b) Lungs, spleen, gastric mucosa
↓ H release
c) Ileum - inhibition of Ach release from myenteric plexus neurones
d) Certain blood vessels - inhibit NA release - vasodilation