

Cardiac effects of novel histamine H₂ receptor agonists.

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Supplemental Table S2. Binding affinities and selectivity ratios of the N^G-carbamoylated guanidines **UR-Po563**, **UR-MB-158**, and **UR-MB159** at the hH₁₋₄R.

Compound	pK _i								Selectivity ratios of K _i (H ₁ R / H ₂ R / H ₃ R / H ₄ R)
	hH ₁ R	N	hH ₂ R	N	hH ₃ R	N	hH ₄ R	N	
UR-Po563	5.06 ± 0.05 ^a	3	7.75 ± 0.05 ^a	3	4.36 ± 0.04 ^a	3	4.87 ± 0.01 ^a	3	490 / 1 / 2455 / 759 ^a
UR-MB-158	< 5 ^b	3	7.89 ± 0.06 ^b	3	< 5 ^b	3	< 5 ^b	3	> 776 / 1 / > 776 / > 776 ^b
UR-MB-159	5.10 ± 0.05 ^b	3	7.27 ± 0.07 ^b	3	< 5 ^b	3	< 5 ^b	3	148 / 1 / > 186 / > 186 ^b

^a Data cf. (Biselli et al., 2021); ^b Data cf. (Tropmann et al., 2021).

References

Biselli S, Bresinsky M, Tropmann K, Forster L, Honisch C, Buschauer A, Bernhardt G, and Pockes S (2021) Pharmacological characterization of a new series of carbamoylguanidines reveals potent agonism at the H₂R and D₃R. *Eur J Med Chem* **214**:113190 doi: 10.1016/j.ejmech.2021.113190.

Tropmann K, Bresinsky M, Forster L, Mönnich D, Buschauer A, Wittmann H-J, Hübner H, Gmeiner P, Pockes S, and Strasser A (2021) Abolishing Dopamine D₂long/D₃ Receptor Affinity of Subtype-Selective Carbamoylguanidine-Type Histamine H₂ Receptor Agonists. *J Med Chem* **64**:8684–8709 doi: 10.1021/acs.jmedchem.1c00692.