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ANALGESIC ACTIVITY OF CAGE-LIKE AMINES DERIVATIVES

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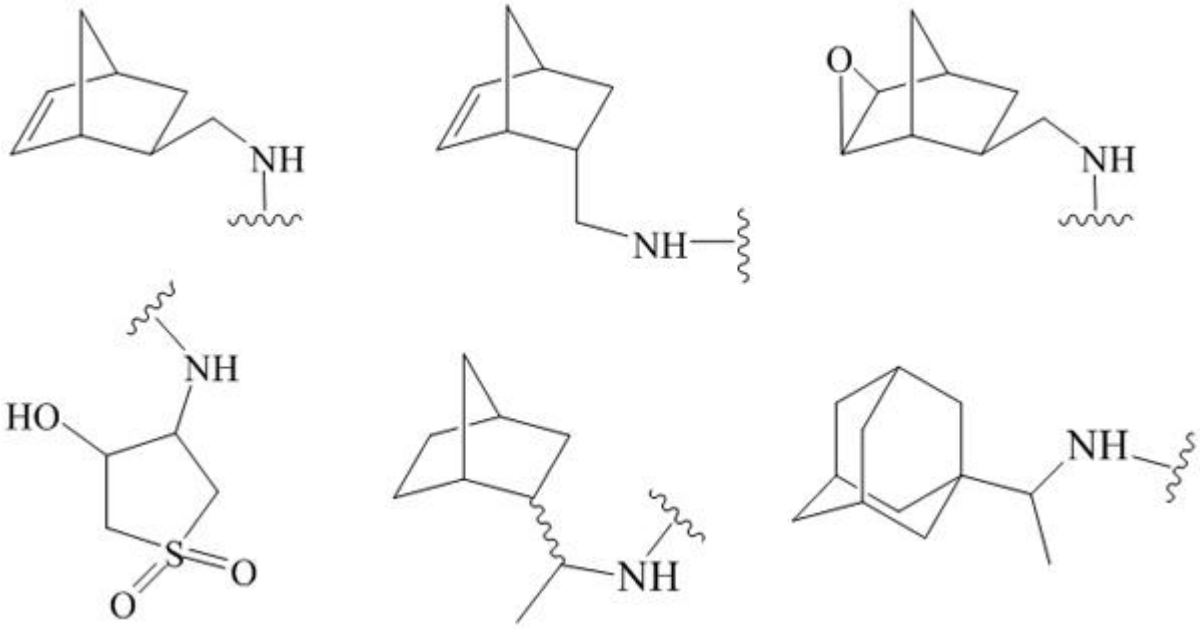
Objectives: In this work analgesic activity of more than 80 derivatives of cage-like amines is investigated. Carbox-, sulfon- and phosphonamides, ureas, sulfonylureas and aminoalcohols. They were got on the basis of stereochemically homogeneous amines (exo- and endo-2-aminomethylbicyclo[2.2.1]hept-5-enes and their saturated analogues, exo-5-aminomethyl-exo-2,3-epoxybicyclo[2.2.1]heptanes, and also sulfolan, deitiforin and amines of adamantane family by comparison to base amines are plugged into the investigated group.



[Figure]

Rigid molecules with «fixed» in space substituents used as models for the study of structure-activity relationship of analgesic activity compounds with their chemical structure.

Methods: The investigation of compounds has been carried out on white mise. The acute toxicity (LD_{50}) and analgesic activity («hot plate» method, 55°C) were determined. Explored compounds were entered in a dose equal 1/10 LD_{50} for 30 minutes prior to testing. Activity of preparations was estimated in % in relation to the control group of animals.

Results: Dependence of analgesic activity on the orientation of substituents in norbornene frame is marked: higher activity for endo-stereoisomers than for exo-form. Analgesic action decreases with disappearance of double bond and increase of number of cycles in carbon frame. The deitiforin derivatives are considerably more active than adamantane analogues.

Conclusions: More than 15 patents of Ukraine are got.

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