P-913 - NEW ANALGESICS NITROSULFONAMIDES WITH TRANQUILIZER ACTIVITY

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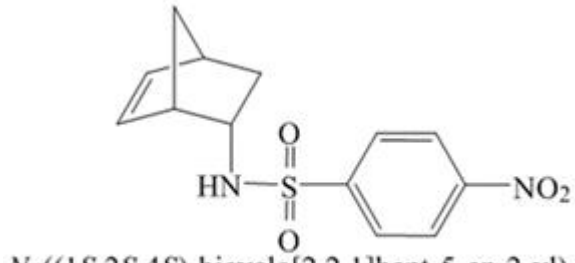
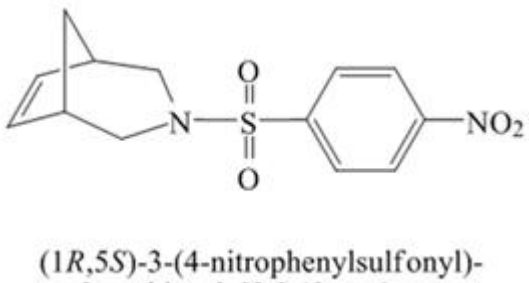
Objectives: The problem of pain and search of new analgesics remains the actual problem for theoretical and clinical medicine. The well-known analgesics possess a number of sides properties, from which the convulsive activity characteristic for narcotic analgesics takes special seat.
Methods: Experiments were carried out upon white mice (20-30g). The two new derivatives with 4-nitrobenzenesulfonamide fragment (N-bicyclo[2.2.1]hept-5-en-2-yl)-4-nitrobenzenesulfonamide and 3-(4-nitrophenylsulfonyl)-3-azabicyclo [3.2.1]oct-6-ene) having a middle acute toxicity (LD_{50} 268 and 500 mg/kg) has been synthesized. In experiments the pains thresholds were determined at the thermal irritation (method «hot plate»). The tranquilizer action of preparations was studied under the test of increase in duration of barbiturate dream caused by the hexenale prepared *ex tempore*. Activity estimated in % in relation to the control group of animals.



N-((1*S*,2*S*,4*S*)-bicyclo[2.2.1]hept-5-en-2-yl)-4-nitrobenzenesulfonamide



(1*R*,5*S*)-3-(4-nitrophenylsulfonyl)-3-azabicyclo[3.2.1]oct-6-ene

[figure]

Results: Investigated new 4-nitrobenzenesulfonamide-containing compound demonstrates analgesic (69 and 55%) and tranquilizer (130 and 223%) activity. Thereby, observed effects enable to consider the examined compounds as perspective substance for therapy of pain syndrome in the conditions of enhanceable tranquilizer readiness.
Conclusions: It is necessary to mark that new compounds under investigation along with high tranquilizing action demonstrates middle analgesic activity. Further research can ground its introduction in clinical practice.

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