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Anticonvulsant activity of N-cyclohexyl-3-phenyl-1,2,4-oxadiazole-5-carboxamide, a novel ligand of benzodiazepine receptor

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Background and Aims: Benzodiazepines are important drugs used in controlling of epilepsy and have several adverse effects such as sedation, memory impairment, and development of tolerance to the desirable effects. N-cyclohexyl-3-phenyl-1,2,4-oxadiazole-5-carboxamide is a novel benzodiazepine-like compound which has all pharmacophores for interaction with benzodiazepine receptors including an aromatic ring, a hydrogen bind acceptor, and lipophilic group. In this study the anticonvulsant effects of the novel compound were evaluated using experimental model for seizure.
Methods: Male NMRI mice in the range of 16-25 gram of weight were used in this study. Pentylentetrazol-induced lethal convulsion test was used for evaluation of anticonvulsant effect of the compound. The compound (7.5-30 mg/kg i.p.) was injected 30 minutes before i.p. injection of 100 mg/kg pentylentetrazole. Diazepam (0.1-1.6 mg/kg i.p.) was used as a standard agonist and flumazenil (10 mg/kg i.p.) was used as a standard antagonist of benzodiazepine receptors.
Results: The Novel compound in doses of 15 and 30 mg/kg showed anticonvulsant activity, which was weaker than diazepam. The effect was completely blocked by flumazenil.
Conclusions: This study indicates that N-cyclohexyl-3-phenyl-1,2,4-oxadiazole-5-carboxamide has considerable anticonvulsant activity which is mediated through interaction with benzodiazepine receptors. Further studies are important to complete the toxicological profile of the novel compound.

Keywords: Benzodiazepine; Convulsion; Mice; 1,2,4-oxadiazole; Pentylentetrazole