Pharmacological Studies of GB-105 (N-Phenyl-N-acetylglycine Dimethylamide) and GB-302 (N-[p-Ethoxyphenyl]-N-acetylglycine Dimethylamide), in Special Reference to Comparative Studies with Acetanilide and Phenacetin

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In the previous studies of a series of N-phenylglycine amide derivatives, the several derivatives were found to be less toxic than acetanilide (A) or phenacetin (P) and to possess almost the same analgesic activity as (A) or (P) in mice. GB-105 and GB-302, because of their relatively high activity and high solubility in water, were most interesting and examined pharmacologically. The results obtained were as follows:

1) The acute toxicity tests showed that GB-105 was 1/1.3 tol/2.6 as toxic as (A) or (P) in mice and 1/1.9 to 1/2.0 as toxic as (A) or (P) in rats and GB-302 was 1.8 to 2.1 times as toxic as GB-105 in mice or rats.

2) When tested for analgesic activity according to modified Haffner’s method in mice, GB-105 and GB-302 had almost the same activity as (A) or (P).

3) GB-105 possessed no hypothermic action and GB-302 was much less hypothermic than (A) or (P) in normal mice. But GB-105 and GB-302 exhibited profound antipyretic action in febrile rabbits.

4) GB-105 and GB-302 showed moderate suppression on the edema of rat’s hindpaws induced by the local injection of formalin, egg-white, dextran and hyaluronidase.

5) GB-105 and GB-302 showed only slight and transient vasodepressor response and respiratory depression in anesthetized dogs.

6) GB-105 and GB-302 showed slightly negative chronotropic action in isolated rabbit auricle and weak vasodilatation in isolated rabbit ear vessel.

7) After the administration of GB-105 and GB-302 in man and cats, the methemoglobin formation was not observed at all.

8) Solubility of GB-105 and GB-302 in water at 20°C was 2.3 and 2.1 g/ml respectively.

The pharmacological properties suggest that they may be of some value as a water soluble antipyretic analgesic.

Studies on Pharmacological Action of 2-Anilinoacetamide Derivatives

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Screening tests were carried out, with special emphasis on toxicity and an-