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Syntheses and Gaba Receptor Binding Properties of 1-, 2- and 3-Hydroxy Aminobutyl Phosphinic Acids

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Novel racemic 1-hydroxy-, 2-hydroxy- and 3-hydroxy aminobutyl-phosphinic and methylphosphinic acids were synthesized. The acids are bioisosteres of the corresponding carboxylic acids, some of which are GABA_B antagonists. The novel hydroxylated phosphinic and methylphosphinic acids were evaluated for their GABA_A and GABA_B receptor binding properties using rat brain synaptosomes and were also tested for GABA activity in a guinea pig ileum model. All the compounds tested were inactive.

