Full Paper

Novel Sulphamides and Sulphonamides Incorporating the Tetralin Scaffold as Carbonic Anhydrase and Acetylcholine Esterase Inhibitors

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Reactions of amino, aminomethyl tetralins and benzyl alcohol with chlorosulphonyl isocyanate (CSI) afforded sulphamoyl carbamates. The sulphamoyl carbamates were converted to sulphamides by palladium-catalysed hydrogenolysis. Sulphonamides were synthesized from the reactions of amines with $MeSO_2Cl$. Inhibition of human (h) carbonic anhydrase (CA) isoenzymes (hCA I, hCA II) and acetylcholine esterase (AChE) was investigated with the synthesized compounds. hCA I and hCA II were inhibited in the low micromolar or sub-micromolar range. The K_i values were in the range of 0.91–9.56 mM against hCA I and of 3.70–27.88 mM against hCA II. Sulphamides 11–13 and sulphonamides 14–16 had moderate inhibition capacity toward AChE. These findings suggest the novel sulphamides 11–13 and sulphonamides 14–16 as AChE and CA isoenzyme inhibitory agents.

Keywords: Acetylcholine esterase / Aminotetralins / Carbonic anhydrase / Sulphamides / Sulphamoyl carbamates / Sulphonamides

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