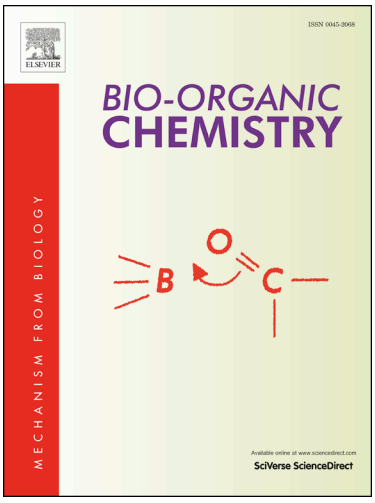

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Synthesis of novel dipeptide sulfonamide conjugates with effective carbonic anhydrase I, II, IX, and XII inhibitory properties†

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Abstract. Twenty-four novel sulfonamide derivatives incorporating dipeptide tails were synthesized by facile acylation reactions of homosulfanilamide through benzotriazole or dicyclohexyl carbodiimide (DCC) mediated coupling reactions. The carbonic anhydrase (CA, EC 4.2.1.1) inhibitory activity of the new compounds was assessed against four human (h) isoforms, hCA I, hCA II, hCA IX and hCA XII. Most of the synthesized compounds showed good *in vitro* carbonic anhydrase inhibitory properties, with inhibition constants in the low nanomolar range. Particularly, the new dipeptide-sulfonamide conjugates incorporating Ala, Phe and Met in the dipeptide sequence, showed the most effective inhibitory activity against to CA IX and XII.

Keywords: carbonic anhydrase; inhibitor; homosulfanilamide; dipeptide; conjugate

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