

MYOTROPIC EFFECTS OF NEW PROCTOLIN ANALOGUES MODIFIED IN THE POSITION 5 OF PEPTIDE CHAIN IN INSECTS

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To explain the role of the Thr⁵ residue of proctolin (Arg-Tyr-Leu-Pro-Thr) in the myotropic activity of this insect neuropeptide, we synthesized two groups of its analogues: 1) Arg-Tyr-Leu-Pro-X-OH with X = Val (**1**), D-Val (**2**), Ile (**3**), D-Ile (**4**), Ala (**5**), D-Ala (**6**), Asn (**7**), Gln (**8**), Ser (**9**), Pro (**10**), Phe (**11**), Asp (**12**), Glu (**13**), Arg (**14**), D-Arg (**15**), Lys (**16**) and Gly (**17**) and 2) Arg-Tyr-Leu-Pro-R', where R' = isobutylamine (**18**), S-1-methyl-1-phenylmethylamine (**19**), R-1-methyl-1-phenylmethylamine (**20**), R-2-amino-1-propanol (**21**), S-2-amino-1-propanol (**22**), R-1-amino-2-propanol (**23**), S-2-amino-1-propanol (**24**), 3-amino-1-propanol (**25**). Decapeptide proctolylproctolin (H-Arg-Tyr-Leu-Pro-Thr-Arg-Tyr-Leu-Pro-Thr-OH) (**26**) was synthesized. Syntheses of these peptides were carried out by solid-phase method. All peptides were bioassayed *in vitro* on the semi-isolated hearts of *Tenebrio molitor* using a cardioexcitatory test and on the foregut of locust (*Schistocerca gregaria*). Peptides **1**, **3**, **5**, **9**, **13**, **14**, **16**, **22**, and **23** retained about 30–50% of the cardioexcitatory activity in *T. molitor*. Analogues **1** and **3** preserved about 50% and analogue **8** about 80% of the myotropic activity, whereas compound **4** and **9** showed a very weak contractile activity in *S. gregaria*.

Key words: proctolin analogues, myotropic effect in insects, insect neuropeptide proctolin and analogues