

Synthetic alpha- and gamma-endorphins are the same as the respective natural substances in terms of the following tests:

- (1) amino acid composition;
- (2) HPLC pattern;
- (3) mobility (Rf) values on thin layer chromatography in different solvent systems;
- (4) mass spectra after derivatization; and
- (5) biological activity.

Many analogues of beta-endorphin have been synthesized. One of the most interesting approaches to analogue design is that of trying to make cyclic analogues of native linear substances in order to stabilize a tertiary structure and to better understand the topographical requirements of the receptor for recognition and transduction. From the synthetic chemist's point of view, cyclization by introduction of two half-cystine residues, which can be coupled under mild oxidizing conditions to form a disulphide bridge, is the easiest approach to such structures. This was applied in the design of several LRF and NT analogues that were found to have low, but significant, biological activity. Two out of three of the cyclic analogues are equipotent or even more potent than beta-endorphin in biological assays.