New syntheses of tetrazolylmethylphenylalanine and O-malonyltyrosine as pTyr mimetics for the design of STAT3 dimerization inhibitors.

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The novel syntheses of two pTyr mimetics, L-tetrazolylmethylphenylalanine (L-Tmp) and L-*O*-malonyltyrosine (L-OMT) are reported. Incorporation into a high affinity ligand of the STAT3 SH2 domain identified L-OMT as the first nonphosphorus pTyr mimetic reported so far against the STAT3 SH2 domain.