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To cite this version:

HAL Id: inserm-00168682
http://www.hal.inserm.fr/inserm-00168682
Submitted on 30 Aug 2007

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


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.