

Title (en)
SYNTHESIS OF PANCRATISTATIN PRODRUGS

Title (de)
SYNTHESE VON PANCRATISTATIN-PRODRUGS

Title (fr)
PROCEDE DE SYNTHESE DE PROMEDICAMENTS A BASE DE PANCRATISTATINE

Publication
EP 1385846 A2 20040204 (EN)

Application
EP 02733993 A 20020417

Priority
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• US 28471701 P 20010418

Abstract (en)
[origin: WO02085848A2] A new and efficient synthesis of the (+)-pancratistatin phosphate prodrug 2a has been accomplished. Selective protection (tetraacetate 4) of (+)-pancratistatin (1a) was followed by phosphorylation (to 5) with dibenzyl chlorophosphite (prepared in situ from dibenzyl phosphite). Cleavage of the acetate (with sodium methoxide) and benzyl (by hydrogenolysis) protecting groups followed by concomitant reaction with two equivalents of sodium methoxide afforded good yield of disodium (+)-pancratistatin phosphate (2a). Further increases in yields of the prodrug (2a) were realized by avoiding heat in the final purification steps. Fourteen (2b-o) additional metal and ammonium derived phosphate prodrugs were also synthesized.

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