

Title (en)

METHOD FOR PREPARING COMBRESTATIN

Title (de)

VERFAHREN ZUR HERSTELLUNG VON COMBRESTATIN

Title (fr)

PROCEDE DE PREPARATION DE COMBRESTATINE

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Application

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Abstract (en)

[origin: WO2009118474A1] The invention relates to a method for preparing a combretastatin (A): formula (I) in the form of a base or of an addition salt with an acid, which comprises coupling, in the presence of a base and of T3P, the salt of the (Z)-amino compound of formula (II) with a doubly protected L-serine derivative of formula (III) in which PG denotes a group protecting the amine function, so as to obtain the compound of formula (Z)-(lb): formula (IV), then deprotecting and opening the ring of (Z)-(lb) in the presence of an acid, so as to obtain the combretastatin (A) in the form of a salt; and, optionally, adding a base, so as to obtain the combretastatin (A) in the form of a base, the salt of the (Z)-amino compound having been obtained by enrichment of the salt of the amino compound of formula (V) in (Z) isomer.

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