

Title (en)
A SOLID FORM OF APREMILAST AND A PROCESS FOR PREPARING THE SAME

Title (de)
FESTE FORM VON APREMILAST UND VERFAHREN ZU IHRER HERSTELLUNG

Title (fr)
FORME SOLIDE D'APRÉMILAST ET UN PROCÉDÉ POUR SA PRÉPARATION

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Abstract (en)
[origin: WO2016169533A1] The invention relates to a solvate of apremilast with tetrahydrofuran - THF of formula 1, wherein the molar ratio of apremilast and THF is 2:1. The THF solvate of apremilast exhibits the characteristic melting point of 79.4°C. Another aspect provides a process for preparing the THF solvate of apremilast. An alternative process for preparing a solvate of apremilast with tetrahydrofuran comprises a reaction of (S)-1-(3-ethoxy-4-methoxyphenyl)-2-(methylsulfonyl)-ethylamine of formula (S)-3 with 3-acetamidophtalic anhydride of formula 5 in a mixture of tetrahydrofuran and an acid, or a reaction of a diastereoisomeric salt of (S)-1-(3-ethoxy-4-methoxyphenyl)-2-(methylsulfonyl)-ethylamine with tartaric acid or their derivatives of formula (S-6) with a base, producing (S)-1-(3-ethoxy-4-methoxyphenyl)-2-(methylsulfonyl)-ethylamine of formula (S)-3, and its reaction with 3-acetamidophtalic anhydride of formula 5 in a mixture of tetrahydrofuran and an acid. Another aspect provides a pharmaceutical composition comprising the THF solvate of apremilast and at least one pharmaceutically acceptable excipient selected from the group of lactose, microcrystalline cellulose, sodium crosscarmellose, and magnesium stearate.

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