The Russian patent RU 02/254852 describes a solution of nalbuphine hydrochloride for injection.

International application WO 2007/025005 concerns sustained release formulations of nalbuphine or of one of the pharmaceutically acceptable salts thereof, intended for administration via oral route ; this application describes compositions comprising nalbuphine or a salt thereof and a sustained release delivery system, said system comprising at least one hydrophilic compound, at least one cross-linking agent and at least one pharmaceutical diluent, or at least one hydrophilic compound, at least one cross-linking agent, at least one pharmaceutical diluent and at least one cationic cross-linking agent different from the 1st cross-linking agent or at least one hydrophilic compound, at least one cationic cross-linking agent and at least one pharmaceutical diluent.

Similarly, international application WO 2005/127683 concerns essentially sustained release formulations of nalbuphine or a pharmaceutically acceptable salt thereof, intended for administration via oral route.

One of the objects of the invention presented herein is to provide clinicians with an oral form of nabulphine having swift action close to that of the IV form and that is sufficiently strong.

There effectively does not exist any immediate, oral formulation at the present time since it has been observed that the immediate formulations tested up until now showed low bioavailability due to the bolus effect which causes saturation of the liver enzyme system (cytochrome p 450), which accounts for the reason why intensive research is focusing rather more on developing a sustained release form.

Another difficulty with the use of an oral form of nalbuphine lies in the particularly unpleasant intense taste of the active ingredient, which prevents direct use thereof in a solution. This assumes the need to mask the taste with usual galenic artefacts (flavouring or coating) which are detrimental to the immediate release of the active ingredient.

Research has therefore turned more towards sustained release formulations.

The objective of the present invention is to provide a novel, solid, oral pharmaceutical formulation comprising nalbuphine which allows rapid solubilization and bioavailability that is equivalent to those of a solute form of nalbuphine.

The present invention therefore concerns an immediate release, oral pharmaceutical formulation comprising nalbuphine or a pharmaceutically acceptable salt thereof and at least one hydrophilic granulation carrier, one hydrophilic binder and one lubricant.

In one embodiment, there is provided an immediate release, oral pharmaceutical formulation comprising – from 18 to 30% by weight of nalbuphine or a pharmaceutically acceptable salt thereof, and from;

- from 55 to 70% by weight of at least one hydrophilic granulation carrier;
- from 4 to 10% by weight of at least one hydrophilic binder; and
- from 2 to 7% by weight of at least one lubricant, relative to the total weight of the formulation, said immediate release, oral pharmaceutical formulation only containing nalbuphine or one of the pharmaceutically acceptable salts thereof as an active ingredient.

In another embodiment, there is provided a pharmaceutical formulation, wherein it comprises:

- from 18 to 30% by weight of nalbuphine;
- from 55 to 70% by weight of lactose;
- from 4 to 10% by weight of PVP; and
- from 2 to 7% by weight of at least one lubricant.

By « hydrophilic » is meant any substance soluble or dispersible in water or in a polar solvent.