HIGH-CONTENT FAMCICLOVIR TABLETS

This invention relates to a novel formulation of a pharmaceutical product.

EP-A-182024 (Beecham Group p.l.c.), Example 2 describes a method of the preparation of famciclovir, a compound which is useful as the oral form of the compound, penciclovir which has antiviral activity against infections caused by herpesviruses, such as herpes simplex type 1, herpes simplex type 2 and varicella zoster virus, and also against Hepatitis B virus. Penciclovir and its antiviral activity is disclosed in Abstract P.V11-5 p.193 of 'Abstracts of 14th Int. Congress of Microbiology', Manchester, England 7-13 September 1986 (Boyd et. al.).

Famciclovir is preferably administered in the form of tablets containing 125 mg, 250 mg, 500 mg or 750 mg of active ingredient. As is conventional in tablet compositions, the tablets contain excipients such as lactose, sodium starch glycollate and magnesium stearate such that the total excipient content is at least 15%. Anhydrous lactose is conventionally included as a major excipient to compensate for any potential inconsistencies in the compression properties of the active ingredient.

The higher the percentage excipient content, the greater the tablet size for a tablet of any given dosage. Particularly in the case of tablets containing 500 mg and 750 mg of active ingredient, the large tablet size can affect patient compliance as the oval face of the tablet would measure 18mm x 8.5mm and 21mm x 10mm respectively when the tablet contains about 76% famciclovir.

It has been discovered that it is possible to formulate famciclovir into a tablet containing less than 15% excipients as the compression properties of famciclovir have proved to be sufficiently consistent to permit this.

Accordingly, the present invention provides a pharmaceutical tablet wherein famciclovir is the active ingredient and wherein the percentage of famciclovir by weight in the tablet is 85% or greater.

All values for percentage weight given herein unless otherwise stated are defined in terms of the core of any tablet which may be coated, for example, film coated.

A suitable tablet contains less than 15%, less than 10%, less than 5% and preferably 0% of anhydrous lactose or other similar excipient such as microcrystalline cellulose.

A suitable tablet contains famciclovir at a percentage weight of greater than 85%, 86%, 87%, 88%, 89%, 90% or 91%. The highest possible percentage of famciclovir is preferred. Famciclovir may be in any suitable pharmaceutically acceptable form, such as in the form of a salt, solvate or polymorph. Famciclovir is preferably in an anhydrous, free base form.

Conventional excipients included in the composition of the tablet include hydroxypropyl cellulose, for example up to 5% or up to 3%, sodium starch glycollate, for example up to 15%, 10%, 7% or 5% and magnesium stearate, for example up to 2% or 1%.

The tablets may be coated with any suitable coating used for pharmaceutical tablets.

The tablets may be prepared by conventional compression methods known in the art. In a preferred method, famciclovir is granulated with an excipient such as hydroxypropyl cellulose, in order to minimise the effect of any variations in physical form of the active ingredient. The granules are screened and dried and then blended with any further excipients to form a compression mix. Tablets are compressed to the size and weight appropriate to the required dosage and then optionally coated as desired.

The following Example illustrates the invention.

EXAMPLE

The percentage values in brackets indicate the percentage values in the famciclovir tablets currently registered by the regulatory authorities for pharmaceuticals, in the United Kingdom of Great Britain and Northern Ireland, the U.S.A and other countries of the world.

Constituent	%w/w	%w/w
Famciclovir	91.42	(75.66)
Hydroxypropyl cellulose	2.83	(2.34)
sodium starch glycollate	5.00	(5.00)
magnesium stearate	0.75	(0.75)
anhydrous lactose	0	(16.25)

Approximate Core Weight is 547mg for 500mg dosage and 820mg for 750mg dosage.

For coated tablets, 2.5% of core weight is added by the coating and the coated tablet weighs 560mg for the 500mg dosage and 840mg for the 750mg dosage.

The tablets are prepared by dry mixing famciclovir with hydroxypropyl cellulose and then granulating the mixture with water in a high shear granulator. The wet mass is screened, dried and milled. The milled granules are blended with sodium starch glycollate and magnesium stearate to produce the compression mix. Tablets are compressed at the size and weight appropriate to the required strength of tablet and then aqueous film coated.

The resulting size of an oval face of a 750mg tablet is 19mm x 9mm and of a 500mg tablet is 17mm x 8mm.

Claims

1. A pharmaceutical tablet wherein famciclovir is the active ingredient and wherein the percentage of famciclovir by weight in the tablet is 85% or greater.

2. A pharmaceutical tablet according to claim 1, containing less than 15% lactose.

3. A pharmaceutical tablet according to claim 1 or 2, containing less than 5% lactose.

4. A pharmaceutical tablet according to claim 1, 2, or 3, containing 0% lactose.

5. A pharmaceutical tablet according to claim 1, 2, 3, or 4, wherein the percentage of famciclovir by weight in the tablet is 90% or greater.

6. A pharmaceutical tablet according to any one of claims 1 to 5, having the following composition:

Constituent	%w/w
Famciclovir	91.42
Hydroxypropyl cellulose	2.83
sodium starch glycollate	5.00
magnesium stearate	0.75
anhydrous lactose	0

7. A process for the preparation of a tablet according to any one of claims 1 to 6, wherein the famciclovir and excipients are granulated and then compressed to form a tablet.

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3. A pharmaceutical tablet according to claim 1 or 2, containing less than 5% lactose.

4. A pharmaceutical tablet according to claim 1, 2, or 3, containing 0% lactose.

5. A pharmaceutical tablet according to claim 1, 2, 3, or 4, wherein the percentage of famciclovir by weight in the tablet is 90% or greater.

6. A pharmaceutical tablet according to any one of claims 1 to 5, having the following composition:

Constituent	**%w/w**
Famciclovir	91.42
Hydroxypropyl cellulose	2.83
sodium starch glycollate	5.00
magnesium stearate	0.75
anhydrous lactose	0

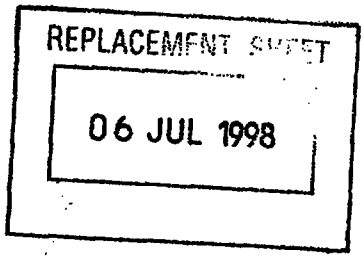
7. A process for the preparation of a tablet according to any one of claims 1 to 6, wherein the famciclovir and excipients are granulated and then compressed to form a tablet.

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Claims

1. A pharmaceutical tablet wherein famciclovir is the active ingredient and wherein the percentage of famciclovir by weight in the tablet is 85% or greater.

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Constituent	**%w/w**
Famciclovir	91.42
Hydroxypropyl cellulose	2.83
sodium starch glycollate	5.00
magnesium stearate	0.75
anhydrous lactose	0

7. A pharmaceutical tablet according to any one of claims 1 to 6, containing 500 mg or 750 mg of famciclovir.

8. A process for the preparation of a tablet according to any one of claims 1 to 7, wherein the famciclovir and excipients are granulated and then compressed to form a tablet.

9. A process according to claim 8, wherein the famciclovir is granulated with hydroxypropyl cellulose, blended with any further excipients and then compressed to form a tablet.

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