Salts of benzimidazole compounds, their use and synthetic preparation.

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**Patent Type**
Patent for invention.

**Co-Ownership**
Sapienza University of Rome 5%, “G. d’Annunzio” University of Chieti-Pescara 20%, The Institute of Higher Health of Rome 75%.

**Inventors**
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**Industrial & Commercial Reference**
Pharmaceutical companies which develop new drugs and which work on Drug Repositioning.

**Time to Market**
We requested the European extension on August 4th 2916 (n. PCT/IT2016/000191).

**Availability**
Cession, Licensing, Research, Development, Experimentation, Collaboration, Start-up and Spin-off.

**Abstract**
Salts of benzimidazole drugs already in the market displaying physical-chemical and pharmacokinetic characteristics more suitable than their parent compounds in order to a proper use in the treatment of helmintiasis and cancer.

**Publications**

**KEYWORDS**
- BENZIMIDAZOLES
- ANTHELMINTICS
- ANTI-CANCER AGENTS
- CHIRAL SEPARATION
- WATER SOLUBILITY
- SULFUR OXIDATION
- DRUG REPURPOSING

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**BENZIMIDAZOLE (BZ)**
Applications
Albendazole (ABZ), Fenbendazole (FBZ) and Triclabendazole (TBZ) are ones of the sulfinyl-benzimidazole drugs with a broad spectrum anthelmintic activity, used worldwide for the treatment and prevention of parasitic diseases that develop in farm animals, pets and humans.

Because of their interaction with microtubules, in recent years, some anthelmintics were studied extensively as antitumor agents.

In vitro and in vivo studies have revealed that they are potent inducers of apoptosis and tumor growth inhibitors.

The published experimental results are indicative of a significant clinical potential for using them in systemic treatment of cancer.

However, due to low solubility in water, cannot be administered parenterally or orally.

So for a careful evaluation on systemic antitumor properties it is urgent to provide new water soluble pharmaceutical formulations.

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Technical Description
An innovative feature of the salts subject of the invention is that they can be dissolved in water.

This feature allows administering the drug orally as well as including injecting, higher bioavailability and optimal therapeutic levels at lower doses than those imposed for non-salified forms.

The synthetic strategy for the preparation of salts of this invention includes a simple passage of their salt content in which the nitrogen atom is deprotonated imidazole nucleus with acidic characteristics from a base capable of releasing a cation A+n = Li+, Na+, K+, Mg2+ and Ca2+. In contrast to non-salified forms, forms saline with A+n = Li+, Na+, K+ are soluble in water.

Technologies & Advantages
The present invention consists in delivering, through a simple and economical synthetic procedures of water-soluble benzimidazole salts listed in the title either as a racemic mixture as well as enantiopure forms.

Products having the characteristics of salts of this invention do not exist in the literature and in the market or (to our knowledge) under development.

As mentioned above, the transformation of neutral forms of water-insoluble drugs in soluble salts potentially allows their administration orally and intravenously with greater bioavailability and achieving optimal therapeutic levels at lower doses than those imposed for non-salified forms.

Finally, in the veterinary field, new water soluble formulations of anthelmintics can be simply administered (e.g. dissolving into water in the watering hole used by the animal to drink).