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Editorial
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The Ambiguity between Drug Names and Their Pharmaceutical Salts

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Editorial

There exists some sort of ambiguity amongst physicians, patients and to less degree within pharmacy practitioners, between drug names and their pharmaceutically available salts. Questions are asked about the difference between tetracycline and tetracycline hydrochloride, or ampicillin and ampicillin sodium; are these names represent the same active molecule and what is the difference between them? This difference should be clear and understandable for all health professions and also to the patient. Most of the drug molecules are either weak acids or weak bases. Examples of acidic drugs are aspirin, amoxicillin, warfarin, tolbutamide, phenobarbital, phenytoin, sulfamethoxine, oxazepam and losartan. Diazepam, quinidine, propoxyphene, lidocaine, meperidine, ephedrine and tolazoline are examples of chemically basic drugs. However, it has been estimated that approximately 50% of all drug molecules formulated, marketed and used in therapy are administered in form of their salts. The questions that come, why these drug molecules are formulated and used in therapy in their salt forms? In fact, many drug candidates developed in the preclinical phases are highly lipophilic and water-insoluble molecules, and in order to bring these drug candidates to a suitable and convenient pharmaceutical dosage forms to be applied in the advanced clinical phases of drug development, they are chemically made into their salt forms to enhance their dissolution or absorption into the body systems without change in the intended therapeutic activity. The decision about whether salt of the drug molecule should be developed or is directly formulated as free acid or base dosage forms, depends on relative pharmaceutical and commercial worthiness of these forms. It is generally unnecessary to prepare a salt form of a drug if a free acid or a base is a water-soluble solid with a high melting point.

The salt formation of a drug substance is a significant and useful step in the drug development process. It is a relatively simple and powerful pre-formation technique that can result in overcoming undesirable properties of the parent drug substance leading to apparent amelioration of the physicochemical properties of drug. The published dissolution profiles of Ketoconazole and its double hydrochloride salt demonstrates a representative example for the how the dissolution rate has been improved, from 120 minutes to be about 30 minutes. However, even with the many advantages linked to drug salt forms, developing salt forms is sometimes not practically attainable, as the preparation of a stable salt may not be possible for some drugs. The salt may have certain undesirable properties compared with the free acid or base and it would be appropriate to proceed with the free acid or base. Although the process of drug salts formation brings about enhanced and remarkable change in the biopharmaceutical characteristics of the poorly soluble and poorly bio available drugs; important factors including the acidity or basicity of the drug ionisable group, the safety of the ionized form, the safety of the counter-ion, the intended use of the drug, the route of administration and the intended type of dosage form of the drug, are important determinable points in the decision-making of salt formation.

In addition to the remarkable changes in the biopharmaceutical characteristics of drug molecules in their salt forms, many other benefits are offered via salt drug formation. Pharmaceutical Salt forms are utilized to prepare controlled release dosage form, targeted drug delivery, improved thermal stability, improved photo stability, decreased hygroscopic properties, decrease hydrolytic properties, improve palatability of the drug, improved drug efficacy, and allows more formulation approaches, ease of purification, handling and improved technical compressibility processes. On the other hand, drug slats could have prolonged shelf half-life compare to their parent counterpart.

In the laboratory, for the preparation of drug salt depends on its chemical nature as acid or basic molecule. For acidic drug molecule a suitable counter-ion of basic nature (e.g. Sodium, Potassium, Calcium, Aluminum, Zinc or Diethylamine and Choline), is selected and mixed together in a liquid phase as ionized forms, resulting in ionic interaction and neutral complex

salt formation which is separated and crystallized under suitable conditions. The same process is followed with basic drug molecule where suitable acidic counter-ion (e.g. Hydrochloride, Sulfate, Acetate, Phosphate/diphosphate, Chloride, Maleate, Citrate, Nitrate, Tartrate, Mesylate, Pamoate, Fumarate and Gluconate) is selected and processed similarly.

Some drugs are marketed in more than one salt forms according to the producing pharmaceutical company and the nature of the dosage form. For example Tetracycline is available as Tetracycline parent drug in certain dosage form or Tetracycline Hydrochloride salt and Tetracycline Phosphate salt in other dosage forms. Although certain underlying numerical values related to the design of the given drug are important to the producing companies; these values should be understandable and clear for health professional concerning the dose given of a drug in its parent form and the dose given in its salt form(s). Thus, if the dosage form contains Tetracycline (Mol.Wt. is 444.44), in its parent form, is 500mg/dose, this means that this dose weights 500mg of the Tetracycline, while in case of Hydrochloride salt (Mol.Wt. is 480.90), the weight of Tetracycline HCl equivalent to 500mg/dose should be 541.01mg; and that of Tetracycline Phosphate salt form (Mol.Wt. is 542.43) is 610.24mg. Normally, the 500mg dose of parent Tetracycline and its Hydrochloride (Mol.Wt. is 542.43) or Phosphate salts is (Mol.Wt. is 610.24mg), should produce the same therapeutic effect i.e. they are therapeutically equivalent.

In contrast, Metoprolol is used as antihypertensive agent, and helps prevent strokes, heart attacks, and kidney problems and in case of angina, it is used to treat chest pain and to improve survival after a heart attack. Metoprolol is available in two salt forms; Metoprolol tartrate is only available as an immediate-release tablets and Metoprolol succinate as an extended release dosage form. It has been proven that Metoprolol succinate reduces mortality in heart failure and is therapeutically preferred over immediate-release Metoprolol tartrate in these patients.

A further point related to this review and to be considered is the hydrated forms of the drugs. A hydrated molecule is a molecule that is loosely attached to a certain number of water molecules. This hydration of the drug molecule could occur during the process of drug purification and/or crystallization processes. The number of water molecules attached to one molecular weight of the drug usually represented as "Drug name·nH_2O", where n is the number of water molecules per formula unit of the drug, is commonly used to show that a drug is hydrated and for monohydrate form of a drug, n is one, and for hex hydrated drug, n is 6. An example of hydrated drug molecule is Ampicillin trihydrate (Ampicillin.3H_2O). Ampicillin (Mol. Wt. is 349.41), and that of Ampicillin trihydrate is 405.41, thus 580.14mg weight of ampicillin trihydrate is equivalent to 500mg dose of ampicillin.

Conclusion

In conclusion, it seems important for all health practitioners to be aware of the difference between the dosage forms containing a parent drug and others in drug salt or hydrated forms, and be informed that these forms of drug have or have not effect of therapeutic activity and/or their bioequivalence properties as this could determine their decision-making of drug prescribing [1-7].

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