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Review Article

A Detailed Review on The Use of Excipients in Drug Formulation

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ABSTRACT

Excipients play an important role in formulating a dosage form. These are the ingredients along with active pharmaceutical ingredients. Make up the dosage forms. Excipients act as if other active pharmaceutical ingredients need to be stabilized and standardized. The following review briefly explains the standardization and stabilization process and the excipients' safety evaluation parameters. This article emphasizes the development of new excipients, different kinds of existing excipients, new grades of novel excipients, combinations of various excipients, and some new applications of existing excipients..

INTRODUCTION

Pharmaceutical dosage forms contain both pharmacologically active compounds and excipients added to aid the formulation and manufacture of subsequent dosage forms for patient administration. Excipients play an important role in converting active pharmaceutical ingredients into dosage form by ensuring their safety and efficacy so that administration can be suitable for the patient.

A. Excipients:

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Definition: The term comes from the Latin word Excipients present participle of the verb excipients which means to receive to gather, to take out. This refers to one of the properties of an excipient. This ensures that a medicinal product has the weight consistency and volume necessary for the correct administration of the active principle to patients. In 1957 excipients were defined as, the substance used as a medium for giving a medicament, that is to say with simply the functions of an inert.

Advantages of Excipients:

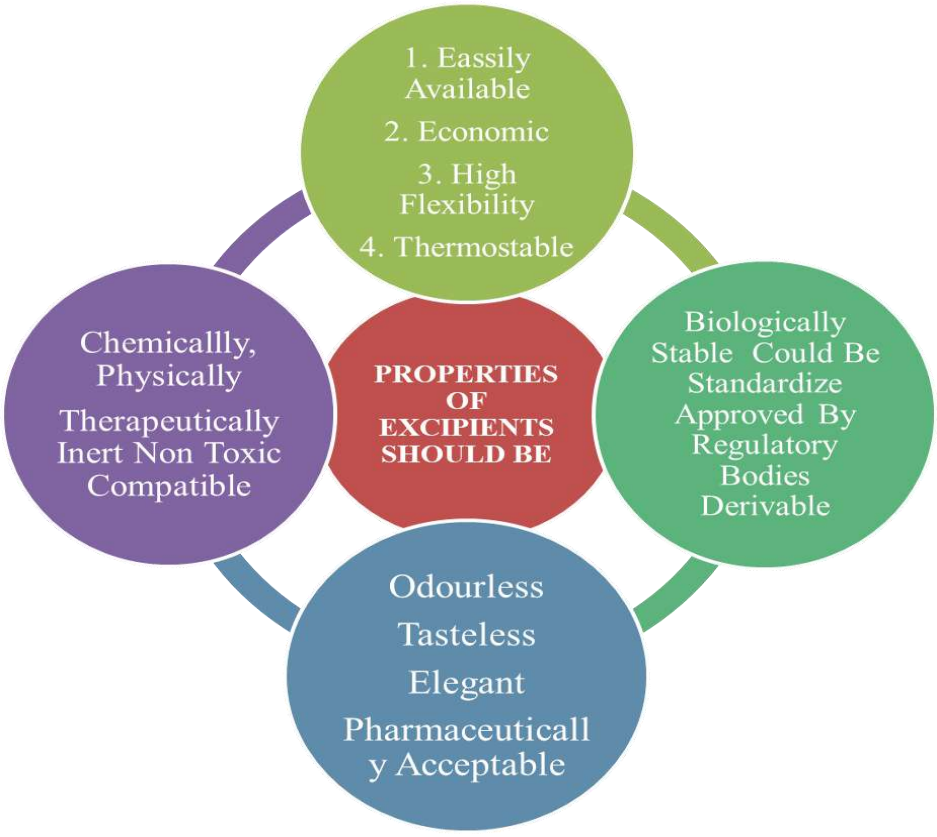
1) It improves the wetting property (surfactant).
2) Hydrocolloids may serve the purpose of emulsifying, binding, and gel-forming agents.
3) Increase the bulk of the drug.
4) Provide stabilization of formulation (it serves as PH adjuster, cheating agent, and anti-oxidant).
5) Improve organoleptic properties.
6) It serves as a vehicle for formulation.
7) Patient compliance -tablets.

Disadvantages of Excipients:

1) May cause chemical interaction with drug (Example: amphetamine + sod CMC= produce undesirable complex)
2) Can cause bioavailability problems.
3) Phenobarbital oily vehicles cause less drug release.
4) Can interact with packaging material to affect active ingredients.

Function of Excipients:

1) Add bulk to the formulation.
2) Assist in drug administration.
3) Enhance patient compliance.
4) Enhance drug solubility and bioavailability.
5) Avoid drug degradation.
6) Give robust and reproducible results of the formulation.
7) Modify the pH and osmolarity of the liquid dosage form.
8) Help in particle dispersion.
9) Helps to mask unpleasant taste, odor, and color.
10) Helps to maintain stability.



Type of Excipients:

FIG. NO. 02 Natural Pharmaceutical Excipients

1) Fillers:

Definition:

Filler's excipients are used to increase the volume of the material to enable easier processing of the ingredients and making them into a size suitable for consumption.

Uses:

1. Reduce signs of aging
2. Improve facial features
3. To reduce the signs of aging
4. Minimize skin depression
5. Scars and address fine lines
6. Add the volume of the face

Advantages:

1. Instant results no downtime
2. Does not require special surgical expertise
3. Simple OPD procedure
4. Large lesions covered at one sitting

Disadvantages:

1. It is short-term lasting hence has to be repeated once a year
2. Costly treatment
3. Not manufacturing in India
4. Chances of adverse reaction

Example:

Lactose, silica.

2) Lubricants:

Definition:

Lubricant excipients are substances that are added to tablet formulation to aid in the tableting process and to improve the flow of powders during the manufacturing of tablets.

Uses:

1. To decrease friction at the interface between a table's surface and the die wall during ejection and reduce wear on punches and dies

Advantages:

1. Prevent the adhesion of tablet

2. Reduce interarticular friction
3. High viscosity index
4. High resistance of deuteriation in storage

Disadvantages:

1. Talc samples are found to contain trace quantities of iron
2. Poor self-healing property
3. Higher coefficient friction
4. Poor heat dissipation

Example:

Magnesium, stearate

3) Binders:

Definition:

An excipient is a pharmaceutically inactive substance formulated alongside the active pharmaceutical ingredients of medication

Uses:

1. A carefully positioned abdominal binder may be used in people with spinal cord injury to help
2. Support the abdomen
3. Improve respiration function

Advantages:

1. Low toxicity biodegradable availability and low cost.
2. Increase stability, precision, and accuracy of dosage form.

Disadvantages:

1. Over time they occasionally lead to tablet hardening and a decrease in dissolution performance

Example:

Starch, Gelatin

4) Disintegrates:

A disintegrates an agent used in the preparation tablet, which causes them to disintegrate and release their medicinal substance on contact with moisture.

Uses:

1. Used in oral disintegrating dosage forms and mouth-dissolving tablets.
2. Disintegrating agent is an important component of tablet dosage forms.

Advantages:

1. Faster therapeutic effect.
2. They have good compression ability and how property with poor gel formulation.

Disadvantages:

1. Some are more hygroscopic
2. Some are anionic and may cause in vitro binding.

Example:

Croscarmellose sodium, crospovidone.

Role of Excipients in Drug Formulation

1. Improve the flowability and compressibility of the powder
2. Enhance dissolution and bioavailability of active pharmaceutical ingredients (APIs)
3. Modify the release profile of APIs
4. Improve stability and shelf life of formulations
5. Enhance patient acceptability and compliance

List of Excipients:

Excipients Used in solid dosage form

Sr. No	Excipients Name	Example	Formulation
1)	Diluents	Lactose, Dextrose, Sorbitol.	Fillers
2)	Binders and Adhesives	Acacia, Gelatin, Starch Paste, Glucose, Povidone.,	Impart cohesive qualities to powdered material.
3)	Glidants	Improve flow characteristics of the powder mixture	Carbosil, Asbestos-free starch, Corn starch
4)	Flavors	Limited to chewable tablets	Sprat dried and other flavors, syrups, etc.
5)	Sweeteners	Impart sweet taste of the formulation used is limited to chewable tablets	Mannitol Saccharin

Excipients used in liquid dosage forms

Sr no	Excipients Name	Example	Formulation
1)	Solvent	Dissolving solute	Water, alcohol, Acetic acid, Acetone, ethyl acetate, syrups etc.
2)	Co-solvent	Increase the solubility of solute in solvents	Ethanol, sorbitol, Glycerin
3)	Buffers	Maintain PH of formulation	Phosphate buffers, Acetate buffers, citric acid, Phosphate buffers
4)	Antioxidants	Control oxidation	Phosphate buffers, acetate buffers, citric acid, Phosphate buffers
5)	Antifoaming agent	Discourage the formation of stable foam	Simethicone, organic phosphate, Alcohol, paraffin oils, glycols
6)	Colour	Impart color	Amaranth, Tartrazine
7)	Flavors	Impart flavor	Aromatic water, syrup

Excipients used in semisolid dosage form

Sr.no	Excipients Name	Example	Formulation
1)	Structure forming excipient	Form gel-like structure	Cetostearyl alcohol, sorbiton
2)	Preservatives	Preserving the formulation	Benzyl alcohol, propylparaben, methylparaben,
3)	Gelling agents	From gels	Carbomer 934, Pemulen. Carboxylic methyl, cellulose, xanthan gum
4)	Solubilizers	Enhance the solubility of the active	Lanolin, cholesterol or cholesterol esters.

Ideal properties of Excipients

1) They can be used practically.
2) Should be non-volatile.
3) They should be nontoxic and nonirritant.
4) Should be easily available and cheap
5) Should not have specific color, odor, and taste.
6) Should possess good water and lipid solubility.
7) Should be compatible with the active ingredients in the preparation.
8) Should be pharmacologically inert.
9) Should not be affected by temperature. Light and hydrolysis.
10) Excipients have efficient functionality for the intended use.
11) They must be physiologically inert
12) They must be non-toxic.
13) Excipients need to be free from pathogenic microorganisms.
14) It should be colorless, odorless, and tasteless.
15) IT should be compatible with the API in the preparation.
16) Effective in low conc. wide range of pH
17) No interaction with drugs.

FUTURE SCOPE

1. Development of Novel Excipients: Continued research efforts can lead to the discovery and development of new excipients with enhanced functionalities, such as improved solubility enhancement, controlled release properties, and targeted drug delivery mechanisms.

2. **Biocompatible and Biodegradable Excipients**: There is a growing interest in the use of biocompatible and biodegradable excipients to reduce environmental impact and enhance patient safety. Future research can focus on the development of sustainable excipients derived from natural sources.

3. **Personalized Medicine**: Excipients can play a crucial role in the development of personalized medicine formulations tailored to individual patient needs. Future studies may explore excipients that enable customized drug delivery systems for personalized treatment regimens.

4. **Nanotechnology and Excipient Compatibility**: The integration of nanotechnology with excipients offers opportunities for the development of advanced drug delivery systems with improved efficacy and targeted delivery. Future research can focus on exploring the compatibility of excipients with nanomaterials for enhanced therapeutic outcomes.

5. **Quality by Design (QbD) Approach**: Implementing a QbD approach in excipient selection and formulation development can optimize product quality, performance, and safety. Future studies may emphasize the application of QbD principles to enhance the understanding and control of excipient functionality.

6. **Regulatory Considerations**: With evolving regulatory requirements and guidelines, future research can focus on ensuring compliance with regulatory standards for excipient safety, quality, and efficacy. Continued efforts in this area can facilitate the development of standardized excipient evaluation processes.

7. **Digitalization and Excipient Selection**: The integration of digital technologies, such as artificial intelligence and machine learning, can streamline the excipient selection process and optimize formulation development. Future research may explore the use of digital tools for predictive modeling of excipient behavior and performance.

8. **Combination Excipients**: Investigating the synergistic effects of combining multiple excipients in formulations can lead to the development of multifunctional excipient systems with enhanced therapeutic benefits. Future studies may explore the potential of combination excipients in improving drug delivery outcomes.

Innovations In The Field Of Excipients For Drug Formulation:

1. **Multifunctionality**: Multifunctional excipients are designed to serve multiple purposes in a formulation, such as enhancing solubility, improving stability, controlling release, and masking taste. By combining different functionalities into a single excipient, formulation complexity can be reduced, leading to more efficient drug development processes.

2. **Improved Performance**: These innovative excipients can improve the performance of drug products by addressing multiple formulation challenges simultaneously. For example, a multifunctional excipient may act as a binder, Disintegrants, and lubricant in a tablet formulation, streamlining the manufacturing process and enhancing product quality.

3. Enhanced Patient Compliance: By incorporating features like taste-masking, controlled release, and improved palatability, multifunctional excipients can enhance patient compliance and acceptance of medications. This innovation can lead to the development of dosage forms that are easier to administer and more convenient for patients.

4. **Customized Formulations**: Multifunctional excipients allow formulators to tailor formulations to specific drug delivery requirements and patient needs. This customization can result in optimized drug release profiles, improved bioavailability, and enhanced therapeutic outcomes for patients.

5. Regulatory Considerations: As with any new excipient innovation, regulatory considerations play a crucial role in the adoption of multifunctional excipients. Ensuring compliance with regulatory standards and demonstrating the safety and efficacy of these innovative excipients is essential for their successful integration into pharmaceutical formulations.

6. Collaborative Research: The development of multifunctional excipients often involves collaborative research efforts between academia, industry, and regulatory bodies. These partnerships facilitate the exchange of knowledge, expertise, and resources to drive innovation in excipient technology and drug formulation.

CONCLUSION:

Excipients play a crucial role in pharmaceutical dosage forms by aiding in the formulation, stabilization, and standardization of active pharmaceutical ingredients. These inactive substances contribute to the safety, efficacy, and overall quality of drug products. Excipients help improve the flowability and compressibility of powders, enhance dissolution and bioavailability of APIs, modify release profiles, improve stability and shelf life, and enhance patient acceptability and compliance. It is essential for pharmaceutical researchers, formulators, and manufacturers to carefully select and evaluate excipients to ensure the safety and efficacy of the final dosage forms. Excipients should meet specific criteria such as being easily available, economically feasible, thermostable, biologically stable, and approved by regulatory bodies. Additionally, excipients should be inert, non-toxic, compatible with active ingredients, and contribute to the overall elegance and acceptability of the pharmaceutical product. Further research and development in the field of excipients are crucial for the continuous improvement of drug formulations and the development of novel dosage forms. Understanding the properties, functions, advantages, and disadvantages of excipients is essential for optimizing drug delivery systems and enhancing patient outcomes. In conclusion, excipients are indispensable components of pharmaceutical formulations that contribute significantly to the safety, efficacy, and overall success of drug products. Continued research and innovation in excipient development will further advance the field of drug formulation and improve patient care.

REFERENCE

1. Prof. Kale. S. G, Prof. Sneha Kanase, Ms. Sakshi Wakchaure, and Ms. Harshada Thombare. "A Detailed Review on The Use of Excipients in Drug Formulation." Arihant College of Pharmacy Kedgaon Ahmednagar.
2. Shilpa. p. Chaudhari and Pradeep.s. Patil, a review on pharmaceutical excipients, IJAPBC-vol 1 (1), Jan-mar,2012
3. Prasanna Raju', v. Jayarsri, B, Rubia Yasmeen, V. Harini Chowdary, S,satyanadam, A significance of pharmaceutical Excipients, JLTPS 20011, vol 2 (6), 191-201
4. Md.Imran Nur Manik Lecturer, Department of pharmacy prime Asia university, Oct 27, 2016.
5. Tushar Saha, Zia Uddin Masum, shadhan Kumar Mondal, Md sakhawat Hossain, md Abu Jobaer, Rafiqul Isiam Shahin, titumeer Fahad, a review on application of pharmaceutical Excipients, Global journal of life science and biological research oct 15,2018
6. Giorgio pifferi, Patrizia restani, it farmaco volume 58 , issue 8, August 2003
7. Dr. Kamlesh .j.wadher, SlideShare on the pharmaceutical Excipients, Aug 1 2018.
8. Mahewash Sana a. Pathan, SlideShare on Herbal excipients, March 31 2020.
9. Parag jain, SlideShare on monophasic liquid dosage forms, September 28 2020.
10. The document discusses Diluents and disintegrants used in tables formulation,by Khurratul Ain Khizam,in 8 November 2017.

11. Excipients are inactive substance formulated with active pharmaceutical ingredients to create drug products by protik biswas 31 ,March , 2016.
12. The document discusses lubricants and glidants used in pharmaceutical formulations by, Sachin uttarwar 30 , April , 2017.
13. The document discusses various herbal excipients that can be used in pharmaceutical formulations,by Dr Priyanka gaswami 17 Jan, 2017
14. The document defines and discusses various type of pharmaceutical Excipients by, Muhammed hamed albuissa April 7 ,2017

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