Journal of Applied Pharmaceutical Research
Volume 10 Issue 3, Year of Publication 2022, Page 01 – 04
DOI: 10.18231/j.joapr.2022.10.3.1.4

Check for updates

Review Article

JOURNAL OF APPLIED PHARMACEUTICAL RESEARCH | JOAPR
www.japtronline.com ***ISSN: 2348 – 0335***

AN OVERVIEW ON FUNDAMENTALS OF IMMEDIATE RELEASE DRUG DELIVERY SYSTEM

Yogita Bundela*, Dilip Agrawal, Gaurav Bhaduka

Article Information
Received: 19th November 2021
Revised: 3rd May 2022
Accepted: 19th June 2022
Published: 30th September 2022

Keywords
Immediate release drug delivery system, disintegrating agent, oral drug delivery system

ABSTRACT
Tablet is most popular among all dosage forms today because of its convenience, ease of administration, greater flexibility in dosage form design, ease of production, and low cost and non-invasive therapy. Formulation of tablets requires API along with excipients. Excipients include lubricants, diluents, binders, glidants, disintegrants, sweetening agents, flavoring agents, etc. Recent trends indicate that multi-particulate drug delivery systems are suitable for achieving extended-release oral formulation with a low risk of dose dumping, mixing flexibility to attain difference release patterns, and reproducible and short gastric residence time. Modern technology in immediate-release tablets, such as novel granulation techniques and electrostatic dry powder coating techniques, are prevalent nowadays. Recently, the immediate-release formulation has been similar to various sustained-release formulations that are currently readily attainable.

INTRODUCTION

Immediate release dosage form are those which releases the medicaments quickly and gets dissolved readily. Disintegrating agents are substances routinely included in tablet formulations and in some hard shell capsule formulations to promote moisture penetration and dispersion of the matrix of the dosage form in dissolution fluids. Super disintegrant improve disintegrant efficiency resulting in decreased use levels when compared to traditional disintegrants. Starch is one of the most common and preferred disintegrating agents, which is used widely. For instance, starch generally has to be present at levels greater than 5% to adversely affect compatibility, especially in direct compression. Drug release from a solid dosage form can be enhanced by addition of suitable disintegrants [1-2]

Mechanism of Disintegrants:

1) High swellability
2) Capillary action and high swellability
3) Chemical reaction

When introduced to an aqueous environment of use, the tablet rapidly takes up water, leading to swelling of the disintegrant and rapid disintegration of the tablet before the dispersion polymer can form a hydrogel. The disintegrant should be chosen

*Mahatma Gandhi College of Pharmaceutical Sciences, Jaipur, Rajasthan, India

***For Correspondence:** **ykbundela05@gmail.com**

such that it (1) swells rapidly when introduced into the use environment and (2) has a low tendency to form or promote formation of a hydrogel. The rate of swelling of the disintegrant is directly correlated to tablet disintegration times.

Tablets containing disintegrants cause more rapid swelling have faster disintegration times at comparable disintegrant levels. The amount of work, W, or swelling energy, due to swelling can be measured using a dynamic mechanical analyzer (DMA).

The swelling energy attributable to swelling of the disintegrant in the compact may be calculated from the following equation:

$$\mathbf{W = P\Delta V}$$

Where, W is the work or swelling energy of the disintegrant,

P is the pressure applied by the probe, and

ΔV is the volume change of the sample.

To compare disintegrates, the swelling energy per mass of disintegrant is used. Preferably, the disintegrant generates a swelling energy of at least 0.05 J/g within about 10 minutes following addition of water to the liquid reservoir. The most popular disintegrants are corn starch, soluble starch etc. which have been well dried and powdered. Starches have great affinity for water and swell when moistened thus facilitating the rupture of the tablet matrix, its disintegration action in tablets is due to capillary action. Spherical shape of starch increases the porosity of tablet thus promoting capillary action.

Problems with existing oral dosage form [3-4]

a. Patient may suffer from tremors find difficulty to take powder and liquids. In dysphasia physical obstacles and adherence to an esophagus may cause gastrointestinal ulceration.
b. Swallowing of solid dosage forms like tablet and capsules may cause difficulty for young adult with incomplete development of muscular and nervous system as well as elderly patients.
c. Liquids medications (suspension and emulsions) are packed in multidose container, therefore achievement of uniformity in the content of each dose may be difficult.
d. Buccal and sublingual formation may cause irritation to oral mucosa, so patients refused to use such medications.
e. Cost of products is main factors as parenteral formulations are most costly and also produce discomfort to the patients.

Criteria for immediate release drug delivery system:

a. The case of solid dosage it should dissolve or disintegrate in the stomach within a short period.
b. Easy to carry.
c. It should not leave minimal or no residue in the mouth after oral administration.
d. Exhibit low sensitivity to environmental condition as humidity and temperature.
e. Rapid dissolution and absorption of drug, which may produce rapid onset of action.

Incorporation into Immediate Release Dosage Forms

The immediate release dosage form comprises the dispersion, a porosigen, and a disintegrant. The dosage form is in the form of a compressed tablet or other solid dosage form. Other conventional formulation excipients may be employed in the dosage forms including surfactants, pH modifiers, fillers, matrix materials, complexing agents, solubilizers, pigments, lubricants, glidants, flavorants, may be used for customary purposes and in typical amounts without adversely affecting the properties of the compositions.

Disintegrants: Disintegrants are those which when added to a tablet formulation facilitates its breaking or disintegration. Generally, the disintegrant will comprise from 1 wt. % to 25 wt. % of the dosage form. Some examples are sodium starch glycolate, sodium carboxymethyl cellulose, calcium carboxymethyl cellulose, croscarmellose sodium, Crospovidone, polyvinyl polypyrrolidone, methyl cellulose, microcrystalline cellulose, powdered cellulose, lower alkyl-substituted hydroxypropyl cellulose, polacrilin potassium, starch, pregelatinized starch, sodium alginate [5].

Porosigen: A "porosigen" is a material which when present in the formulation containing the solid amorphous dispersion, leads to a high porosity and high strength following compression of the blend into a tablet. In addition, preferred porosigen are soluble in an acidic environment with aqueous solubility typically greater than 1 mg/mL at a pH less than about 5. Generally, the porosigen will comprise from 5 to 70 wt. Examples of porosigen include acacia, calcium carbonate, calcium sulfate, calcium sulfate dihydrate, compressible sugar, dibasic calcium phosphate, tribasic calcium phosphate, monobasic sodium phosphate, dibasic sodium phosphate, lactose, magnesium oxide, magnesium carbonate, silicon

dioxide, magnesium aluminum silicate, maltodextrin, mannitol, methyl cellulose, microcrystalline cellulose, sorbitol, sucrose, xylitol and mixtures thereof [6].

Surfactants: Surfactants are those which aids in the drug release rate of a tablet by increasing the hydrophilicity. It is preferably present from 0 to 10 wt. %. Suitable surfactants include fatty acid and alkyl sulfonates; commercial surfactants such as benzalkonium chloride, dioctyl sodium sulfosuccinate, polyoxyethylenesorbitan fatty acid esters, natural surfactants such as sodium taurocholic acid, lecithin, and other phospholipids and mono- and diglycerides; and mixtures thereof [7].

pH Modifiers: These helps to maintain the pH of the dosage form in an acceptable range. Inclusion of pH modifiers such as acids, bases, or buffers may also be beneficial in an amount of from 0 to 10 wt. %. Acidic pH modifiers (e.g., acids such as citric acid or succinic acid) retard the dissolution of the pharmaceutical composition when the dispersion polymer is anionic. Alternatively, basic pH modifiers (e.g., sodium acetate or amines) enhance the rate of dissolution of the same types of pharmaceutical composition [8].

Diluents: Diluents are those which act as fillers to increase weight and improve content uniformity. Examples of other matrix materials, fillers, or diluents include lactose, mannitol, xylitol, dextrose, sucrose, sorbitol, compressible sugar, microcrystalline cellulose, powdered cellulose, starch, pregelatinized starch, dextrates, dextran, dextrin, dextrose, maltodextrin, calcium carbonate, dibasic calcium phosphate, tribasic calcium phosphate, calcium sulfate, magnesium carbonate, magnesium oxide, poloxamers, polyethylene oxide, hydroxypropyl methyl cellulose etc [8].

Lubricants: Lubricants are defined as the substances which reduce the friction and improve the compactibility. Examples of lubricants include calcium stearate, glycerylmonostearate, glycerylpalmitostearate, hydrogenated vegetable oil, light mineral oil, magnesium stearate, mineral oil, polyethylene glycol, sodium benzoate, sodium lauryl sulfate, sodium stearyl fumarate, stearic acid, talc and zinc stearate etc [9].

Glidants: These are substances that are used to enhance the flowability of a powder by reducing the interparticle friction. Some of the common examples of glidants include silicon dioxide, talc and corn starch [10].

Super disintegrants: A super disintegrant is an excipient, which is included to a tablet or capsule blend to aid in the breakup of the compacted mass when it is put into a fluid environment e.g. cross carmellose sodium, sodium starch glycolate, ludiflash. Some commonly used super disintegrants are: Sodium starch glycolate (explotab, primogel) utilized in concentration of 2-8 % & optimum is 4 %. Cross-linked Povidone (crospovidone) (Kollidone) utilized in concentration of 2-5% of weight of tablet, that completely insoluble in water, Low-substituted hydroxyl propyl cellulose, which is insoluble in water. Quickly swells in water. Grades LH-11 and LH-21 shows the greatest degree of swelling. Many grades can also provide some binding properties while retaining disintegration capacity. It used in concentration 1-5%, Cross linked carboxy methyl cellulose sodium (i.e. Ac-Di-sol) Croscarmellose sodium: Mechanism of Action: Wicking occurs due to fibrous structure, swelling with minimal gelling. Recommended Concentrations: 1-3% Direct Compression, 2-4% Wet Granulation [11-15].

Evaluation of Immediate release dosage form

Appearance: For capping, chipping and lamination tablets shall be observed visually.

Thickness: A calibrated vernier caliper shall be used to measure the thickness and diameter. Thickness can be measured individually after picking them randomly from each formulation. Average value should be calculated from picking 10 tablets from each type of formulations and expressed in mm.

Hardness: For each formulation, the hardness of 20 tablets shall be determined using the monsanto hardness tester. The tablet should be held along its oblong axis in between the two jaws of the tester. At this point reading should be zero kg/cm^2. Then constant force is needed to be applied by rotating the knob until the tablet fractures. The value should be noted at this point.

Friability Test: Roche friability can be used for testing the friability. Tablets are needed to be placed in the plastic chamber which will revolve at a speed of 25 rpm, dropping the tablets to a distance of 6 inches in each revolution. A sample of pre weight 6 tablets should be placed in Roche friabilator which will be than operated for 100 revolutions i.e., 4 mins. The tablets should be

than dusted and reweight. A loss of less than 1% in weight is generally considered acceptable.

$$\%\ friability\ = \frac{Initial\ weight\ -\ final\ weight}{Initial\ weight}\ X\ 100$$

Weight Variation: 20 tablets of each type of formulation should be weight individually, average weight should be calculated and individual tablet is than needed to be compared with average value to find the deviation in weight.

S No.	Avg. wt. of tablet	% Deviation
1.	80 mg or less	10
2	80-250 mg	7.5
3.	More than 250 mg	5

***In vitro* dissolution test:** For immediate release product formulations, the basket type apparatus is preferred widely. The tablets must be dissolved in 500 ml of 0.1N HCL in aqueous medium at a temperature of 37.0 ± 0.5 º C. Other dissolution media within the physiological pH range can also be used.

CONCLUSION

The immediate-release drug delivery system may be considered as a kind of dosage form that are offer simple dosing with effective therapeutic action with immediate rate of drug release. The immediate-release dosage forms are applicable to deliver a wide range of therapeutic agents in a cost effective manner. Hence, the immediate release drug delivery shall create its own remarkable place in the field of drug designing and dosage form development

FINANCIAL ASSISTANCE

Nil

CONFLICT OF INTEREST

The authors declare no conflict of interest

AUTHOR CONTRIBUTION

Yogita Bundela given her contribution in designing the work. Gaurav Bhaduka and Dilip Agrawal contributed in necessary correction and revision of the manuscript. The final draft was checked by all the authors.

REFERENCES

[1] Parrot EL, Lachman L, Liberman HA, Schwartz JB. Compression in Pharmaceutical Dosage Form of Tablets. Marcel Dekker Inc., New York, 153-182 (1997)

[2] Prabhushankar GL, Gopalkrishna B, Manjunatha KM, Girisha CH. Formulation and evaluation of anti-bacterial drug dental films for periodentitis, *Int. J. Pharma. Sci.* **2(1)**, 162-167 (2010).

[3] Nyol S, Gupta MM. Immediate drug release dosage form: a review, *Journal of drug delivery & therapeutics*, **3(2)**, 155-161 (2013).

[4] Syed A, Shaweta S. Immediate Release Drug Delivery Systems: *A International Journal of Biopharmaceutical & Toxicological Research*, **1(1)**, 24-46 (2011).

[5] Swarbick J. Encyclopaedia of Pharmaceutical Technology. Marcel Dekker Inc., New York. 3(2) 3612-3613, 3657-3659, 3928(2004).

[6] Lieberman HA, Lachman L, Schwartz JB. Pharmaceutical Dosage Forms: Tablets, Marcel Dekker Inc, New York. 1(2) 195-229 (2003).

[7] Allen LV, Popovich NG, Ansel HC. Pharmaceutical Dosage Forms and Drug Delivery Systems. Lippincott Williams and Wilkins. Baltimore USA 8(1) 239-244 (2006)

[8] Banker GS, Anderson NR, Lachman L, Liberman HA, Kanig JL. The Theory and Practice of Industrial Pharmacy. Varghese Publishing House 314-324 (2006).

[9] Ansel HC. Pharmaceutical Dosage Forms and Drug Delivery Systems 8(1) 228-244 (2000).

[10] Aulton ME. Pharmaceutics: The Science of Dosage Form Design, Churchill Livingstone 398, 365-374, 414-418 (2002).

[11] Sahoo S, Mishra B, Biswal PK, Panda O, Mahapatra SK, Jana GK. Fast Dissolving Tablet as a Potential Drug Delivery System. *Drug Invention Today*, ***2(2)***, 130-133 (2010).

[12] Jinjiang L, Xiaohui M. Applications of Cellulose and Cellulose Derivatives in Immediate Release Solid Dosage. *ACS Symposium Series*, **934**, 19-55 (2006).

[13] Govedarica B, Inja R, Dreu R, Srcic S. Immediate Release Tablets: An overview. *African Journal of Pharmacy and Pharmacology*, **5**, 31-41 (2011).

[14] Sood R, Rathore MS, Sharma A, Thakur R, Chaudhari J. A review on immediate release dosage form. *Journal of science and research in pharmacy*, **3(1)**, 20-26 (2012).

[15] Manoj AW. Techniques used in orally disintegrating drug delivery system. *International Journal of Drug Delivery*, **2(1)**, 98-107 (2010)