Libyan International Medical University
Faculty of Pharmacy

2018-2019

Dosage Form Using Direct Compression Redesign of Amoxicillin Capsule as a Tablet

BY :

Nuha Alkomati
Nabila Abdelsalam
Noor alhuda Hossam
Nada Benamer
Sofian Almadani
Sofian Alomamy
Mohammed Alagory

2nd year PharmD students

At the end of this paper, you will able to :

1. Determine of preformulation parameters
2. Explain production of tablet
3. Discuss evaluation of the produced tablet and their results
4. Discussion part of redesigned process
5. Conclusion

Aim:

Capsule

Tablet

Direct Compression

Introduction:

- Tablets are considered the most common solid dosage forms in contemporary use .
- Compared to hard gelatin capsules (HGC), the cost of capsule shells adds to the total costs of the capsule. Furthermore, the tampering potential of capsules content which leads to the more rigorous restrictions on their packaging resulted in an added cost of production.

Introduction:

- Therefore, it is an ultimate goal to produce an equivalent cheaper tablet dosage form to replace, at least partially, the conventional used capsule.
- It is arguable that HGC provides better absorption.
- Amoxicillin capsules can be modified to a tablet dosage form.

Preformulation parameters:

- As per the standard procedures, the preformation's studies where included:
- Bulk density
- Tapped density
- Halsner ratio
- Cairs index
- Angle of repose

Preformulation parameters:

- **Angle of repose measurement :**
- The static sana 0 repose 0 2s measured according to the fixed funnel and freestanding cone method .
- The mean diameter of the base of the powder cone was determined and the tangent of the angle of repose was calculated using the following equation:

$$\mathbf{Tan = 2h/d}$$

Preformulation parameters:

- Where “h” is the height of the heap of powder and “d” is the diameter of the base of the heap of powder. As a general guide, powders with angles of repose >50° have unsatisfactory properties, where as powders with minimum angles close to 25° exhibit very good properties.

Production of tablet:

1. Measuring of capsule weight.
2. Emptying the capsule in mortar.
3. Recording of empty shell and capsule content weight.
4. Adding starch in specific Egmont.
5. Mixing with pestle in a mortar.
6. Compression using hand operated IR press.

Note go compression force used to produce intact tablets without physical defects.

Evaluation of the produced tablet and their results:

Evaluation tests used

- Friability
- Dissolution
- Hardness
- Disintegration

Friability test:

- Friability experiment was used in the measurement of loss of tablet mass upon testing and to detect problems of capping and lamination.
- Friability measured and placed in friability tester.

(Pharma Test PTFE, Germany).

- The friability was calculated using the following formula:
  - Friability = (w* - w) /(w*) ×100

Friability test:

Table 1: Relationship between Carr's index and powder flow-ability.

Carr's index range	Flow description
5-15	Excellent (free flowing granules).
23-28	Poor (very fluid powders).
>40	Extremely poor (cohesive powders).

Friability test:

Table 2: Composition of formulations developed in this work.

	HGCC (%)	Talc (%)	Starch (%)
Formulation 1	100	0	0
Formulation 2	95	0	5
Formulation 3	95	2.5	2.5

Hardness test:

- The force required to fracture the tablet (in tons) was measured using a tablet hardness tester (Pharma Test PTB, Germany).

Disintegration test:

- Is the time that it takes a tablet to disintegrate into smaller particles was measured using a disintegration tester (Pharma Test, GmbH, Germany) and the results were considered acceptable if disintegration takes place in <15-min.

Results:

1. **Flow-ability of powders:**

Improvement and optimum reading in flow-ability was observed or obtained after adding starch-talc combination in the amoxicillin.

Table 3: Summary of flow-ability parameters according to the different indicators.					
	Angle of repose (°)	**Poured density**	**Tapped density**	**Hausner ratio**	**Carr's index (%)**
Amoxicillin powder	32.8	0.73	0.98	1.35	25.9
Amoxicillin + starch	35.5	0.57	0.91	1.59	37.4
Amoxicillin + starch + talc	25	0.65	0.81	1.24	19.8

IDEAL (Angle of repose <25º, Hausner ratio <1.25, and Carr's index <21 which indicates fair flow-ability).

2. Tablets friability:

Tablets with mechanical problems will show high friability percentage.

3. Tablets hardness:

The presence of talc in the formulation which might result in reduced cohesion between ingredients.

4. Tablet disintegration:

Table 4: Summary of tablet properties according to the different tests performed.				
	Friability (%)	**Disintegration time (min)**	**Hardness (*n*)**	**Total amount released after 1 h of dissolution (%)**
Formulation 1	0.7	120±5.0	111±7	60
Formulation 2	0.8	3.5±0.2	112±6	100
Formulation 3	0.8	40±2.0	74±9	72

* The friability values of all the formulations were <1% and considered acceptable.

* The optimum disintegration time of 3.5 min was achieved when 5% starch was added to Formulation 2.

Discussion:

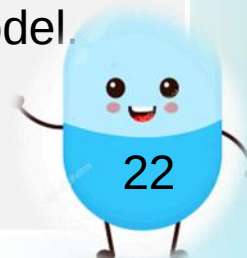
- Preliminary results showed that the evaluated amoxicillin capsule has poor flow ability.
- Its essential for powder to be free flowing to facilitate uniform tablets production.
- The production of tablet improved by reducing the force of compression to 1 ton , and it was important to avoid the defects of capping and laminations (that's resulting from very high compression).

Discussion:

- The hardness of the tablets is decreased upon the addition of talc.
- The starch has strong binding properties as a tablet binder.
- The starch and its derivatives are considered the most effective disintegrates in the tablet dosage form.
- In the studies of dissolution rate exposed that when amoxicillin in (HGC) content was directed compressed without adding any excipient displayed slow release.

Discussion:

- In the same study they founded only 60% were released after 1 h of dissolution, while 100% drug released was achieved in less than 30 mins when the starch is added.
- When the talc is added only 70% of amoxicillin was released after 1 hr.
- The formulation 1 has slow dissolution rate since it follows the zero-order kinetics.
- The formulation 3 the kinetics of drug release followed the matrix model.



Conclusion:

Amoxicillin HGC → Tablet → Direct compression

Tablets exhibit acceptable

- Organoleptic
- Physical properties
- Mechanical strength

Conclusion:

- The using or the inclusion of the lubricant and disintegrant is essential for successful design.
- The addition of excipients can also affect the kinetics of drug release.

Reference:

- ✓ Ahmed, W., Basharat, A., Ijaz, M.J., Kiran, A., et al. (2018). The redesign of amoxicillin capsules as a tablet dosage form using direct compression. *Libyan Int Med Univ J*. 26–30.

Thank

you