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Formulation and Evaluation of Curcumin Coated Microsphere

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ABSTRACT

Curcumin, a yellow pigment from Curcuma longa, is a major component of turmeric & is commonly used as a spice & food coloring agent which is lipophilic in nature. This study describe formulation and evaluation of sustained release pellets of coated curcumin for the treatment of cancer by oral route .curcumin is has long been used as a powerful antiinflammatory. It also used as wound healing, ant diabetic agent etc. The present work report on extraction method by using soxhlet extractor Acetone is used as a solvent for extraction .separation were determine by the TLC plate by using the chloroform: methanol (98:2) Rf value is 0.5 . 20-25 mesh size pellet are used for coating. Coating was carried out by fluidized bed processor. In-vitro study was carried out by determining the drug content & drug release of the coated pellets.

Key word: Curcumin, Fluidized bed processor, Anticancer agent, Pellets.

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INTRODUCTION

Microspheres are characteristically free flowing powders consisting of protein or synthetic polymers having a particle size ranging from $1-1000\mu m$.microspheres as carriers for drugs also known as microparticles. It is the reliable means to deliver the drug to the targeted site with specifically, if modified, and to maintain the desired concentration at the site of interest. Microsphere are matrix system and essentially spherical in Shape.[1]

The aim of present work to prepare formulation of curcumin coated microsphere and evaluate prepared microsphere of curcumin.

MATERIAL AND METHODS DRUG PROFILE *Curcuma longa* L, (zingiberaceae)



Fig (1): Curcuma longa L rhizome

Botanical name: Drug consist of dried rhizome of the plant *Curcuma longa* L

Belonging to family: zingiberaceae

Chemical constituent: The rhizome contain curcuminoids, volatile oil ,sterol , sugar, starch and other polysaccharide .curcuminoids are the principal coloring agent (6%) of which curcumin amount 60% ,

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with demethoxy curcumin , bismethoxy curcumin forming the rest. volatile oil contain high amount of bisabolene derivative along with borneol , camphene and α -phellandrene. It also contain number of monoterpens and sesqueterpene mainly zingibrene , α and β tumerone. A novel sesqueterpene curcuma L is isolated from *Curcuma longa*. [2].



Fig (2) : chemical constituent of Curcuma longa, L

Common name :

- Synonym : curcuma domestica valeton
- ✤ Sanskrit : Harida
- Hindi : Haldi
- English : Turmeric
- Marathi :Halkund

Molecular formula: C21H20O6

Molecular wt: 368.126g/mol

Description: orange –yellow , crystal powder gives brownished–red color

With alkali, light yellow color with acid.

Melting point: 179-182°c

Solubility: insoluble in water & ether.

Soluble in alcohol, glacial acetic acid.

Very soluble in ethanol, acetic acid.

Log p: 3.29

Wavelength: 426 nm

Uses: The rhizomes are aromatic, used for carminative, jaundice, liver disorder and urinary diseases. It shows excellent anti-inflammatory, anticancer & antioxidant properties.

RATIONAL

- Curcumin is a lipophilic, phenolic compound isolated from the turmeric (*Curcuma longa*) rhizome widely used in traditional medicine.
- It has a poor oral bioavailability (a low % of what you consume is absorbed), poor solubility, low absorption from the gut, rapid metabolism & rapid systemic elimination and does not show the prolonged effect.
- To overcome this problem curcumin is coated on a pellet .formulation of such drug is important to Enhanced absorption and thereby improve bioavailability

METHODOLOGY AND TECHNIQUE

Material: Curcumin as active drug, ethanol as a solvent, HPMC as a polymer etc.

Instrument: Fluidized bed processer, UV spectrophotometer.

Extraction of curcumin:

- 1. 250 gm of turmeric powder + 300 ml of acetone in a soxhlet extractor.
- 2. Extraction was carried out still the cycle get completed (20cycles).
- 3. After exaction filtration of solvent was carried out.

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4. Then filtrate is concentrated under the rotary evaporator.

5. Solvent was completely evaporated and extract was dried in Desiccator.

Technique used: fluidized bed processer (using the bottom spray Wurster Process.)

Analysis of extract:

TLC Method Stationary phase: silica gel G Mobile phase: chloroform: methanol (98:2) Distance travelled by solute = 1.9 Distance travelled by solvent =3.8 **Calibration of drug in ethanol: (plain drug)**

Table no.01: Calibration of drug in ethanol: (plain drug)

| | 8 | u 0) |
|--------|---------------|------------|
| Sr.no. | Concentration | Absorbance |
| 1 | 5 | 0.215±0.02 |
| 2 | 10 | 0.528±0.04 |
| 3 | 15 | 0.883±0.03 |
| 4 | 20 | 1.134±0.05 |
| 5 | 25 | 1.479±0.07 |



Fig.no.01:Calibration of drug in ethanol: (plain drug)

Preparation of phosphate buffer (6.8):

250 ml monobasic potassium phosphate+112ml of 0.2 N NaOH make up the volume up to 1000ml with distilled water.

Calibration curve of phosphate buffer (pH6.8): (pellets)

| Table no.:02:Calibration curve of phosphate buffer (pH6.8): (pellets) | | | | |
|---|---------------|------------|--|--|
| Sr. No. | Concentration | Absorbance | | |
| 1 | 5 | 0.077±0.03 | | |
| 2 | 10 | 0.144±0.02 | | |
| 3 | 15 | 0.222±0.06 | | |
| 4 | 20 | 0.338±0.07 | | |
| 5 | 25 | 0.373±0.01 | | |

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Fig no.:02: Calibration curve of phosphate buffer (pH6.8): (pellets)

EXPERIMENTAL WORK: FORMULA FOR COATING SOLUTION:

For each single batch

Table no.:03: Formula for Coating Solution

| Sr. no. | Ingredient | Quantity Taken | |
|---------|------------|----------------|--|
| 1 | Drug | 0.5gm | |
| 2 | НРМС | 2% | |
| 3 | Glycerol | 1% | |
| 4 | Talc | 1% | |
| 5 | Ethanol | 95ml | |
| 6 | Water | 5ml | |

Coating was carried out by using bottom spray of FBP. Pellet size: 20-25 mesh size Flow of solvent: 12 rpm Temp.: 75°c **EVALUATION:** 1. **Drug content:**

Procedure:

- 1. 1gm of pellet in 10 ml ethanol & sonicate for 10 min, filter it.
- 2. Take 1ml filtrate & dilute with 10ml ethanol.
- 3. Take 5ml from above solution & dilute upto 10ml ethanol
- 4. Take absorbance at 426nm
- Absorbance: 0.505

x=y+c/m

- =0.505+0.0924/0.0623
- =9.589 μ g/ml * 20 dilution factor
- $=191.6 \,\mu g/ml * 10$
- $=1916 \,\mu g/10 ml$
- =1916/1000 =1.9mg/gm
- =1.9/1000=0.0019 gm/gm
- Drug content=0.19%

2. Drug release:

Procedure:

- 1. Weighed 10.5gm pellets (equivalent to 20mg) into 100ml of phosphate buffer 6.8.
- 2. Stirred on magnetic stirrer at 500-600rpm.
- 3. Withdraw the sample after 30min (upto 8 Hrs.)
- 4. Taken the absorbance of withdraw samples at 426nm.

RESULT AND DISCUSSION

Organoleptic Characters :

The organoleptic characteristics of the drug were compared with the standard characteristics and both were found to be similar. The colour was found to be yellow externally and internally the same was yellowish to orange, which complies with the standard books [5,6].

| Table no. 04: Organoleptic Characteristics | | | | |
|--|-----------|--|--|--|
| Sr.no | parameter | <i>Curcuma longa</i> rhizome | | |
| 1 | Color | Externally yellow & internally | | |
| | | Yellowish to orange. | | |
| 2 | Odor | Aromatic | | |
| 3 | Taste | Aromatic & bitter | | |
| 4 | Size | No | | |
| 5 | Shape | Ovate, oblong cylindrical and short branched | | |

Summary of Extraction:

Extraction was done with the help of soxhlet extractor by using ethanol. This extract has percentage yield was 16%. The obtained extracts were Dark Yellowish in colour and were obtained as Dry Powder [7].

| rubie net eel building et Entraction. | | | | | |
|---------------------------------------|-------|----------------|------------|---------------------|-------|
| Extract | | Color | Nature | Percentage (W/W) | yield |
| <i>Curcuma</i> extract | longa | Dark yellowish | Dry powder | 16% | |

TLC of curcumin extract:

The TLC of Curcumin extract were compared with the standard Rf value and both were found to be similar. The mobile phase used was 'Chloroform:Methanol' in the ratio 98:2 and it was observed under UV Chamber [6,7].

Table no. 06: TLC of curcumin extract:

| Sr.no. | Mobile phase | Stationary | Spraying agent | Color of spot | Rf |
|--------|-----------------------------------|--------------|--|---------------|-----|
| | | phase | | | |
| 1 | Chloroform: methanol (98:2) | Silica gel G | Self-visualizing agent under UV chamber. | yellow | 0.5 |

Determination of Drug Contents:

The determination of drug content was done with the help of double beam UV VIS Spectrophotometer. *In vitro* Cumulative Drug Release (CDR) was evaluated at different Time Intervals in respective of the detected absorbance and graphical results were calculated and reported [8].

| Table no. 07: Drug Content | | | | | |
|----------------------------|------|------------|-------|--|--|
| Sr.no. | Time | Absorbance | %CDR | | |
| 1 | 30 | 0.430 | 34.25 | | |
| 2 | 60 | 0.520 | 41.45 | | |
| 3 | 90 | 0.570 | 45.45 | | |
| 4 | 120 | 0.620 | 49.4 | | |
| 5 | 150 | 0.675 | 53.8 | | |
| 6 | 180 | 0.724 | 57.7 | | |
| 7 | 210 | 0.771 | 61.45 | | |
| 8 | 240 | 0.798 | 63.6 | | |
| 9 | 270 | 0.818 | 65.2 | | |
| 10 | 300 | 0.831 | 66.25 | | |
| 11 | 330 | 0.863 | 68.8 | | |
| 12 | 360 | 0.898 | 71.6 | | |
| 13 | 390 | 0.930 | 74.15 | | |
| 14 | 420 | 0.990 | 78.9 | | |
| 15 | 450 | 1.080 | 86.12 | | |
| 16 | 480 | 1.130 | 90.11 | | |



Fig no.: 03:Drug Content

CONCLUSION

From the obtained result it can be concluded that *Curcuma longa* rhizomes extract were used to prepare formulation .In TLC, extract shows effective separation .formulation of coated microsphere was done by using the curcumin, HPMC as a polymer coating was done by using the fluidized bed processer. The developed microsphere formulation was stable by oral administration for the various diseases like an anticancer treatment. The evaluation parameter of the formulated microsphere gives the 0.23% drug content present in the 1 gm of pellets & the drug release shows the conformation about the delivery system was sustained release drug delivery system.

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