

EXTRACTION OF CAFFEINE FROM CAFEÀ ARABICA AND TASTEMASKING BY INCLUSION COMPLEXATION OF BETACYCLODEXTRINE OPTIMIZE BIOAVAILABILITY

Simanchal Panda *, **Monalisa Nayak ¹**, **Abhishek Mohapatra ²**, **Fakir Mohan Nayak ³**

*Associate Professor, M.Pharm, Doctorate of Alt. Medicine (M.D.A.M.), (PhD), Department of Pharmaceutical Technology, *, ^{1,2,3} Jeypore College of Pharmacy, Jeypore (K), Odisha

ABSTRACT: Caffeine is an xanthine derivative mild CNS activity largely found in Cofea Caffea Arabica , tea Thea sinsensis , which is the largest consumed drink after water in the world. Here the research work is an attempt to mask the taste of bitter tasting caffeine which is an anti psychotic drug and analgesic with antihypertensive and diuretic drug. In this innovative work betacyclodextrine was taken in different molecular weight ratio with caffeine in respective parameter . Pure drug was dissolute in distilled water and compared with physical mixture, kneading mixture. The solvent taken for the drug and betacyclodextrin complexation with comparison to phase solubility study with different solvent. After solvent optimization, temperature was optimized . The dissolution study was carried out and compared. The taste masking property was analyzed by scientific committee / ethical committee . Human volunteer was tasted the pure drug which was bitter in taste . After taste masking by beta cyclodextrine complexation , it was reported by volunteer to be masked bitter taste. The caffeine was extracted by the following method. First the leaves of coffee and fruit pulp, seeds were collected from medicinal/ herbal garden of Jeypore College of Pharmacy, which was confirmed by Swaminathan Research centre, Jeypore , as Cofea Arabica plant. It was percolated in hot water overnights and filtered . The collected sample was placed in separated funnel and added chloroform. After swirling it was stood for time till two phases separated and the chloroform was collected and evaporated till caffeine was found in crystal form. The complexed drug was found to have different improved physical characteristics like bulk density, tapped density, carr's index, angle of repose. XRD report shown the complexed drug to have more stabilized than pure drug. As the complex shows fuse peaks at low intensities indicating more stable and soluble, compare to the pure drug having intense peaks showing crystalline nature which indicates its non soluble nature. Micromeritics study of pure drug measured by tapped density, bulk density, angle of repose, carr's index , hausner's ratio which found to be 0.1742,0.2632,33.82%,1.52,33.52 respectively. After complexation it optimized to 0.293,0.374,23.43%,30.064%,1.306 of above parameters respectively.

Keywords : caffeine , comlecation, XRD, taste masking, kneading, partition coefficient

MANUSCRIPT :

Caffeine is a central nervous system (CNS) stimulant of the methylxanthine class. It is the world's most widely consumed psychoactive drug. Unlike many other psychoactive substances, it is legal and unregulated in nearly all parts of the world. There are several known mechanisms of action to explain the effects of caffeine. The most prominent is that it reversibly blocks the action of adenosine on its receptor and consequently prevents the onset of drowsiness induced by adenosine. Caffeine also stimulates certain portions of the autonomic nervous system.[1] (DNA) and ribonucleic acid (RNA). Caffeine is a bitter, white crystalline purine, a methylxanthine alkaloid and is chemically related to the adenine and guanine bases of deoxyribonucleic acid. The coffee tree, scientifically known as Coffea arabica, is native to Abyssinia and Ethiopia, but grows well in Java, Sumatra, and other islands of the Dutch East Indies; in India, Arabia, equatorial Africa, the islands of the Pacific, in Mexico, Central and South America and the West Indies. The plant belongs to the large sub-kingdom of plants known scientifically as the Angiosperms, or Angiospermae, which means that the plant reproduces by seeds which are enclosed in a box like compartment, known as the ovary, at the base of the flower. The word Angiosperm is derived from two Greek words, sperm sperma, a seed and aggeion, pronounced angeion, a box, the box referred to being the ovary.[3]

Extraction of Caffeine from Tea/ coffee leaves

Principle

Extraction is a method used for the separation of organic compound from a mixture of compound. This technique selectively dissolves one or more compounds into an appropriate solvent. The solution of these dissolved compounds is referred to as the extract. In the case of Caffeine extraction from tea powder, the solubility of caffeine in water is 22mg/ml at 25°C, 180mg/ml at 80°C, and 670mg/ml at 100°C. Here the organic solvent Chloroform is used to extract caffeine from aqueous extract of tea powder because caffeine is more soluble in chloroform (140mg/ml) than it is in water (22mg/ml). The chloroform - caffeine mixture can then be separated on the basis of the different densities of chloroform and water because chloroform is much denser than water and insoluble in it. Residual water is separated from chloroform by drain out the chloroform through separating funnel, thus chloroform passed through the funnel while polar solvents such as water is still remains in the funnel. Water and chloroform is slightly soluble in each other. So, after separating the solvents, residual water will remain the organic layer. Mainly anhydrous sodium sulfite is used for the removal of water from organic layer. Anhydrous sodium sulfite is an insoluble inorganic solid which will absorb water, thus drying it.

OBJECTIVE

CAFFEINE has poor flow properties. Cyclodextrine plays an important role in formulation development due to its effect on solubility, dissolution rate and absorption of drug. So, the solubility of CAFFEINE is significantly enhanced by forming complex with β -cyclodextrin (BCD).

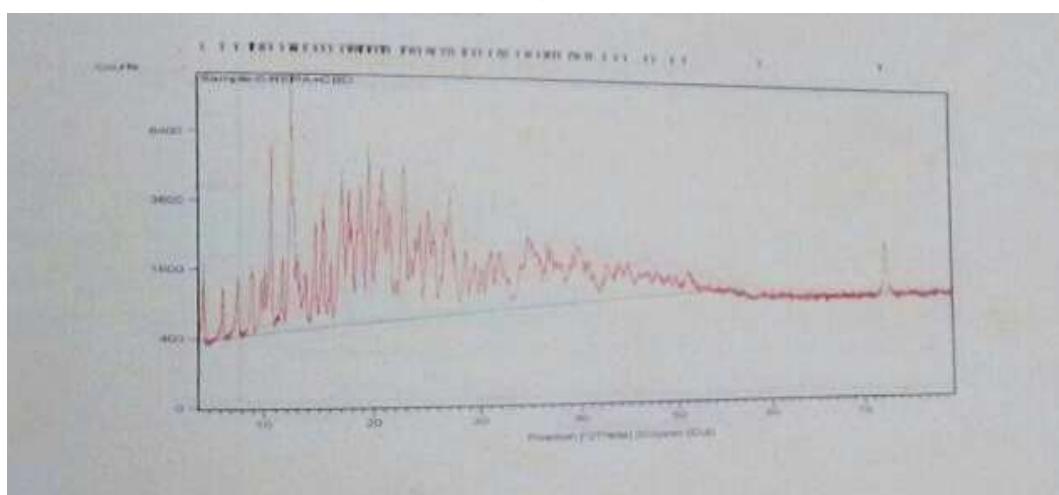
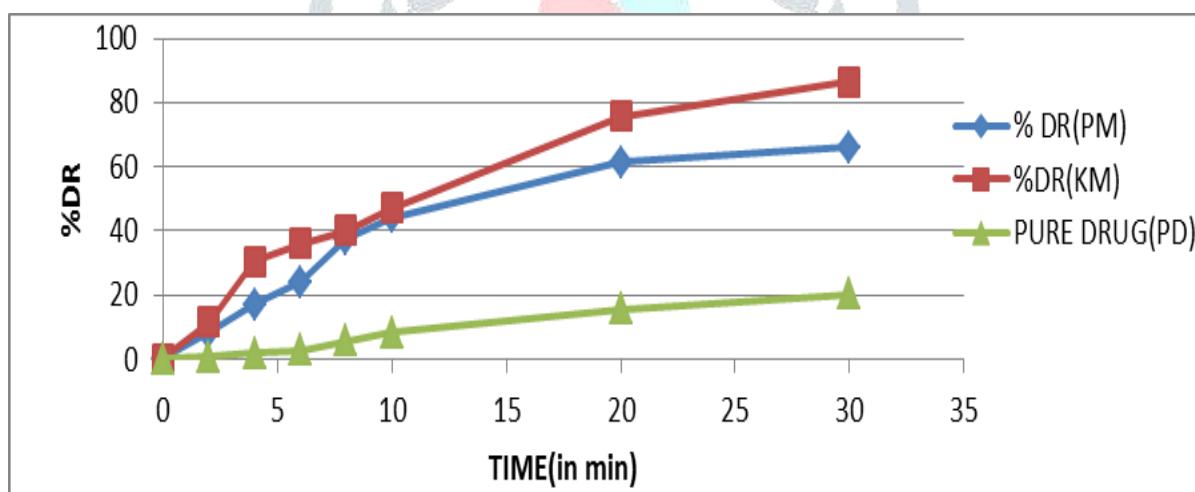
EXPERIMENTAL METHOD

Micromeritics study of pure drug (CAFFEINE) measured by tapped density, bulk density, angle of repose, Carr's index, Hausner's ratio which found to be 0.1742, 0.2632, 33.82%, 1.52, 33.52 respectively. After complexation it optimized to 0.294, 0.384, 23.43%, 30.064, 1.306 of above parameters respectively. The calibration curve of CAFFEINE with 0.1N HCl and distilled water with enhanced ratio calibrated a straight line with regression value of 0.999 at 242 nm. Solubility study with solvents distilled water and 0.1N HCl found as 15.78, 84.29 mg/100mL respectively. Dissolution of pure drug was found to 20.18% DR after 30min. Complexation made by physical mixture (PM) and kneading method (KM). Phase solubility study shown 5.76, 6.24, 6.88, 7.74, 7.06, 5.54 mg/100ml with molar concentration of BCD 0.5, 1, 1.5, 2, 0.2, 5.3 respectively. Which was optimized at 1:2. In PM and KM % drug content found 83.82 and 85.62 respectively. The kneading method was optimized by a testing so vents at various temperature which shown 15m ethano at 45 was the maximum. The complexation was confirmed by XRD and dissolution carried out at IMMT, BBSR. The fuse peak confirmed the complexation. The optimized dissolution rate found to be 86.43% compared to pure CAFFEINE of 20.18 at 30min.

RESULT AND DISCUSSION

Comparative Dissolution Data of Kneading Mixture, Physical Mixture, Pure Drug With Distilled water

Time(min)	%DR(PM)	%DR(KM)	Pure Drug(PD)
0	0	0	0
2	8.3	11.58	0.76
4	17	30.29	1.9
6	24.1	35.89	2.75
8	37.5	40.09	5.63
10	44.21	47.2	8.25
20	61.48	75.74	15.6
30	65.94	86.43	20.18



(XRD of β -CD and CAFFEINE mixture indicating peaks below 2500 conforming the complexation)

TASTE MASKING :

The taste masking property was analyzed by scientific committee / ethical committee . Human volunteer was tasted the pure drug which was bitter in taste . After taste masking by beta cyclodextrine complexation , it was reported by volunteer to be masked bitter taste.

CONCLUSION

After making complexation with BCD at the dissolution rate was found to be 86.43. the XRD report of complex showing it fused peak below 2500 shows its amorphous characteristics. After taste masking by beta cyclodextrine complexation , it was reported by volunteer to be masked bitter taste It was concluded with high dissolution rate and better micromeritics property.

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