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Oxatomide 為一 Piperazine 類的抗組織胺藥物，Oxatomide 除了拮抗 H_1-histamine receptor 以外，同時也會抑制肥大細胞(mast cell)的作用，臨床上廣泛應用於各種過敏症的治療。本研究在於研發 Oxatomide 30mg 錠劑的配方，希望能找出和原廠產品 Tinset®有相似的溶離曲線，可作為日後執行生體相等性試驗的參考配方。

利用不同賦形劑進行配方設計，依濕粒法造粒後打錠及相關物性評估。此外再以體外溶離試驗，來評估 1.不同種類的黏合劑 2.不同比例濃度的黏合劑 3.不同種類的崩散劑 4.不同種類的助溶劑對於 Oxatomide 溶離的影響。另外本實驗採用美國 FDA 公告之「Guidance for Industry」中，所規範的相似因子(Similarity Factor) f_2 參數，做為評估二種配方是否相似的依據。

由實驗結果發現，使用 Povidone K90 的黏合劑，促進溶離的效果最佳；藥物自錠劑釋放的速率，隨著黏合劑的比例濃度增加而降低；當崩散劑含量為 5%時，對溶離影響有限；助溶劑的種類及結構大小跟是否可以促進溶離速率有關；利用 Povidone K90 及 Tween 80 所組成的 Formulation H，與原廠藥有較相似的溶離曲線。

Abstract

Oxatomide is an anti-histamine drug with piperazine structure. It is not only active as a H_1-histamine receptor antagonist, but also inhibits the function of mast cell. It is used for the treatment of allergies. In this research, our goal is to develop formulations of Oxatomide 30mg tablet that have similar dissolution profiles as the Tinset® It is envisioned to be a reference formulation for the bioequivalence study.

Several kinds of excipients and the wet granulated method were used to precede the formulation design and evaluate the physical properties. By performing the dissolution study, the influence on Oxatomide dissolution profile by different kinds of binders, disintegrates, solubilizing agents and different percentage of binders was explored. The "similarity factor" (f_2) lists in the Guidance for Industry of Food and Drug Administration, U.S.A., was used to predict the similarity between two formulations.

It was found a best dissolution rate occurred when Povidone K90 was used as a binder. The drug release rate relates with the percentage of binder used. When the percentage is increased, the release rate is down. Formulations composed of 5% disintegrate showed no differences in the dissolution profile while varying disintegrates. The kinds and size of the solubilizing agent also influence the dissolution rate. Formulation H that contains Povidone K90 and Tween 80 has a similar dissolution curve with Tinset®