

Excipients: The Unsung Heroes of Pharmaceuticals

Myke Scoggins



Excipients are often described as inactive ingredients that assist in the delivery and processing of the active pharmaceutical ingredients. Although this is true, excipients have a much larger influence on final product performance than the term “inactive ingredient” suggests.

In fact, choosing the right excipients is a key determinant of the quality and functionality of a pharmaceutical product.

The word excipient is an umbrella term that groups several types of ingredients together. Since the majority of pharmaceutical products are solid dosage forms, due to manufacturer and consumer preference, the excipients described are mainly used in tablets and capsules. Some common classes of excipients include, but are not limited to, fillers, binders, disintegrants, lubricants, flow aids, and sustained release agents. These types can then be divided into two general groups – excipients that help in the processing of the drug and excipients that help in the actual delivery of the active pharmaceutical ingredient (API) inside the body.

In many cases, the API in a tablet makes up a very small percentage of its total mass and is very difficult to consume on its own. Imagine trying to pick up a microgram or a very low milligram dosages of API without the use of excipients such as bulking agents. This is where fillers and diluents come in to play. Fillers act as bulking agents, increasing the size of the finished products and making handling much easier for the consumer. Not only that, but fillers can also affect the delivery of the drug within the body. They can be soluble or insoluble, and depending on the goal of the drug, a filler can increase or decrease the dissolution time of the API. For example, microcrystalline cellulose, which is insoluble, could suit a delayed-release drug while lactose, which is soluble, could correspond better with an immediate-release drug. Disintegrants are also used to increase the rate of dissolution of a tablet. When disintegrants enter the body and make contact with gastric fluid, the disintegrants expand and help break up the tablet, increasing the amount of API exposed to the gastric fluid in a shorter time frame, allowing it to dissolve faster and be available for absorption sooner. Pairing a soluble filler with a

super-disintegrant may be the basis for an immediate-release drug. To obtain the desired target dissolution profile, the formulations can be screened by disintegration and dissolution testing.

Other excipients help make the manufacturing process run more smoothly and help maintain manufacturing equipment such as tablet presses and tooling. We can think of these types of excipients as remedies for the unfavorable characteristics of the API. Binders, which often overlap in purpose with fillers, do exactly what the name suggests: keep the tablet together. APIs are not easily compressed into tablet form on their own, but binders can help improve their compressibility. APIs do not typically flow very well through processing equipment because they generally have poor processing characteristics. Excipient flow aids like colloidal silicon dioxide help the formulation flow across equipment surfaces of equipment more easily. Lubricants then help eject the compressed tablets out of the die of a tablet press.

Each excipient plays a different role and a number of considerations go into choosing the right combination and grades. Some excipient and API combinations can be incompatible. For example, magnesium stearate, a lubricant, should not be used with strong acids or products containing aspirin. Though much of the incompatibility information is available, excipient compatibility studies are necessary to ensure that the API remains stable and no unwanted reactions occur. With so many different considerations going into choosing excipients, it may be hard to know where to start. The experts at Micromeritics can provide you with the best instruments to determine particle size, shape, surface area, porosity, density, moisture sorption, and other important attributes of your excipients. Micromeritics Pharmaceutical Services also offer [excipient screening services](#) that give you a comprehensive profile of each of your excipient candidates and assist you in making the best choice. Finding the right excipients requires knowing the characteristics of the API and excipients and conducting controlled experiments to find the ideal formulation. Knowing the role of each excipient and keeping in mind the final goals and objectives will guide you to the right formulation.