Bioavailability: An Overview

Introduction: Grounding in Bioavailability

Introduced in 1979, the term "biological activity" was defined as "the extent to which the active ingredient of a drug dosage form becomes available at the site of drug action or in a biological medium believed to reflect accessibility to a site of action." Highlighted in red (Fig.1) are the locations where cannabinoid receptor 1 is expressed in tissue. All of the shaded regions are potential sites of action for cannabinoids, potential references of biologic availability, illustrating the vagueness of many bioavailability claims. Consumed in any form, the human body is capable of absorbing, digesting, distributing, and excreting hydrophobic cannabinoids said to have "low bioavailability." Instead, generally speaking, much is metabolized by the liver before it gets to the blood (first pass effect) or is excreted in urine and feces unchanged.

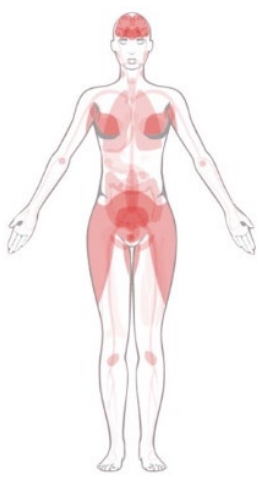

Figure 1: Distribution of CBR1 Tissue RNA

The CBD food and beverage industry has been flooded with claims of enhanced bioavailability with little or no empirical data to prove them. With the increased acceptance of cannabis-derived products, there has been an increase in claims of bioavailability often left unquestioned. Because consumers don't understand this and other scientific terms, deciphering label claims can be difficult.

What is Biological Activity (aka Bioavailability)?

Biological activity or bioavailability refers to how much of the product's intended active ingredients enter the bloodstream. It is the extent and rate at which the active moiety (drug or metabolite) enters systemic circulation, bringing oxygenated blood to various tissues and returning deoxygenated blood back to the heart into pulmonary circulation to be desaturated by oxygen. The distinction between oxygenated and deoxygenated blood is important when defining bioavailability based on blood collected from a specific site and further understanding the paths that substances take from ingestion to excretion.

When ingested orally, Cannabidiol (CBD) in oil form can typically take 1 to 2 hours to reach the site of intended action with a wide variation of uptake and excretion depending on how much food has been consumed (among other variables). Emulsion technology breaks oil down into small particle sizes for even dispersal and stabilization, allowing for greater absorption in the intestinal tract for a consistent, biologically available product. This means that consumers experience the effects of products powered by SōRSE as early as 8 minutes following ingestion. Absorption actually begins before you even swallow your first sip through the mucosa of the oral cavity.

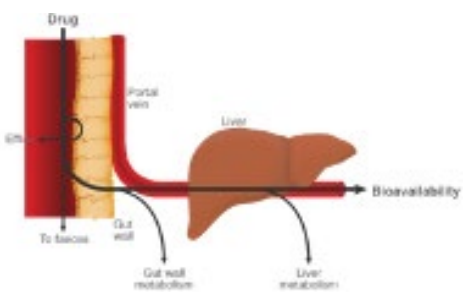

Figure 2: First Pass Metabolism

The part of the bolus (administered substance) that is excreted, distributed, or metabolized before reaching systemic circulation is known as first pass metabolism a and is responsible for most unaccountability/lower bioavailability of substances. As a substance moves from the GI tract into circulation, there is unquestionable transformation and unchanged excretion of a portion of active compound.

An Example of Bioavailability: Vitamin C

A drug's bioavailability is largely determined by the properties of the active pharmaceutical ingredient (API), dosage form, and route of administration. A substance that is useful in terms of understanding bioavailability is Vitamin C, which is highly water-soluble. If you have just enough or too much Vitamin C in your diet, you will not notice any discernable differences in health or mental state than if you were to have just enough Vitamin C to avoid being deficient. Approximately 70%–90% of Vitamin C is absorbed at moderate intakes of 30–180 mg/day; however, at doses above 1 g/day, absorption falls to less than 50%, and absorbed, unmetabolized ascorbic acid is excreted in the urine.

Psychopharmacology of Cannabinoids

Individual subject plasma concentration data and pharmacokinetic parameters show a high degree of inter-subject variability. Following a single buccal administration, maximum plasma concentrations of both CBD and THC typically occur within 2 to 4 hours. When administered buccally, blood levels of cannabinoids are lower compared to inhalation of smoked cannabis. The resulting concentrations in the blood are lower than those obtained by inhaling the same dose because absorption is slower and redistribution into fatty tissues is rapid.

The chemical structure and characteristics of a drug or compound have significant impacts on the body's ability to use and process the substance. Cannabinoids, including CBD, fall under the category of highly lipid soluble solutes (HLS). Lipid soluble (hydrophobic) solutes can rapidly diffuse across cell membranes and therefore distribute in both extracellular and intracellular spaces. When cannabinoids are inhaled, the absorption, distribution, and elimination is very different than if one consumed an equivalent amount orally.

Common Factors Influencing Pharmacokinetics

1. Physicochemical properties of the drug and its excipients that determine its dissolution in the intestinal lumen and its absorption across the intestinal wall
2. Decomposition of the drug in the lumen
3. pH and perfusion of the small intestine
4. Surface & time available for absorption (contact time in mouth, stomach, intestines)
5. Competing reactions in the lumen (for example of the drug with food)
6. Hepatic first-pass effect
7. Tissue partition coefficient

Routes of Administration (ROA)

Cannabis can be absorbed in different forms and fashions. They include: Inhalation (pulmonary), sublingual (under the tongue), oral, intraocular, vaginal/anal, intravenous, and topical. Typically, the most effective ROA for cannabis is intravenous, followed by inhalation, subcutaneous, vaginal, sublingual, and oral. The least effective is dermal/topical.

Conclusion

It is now possible to consume cannabinoids and other biologically active compounds with similar onset, absorption, distribution excretion, and effects as the inhalation of cannabinoids. For those who haven't tried a product powered by SōRSE, they've likely had difficulty with dosing, experienced negative or unwanted side effects, or haven't been able to duplicate the experience consistently. It's not just that the emulsion is water-soluble, but how the body handles and distributes compounds using the SōRSE patent-pending formula.

Bioavailability is just one aspect of the metabolism of food, beverages, and the bioactive substances that are sought after in the human diet. CBD is not the only substance that is marketed in certain forms for its 'increased' bioavailability; vitamins, minerals, and other compounds are sought after for their nutritive, health, and/or functional ingredients. With proper evidence and understanding, a claim can be transformed into having a predictable, repeatable effect.

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