Antioxidants in pharma formulations

Sredstva Regionale Chemie offers a diverse range of antioxidants that comply with various regulations and standards, allowing its customers to protect their formulation. Here's why picking the right antioxidant is so essential...

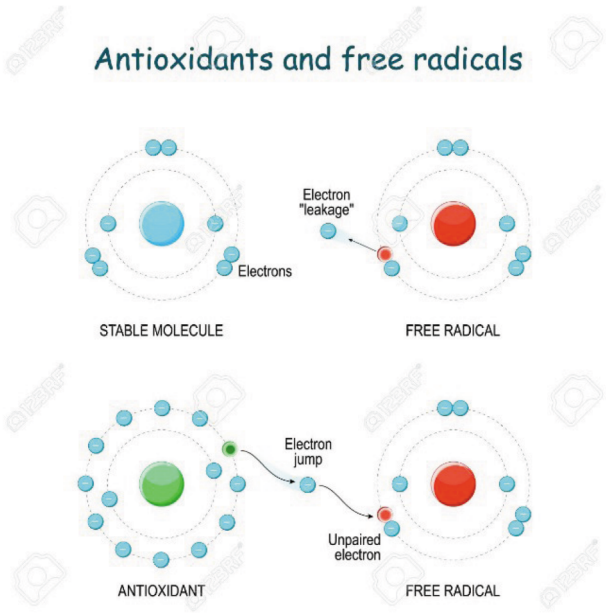
Antioxidants, or free-radical scavengers, are molecules that will reduce or prevent the oxidation of other molecules. Antioxidants are currently used as efficient excipients that delay or inhibit molecule oxidation. After hydrolysis, oxidation is the second most common pharmaceutical degradation pathway. Excipients are prominently associated with adverse reactions.

Safety and efficacy are critical aspects of drug research and development, formulations must be designed to make sure appropriate bioavailability of a drug as well as its physicochemical stability over the determined shelf-life.

Drug stability affects the safety and efficacy of the drug product/formulation, degradation impurities may cause a loss of efficacy and generate possible adverse effects. The chemical stability of a drug is an intrinsic property that is determined by its chemical structure. The dosage form can lead to drug instability because of the presence of other compounds (e.g., excipients). In addition, drug stability must be evaluated throughout the production, packaging and storage processes. In this context, drug product stability is a critical issue in drug research and development, not just for new medications, but also for generics.

Properties of an ideal antioxidant

- Effective at a low non-toxic concentration
- Stable and effective under conditions of use, over a wide pH and temperature range
- Soluble at the required concentration
- Compatible with a wide variety of drugs and pharmaceutical excipients
- Free from objectionable odour, objectionable taste or stinging



- Colourless in both original and oxidised form
- Non-toxic and non-sensitising, both internally and externally at the required concentration
- Reasonably priced
- Unreactive with containers or closures

Why use antioxidants to protect formulation?

The process of preparing a formulation is time-consuming and expensive from manufacturing to supply and storage. A longer shelf life enables a wider window for consumption, thereby reducing waste and costs. Drugs are stable in their pure form, with instability arising due to their mixture with excipients. Certain factors contribute to degradation of a drug

over time such as moisture content, storage temperature, change in chemical composition, microbial growth and potency, etc. The efficacy of an antioxidant can be assessed by creating several formulations with the APIs and single or multiple antioxidants in order to place each of these formulations on stability under accelerated conditions. The trial-and-error selection process is costly and time-consuming, often taking weeks before the oxidation is observed at a detectable level. Stability testing the formulation ensures a rational correlation with antioxidant activity in a solution thereby enabling a prudent use in oral, parenteral and liquid formulation.

ANTIOXIDANTS LISTED IN THE USP30/NF 25 CLASSIFIED ACCORDING TO SOLUBILITY AND LISTING USUAL CONCENTRATION RANGE

	Solubility			
Antioxidant	Water	Alcohol	Oil	Concentration Range (%)
Ascorbic Acid	Yes	Yes	No	0.02-0.1
Ascorbyl Palmitate	Yes	Yes	Yes	0.01-0.2
BHA	No	Yes	Yes	0.005-0.02
BHT	No	Yes	Yes	0.005-0.02
Monothioglycerol	Yes	Yes	-	0.1-1.0
Potassium Metabisulfite	Yes	No	No	0.01-1.0
Propyl Gallate	Slightly	Yes	Slightly	0.001-0.15
Sodium Bisulfite	Yes	Slightly	No	0.05-1.0
Sodium Metabisulfite	Yes	Slightly	-	0.01-1.0
Tocopherol	No	Yes	Yes	0.01-0.1
Tocopherol Excipient	No	Yes	Yes	0.01-0.1

Bibliography

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