Resolving the paradox of iodine - an essential biomolecule

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Diluting iodine solutions debunk the I2 toxicity belief

Molecular iodine is not easily studied in clinical settings due to its instability in aqueous solution. To circumvent this problem, complexing agents and other iodine species have been used to stabilize I2.

As a result of not being able to adequately study molecular iodine, the scientific community has arbitrarily linked negative traits to I2, even though they should be attributed to the complex and diverse iodine solution.

It is essential to consider that when elemental iodine is dissolved in water (i.e., the skin staining antiseptic generally known as iodine), at least 9 different iodine species are created [2].

The quantity of all different iodine species present in Lugol's Solution is 55,000 parts per million (ppm) by volume. Molecular iodine constitutes 170 parts per million of those. In PVP-I, there are 10,000 ppm of total titratable iodine species and of only 1-8 ppm of those are molecular iodine. [8] In both solutions, most of those components are triiodides and complexing agents. Remarkably, the iodine species present in the lowest quantity is also the only species that is biocidal - molecular iodine.

Zeroing in on PVP-I, an interesting interaction happens when it is diluted. The concentration of molecular iodine increases while the concentration of the other iodine species decreases. When 10% PVP-I is diluted 1/10 or 1/100 with water the formulation becomes less toxic but more effective as an antiseptic because the carrier molecule releases more molecular iodine while the overall concentration of the other iodine species decreases [9].

Historically, the irritancy and staining associated with applying iodine tincture or Lugol's solution has been ascribed to I2 and therefore, PVP-I was viewed as an advance [10].

A paradoxical consequence of PVP-I is the increase in active biocide (I2) when it is diluted up to 100-fold [4, 11]; this increase in I2 concentration is associated with a decrease in cytotoxicity [12-15].

Even so, the myth of I2 toxicity persists and can be found today in regulatory compendia, WHO guidelines and even on Wikipedia.

if PVP-I formulations diluted with water are less toxic while containing higher levels of I2, how could I2 be the cause of toxicity?

Behind the Research
Dr. Jack Kessler

e: jackkessler@i2pure.com

Research Focus

Dr. Kessler's expertise lies in the formulation of compositions that contain molecular iodine and in systems analysis of complex medical equipment.

He has successfully formulated pure I2 for a wide range of consumer and medical applications, taken a solid oral dosage form of I2 into phase III clinical trials and demonstrated that molecular iodine is not responsible for the staining and toxicity observed with topical iodine disinfectants.

His work includes the characterization of the structure-function of bacterial neuraminidase, the chemistry of iodination reactions in the follicular lumen and development of commercial products. He has utilized a variety of techniques to incorporate molecular iodine into different compositions and to characterize these materials.

Bio

Dr. Kessler has degrees in Chemistry from the Stevens Institute of Technology, Hoboken NJ (BS, 1972) and Biochemistry from S.U.N.Y at Syracuse, NY (PhD, 1980).

He has directed numerous teams focused on the formulation and development of animal and human drugs, managed joint venture programs for commercialized products and designed/managed Phase I, II and III clinical trials for a drug to alleviate breast pain.

His patents have been the basis of development of several iodine-based products including the Violet tablet, the ioRinse line of oral care products and the enzyme-based Iodozyme teat dip previously marketed by DeLaval. Dr. Kessler has also published basic and applied research on iodine formulations and the biochemistry of iodine/thyroid hormones.

He is currently the Chief Scientific Officer at I2Pure Corp. where he oversees and guides the development and commercialization of proprietary drugs and medical devices that deliver molecular iodine technology.

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