Vironova Medical

Thionation

Thionation reagent – JBR

The thionation technology is a process for transforming an oxo group (>C=O) in a compound into a thio group (>C=S). Our thionation reagent, Jan Bergman Reagent (JBR) is used in organic chemistry to introduce sulfur in various molecules. By thionating drugs, the characteristics can be changed e.g. stability and solubility, which can result in a more potent or selective agent.

Advantages with JBR

- effective and selective
- allows thionation under mild conditions
- cost efficient
- results in high quality reactions
- environmentally superior to Lawesson's Reagent (LR)

Applications

The thionation process has been used in our influenza project, resulting in compounds with antiviral activity against currently circulating influenza strains. Another example from the literature is thionation of thalidomide, which results in a more potent inhibitor of TNF-α, thereby enabling administration of lower and better tolerated doses *(Zhu X et al. J Med Chem. 2003 Nov 20;46(24):5222-9, Russo I et al. J Neurochem. 2012 Sep;122(6):1181-92).*

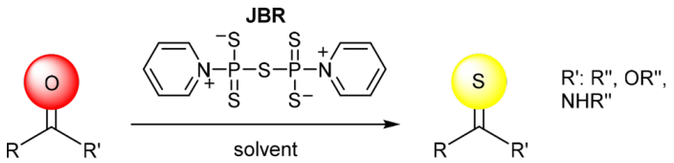
Intellectual property

Patent applications for the thionation process and reagent were filed in 2012. Several patents have been granted and some are pending, and proceedings to acquire a granted status for these patents are ongoing.

Market

The world´s total production of LR in 2017 was approximately 114 tons, of which the majority was produced in China. The production of LR has a predicted annual increase of >12%, with global output of LR expected to reach 160 tons by 2020, However, the demand for LR is forecast to reach 188 tons in 2020 resulting in a shortage of supply *(Global Market Report of Lawesson's reagent Shanghai Bingqi Chemtech Co., Ltd 2018).*

BY THIONATING MOLECULES, UNIQUE AND ADVANTAGEOUS PROPERTIES CAN BE INTRODUCED TO E.G. DRUGS WHICH CAN LEAD TO A SIGNIFICANT IMPROVEMENT IN THEIR PROFILES



Thionation is the process where oxygen is replaced by sulfur which can be performed with JBR.

	Lawesson's Reagent (LR)	JBR
Market acceptance	Current Gold Standard	Limited knowledge
Reaction temperature	Max 110°C	Max 175°C
Yield	Low-High	High
Selectivity	Less selective	More selective
Reactivity	More reactive	Less reactive
Solubility	Low	High
Solvent	HMPA (cancerogenic)	Acetonitrile/ Dimethylsulfone (relatively harmless)
Purity of end product	Impure	Pure
Separation of end product	Cumbersome	Easy
Odour	Strong unpleasant smell	Odourless
Environmental effect	Highly toxic hydrogen sulfide is a by-product during production	No toxic hydrogen sulfide is formed in production of JBR

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