CONTINUOUS FLOW SYNTHESIS OF SULPHOXIDE COMPOUNDS FOR USE IN DRUG FORMULATIONS

NCL Innovations: Solutions from CSIR India
Sulphoxide compounds such as modafinil/prazol compounds are currently produced by batch synthesis procedure

- The process yields about 85% product over a duration of 1-4 hours under low temperatures - leading to long processing times

A more efficient process is required at the industrial level

- These compounds are mainly used as proton pump inhibitors
- Which consumes less time and yields lower unnecessary side products continually unlike the batch synthesis procedure

Our process is a continuous flow synthesis of sulphoxide compounds with reaction times of ≤ 1 minute

- The reaction results in over 90% conversion and over 95% selectivity towards the target sulphoxide compounds (with less than 5% formation of undesired sulphone compounds)
Applications

- The process can be used to make the following drugs which are mainly used as proton pump inhibitors - used to make drugs to treat the acid-related diseases of the gastrointestinal (GI) tract
  - Such as omeprazole, pantoprazole, lansoprazole, tenatoprazole, rabeprazole, esomprazole

- The process can also be used to make drug molecules that can be used as modafinil compounds
  - Which can be used as central nervous system stimulants - wakefulness promoting agents*
  - In production of drugs which act as neuroprotective agents

Market Potential

- GI disorders have been projected to affect more than a 250 million people in the 7 large pharma markets by 2012\(^1\)
  - Overall GI tract disorders treatment market is expected to reach $32.2 billion by 2014\(^2\)
- In 2009, proton pump inhibitors were the third largest therapeutic class amounting up to $13.6 billion of sales in the US\(^3\)

\(^3\) http://www.imshealth.com/portal/site/imshealth/menuitem.a46c6d4df3db4b3d88f611019418c22a/?vgnextoid=d690a27e9d5b7210VgnVCM100000ed152ca2RCRD
Value

- Process capable of easy scale up
  - The process is continuous with the reaction time of \( \leq 1 \) minute
- Results in high yield of the product with 95% of selectivity towards the sulphoxide compounds
  - Side product (sulphone compounds) formation- less than 5%
- Conversion rate is > 90%
- The process provides an alternative solvent (to chloroform, which is a volatile solvent that evaporates at room temperature and changes the reaction mass)
Technology Status, IP Status

- Patent applications filed
- Demonstrated at lab scale
- Ready to be licensed/ commercialized
Links & References

- **Patent links**
  - Indian: 1392/DEL/2009
  - WO/ PCT application: PCT/IN2010/000456


Contact Info:

**Dr. Magesh N.**
Scientist, NCL Innovations National Chemical Laboratory
Pune - 411008
Phone: +91-20-2590-2982
Fax: +91-20-2590-2983
Email: m(dot)nandagopal(at)ncl(dot)res(dot)in
## Technology Summary

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