

Match Maker/ Pharmaceutical Process Innovations/ 20 March 2025

IMPROVED PROCESS FOR PREPARATION OF OLAPARIB

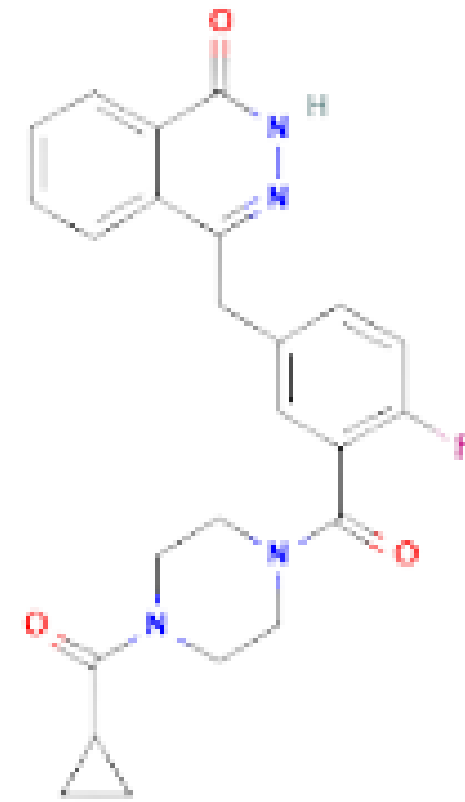
Lead Inventor: Dr. Gautam Panda

Organization: CSIR-CDRI

TechEx.in Case Manager: Kavita Parekh (kavita.parekh@ventercenter.co.in)

What is Olaparib?

- Olaparib (*Lynparza*) is a Poly (ADP-ribose) polymerase (PARP) inhibitor approved for ovarian, breast, pancreatic and prostate cancers.
- In India, the price range for a 150 mg tablet of Olaparib ranges from ₹428 to ₹643 which is unaffordable to most people.



Market Opportunities

The Olaparib Market Size was valued at USD 3.5 Billion in 2023
(Source : Market Research Intellect)

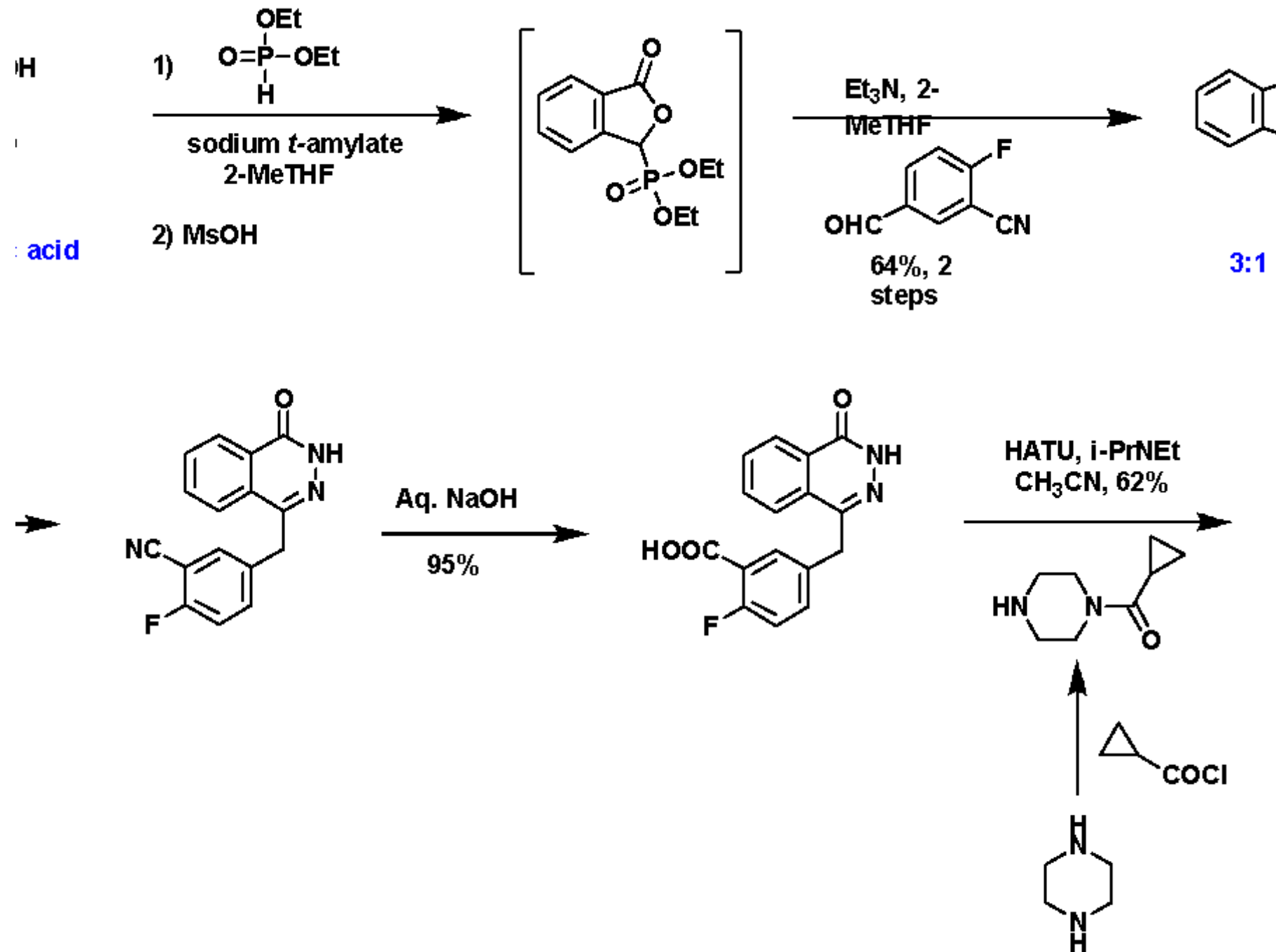
Industry :

- Innovator : **UK-based KuDOS Pharmaceuticals, acquired later by AstraZeneca**
- Became generic in **2024** , secondary patents valid till **2031**
- **Licensed manufacturers in India:**
Zydus Healthcare Limited, Glenmark Pharmaceuticals Ltd., Lupin Ltd., Hetero Healthcare Limited, Aprazer Healthcare Pvt Ltd, Intas Pharmaceuticals Ltd, Cipla Ltd, Zuvius Life Sciences, and Medicamen Biotech Ltd. Natco, Dr Reddy's.

Generics: Zydus-Cadila and Natco

Match Maker/ Pharmaceutical Process Innovations/ 20 March 2025/Improved Process for Synthesis of Olaparib

Existing Process Used:



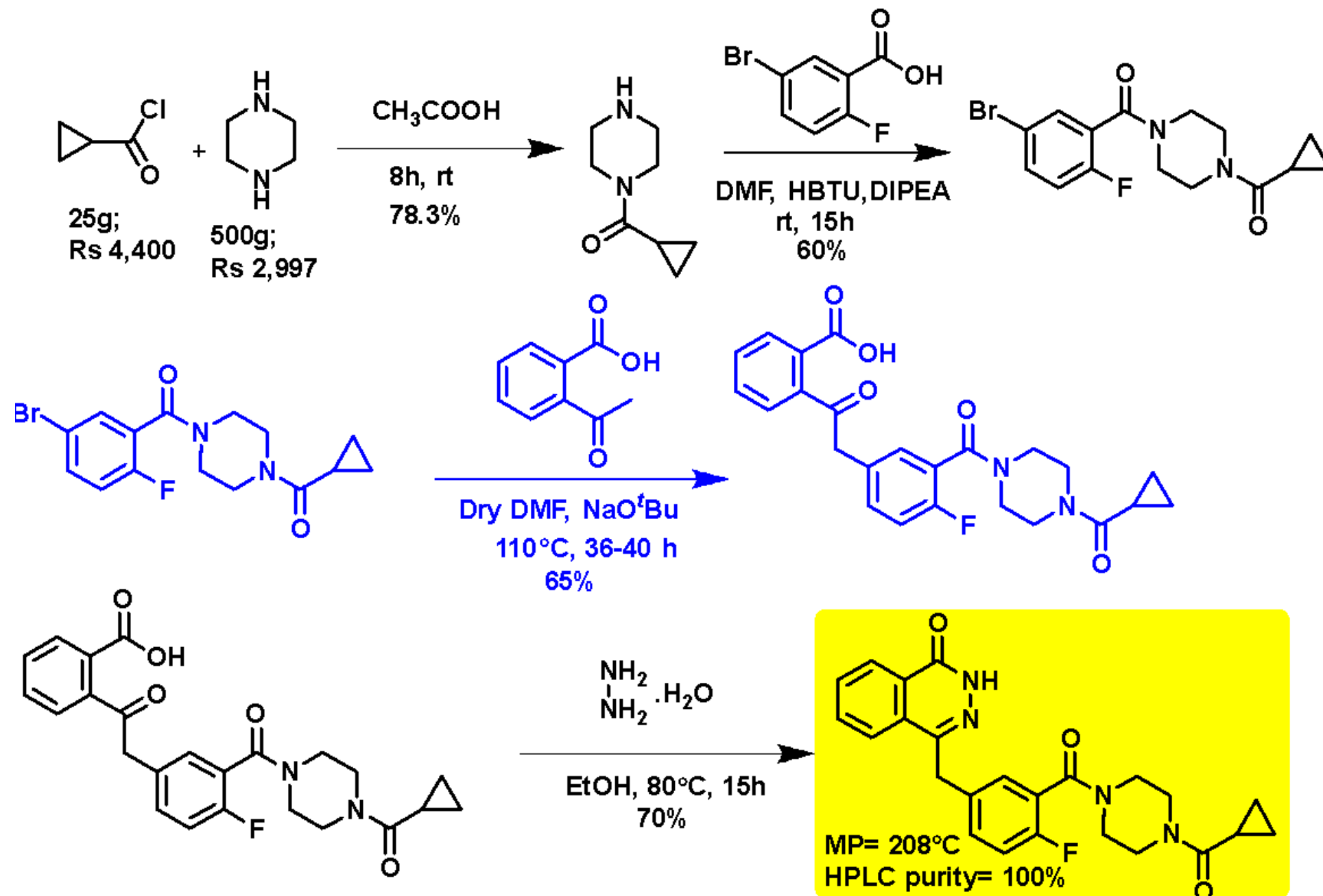
The Drawbacks

of current known synthesis are:

- Phosphonate synthesis and wittig reaction, coupling yield.
- Use of genotoxic hydrazine
- Use of costly 2-fluoro-5-formylbenzonitrile
- Disadvantage for large-scale production.
- More number of synthetic steps (6 to 7)

Source - U.S. Patent 7,981,889B2, Jul 19, 2011, WO 2004080976, WO2008047082A2

Improved Process from CDRI



ADVANCEMENTS & IMPROVEMENTS

- Phosphonate-free synthesis, as opposed to the Horner–Wadsworth–Emmons olefination reaction
- Olaparib has been synthesized using solvents like DMF, ethanol
- Less soluble impurities coming from Wittig reaction earlier used.
- Moderate temperature Required

Estimated Cost of Raw Materials :
USD ~3090 per kg of Product Yield

Current Status:

Technology Status :

- Status of the technology - TRL 4 (Lab level results shown)
- Demonstrated at 5g to 10g scale

Patent Status :

- Indian Patent Filed (Application No. **202211013919**)
- Publication Date (U/S 11A): 12 Jan 2024
- Priority date: **14th March 2022**

Publications :

- Chatterjee, I.; Roy, D.; Panda, G. A Scalable and Eco-Friendly Total Synthesis of Poly(ADP-Ribose) Polymerase Inhibitor Olaparib. *Green Chem.* **2023**, 25 (22), 9097–9102.

Value Proposition of the CDRI Process:

- Higher yield → 51% vs 30-46%
- Number of steps lower → 4 vs 7
- Estimated Raw Material Cost is comparable to landed cost → USD ~3090 /kg of product synthesized
- Higher purity → Lower purification costs
- Better green credentials in terms of reagents used, atom economy, lower energy use
- API Included in PLI 1.0 scheme

Who should be interested and why?

Who

Pharmaceutical API Manufacturers :

- New API manufacturers who wish to leverage the PLI scheme
- Companies already manufacturing Olaparib and who want a better process

Why

An improved synthesis offers advantages such as

- Cost Effective
- Simpler Reaction Scheme
- Scalable
- Fewer Steps Required

The Lead Inventor



Dr Gautam Panda

Chief Scientist, CDRI

- **22 years of biomedical research experience** in harnessing chiral amino acids and trisubstituted methanes derived bioactive natural products, heterocycles towards drug discovery and human wellbeing.
- Worked on **cost effective synthesis of block-buster drugs**
- Author of **~132 publications (3850 citations, h-index 33)** and an inventor of **10 patents**.

Industry collaborations of the group



Organisation - CSIR-CDRI's Success Stories

Central Drug Research Institute a constituent laboratory of (CSIR) under the Department of Scientific & Industrial Research, Ministry of Science and Technology, Government of India.

Since Inception	13 New Drugs Discovered and Developed
	6 Diagnostics
	> 80 Process Technologies
	> 12,000 Research Publications
	> 456 Patents Filed Abroad
	> 586 Patents filed in India
	> 2,000 Ph.D.'s
	> 2,000 Sponsored Trainings
> 7000 Post Graduate Trainings	



World's first non-steroidal once-a-week contraceptive pill for women



α β - Arteether for severe *P. falciparum* malaria including cerebral malaria and chloroquine-resistant cases, being marketed in > 20 countries



Clinically validated traditional medicine from Bacopa for ADHD in elderly marketed in >7 countries



Centchroman with a brand name Chhaya is part of National Family Program



Indigenised qRT-PCR Diagnostic Kits towards Atmanirbhar Bharat



Indigenized Indigenous DNA Staining Dye "GreenR" towards Atmanirbhar Bharat

Currently, 3 small molecules and 2 Phytopharmaceuticals are in clinical trial. Further, 5 small molecules and 4 Phytopharmaceuticals are in pre-clinical stage of development for various therapeutic areas of national and global importance.

Match Maker/ Pharmaceutical Process Innovations/ 20 March 2025/Improved Process for Synthesis of Olaparib

Organisation - CSIR-CDRI's Industry Collaborations



Next Steps

Possible to scale up with support from industry partners from 10 g scale to pilot scale or continuous flow (as required by industry) and in partnerships with other CSIR labs

Seeking

Licensees for technology and IP on "as is where is" basis

Joint development and sponsored R&D partners or scaling up and advancing the technology



TechEx.in is a Regional Tech Transfer Office supported by:



For more information, contact:
Kavita Parekh
kavita.parekh@venturecenter.co.in
+91 8956457042

