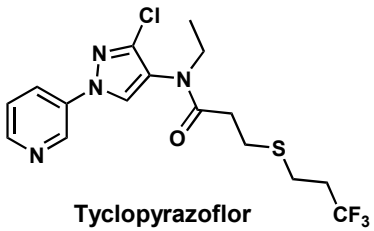
POTW: Tyclopyrazoflor

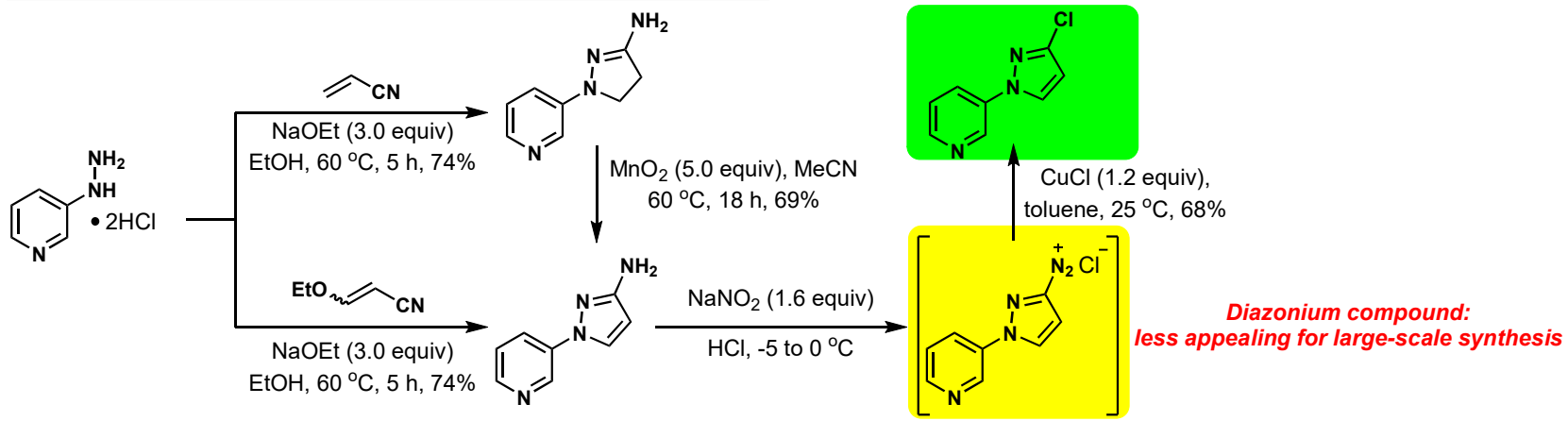


Tyclopyrazoflor

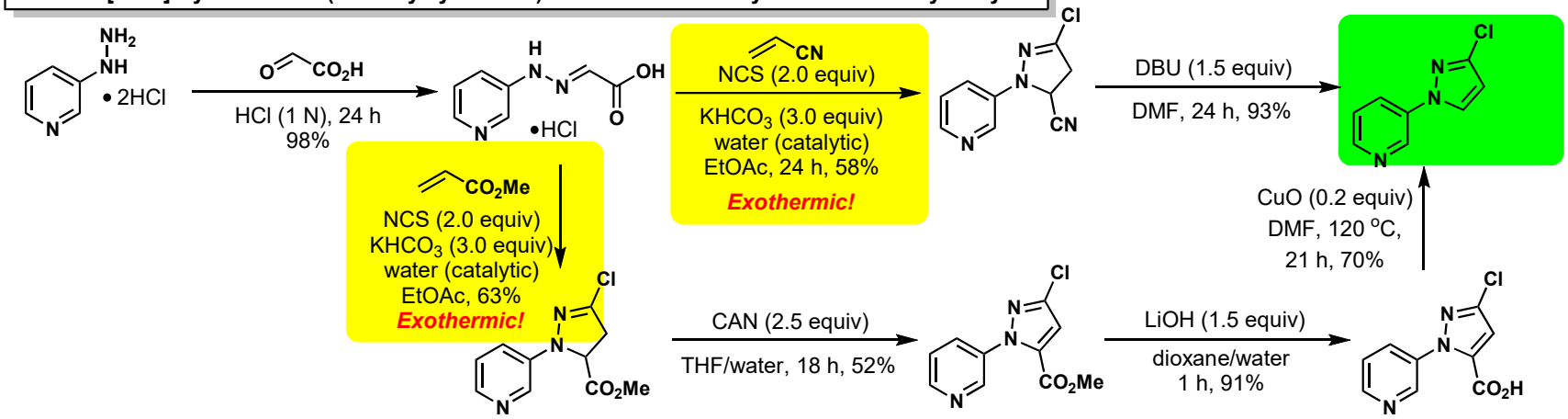
- Pyrazoles have been actively researched for the development of agrochemicals such as fungicides, herbicides and insecticides.
- Tyclopyrazoflor have excellent activities against sap-feeding pests
- The identification and optimization of scalable and cost-effective routes were keys to success of further evaluation of this compound.

CORTEVA™ agriscience

Route 1&2. [3 + 2] Cyclization of Hydrazinopyridine with Acrylonitriles



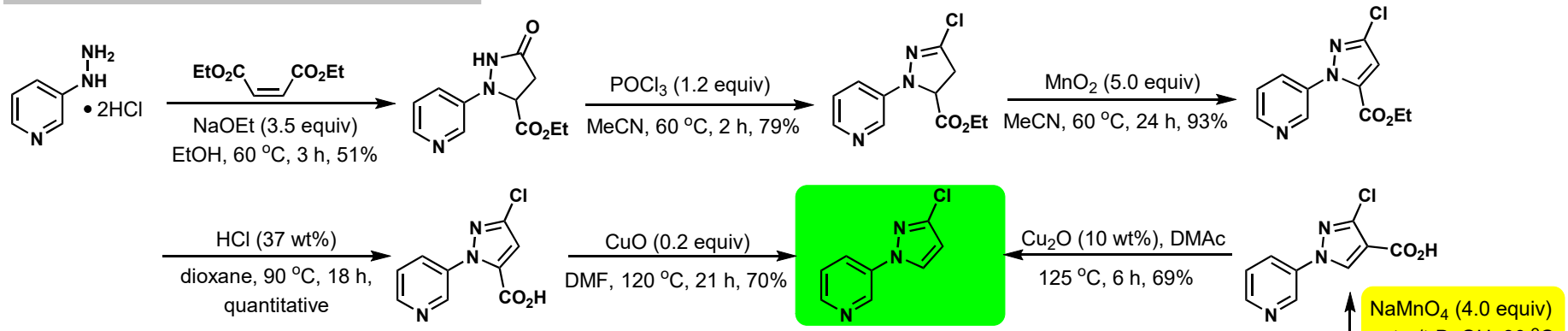
Route 3. [3 + 2] Cyclization of (2-Phenylhydrazono)acetic acid with Acrylonitrile or Methyl Acrylate



Org. Process Res. Dev. DOI:10.1021/acs.oprd.9b00127

POTW: Tyclopyrazoflor

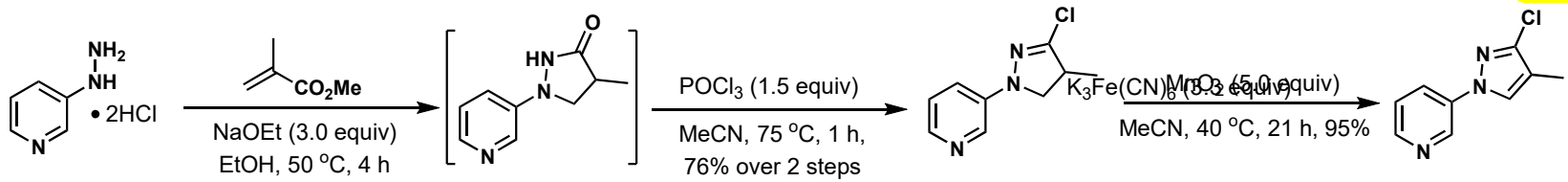
Route 4. [3 + 2] Cyclization with Diethyl Maleate



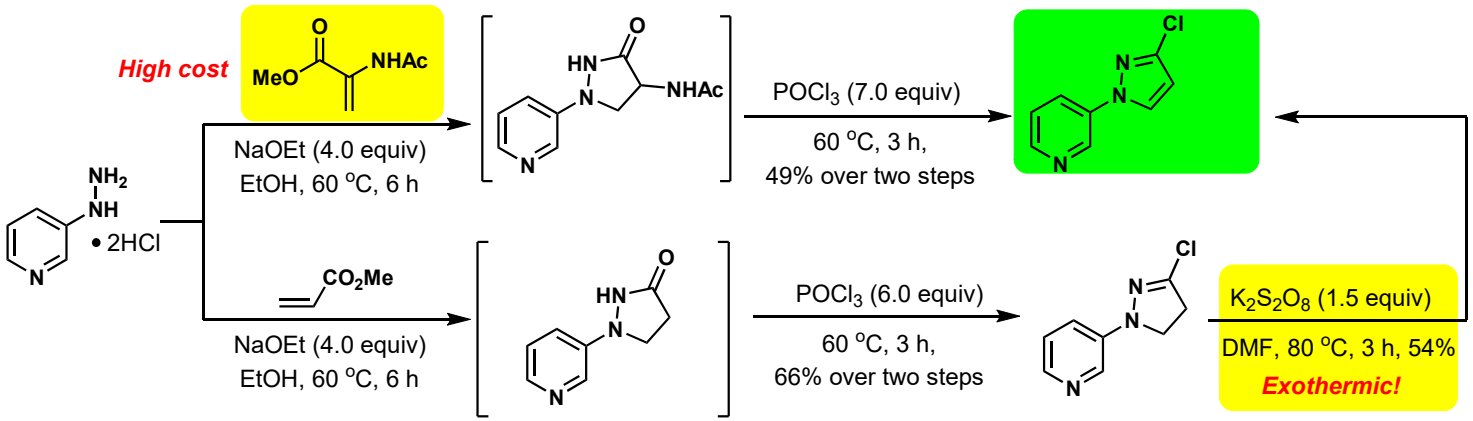
5 steps: More concise pathway preferred

NaMnO4 (4.0 equiv)
water/t-BuOH, 80 °C
2 h, 46%
Exothermic!

Route 5. [3+2] Cyclization with Methyl Methacrylate



Route 6. [3+2] Cyclization with Methyl Acrylate

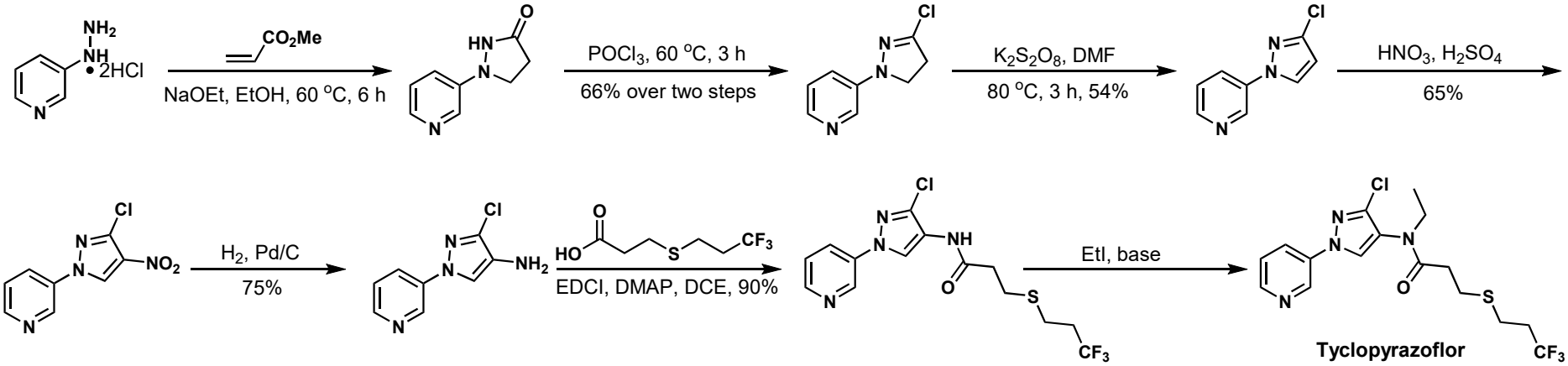


3-step synthesis:
Most concise, economical, process-friendly

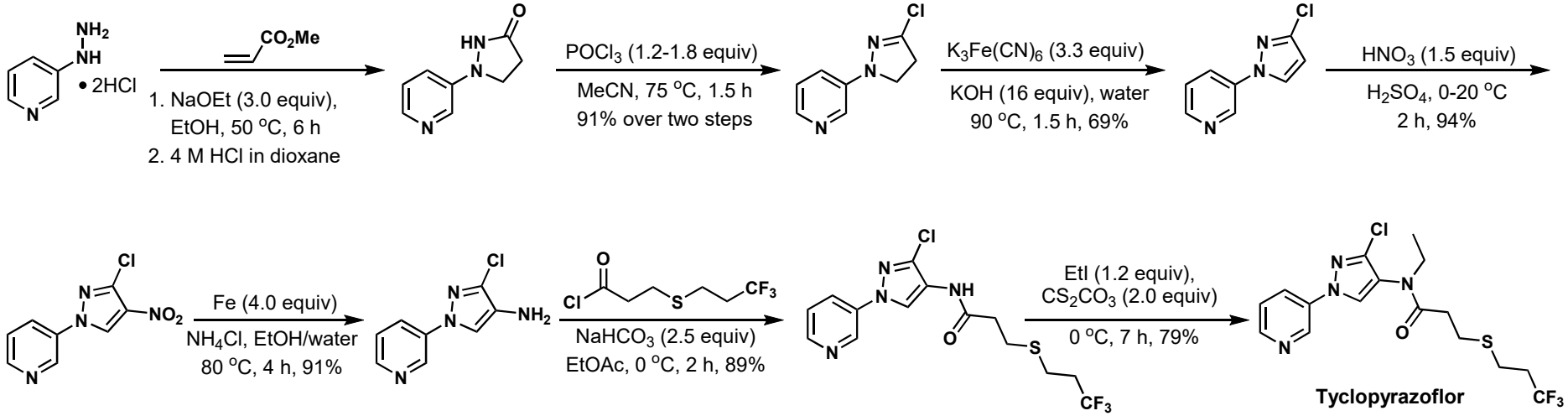
Org. Process Res. Dev. DOI:10.1021/acs.oprd.9b00127

POTW: Tyclopyrazoflor

Route to Tyclopyrazoflor Selected for Optimization



Optimized Synthesis of Tyclopyrazoflor



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