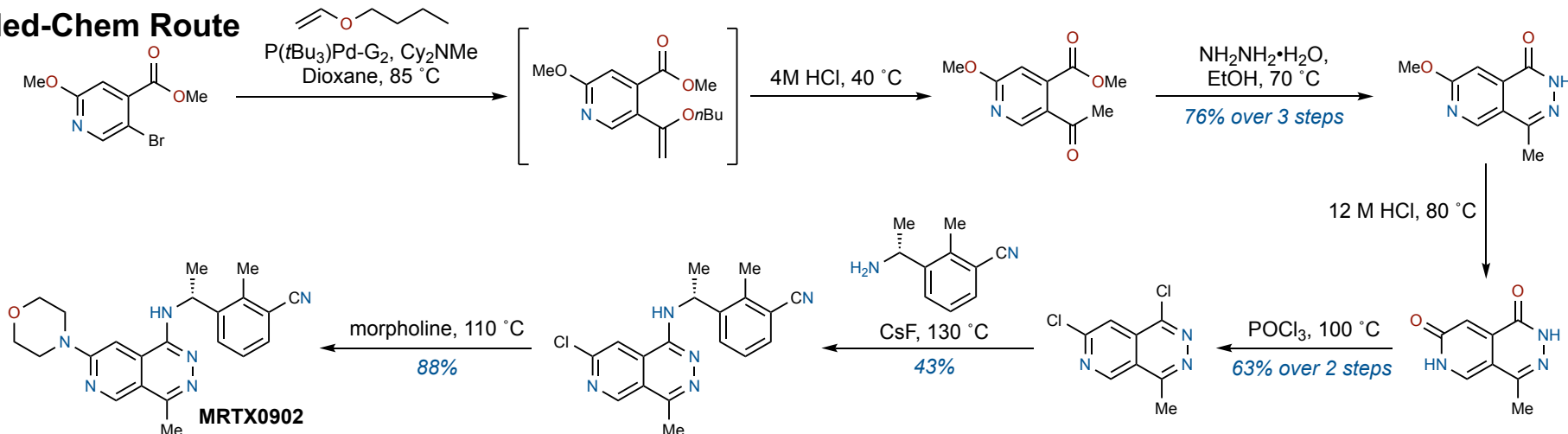


MRTX0902 is an oral inhibitor of the SOS1:KRAS complex
Used in combination with KRAZATI, it shows antitumor activity
Multiple kilograms are required for GLP toxicology and FIH studies

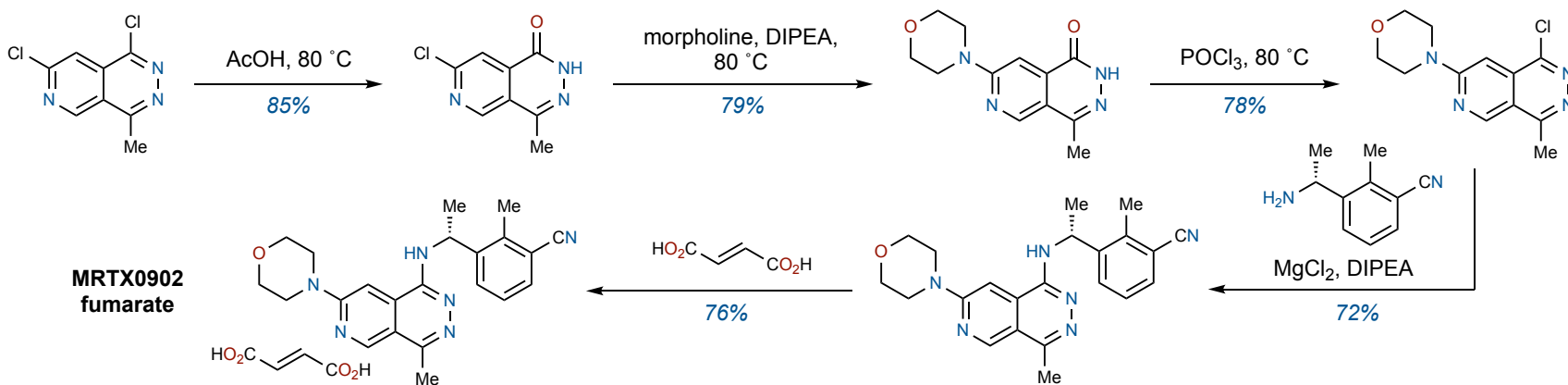


Org. Process Res. Dev. 2023 ASAP <https://doi.org/10.1021/acs.oprd.3c00030>

Med-Chem Route

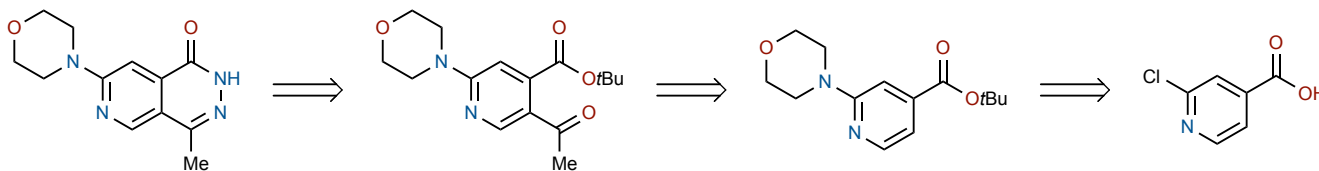


First Kilogram Route

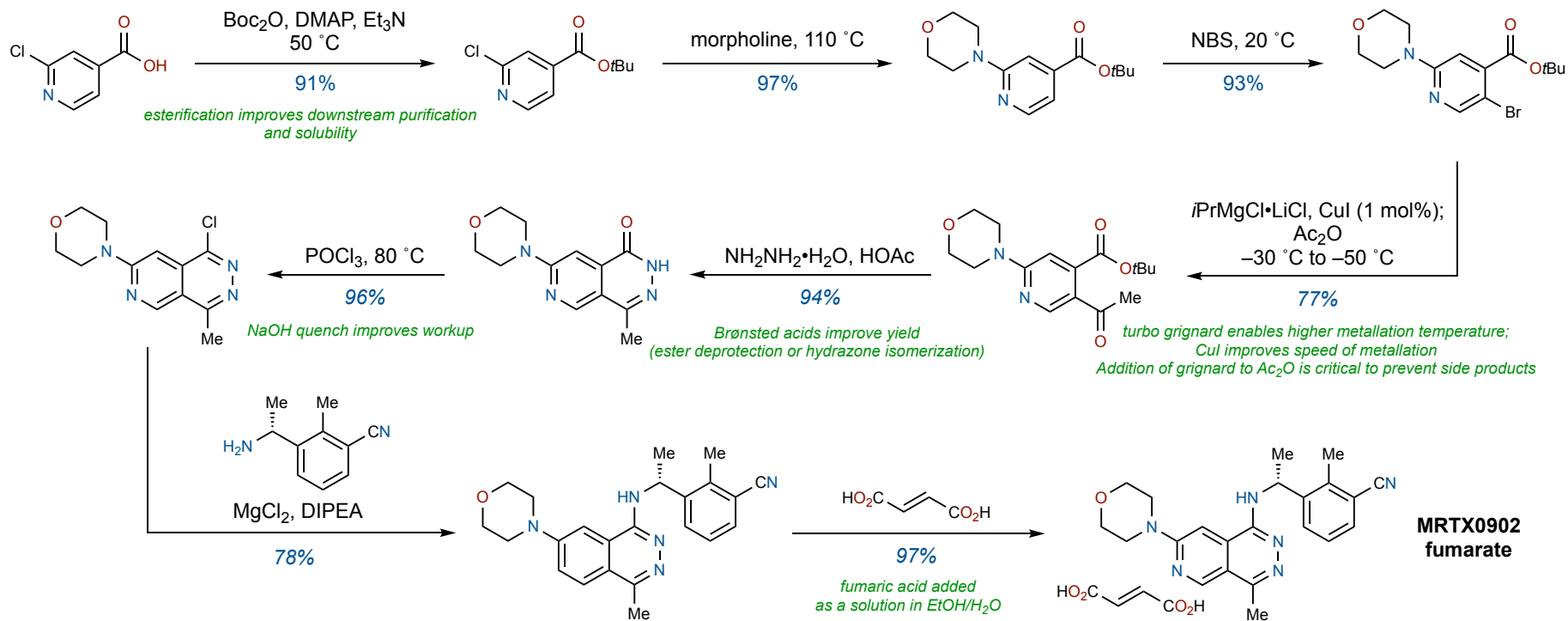


5-bromo-2-methoxyisonicotinate is not commercial on multi-kilogram scale!

Retrosynthesis to commercially available acid



Process Route



8 step sequence

44% overall yield (compared to 18%)

Commercially available starting material on kilogram scale