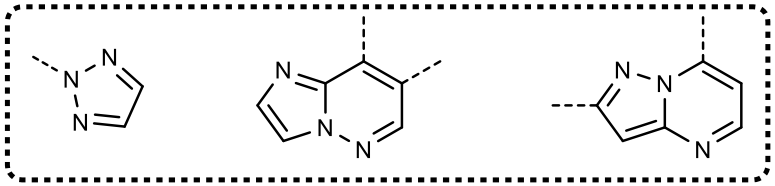
# RGT-068A (Regor Therapeutics Group)



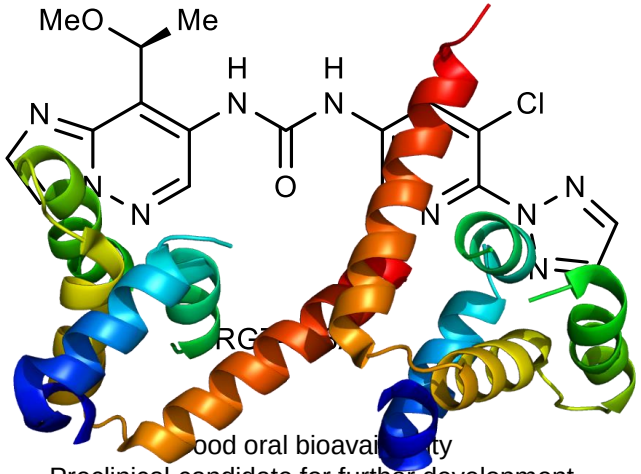
## Background

Mucosa-associated lymphoid tissue lymphoma translocation protein-1 (MALT1) Inhibitor

Dysregulation of MALT1 activity contributes to the development of diseases such as MALT1-dependent inflammatory and/or autoimmune diseases such as rheumatoid arthritis (RA) and multiple sclerosis (MS).



https://www.aatbio.com/data-sets/mucosa-associated-lymphoid-tissue-lymphoma-translocation-protein-1-inhibitors-ic50-ki

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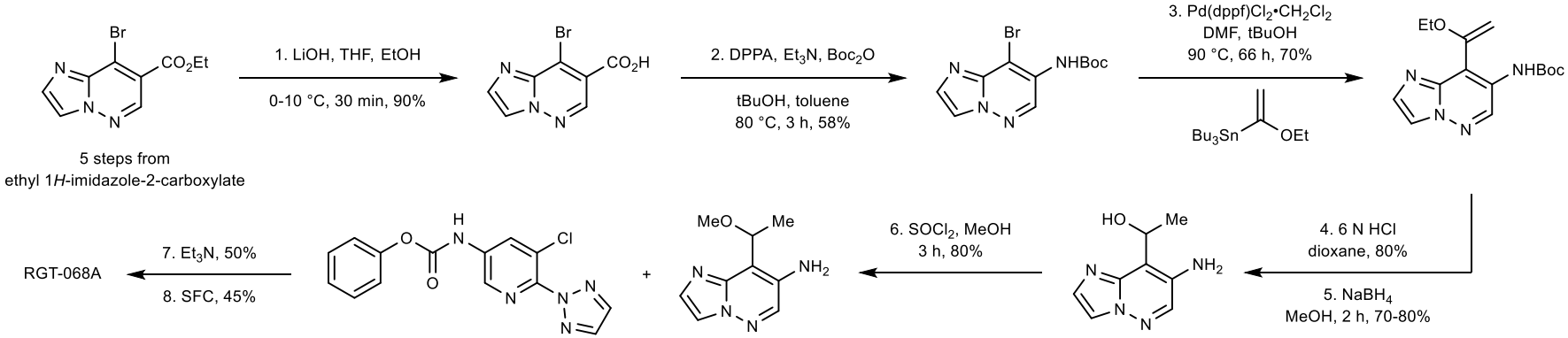
Preclinical candidate for further development

## Discovery Route

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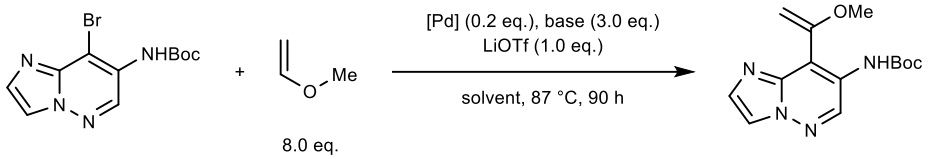
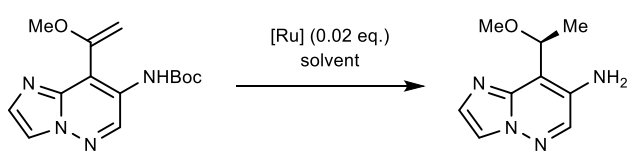
## Route Optimization




***Selected Conditions Screening***

$NaHCO_3$, $Pd(dppf)Cl_2•CH_2Cl_2$, nBuOH, 8.5%
$K_3PO_3$, $Pd(dppf)Cl_2•CH_2Cl_2$, nBuOH, 9.3%
$Na_2CO_3$, $Pd(dppf)Cl_2•CH_2Cl_2$, nBuOH, 43.5%

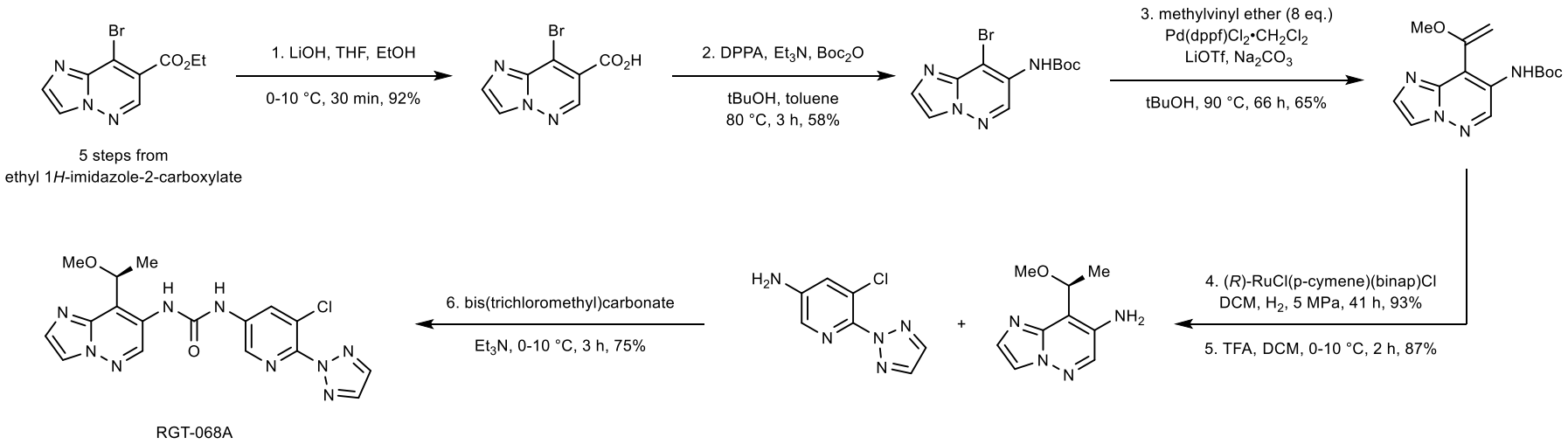
$Na_2CO_3$, $Pd(dppf)Cl_2•CH_2Cl_2$, EtOH, 21.7%
$Na_2CO_3$, $Pd(dppf)Cl_2•CH_2Cl_2$, DMSO, 9.0%
$Na_2CO_3$, $Pd(dppf)Cl_2•CH_2Cl_2$, *tert*-amyl alcohol, 72.8%
$Na_2CO_3$, $Pd(dppf)Cl_2•CH_2Cl_2$, tBuOH, 82.7%

***Selected Condition Screening***

RuCl[(*S*)-xylbinap][(*S*,*S*)-dpen], EtOH, 24.3%, 22.8% *ee*
$Ru(OAc)_2$[(*S*)-binap], EtOH, 84.4%, 46.6% *ee*
(*S*)-RuCl[(*p*-cymene)(binap)Cl], EtOH, 72.3%, 55.4% *ee*

(*S*)-RuCl[(*p*-cymene)(binap)Cl], THF, 83.7%, 87% *ee*
(*S*)-RuCl[(*p*-cymene)(binap)Cl], DCM, 90%, 93.6% *ee*

## Scaled-Up Synthesis (500 g Scale)

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