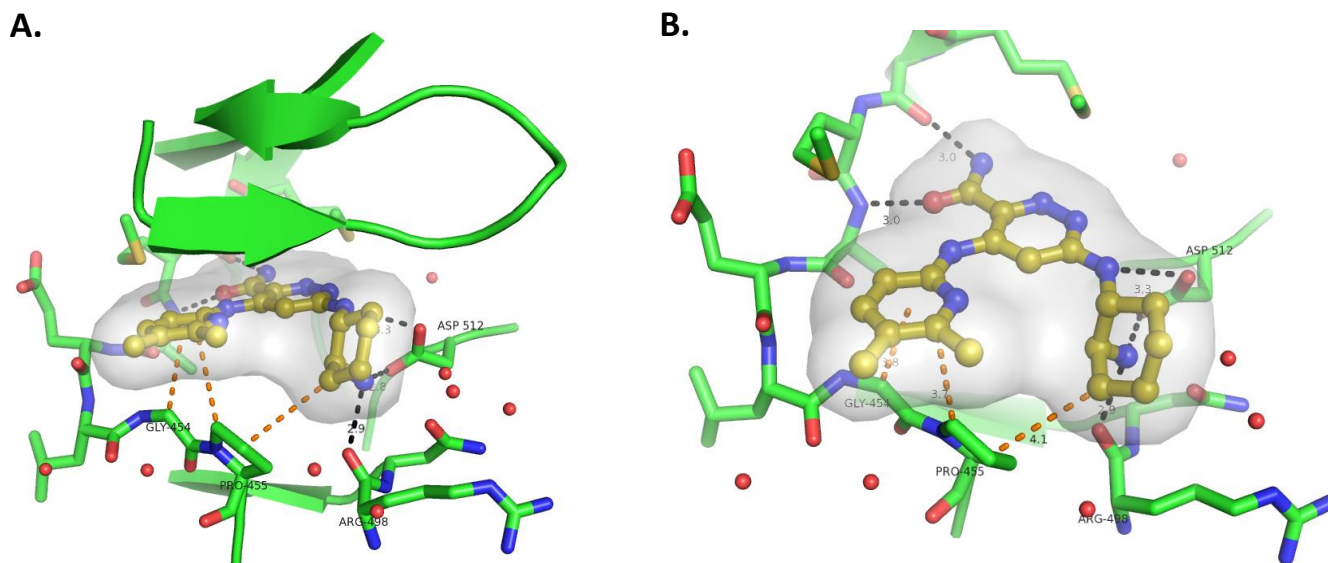


## Supplementary Figure S1.

Kinase Target	%Competition
SYK	99
JAK1(JH2domain-pseudokinase)	97
JAK3(JH1domain-catalytic)	97
GCN2(Kin.Dom.2,S808G)	95
SLK	93
FLT3(ITD)	92
PAK7	92

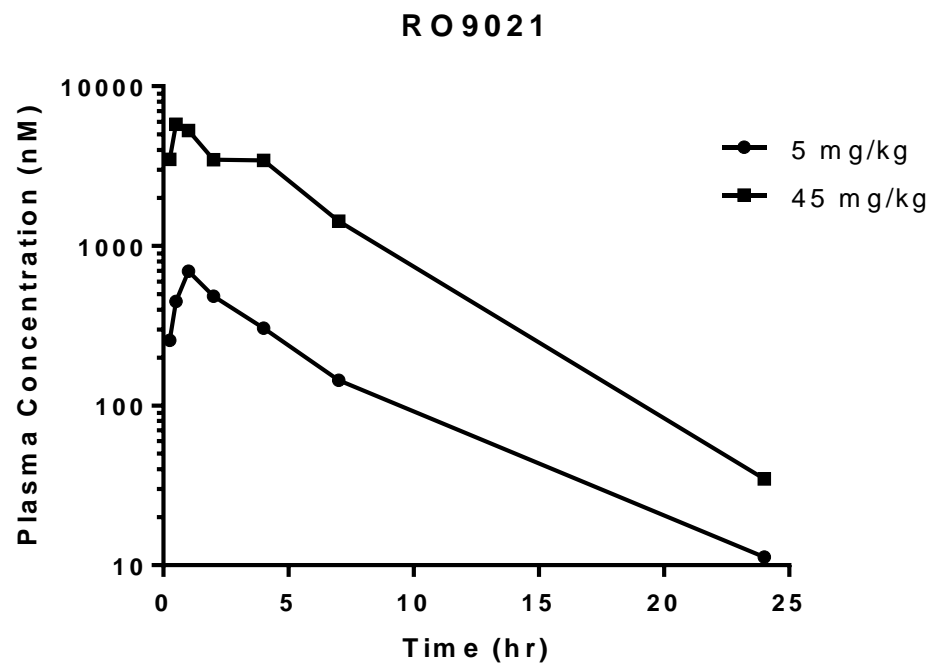
Figure S1. Listed kinases with more than 90% binding efficiency with RO9021 (1  $\mu$ M) in KinomeScan Assay.

## Supplementary Figure S2.



Supplemental Fig. S2. The X-ray crystal structure of SYK with front view (A.) and top view (B.), showing the ATP binding site with RO9021 bound. Black dashes represent hydrogen bonds, and orange dashes show hydrophobic contacts to the Gly454/Pro455 region.

## Supplementary Figure S3.



Supplemental Fig. S3. Pharmacokinetics (PK) profile of single oral dose of RO9021 in mouse.