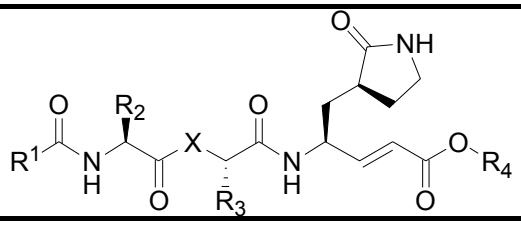
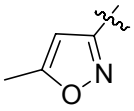
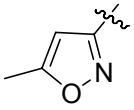
Table 2: Representative inhibitors designed in the first round (I2 not shown here)



Compound No. [a]	X	R_1	R_2	R_3	R_4
N13	NH	Benzyloxyl	^{i}Pr	^{i}Bu	Et
I3	CH_2	*tert*-Butoxyl	^{i}Pr	*p*-Fluorobenzyl	Et
ZB005	NH	*tert*-Butoxyl	^{i}Pr	Ph	Bn
I4	NH		^{i}Pr	Ph	Et
N11	NH		^{i}Pr	^{i}Bu	Et
ZB006	NH		^{i}Pr	Ph	Et
ZB007	NH	Ph	^{i}Pr	Ph	Et

[a] Since SARS-CoV M^{pro} is the easiest to obtain, it was then used for preliminary assay of inhibitors. For the inhibitors in Table 2, even when the concentration was increased to 50 μM, there was no obvious inhibition of SARS-CoV M^{pro} after 10 minutes of observation. It suggested that these inhibitors have very poor K_i when competing with substrate.