

Supporting Information

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SI Text

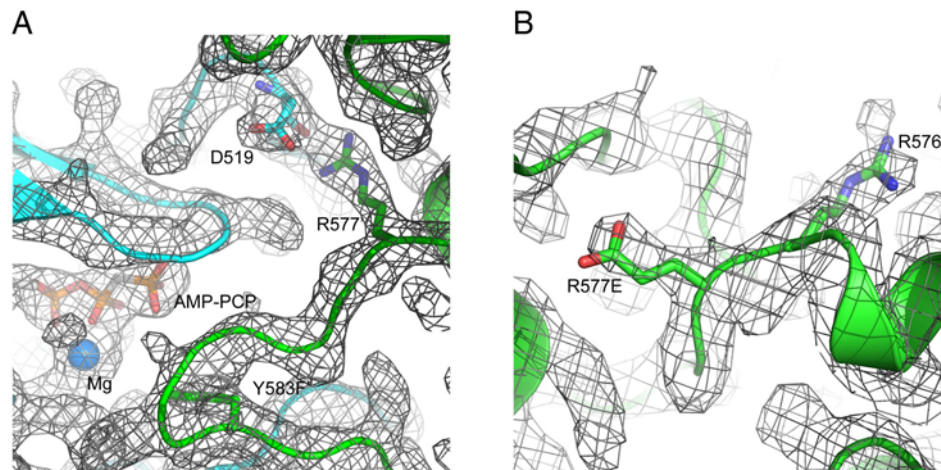


Fig. S1. Electron densities around R577 of active FGFR1-3P and R577E of FGFR1-RE. (A) Electron density of active FGFR1-3P (3GQI) around the kinase insert region. Two kinase domains are shown in cyan and green ribbon representation. D519 of molecule E and R577' and Y583E' of molecule S are shown in stick presentation. AMP-PCP is shown in stick presentation, and the Mg ion is shown as a blue sphere. The $2F_o - F_c$ electron density map is shown in gray and contoured at 1.0σ . (B) An example $2F_o - F_c$ electron density map of FGFR1-RE is shown with two kinase domains in a ribbon diagram, and the side chains of R576 and R577E are shown in stick presentation and contoured at 1.0σ .

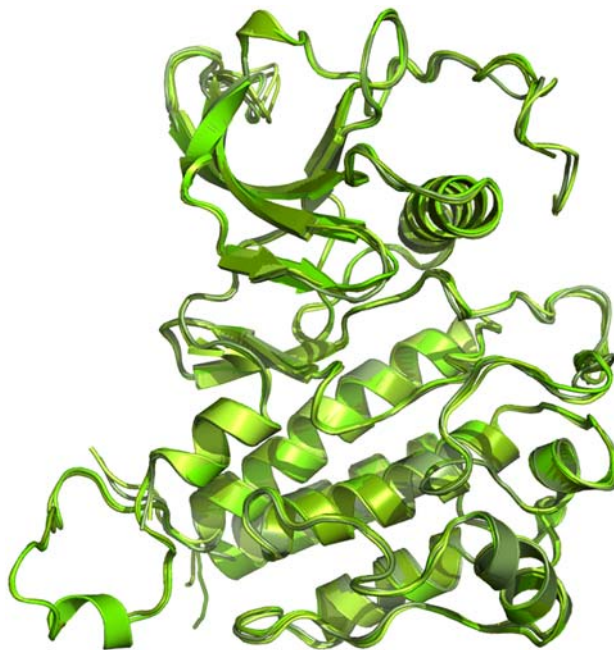


Fig. S2. Superpositions of all four molecules of FGFR1-RE. The four molecules of FGFR1-RE in the crystal lattice are superimposed and colored in gradient from green to light green.

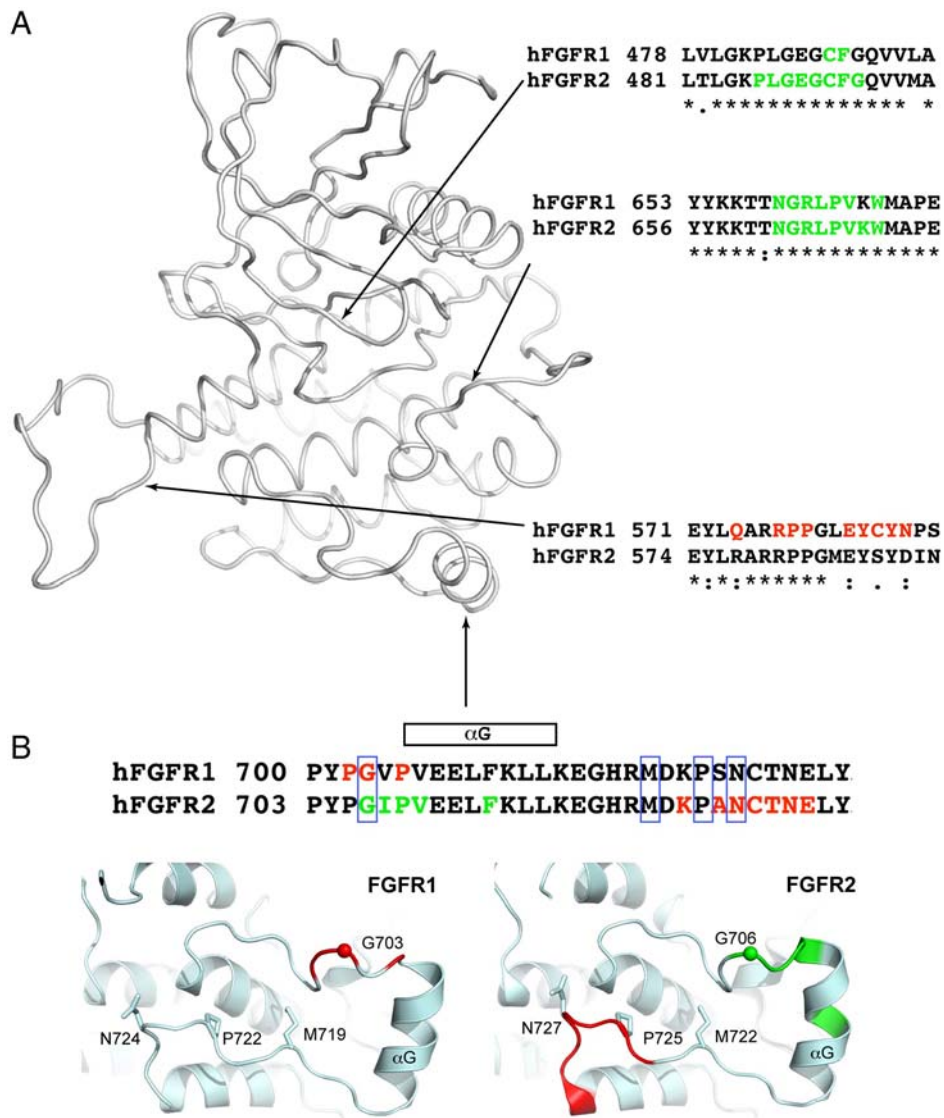


Fig. S4. Structure-based alignments of sequences from human FGFR1 and FGFR2 kinases and locations of loss-of-function mutations near helix α G. (A) The degree of residue conservation is shown with either blank (lowest), one dot, two dots, or a star (highest) for the four FGF receptors at the bottom of FGFR1 and FGFR2 sequences. The overall structure of FGFR1 is shown in gray ribbon, and the corresponding regions in the structure of sequences are indicated with arrows. Green colored residues are from molecule E (the enzyme), and the red colored residues are from molecule S (the substrate). (B) Locations of loss-of-function mutations in loops near the helix α G of FGFR1 and FGFR2 are shown in blue boxes. Amino acids from molecule E are shown in green, and amino acids from molecule S are in red. Helix α G is marked with the black box with the label on top of the sequences.