

List of Tables

| | |
|--|-----------|
| Table 1. Representative chemical structures of covalent and non-covalent BTK inhibitors..... | 31 |
| Table 2. <i>In vitro</i> BTK enzyme and TMD8 cell proliferation inhibitory data of 24a–h of Series 1..... | 43 |
| Table 3. CYP and hERG inhibitory activity of the lead compound of Series 1 ... | 44 |
| Table 4. Pharmacokinetic profile^a of 24e..... | 44 |
| Table 5. <i>In vitro</i> BTK enzyme and TMD8 cell proliferation inhibitory data of 32a-an of Series 2 | 53 |
| Table 6. CYP and hERG inhibitory activity of the lead compounds of Series 2 . | 55 |
| Table 7. Pharmacokinetic profile^a of 32b | 56 |
| Table 8. <i>In vitro</i> BTK enzyme and TMD8 cell proliferation inhibitory data of 32ao-av of Series 3..... | 60 |
| Table 9. CYP and hERG inhibitory activity of the lead compound of Series 3 ... | 61 |
| Table 10. Pharmacokinetic profile^a of 32ao..... | 62 |
| Table 11. <i>In vitro</i> BTK enzyme and TMD8 cell proliferation inhibitory data of 41, 42, 51, 52, 61, 62, 71, and 72 of Series 4..... | 70 |
| Table 12. CYP and hERG inhibitory activity of the lead compound of Series 4. | 71 |
| Table 13. Biochemical kinase selectivity of 32b..... | 81 |
| Table 14. Body weight of rats during treatment of 32b..... | 82 |
| Table 15. Hematological parameters after two weeks treatment of 32b | 83 |
| Table 16. Serum chemistry parameter after two weeks treatment of 32b | 84 |
| Table 17. Relative organ weights (%) after two weeks treatment of 32b | 85 |