The aim of this study was to characterise population pharmacokinetics (PK) and pharmacodynamics (PD) of GSK961081 (MABA), a bi-functional molecule with muscarinic antagonist (MA) and beta_2 agonist (BA) properties. Nonlinear mixed effects modelling of plasma GSK961081 concentration-time data (Day28) and dose response of AM trough FEV_1 data (Day29) conducted using NONMEM. Data obtained from MAB115032 (Wielders et al. EurRespirJ 2012;40:Suppl.56,3081); a 4-week investigation of once and twice daily inhaled GSK961081. Simulations used to assess model predictive performance. The PK parameters for 2-compartment model determined with good precision (relative standard error (RSE<24%)). Mean values (95%CI) for clearance (CL/F), central volume (Vc/F), inter-compartment clearance (Q/F), peripheral volume (Vp/F) and absorption rate constant (Ka), respectively, were 944L/h (750,1188), 523L (337,829), 1408L/h (1035,1915), 21375L (12088,36316) and 0.411h^{-1} (0.313,0.535). No covariates were included in the PK model. The PD parameters for a maximum effect (Emax) dose response model were determined with reasonable precision (RSE<50%). Mean values (95%CI) of dose at 50% of maximal effect (ED50), Emax and placebo response (E0), respectively, were 152mcg (2.45,302), 0.293L (0.207,0.379) and 0.065L (0.023,0.11). Baseline FEV_1 included as covariate in PD model; no other covariates including dosing frequency included based on statistical testing. Model adequacy demonstrated by good predictive performance. The models described can be used as tools for guiding GSK961081 clinical development. There was no influence of dosing regimen on the PD model, suggesting once or twice daily dosing could be used.