Supplementary Fig. S1. Antitumor activity of twice-daily oral dosing of ASP3026 at 100 mg/kg in a NCI-H2228 xenograft model. Tumor volume (A) and body weight (B) were measured to assess antitumor activity. Each point represents the mean ± SEM (n=6). **: $P<0.01$ compared with the value of the control group on day 14 (Student's t-test). NS: not significant

Supplementary Fig. S2. Antitumor activities of ASP3026 in *hEML4-ALK* transgenic mice. *hEML4-ALK* transgenic mice were treated with once-daily oral administration of ASP3026 at the indicated doses for 11 days. The tumors were monitored by computed tomography scan.

Supplementary Fig. S3. Growth of H2228-luc cells directly inoculated into the pleural cavity of NOD/SCID mice. (A) The implanted cells were monitored using BLI of the chest area after luciferin injection. Each point represents the mean ± SEM (n=4-5). (B) Photographs overlayed with the imaging data at the indicated days. (C) Photographs overlayed with the imaging data from mice orally administered ASP3026 and crizotinib once daily for 23 days at the indicated doses.

Supplementary Fig. S4. Growth of H2228-luc cells in livers after inoculation into the portal vein of NOD/SCID mice. (A) The implanted cells were monitored using BLI of the abdominal area after luciferin injection. Each point represents the mean ± SEM (n=4). (B) Photographs overlayed with the imaging data at the indicated days. (C) Photographs overlayed with the imaging data from mice orally administered ASP3026 and crizotinib once daily for 19 days at the indicated doses.