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Title: The antiemetic effects of oral azasetron in lung cancer patients treated with moderately emetogenic chemotherapy: Comparison with intravenous granisetron

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Body: Background: Azasetron (AZA) and granisetron (GRN) were generally used as antiemetics during cancer chemotherapy. However, 5-HT3 receptor occupancy of these two drugs was quite different. The calculation based on pharmacokinetic information showed that AZA had a relatively higher 5-HT3 receptor occupancy (oral: 80%; intraveneous:85%) than GRN (oral: 57%; intraveneous:64%) at 24 h after treatment. In the present study, we conducted a randomized controlled noninferiority study comparing the antiemetic effects of oral AZA and intravenous GRN in patients receiving moderately emetogenic chemotherapy (MEC) for lung cancer. Methods: Patients with lung cancer who received MEC were randomly assigned to oral AZA (10mg) and intravenous GRN (3mg). The primary end point was complete antiemetic response (no emesis, no moderate to severe nausea, and no rescue treatment; CR) during acute (0-24 h) period. CR during delayed (24-120 h) period and hematological toxicities were also monitored. Results: CR during acute period was not different between oral AZA and intravenous GRN. There were also no significant differences in CR during delayed (24-120 h) period and the incidence of hematological toxicities between oral AZA and intravenous GRN. Conclusion: Oral AZA was shown to be noninferior to intravenous GRN in the antiemetic effect against MEC. Thus, the use of oral AZA would be cost-beneficial for cancer chemotherapy.