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Palonosetron

A Viewpoint by Giuseppe Tonini

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Palonosetron is a potent and selective second-generation serotonin 5-HT_3 receptor antagonist with a strong affinity for the target. It has demonstrated efficacy in the prevention of chemotherapy-induced nausea and vomiting (CINV). Palonosetron has a significantly longer duration of action than other agents in its class, with a prolonged plasma elimination half-life (40 hours vs 4 hours [ondansetron], 7.3 hours [tropisetron], 7.5 hours [dolasetron], 8.9 hours [granisetron] and 9 hours [azasetron]).

Palonosetron has been shown to have a 100-fold stronger binding affinity for the 5-HT_3 receptor compared with other agents used for the prevention of CINV.

After intravenous administration, palonosetron follows a biexponential pharmacokinetic profile, as indicated by an initial rapid distribution phase followed by slower elimination. Approximately 50% of the dose is metabolised in the liver. There are no differences in terms of pharmacokinetic profile between patients aged ≥65 years and younger patients (aged <65 years).

The optimal dose of palonosetron is 0.25mg, administered intravenously as a bolus over 30 seconds, 30 minutes before the start of chemotherapy.

Palonosetron was shown to be superior to ondansetron in preventing both acute and delayed CINV after administration of moderately emetogenic agents. Moreover, palonosetron was similar to dolasetron in preventing acute emesis, and superior in preventing delayed emesis after moderately emetogenic chemotherapy.

After administration of highly emetogenic chemotherapy, palonosetron showed similar efficacy in preventing acute emesis and superiority in preventing delayed emesis, when compared with ondansetron.

Palonosetron has a good tolerability profile, with no statistical differences in the incidence of adverse events, such as headache, constipation, diarrhoea and fatigue, when compared with the other 5-HT_3 receptor antagonists.

In conclusion, palonosetron is highly effective and safe drug for the prevention of CINV, and indeed it may be considered as a good salvage therapy in patients resistant to the other antiemetics. ▲