Relugolix: Adis Evaluation

Clinical Considerations

- **The first approved oral GnRH receptor antagonist; administered once daily**
- **Provides rapid and sustained testosterone suppression**
- **Most adverse events (e.g. hot flush) are consistent with testosterone suppression**
- **Based on a descriptive analysis without formal adjudication, has a reduced risk of major adverse cardiac events compared with leuprolide**

Plain Language Summary

Background and rationale
- Androgen deprivation therapy (ADT), a key component of prostate cancer treatment, reduces testosterone production to slow disease progression.
- Relugolix (Orgovyx®), from a class of drugs known as gonadotropin-releasing hormone (GnRH) receptor antagonists, is approved for the treatment of advanced prostate cancer.
- Whereas some ADT agents (i.e. GnRH agonists) produce an initial surge in testosterone levels (with the potential to cause a flare in disease), GnRH receptor antagonists, of which relugolix is the first available as an oral medication, provide rapid testosterone suppression with no initial surge.

Clinical findings
- In a key clinical trial in men with advanced prostate cancer, once-daily relugolix provided sustained castration in > 90% of patients, with a sustained castration rate that was non-inferior to that of a comparator agent (leuprolide) administered by intramuscular injection every 3 months.
- Relugolix was generally well tolerated and may be associated with a lower risk of major adverse cardiac events than leuprolide.

Conclusion
Providing rapid and sustained testosterone suppression, combined with the benefits of oral administration and potentially improved cardiac safety, relugolix presents a valuable treatment option for ADT in men with advanced prostate cancer.