

Anti-viral drug



TAJ PHARMACEUTICALS LIMITED

Oseltamivir Phosphate

Formula C₁₆H₂₈N₂O₄.H₃PO₄Cas No. **204255-11-8**

PRODUCT CODE- OSTPHTJ242



Oseltamivir Phosphate CAS No.: 204255-11-8

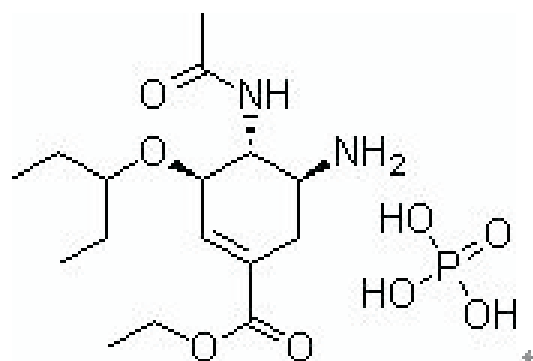
Oseltamivir Phosphate CAS No.: 204255-11-8

Product name: Oseltamivir phosphate
 Chemical name: Ethyl(3R,4R,5S)-4-acetamido-5-amino-3-pentan-3-yloxy-cyclohexene-1-carboxylate phosphate
 CAS No.: 204255-11-8
 Molecular formula: C₁₆H₂₈N₂O₄.H₃PO₄
 Assay: 97%min

Product Description

CAS No.: 204255-11-8

Appearance: White to off-white crystalline powder
 Purity(HPLC): 99%min
 Melting Point 201-203degree
 Optical Rotation: -34.0- -38.0degree
 Moisture: 0.30%max
 Heavy metals: 20ppm max
 Residue on ignition: 0.1%max
 Impurity Ro-1637: 0.03%max
 Any other individual impurity: 0.50%max
 Total impurities: 1.0%max
 Productivity: 500kg/month



Mode of action

Oseltamivir is a neuraminidase inhibitor, serving as a competitive inhibitor towards sialic acid, found on the surface proteins of normal host cells. By blocking the activity of the neuraminidase, Oseltamivir prevents new viral particles from being released by infected cells.

Resistance

As with other antivirals, resistance to the agent was expected with widespread use of oseltamivir, though the emergence of resistant viruses was expected to be less frequent than with amantadine or rimantadine. The resistance rate reported during clinical trials up to July 2004 was 0.33% in adults, 4.0% in children, and 1.26% overall. Mutations conferring resistance are single amino acid residue substitutions in the neuraminidase enzyme.
 H3N2

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Mutant H3N2 influenza A virus isolates resistant to oseltamivir were found in 18% of a group of 50 Japanese children treated with oseltamivir. This rate was similar to another study where resistant isolates of H1N1 influenza virus were found in 16.3% of another cohort of Japanese children. Several explanations were proposed by the authors of the studies for the higher-than-expected resistance rate detected. First, children typically have a longer infection period, giving a longer time for resistance to develop. Second, Kiso et al. claim to have used more rigorous detection techniques than previous studies.

H5N1 avian influenza

High-level resistance has been detected in one girl suffering from H5N1 avian influenza in Vietnam. She was being treated with oseltamivir at time of detection. de Jong et al. (2005) describe resistance development in two more Vietnamese patients suffering from H5N1, and compare their cases with six others. They suggest that the emergence of a resistant strain may be associated with a patient's clinical deterioration. They also note that the recommended dosage of oseltamivir does not always completely suppress viral replication, a situation that could favor the emergence of resistant strains. Moscona (2005) gives a good overview of the resistance issue, and says that personal stockpiles of Tamiflu could lead to under-dosage and thus the emergence of resistant strains of H5N1.

Resistance is of concern in the scenario of an influenza pandemic (Wong and Yuen 2005), and may be more likely to develop in avian influenza than seasonal influenza due to the potentially longer duration of infection by novel viruses. Kiso et al. suggest that "a higher prevalence of resistant viruses should be expected" during a pandemic.

Note: Veterinary use

There have been anecdotal reports of oseltamivir reducing disease severity and hospitalization time in canine parvovirus infection. The drug may limit the ability of the virus to invade the crypt cells of the small intestine and decrease gastrointestinal bacteria colonization and toxin production.

This document plus the full buyer / prescribing information, prepared for health professionals can be found at:

<http://www.tajapi.com>

or by contacting the sponsor, Taj Pharmaceuticals Limited., at:

91 022 30601000.

This leaflet was prepared by
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