Web: www.taiclone.com
Tel: +886-2-2735-9682
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Oseltamivir (acid)

Catalog No: tcsc0553

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
187227-45-8

Formula:
$C_{14}H_{24}N_2O_4$

Pathway:
Anti-infection;Metabolic Enzyme/Protease

Target:
Influenza Virus;Drug Metabolite

Purity / Grade:
>98%

Solubility:
H2O : ≥ 56 mg/mL (196.94 mM)

Alternative Names:
GS 4071;Ro 64-0802;oseltamivir carboxylate

Observed Molecular Weight:
284.35

Product Description

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GS 4104, the ethyl ester prodrug of GS 4071, is an inhibitor of **influenza virus neuraminidase** with an **IC_{50}** of approximately 100 nM.

IC50 & Target: Influenza A and B[1]

In Vitro: Oseltamivir acid inhibits virus replication in vitro and in vivo. Influenza B and A/H1N1 viruses appeare to be sensitive to Oseltamivir (mean B IC_{50} value: 13 nM; mean H1N1 IC_{50} value: 1.34 nM), while A/H1N2 and A/H3N2 viruses are more sensitive to Oseltamivir (mean H3N2 IC_{50} value: 0.67 nM; mean H1N2 IC_{50} value: 0.9 nM)[1]. In neuraminidases inhibition assays with influenza A viruses, the median 50% inhibitory concentration (IC_{50}) of RWJ-270201 (approximately 0.34 nM) is comparable to that of Oseltamivir carboxylate (0.45 nM) For influenza B virus isolates, the IC_{50} of RWJ-270201 (1.36 nM) is comparable to that of Zanamivir (2.7 nM) and less than that of Oseltamivir carboxylate (8.5 nM)[2].

In Vivo: Oseltamivir (0.1, 1, or 10 mg/kg/day, twice daily by oral gavage) produces a dose-dependent antiviral effect against Vietnam/1203/04 (VN1203/04) virus. The 5-day regimen at 10 mg/kg/day protects 50% of mice; deaths in this treatment group are delayed and indicated the replication of residual virus after the completion of treatment. Eight-day regimens improved Oseltamivir efficacy, and dosages of 1 and 10 mg/kg/day significantly reduced virus titers in organs and provided 60% and 80% survival rates, respectively[3]. In the pharmacokinetic study, after the oral administration of 1,000 mg/kg Oseltamivir, peak plasma concentrations are reached at 2 h postdose for Oseltamivir and 8 h for Oseltamivir carboxylate (OC). Rats are exposed to Oseltamivir over the whole sampling interval and had a ~2.7-fold-higher rate of exposure to OC than Oseltamivir. In CSF, peak concentrations are reached at 2 h postdose for Oseltamivir and 6 h for OC. CSF/plasma exposure ratios ($AUC_{0\text{-}8\ h}$) are ~0.07 for Oseltamivir and 0.007 for OC. In perfused brain samples, peak concentrations are reached at 8 h postdose for Oseltamivir and 6 h for OC. Brain/plasma exposure ratios ($AUC_{0\text{-}8\ h}$) of ~0.12 for Oseltamivir and 0.01 for OC are recorded. Corresponding CSF/brain exposure ratios ranged between ~0.55 and 0.64 for both analytes. A further group of animals that received a single oral administration of Oseltamivir at a lower dose produced similar results[4].