# Fosnetupitant chloride monohydrochloride

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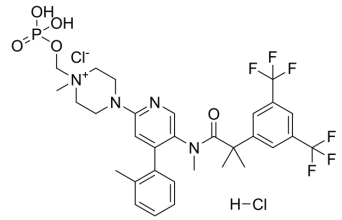
| **Cat. No.:** | HY-133206A |
| **CAS No.:** | 1643757-72-5 |
| **Molecular Formula:** | $C_{31}H_{37}Cl_2F_6N_4O_5P$ |
| **Molecular Weight:** | 761.52 |
| **Target:** | Neurokinin Receptor |
| **Pathway:** | GPCR/G Protein; Neuronal Signaling |
| **Storage:** | 4°C, sealed storage, away from moisture<br>* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture) |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 100 mg/mL (131.32 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 1.3132 mL | 6.5658 mL | 13.1316 mL |
| | 5 mM | 0.2626 mL | 1.3132 mL | 2.6263 mL |
| | 10 mM | 0.1313 mL | 0.6566 mL | 1.3132 mL |

Please refer to the solubility information to select the appropriate solvent.

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.5 mg/mL (3.28 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (3.28 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (3.28 mM); Clear solution; Need ultrasonic

## BIOLOGICAL ACTIVITY

**Description**

Fosnetupitant chloride monohydrochloride (Pronetupitant chloride monohydrochloride) is an NK1 antagonist with $pK_i$ values of 9.5, 6.1 for human NK1 and NK3 receptor, respectively. Fosnetupitant chloride monohydrochloride is a methylene phosphate prodrug of Netupitant[1].

| $IC_{50}$ & Target | hNK1 | hNK3 |
|---|---|---|
| | 9.5 (pKi) | 6.1 (pKi) |

**In Vitro**

Fosnetupitant chloride monohydrochloride displays a micromolar affinity for the 5-HT6 ($pK_i$ - 5.2) receptor and type L $Ca^{2+}$ channels ($pK_i$ - 5.7) and does not bind all the other proteins investigated[1].

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| | MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **In Vivo** | Fosnetupitant chloride monohydrochloride (i.v.) is converted in few minutes to Netupitant (HY-16346) invivo[1].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## REFERENCES

[1]. Ruzza C, et al. In vitro and in vivo pharmacological characterization of Pronetupitant, a prodrug of the neurokinin 1 receptor antagonist Netupitant. Peptides. 2015 Jul;69:26-32.



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