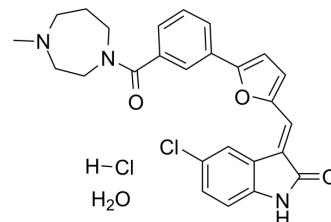


CX-6258 hydrochloride hydrate

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|---------------------------|--|
| Cat. No.: | HY-18095A |
| CAS No.: | 1353858-99-7 |
| Molecular Formula: | C ₂₆ H ₂₇ Cl ₂ N ₃ O ₄ |
| Molecular Weight: | 516.42 |
| Target: | Pim |
| Pathway: | JAK/STAT Signaling |
| Storage: | 4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture) |



SOLVENT & SOLUBILITY

| | | | | | | |
|---|--|----------------------|-------------|-------------|-------------|--------------|
| In Vitro | DMSO : 25 mg/mL (48.41 mM; Need ultrasonic) | | | | | |
| | H ₂ O : 7.14 mg/mL (13.83 mM; ultrasonic and warming and heat to 60°C) | | | | | |
| | Preparing Stock Solutions | Solvent | Mass | 1 mg | 5 mg | 10 mg |
| | | Concentration | | | | |
| | | 1 mM | | 1.9364 mL | 9.6820 mL | 19.3641 mL |
| 5 mM | | | 0.3873 mL | 1.9364 mL | 3.8728 mL | |
| | 10 mM | | 0.1936 mL | 0.9682 mL | 1.9364 mL | |
| Please refer to the solubility information to select the appropriate solvent. | | | | | | |
| In Vivo | 1. Add each solvent one by one: 20% HP-β-CD in saline Solubility: 20 mg/mL (38.73 mM); Suspended solution; Need ultrasonic and warming and heat to 48°C | | | | | |
| | 2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (4.84 mM); Clear solution | | | | | |
| | 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (4.84 mM); Clear solution | | | | | |

BIOLOGICAL ACTIVITY

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|-------------------------------------|--|
| Description | CX-6258 hydrochloride hydrate is a potent and kinase selective pan-Pim kinases inhibitor, with IC ₅₀ s of 5 nM, 25 nM and 16 nM for Pim-1, Pim-2 and Pim-3, respectively ^[1] . |
| IC₅₀ & Target | IC ₅₀ : 5 nM (Pim-1), 25 nM (Pim-2), 16 nM (Pim-3) ^[1] |
| In Vitro | CX-6258 causes dose dependent inhibition of the phosphorylation of two pro-survival proteins, Bad and 4E-BP1, at the Pim kinase specific sites S112 and S65 and T37/46, respectively ^[1] . CX-6258 treatment (12 mM, 3 h) treatment diminishes steady-state levels of ectopic NKX3.1 in PC3 cells ^[2] . |

CX-6258 treatment results in a significant reduction in NKX3.1 half-life^[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Western Blot Analysis^[1]

| | |
|------------------|---|
| Cell Line: | MV-4-11 human AML cells. |
| Concentration: | 0.1 μ M, 1 μ M, 10 μ M. |
| Incubation Time: | 2 hours. |
| Result: | Caused dose dependent inhibition of the phosphorylation of two pro-survival proteins, Bad and 4E-BP1, at the Pim kinase specific sites S112 and S65 and T37/46, respectively. |

In Vivo

CX-6258 (50-100 mg/kg; p.o; daily; over a period of 21 days) exhibits robust in vivo efficacy in two Pim kinases driven tumor models^[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

| | |
|-----------------|---|
| Animal Model: | Nude mice, MV-4-11 xenograft models ^[1] |
| Dosage: | 50 mg/kg, 100 mg/kg. |
| Administration: | Oral administration; once daily; over a period of 21 days. |
| Result: | Exhibited dose dependent efficacy, with a 50 mg/kg dose producing 45% tumor growth inhibition (TGI) and a 100 mg/kg dose producing 75% TGI. |

REFERENCES

[1]. Mustapha Haddach, Jerome Michaux, Michael K, Discovery of CX-6258. A Potent, Selective, and Orally Efficacious pan-Pim Kinases Inhibitor. ACS Med. Chem. Lett., 2012, 3 (2), pp 135-139

[2]. Padmanabhan A, Gosc EB, Bieberich CJ. Stabilization of the prostate-specific tumor suppressor NKX3.1 by the oncogenic protein kinase Pim-1 in prostate cancer cells. J Cell Biochem. 2013 May;114(5):1050-7.

Caution: Product has not been fully validated for medical applications. For research use only.

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