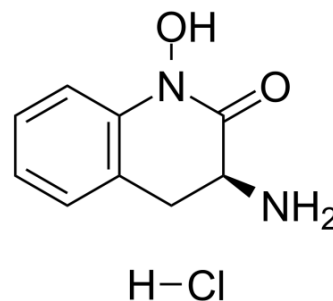


PF-04859989 hydrochloride

Cat. No.:	HY-116451
CAS No.:	177943-33-8
Molecular Formula:	C ₉ H ₁₁ ClN ₂ O ₂
Molecular Weight:	214.65
Target:	Others
Pathway:	Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	PF-04859989 hydrochloride is a brain-penetrant, irreversible kynurenine aminotransferase (KAT) II inhibitor with IC ₅₀ s of 23 and 263 nM for hKAT II and rKAT II. PF-04859989 hydrochloride is selective for KAT II over human KAT I, KAT III, and KAT IV (IC ₅₀ s of 22, 11, and >50 μM, respectively) ^[1] .								
In Vivo	<p>In vivo pharmacokinetic and efficacy studies in rat show that PF-04859989 is a brain-penetrant, irreversible inhibitor and is capable of reducing brain kynurenic acid by 50% at a dose of 10 mg/kg (sc)^[1].</p> <p>Rats receiving PF-04859989 (5 mg/kg; i.p.) exhibited a significantly lower number of spontaneously active DA neurons pertrack^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1" style="width: 100%; border-collapse: collapse;"> <tr> <td style="width: 30%;">Animal Model:</td> <td>Male Sprague-Dawley rats^[2]</td> </tr> <tr> <td>Dosage:</td> <td>5 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>I.p.</td> </tr> <tr> <td>Result:</td> <td>Exhibited a significantly lower number of spontaneously active dopamine (DA) neurons pertrack compared to controls.</td> </tr> </table>	Animal Model:	Male Sprague-Dawley rats ^[2]	Dosage:	5 mg/kg	Administration:	I.p.	Result:	Exhibited a significantly lower number of spontaneously active dopamine (DA) neurons pertrack compared to controls.
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Dosage:	5 mg/kg								
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Result:	Exhibited a significantly lower number of spontaneously active dopamine (DA) neurons pertrack compared to controls.								

REFERENCES

[1]. Dounay AB, et al. Discovery of Brain-Penetrant, Irreversible Kynurenine Aminotransferase II Inhibitors for Schizophrenia. ACS Med Chem Lett. 2012;3(3):187-192. Published 2012 Jan 25.

[2]. Linderholm KR, et al. Inhibition of kynurenine aminotransferase II reduces activity of midbrain dopamine neurons. Neuropharmacology. 2016;102:42-47.

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

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