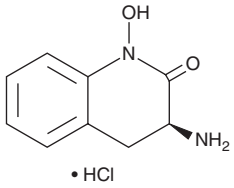
PRODUCT INFORMATION

PF-04859989 (hydrochloride)

Item No. 31383

CAS Registry No.: 177943-33-8
Formal Name: (3S)-3-amino-3,4-dihydro-1-hydroxy-2(1H)-quinolinone, monohydrochloride
MF: $C_9H_{10}N_2O_2 \bullet HCl$
FW: 214.7
Purity: ≥98%
Supplied as: A solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

PF-04859989 (hydrochloride) is supplied as a solid. A stock solution may be made by dissolving the PF-04859989 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. PF-04859989 (hydrochloride) is soluble in DMSO. It is also soluble in water. The solubility of PF-04859989 (hydrochloride) in DMSO and water is approximately 22 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

PF-04859989 is an inhibitor of kynurenine aminotransferase II (KAT II; IC_{50}s = 0.032 and 0.263 µM for the human and rat recombinant enzymes, respectively).[1] It is selective for KAT II over KAT I, -III, and -IV (IC_{50}s = 21.6, 107, and >50 µM, respectively). *In vivo*, PF-04859989 (10 mg/kg, s.c.) reduces basal striatum, prefrontal cortex, and hippocampus kynurenic acid levels in rats. It prevents ketamine- or amphetamine-induced disruption of auditory gating in rats, as well as prevents ketamine-induced deficits in spatial memory in non-human primates. PF-04859989 also inhibits glutamate oxaloacetate transaminase 1 (GOT1; IC_{50} = 8 µM) and reduces the viability of PaTu 8988t and PaTu 8902 pancreatic cancer cells in a concentration-dependent manner.[2]

References

1. Kozak, R., Campbell, B.M., Strick, C.A., *et al.* Reduction of brain kynurenic acid improves cognitive function. *J. Neurosci.* **34(32)**, 10592-10602 (2014).
2. Yoshida, T., Yamasaki, S., Kaneko, O., *et al.* A covalent small molecule inhibitor of glutamate-oxaloacetate transaminase 1 impairs pancreatic cancer growth. *Biochem. Biophys. Res. Commun.* **522(3)**, 633-638 (2020).

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