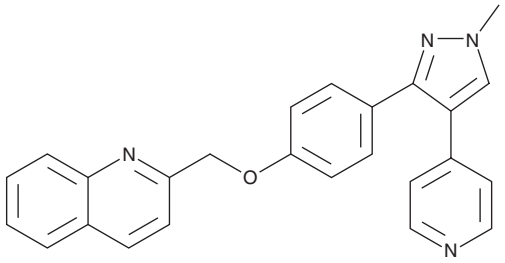
PRODUCT INFORMATION

PF-2545920

Item No. 18266

CAS Registry No.:	898562-94-2
Formal Name:	2-[[4-[1-methyl-4-(4-pyridinyl)-1H-pyrazol-3-yl]phenoxy]methyl]-quinoline
MF:	$C_{25}H_{20}N_4O$
FW:	392.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 233, 317 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



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

Laboratory Procedures

PF-2545920 is supplied as a crystalline solid. A stock solution may be made by dissolving the PF-2545920 in the solvent of choice. PF-2545920 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of PF-2545920 in these solvents is approximately 0.5, 15, and 30 mg/ml, respectively.

PF-2545920 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, PF-2545920 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. PF-2545920 has a solubility of approximately 0.05 mg/ml in a 1:20 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

PF-2545920 is a potent inhibitor of phosphodiesterase 10A (PDE10A; IC_{50} = 1.26 nM for the human recombinant enzyme).[1] It is selective for PDE10A over other PDEs with IC_{50} values ranging from 1.7 to >10 µM. *In vivo*, PF-2545920 (0.1-3 mg/kg, i.p.) increases cAMP and cGMP production as well as phosphorylation of CREB and GluR1 in mouse striatum in a dose-dependent manner. It reduces climbing behavior induced by apomorphine (Item No. 16094) in mice (ID_{50} = 0.375 mg/kg) and disrupts the conditioned avoidance response in mice and rats (ID_{50}s = 0.441 and 1.079 mg/kg, respectively). PF-2545920 reverses deficits in prepulse inhibition and social odor recognition induced by (+)-MK-801 (Item No. 10009019) in rats.

Reference

1. Grauer, S.M., Pulito, V.L., Navarra, R.L., *et al.* Phosphodiesterase 10A inhibitor activity in preclinical models of the positive, cognitive, and negative symptoms of schizophrenia. *J. Pharmacol. Exp. Ther.* **331(2)**, 574-590 (2009).

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