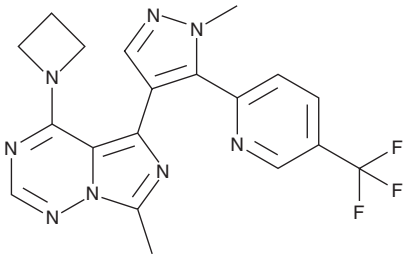
PRODUCT INFORMATION

PF-05180999

Item No. 30374

CAS Registry No.:	1394033-54-5
Formal Name:	4-(1-azetidinyl)-7-methyl-5-[1-methyl-5-[5-(trifluoromethyl)-2-pyridinyl]-1H-pyrazol-4-yl]-imidazo[5,1-f][1,2,4]triazine
MF:	$C_{19}H_{17}F_3N_8$
FW:	414.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 258 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-05180999 is supplied as a crystalline solid. A stock solution may be made by dissolving the PF-05180999 in the solvent of choice, which should be purged with an inert gas. PF-05180999 is soluble in the organic solvent DMSO at a concentration of approximately 10 mM.

Description

PF-05180999 is a phosphodiesterase 2A (PDE2A) inhibitor (IC_{50} = 1.6 nM).[1] It is greater than 2000-fold selective for PDE2A over a panel of ten additional PDEs. PF-05180999 increases striatal, cortical, and hippocampal cGMP levels in mice in a dose-dependent manner. *In vivo*, it inhibits disruption of low frequency cortical δ oscillation induced by the NMDA antagonist MK-801 in rat brain when administered at a dose of 0.03 mg/kg. PF-05180999 (0.1 and 0.32 mg/kg) inhibits ketamine-induced increases in the number of working memory errors in a radial arm maze task in rats.

Reference

1. Helal, C.J., Arnold, E., Boyden, T., *et al.* Identification of a potent, highly selective, and brain penetrant phosphodiesterase 2A inhibitor clinical candidate. *J. Med. Chem.* **61(3)**, 1001-1018 (2018).

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