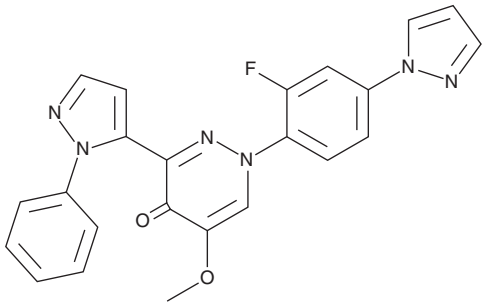
PRODUCT INFORMATION

TAK-063

Item No. 22208

CAS Registry No.:	1238697-26-1
Formal Name:	1-[2-fluoro-4-(1H-pyrazol-1-yl)phenyl]-5-methoxy-3-(1-phenyl-1H-pyrazol-5-yl)-4(1H)-pyridazinone
MF:	$C_{23}H_{17}FN_6O_2$
FW:	428.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 247, 272, 338 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

TAK-063 is supplied as a crystalline solid. A stock solution may be made by dissolving the TAK-063 in the solvent of choice. TAK-063 is soluble in organic solvents such as ethanol and DMSO, which should be purged with an inert gas. The solubility of TAK-063 in these solvents is approximately 1 mg/ml.

Description

TAK-063 is a potent inhibitor of phosphodiesterase 10A (PDE10A; IC_{50} = 0.3 nM).[1] It is >15,000-fold selective for PDE10A over other PDEs and exhibits <50% inhibition at 91 receptors, ion channels, and enzymes at a concentration of 10 µM. TAK-063 (0.3 mg/kg) increases cAMP and cGMP levels by 1.3- and 2.14-fold, respectively, in the striatum of mice. It reverses hyperlocomotion induced by PCP (Item Nos. 14276 | ISO60194) with a minimum effective dose (MED) of 0.3 mg/kg, p.o., in wild-type mice but has no effect in PDE10A knockout mice at doses up to 1 mg/kg. TAK-063 also reverses hyperlocomotion induced by (+)-MK-801 (Item No. 10009019) (MED = 0.1 mg/kg, p.o.) but has no effect on plasma prolactin or glucose levels in rats.[2]

References

1. Kunitomo, J., Yoshikawa, M., Fushimi, M., *et al.* Discovery of 1-[2-fluoro-4-(1*H*-pyrazol-1-yl)phenyl]-5-methoxy-3-(1-phenyl-1*H*-pyrazol-5-yl)pyridazin-4(1*H*)-one (TAK-063), a highly potent, selective, and orally active phosphodiesterase 10A (PDE10A) inhibitor. *J. Med. Chem.* **57(22)**, 9627-9643 (2014).
2. Suzuki, K., Harada, A., Shiraishi, E., *et al.* In vivo pharmacological characterization of TAK-063, a potent and selective phosphodiesterase 10A inhibitor with antipsychotic-like activity in rodents. *J. Pharmacol. Exp. Ther.* **352(3)**, 471-479 (2015).

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