

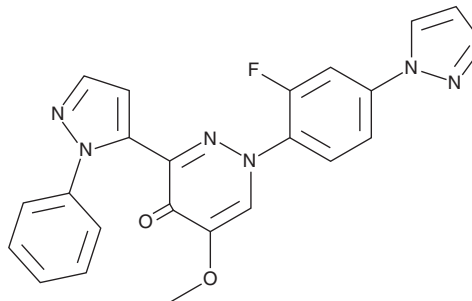
# PRODUCT INFORMATION



## 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<sub>23</sub>H<sub>17</sub>FN<sub>6</sub>O<sub>2</sub>  
**FW:** 428.4  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 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<sub>50</sub> = 0.3 nM).<sup>1</sup> 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.<sup>2</sup>

### References

1. Kunitomo, J., Yoshikawa, M., Fushimi, M., *et al.* Discovery of 1-[2-fluoro-4-(1H-pyrazol-1-yl)phenyl]-5-methoxy-3-(1-phenyl-1H-pyrazol-5-yl)pyridazin-4(1H)-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).

#### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

#### WARRANTY AND LIMITATION OF REMEDY

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#### CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD  
ANN ARBOR, MI 48108 · USA

**PHONE:** [800] 364-9897

[734] 971-3335

**FAX:** [734] 971-3640

CUSTSERV@CAYMANCHEM.COM  
WWW.CAYMANCHEM.COM