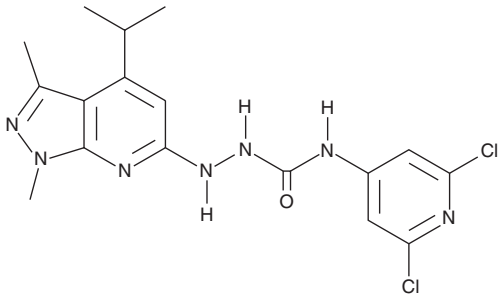
PRODUCT INFORMATION

JTE-013

Item No. 10009458

CAS Registry No.: 383150-41-2
Formal Name: N-(2,6-dichloro-4-pyridinyl)-2-[1,3-dimethyl-4-(1-methylethyl)-1H-pyrazolo[3,4-b]pyridin-6-yl]-hydrazinecarboxamide
MF: $C_{17}H_{19}Cl_2N_7O$
FW: 408.3
Purity: ≥98%
UV/Vis.: λ_{max}: 216, 248, 304 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

JTE-013 is supplied as a crystalline solid. A stock solution may be made by dissolving the JTE-013 in the solvent of choice, which should be purged with an inert gas. JTE-013 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of JTE-013 in ethanol is approximately 30 mg/ml and approximately 20 mg/ml in DMSO and DMF.

JTE-013 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, JTE-013 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. JTE-013 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

JTE-013 is a sphingosine-1-phosphate receptor 2 ($S1P_2$) and $S1P_4$ antagonist (IC_{50}s = 17 and 237 nM, respectively).[1] It is selective for $S1P_2$ over $S1P_1$ and $S1P_3$ (IC_{50}s = >10 µM for both).[1,2] JTE-013 (1 and 10 µM) reverses S1P-induced inhibition of human umbilical vein endothelial cell (HUVEC) and smooth muscle cell (SMC) migration.[2] It also reverses S1P-induced inhibition of B16 murine melanoma cell migration.[3] *In vivo*, JTE-013 (30 mg/kg) reduces lung injury, endothelial dysfunction, and pulmonary edema in a rat model of cecal ligation and puncture-induced sepsis.[4] JTE-013 also inhibits sphingolipid delta(4)-desaturase (DES1; IC_{50} = 16.8 µM), an effect that is not rescued by the S1P2 agonist CYM5520, as well as sphingosine kinase 1 (SK1) and SK2 (IC_{50}s = 25.1 and 4.3 µM, respectively).[1]

References

1. Pitman, M., Lewis, A.C., Davies, L.T., *et al.* The sphingosine 1-phosphate receptor 2/4 antagonist JTE-013 elicits off-target effects on sphingolipid metabolism. *Sci. Rep.* **12(1)**, 454 (2022).
2. Osada, M., Yatomi, Y., Ohmori, T., *et al.* Enhancement of sphingosine 1-phosphate-induced migration of vascular endothelial cells and smooth muscle cells by an EDG-5 antagonist. *Biochem. Biophys. Res. Commun.* **299(3)**, 483-487 (2002).
3. Arikawa, K., Takuwa, N., Yamaguchi, H., *et al.* Ligand-dependent inhibition of B16 melanoma cell migration and invasion via endogenous $S1P_2$ G protein-coupled receptor. Requirement of inhibition of cellular rac activity. *J. Biol. Chem.* **278(35)**, 32841-32851 (2003).
4. Xu, Q., Chen, J., Zhu, Y., *et al.* JTE-013 alleviates inflammatory injury and endothelial dysfunction induced by sepsis *in vivo* and *in vitro*. *J. Surg. Res.* **265**, 323-332 (2021).

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