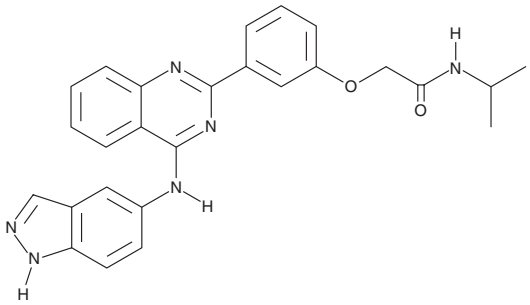
PRODUCT INFORMATION

KD 025

Item No. 17055

CAS Registry No.: 911417-87-3
Formal Name: 2-[3-[4-(1H-indazol-5-ylamino)-2-quinazolinyl] phenoxy]-N-(1-methylethyl)-acetamide
Synonyms: Belumosudil, SLx-2119
MF: $C_{26}H_{24}N_6O_2$
FW: 452.5
Purity: ≥98%
UV/Vis.: λ_{max}: 248, 304, 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

KD 025 is supplied as a crystalline solid. A stock solution may be made by dissolving the KD 025 in the solvent of choice, which should be purged with an inert gas. KD 025 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of KD 025 in these solvents is approximately 25 and 30 mg/ml, respectively.

KD 025 is sparingly soluble in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Description

KD 025 is an inhibitor of Rho-associated kinase 2 (ROCK2; IC_{50} = 0.105 µM).[1] It is selective for ROCK2 over ROCK1 (IC_{50} = 24 µM). KD 025 (10 µM) decreases the expression of connective tissue growth factor (*CTGF*) and induces remodeling of the actin cytoskeleton in isolated human ileal fibrotic smooth muscle cells. It inhibits heat-killed *C. albicans*- or *S. epidermidis*-induced production of IL-17 in isolated human peripheral blood mononuclear cells (PBMCs) when used at concentrations ranging from 1.25 to 10 µM.[2] KD 025 (100 and 200 mg/kg) reduces infarct volume in a mouse model of cerebral ischemia induced by transient middle cerebral artery occlusion (MCAO).[4] It decreases disease severity in a mouse model of sclerodermatous chronic graft *versus* host disease (GVHD) when administered at a dose of 150 mg/kg.[3] Formulations containing KD 025 have been used in the treatment of chronic GVHD.

References

1. Boerma, M., Fu, Q., Wang, J., *et al*. Comparative gene expression profiling in three primary human cell lines after treatment with a novel inhibitor of Rho kinase or atorvastatin. *Blood Coagul. Fibrinolysis* **19(7)**, 709-718 (2008).
2. Tengesdal, I.W., Kitzenberg, D., Li, S., *et al*. The selective ROCK2 inhibitor KD025 reduces IL-17 secretion in human peripheral blood mononuclear cells independent of IL-1 and IL-6. *Eur. J. Immunol*. **48(10)**, 1679-1686 (2018).
3. Flynn, R., Paz, K., Du, J., *et al*. Targeted Rho-associated kinase 2 inhibition suppresses murine and human chronic GVHD through a Stat3-dependent mechanism. *Blood* **127(17)**, 2144-2154 (2016).
4. Wang, H., Zhang, D., Ge, M., *et al*. Formononetin inhibits enterovirus 71 replication by regulating COX-2/PGE_2 expression. *Virol. J.* **12**, 35 (2015).

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