



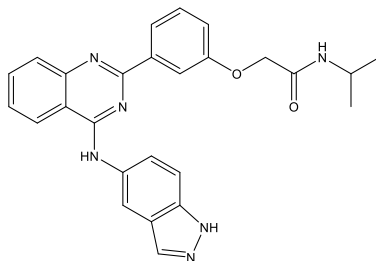
Catalog # 10-4165

Belumosudil

CAS# 911417-87-3

2-[3-[4-(1H-Indazol-5-yl)amino]quinazolin-2-yl]phenoxy]-N-propan-2-ylacetamide; KD025; SLx-2119

Lot # FBS2169



Belumosudil is a selective inhibitor of Rho kinase 2 (ROCK2) – IC₅₀'s: ROCK2 = 105 nM, ROCK1 = 24 μ M in enzyme assays.¹ It downregulated the ability of T cells to secrete IL-21 and IL-17, but not IFN- γ , in response to T cell stimulation *in vitro* via a STAT3-dependent mechanism.² Belumosudil ameliorated graft-versus-host disease in multiple models^{3,4} and was recently approved by the FDA for the treatment of GVHD (July 2021). It has also been shown to suppress adipogenesis.⁵⁻⁷ Suppression of adipocyte differentiation is mediated via casein kinase 2 inhibition (IC₅₀ = 50 nM) and not ROCK2 inhibition.⁸

- 1) Boerma *et al.* (2008) *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** 709
- 2) Zanin-Zhorov *et al.* (2014) *Selective oral ROCK2 inhibitor down-regulates IL-21 and IL-17 secretion in human T cells via STAT3-dependent mechanism*; Proc. Natl. Acad. Sci. USA **111** 16814
- 3) Flynn *et al.* (2016) *Targeted Rho-associated kinase 2 inhibition suppresses murine and human chronic GVHD through a Stat3-dependent mechanism*; Blood. **127** 2144
- 4) Jagasia *et al.* (2021) *ROCK2 Inhibition with Belumosudil (KD025) for the Treatment of Chronic Graft-Versus-Host Disease*; J. Clin. Invest. **39** 1888
- 5) Diep *et al.* (2018) *Anti-adipogenic effects of KD025 (SLx-2119), a ROCK2-specific inhibitor, in 3T3-L1 cells*; Sci. Rep. **8** 2477
- 6) Diep *et al.* (2019) *KD025 (SLx-2119) suppresses adipogenesis at intermediate stage in human adipose-derived stem cells*; Adipocyte **9** 114
- 7) Wei *et al.* (2020) *ROCK2 inhibition enhances the thermogenic program in white and brown fat tissue in mice*; FASEB J. **34** 474
- 8) Tran and Chun (2021) *ROCK2-Specific Inhibitor KD025 Suppresses Adipocyte Differentiation by Inhibiting Casein Kinase 2*; Molecules **26** 4747

PHYSICAL DATA

Molecular Weight:	452.52
Molecular Formula:	C ₂₆ H ₂₄ N ₆ O ₂
Purity:	98% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (25 mg/ml)
Physical Description:	White solid
Storage and Stability:	Store as supplied at -20°C for up to 1 year from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 1 month.

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