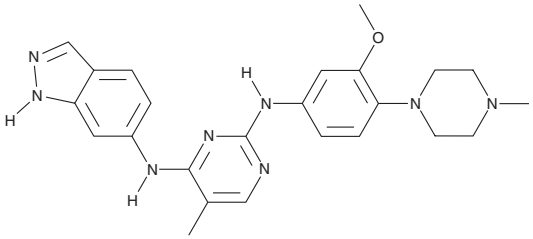
PRODUCT INFORMATION

CYY292

Item No. 39831

CAS Registry No.:	2644673-04-9
Formal Name:	N^4-1H-indazol-6-yl-N^2-[3-methoxy-4-(4-methyl-1-piperazinyl)phenyl]-5-methyl-2,4-pyrimidinediamine
MF:	$C_{24}H_{28}N_8O$
FW:	444.5
Purity:	≥98%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥3 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

CYY292 is supplied as a solid. A stock solution may be made by dissolving the CYY292 in the solvent of choice, which should be purged with an inert gas. CYY292 is slightly soluble in ethanol and methanol.

Description

CYY292 is an inhibitor of PDGFRα, PDGFRβ, FGFR1, -2, and -3, (IC_{50}s = 5.35, 4.6, 28, 28, and 78 nM, respectively).[1,2] It is selective for these kinases over FGFR4 (IC_{50} = >1,000 nM) but also inhibits c-Kit, VEGFR2, VEGFR1, and insulin-like growth factor 1 receptor (IGF-1R; IC_{50}s = 67, 33, 36, and 75 nM, respectively), as well as EGFR, Bruton's tyrosine kinase (BTK), cyclin-dependent kinase 4 (Cdk4)/cyclin D3, and MET (IC_{50}s = 128, 198, 214, and 396 nM, respectively).[1] CYY292 inhibits the proliferation of MG-63, U2OS, MNNG/HOS, and Saos-2 osteosarcoma cells (IC_{50}s = 0.84, 0.76, 1.36, and 0.72 µM, respectively).[2] It inhibits the migration and invasion of U87MG and LN-229 glioblastoma cells when used at concentrations of 0.3 and 0.5 µM.[1] CYY292 (30 mg/kg) decreases tumor volume and increases survival in a U87MG orthotopic mouse xenograft model.

References

1. Bi, Y., Zheng, R., Hu, J., *et al.* A novel FGFR1 inhibitor CYY292 suppresses tumor progression, invasion, and metastasis of glioblastoma by inhibiting the Akt/GSK3b/ snail signaling axis. *Genes Dis.* **11(1)**, 479-494 (2023).
2. Chen, X., Liu, L., Liu, P., *et al.* Discovery of potent and orally bioavailable platelet-derived growth factor receptor (PDGFR) inhibitors for the treatment of osteosarcoma. *J. Med. Chem.* **65(7)**, 5374-5391 (2022).

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