Product Datasheet

LY-3200882

Catalog No. **BP-02502** · CAS **1898283-02-7** · Form **free base** · Physical state **solid** · Color **Off-white to light yellow** · Version **1.0** · Revision **2026-09-10**

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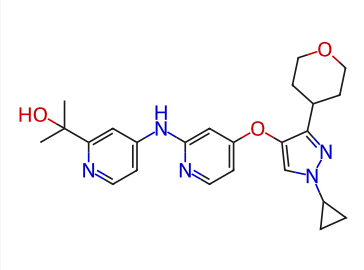
Target	Research area
TGF-β Receptor	**Inflammation/Immunology; Cancer**

Molecular formula	$C_{24}H_{29}N_5O_3$
Molecular weight	**435.5188**

STRUCTURE



DESCRIPTION

LY3200882 is a potent, highly selective, ATP-competitive and orally active TGF-β receptor type 1 (ALK5) inhibitor with an IC50 of 38.2 nM. LY3200882 inhibits various pro-tumorigenic activities and is also used as an immune modulatory agent .

BIOLOGICAL ACTIVITY

LY3200882 is a next generation small molecule inhibitor of TGF-β receptor type 1 (TGFβRI). It is an ATP competitive inhibitor of the serine-threonine kinase domain of TGFβRI. LY3200882 potently inhibits TGFβ mediated SMAD phosphorylation in vitro in tumor and immune cells and in vivo in subcutaneous tumors in a dose dependent fashion.

In preclinical tumor models, LY3200882 showed potent anti-tumor activity in the orthotopic 4T1-LP model of triple negative breast cancer and this activity correlated with enhanced tumor infiltrating lymphocytes in the tumor microenvironment. Durable tumor regressions in the orthotopic 4T1-LP model were observed and rechallenge of congenic tumors resulted in complete rejection in all mice.

In in vitro immune suppression assays, LY3200882 has shown the ability to rescue TGFβ1 suppressed or T regulatory cell suppressed naïve T cell activity and restore proliferation. Therefore, LY3200882 shows promising activity as an immune modulatory agent. In addition, LY3200882 has shown anti-metastatic activity in vitro in migration assays as well as in vivo in an experimental metastasis tumor model (intravenous EMT6-LM2 model of triple negative breast cancer).

Finally, LY3200882 shows combinatorial anti-tumor benefits with checkpoint inhibition (anti-PD-L1) in the syngeneic CT26 model.

SOLUBILITY

Soluble in DMSO

In vitro	DMSO : 33.33 mg/mL

REFERENCES

[1]. Huaxing Pei, et al. Abstract 955: LY3200882, a novel, highly selective TGFβRI small molecule inhibitor. AACR; Cancer Res 2017;77(13 Suppl):Abstract nr 955.

[2]. Xu G, et al. Synthesis and biological evaluation of 4-(pyridin-4-oxy)-3-(3,3-difluorocyclobutyl)-pyrazole derivatives as novel potent transforming growth factor-β type 1 receptor inhibitors. Eur J Med Chem. 2020 Apr 29;198:112354.

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