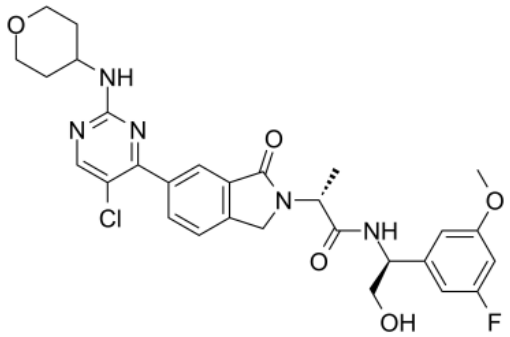
Product Data Sheet

Product Name: ASTX029 (ERK1/2 inhibitor 2)
Cat. No.: GC60812

Chemical Properties

Cas. No.	2095719-92-7		
SMILES	ClC(C(C1=CC2=C(CN([C@H](C)C(N[C@H](CO)C3=CC(F)=CC(OC)=C3)=O)C2=O)C=C1)=N4)=CN=C4NC5CCOCC5		
Formula	$C_{29}H_{31}ClFN_5O_5$	M.Wt	584.04
Solubility	DMSO: 250 mg/mL (428.05 mM)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Protocol

Cell experiment [1]:

Cell lines	Primary microglia cells

Product Data Sheet

Preparation Method	Primary microglia cells were plated in 96-well plates at a density of 2000 cells per well and incubated in FBS-free medium for 12h prior to treatment. Treatments included ASTX029 at concentrations of 0.1, 0.5, 1, 5nM. Collect the cell culture supernatant for ELISA testing.
Reaction Conditions	0.1-5nM; 12h
Applications	ASTX029 significantly inhibited the secretion of IL-1β, IL-6 and TNF-α in primary microglial cells.

Animal experiment [1]:

Animal models	PD-L1 KO mice with a C57BL/6 background
Preparation Method	Mice were anesthetized by intraperitoneal injection of 1% pentobarbital sodium (40mg kg^{-1}). Pupil dilation was achieved using 0.5% tropicamide eye drops. A diode laser system, designed in a slit-lamp style, employing a green laser at 532nm with a power of 100mW, a duration of 100ms, and a spot size of 100μm, was utilized. For intravitreal delivery, mice were anesthetized, and a 34-gauge needle was inserted into the vitreous space approximately 1.5mm below the limbus, and 1μL ASTX029 was administered bilaterally with a Nanofil syringe. The fundus photography and fluorescein fundus angiography (FFA) examination were conducted at 7 days post-laser photocoagulation.
Dosage form	50μM; 1μl; Intravitreal injection
Applications	ASTX029 significantly reduced the lesion area of the retina in mice with laser-induced choroidal neovascularization (CNV).

Product Data Sheet

References:
[1] Zou Y, Jiang J, Li Y, et al. Immune Checkpoint PD?L1 Modulates Retinal Microglial Activation to Alleviate Vascular Leakage in Choroidal Neovascularization via ERK[J]. Advanced Science, 2025: 2400747.

Background

ASTX029 (ERK1/2 inhibitor 2) is a highly effective and selective orally bioavailable extracellular signal-regulated kinase (ERK) 1/2 inhibitor (with an IC_{50} of 2.7nM for ERK2) [1]. ERK1/2 is the terminal kinase in the MAPK pathway, and the activation of the ERK/MAPK pathway is very common in myeloid malignancies [2]. ASTX029 has anti-tumor activity and can be used for cancer treatment [3].

In vivo, ASTX029 (10 and 100nM; 0-72h) can induce cell cycle arrest at the G1 phase in A375 and HCT116 cells and induce apoptosis [4]. ASTX029 (0.1-5nM; 12h) significantly reduced the p-ERK level in primary microglial cells stimulated by lipopolysaccharide (LPS) and inhibited the secretion of IL-1β, IL-6 and TNF-α [5].

In vivo, ASTX029 (50μM; 1μl; intravitreal injection) significantly reduced the p-ERK level in the retina of laser-induced choroidal neovascularization (CNV) mice and reduced the lesion area of CNV [5]. ASTX029 (20-75mg/kg/day; oral) can significantly inhibit tumor growth in Colo205 (BRAFV600E-mutant colorectal cancer) tumor-bearing mice [4].

References:
[1] Munck, J., Berdini, V., Courtin, A., et al. The clinical candidate, ASTX029, is a novel, dual mechanism ERK1/2 inhibitor and has potent activity in MAPK-activated cancer cell lines and in vivo tumor models. Eur. J. Cancer 138(Suppl 2), S53 (2020)
[2] Sharma P, Piya S, Baran N, et al. P460: ERK1/2 inhibition overcomes ressitance to BCL2 inhibition in acute myeloid leukemia by impact on mitochondrial dynamics and function[J]. HemaSphere, 2023, 7(Suppl): e08176db.
[3] Hindley C J, Fazal L, Munck J M, et al. Anti-tumor activity of ASTX029, a dual mechanism inhibitor of ERK1/2, in preclinical AML models[J]. Blood, 2020, 136: 7-8.

Caution: Product has not been fully validated for medical applications. For research use only.
Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

[4] Munck JM, et al. ASTX029, a Novel Dual-mechanism ERK Inhibitor, Modulates Both the Phosphorylation and Catalytic Activity of ERK. Mol Cancer Ther. 2021 Oct;20(10):1757-1768.
[5] Zou Y, Jiang J, Li Y, et al. Immune Checkpoint PD-L1 Modulates Retinal Microglial Activation to Alleviate Vascular Leakage in Choroidal Neovascularization via ERK[J]. Advanced Science, 2025: 2400747.

Caution: Product has not been fully validated for medical applications. For research use only.
Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA