Product Data Sheet

Product Name: EEDi-5285
Cat. No.: GC67934

Chemical Properties

Cas. No.	2488952-40-3		
Formula	$C_{24}H_{22}FN_5O_3S$	M.Wt	479.53
Solubility	DMSO : 125 mg/mL (260.67 mM; Need ultrasonic)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	EEDi-5285		

Background

IC50: 0.2 nM (Embryonic ectoderm development (EED))[1]

EEDi-5285 is an exceptionally potent and orally active **embryonic ectoderm development (EED)** inhibitor with an **IC_{50}** value of 0.2 nM for binds to the EED protein. EEDi-5285 has anti-cancer activity[1].

EEDi-5285 inhibits cell growth with IC_{50} values of 20 pM and 0.5 nM in the Pfeiffer and KARPAS422 lymphoma cell lines, respectively, carrying an EZH2 mutation[1].

EEDi-5285 (compound 28; 50-100 mg/kg; oral gavage; daily; for 28 days; SCID mice) treatment achieves complete and durable tumor regression in the KARPAS422 xenograft model in mice with oral administration[1].
A single 100 mg/kg oral administration of EEDi-5285 (compound 28) effectively reduces the level of H3K27me3 at 24 h in KARPAS422 tumor tissue in mice[1].
EEDi-5285 (compound 28) achieves a C_{max} of 1.8 μM and an AUC of 6.0 h?μg/ml with 10 mg/kg oral administration and has an oral bioavailability (F) of 75%. EEDi-5285 has a moderate volume of distribution of 1.4 L/kg and a terminal $T_{1/2}$ of approximately 2 h[1].

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

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Animal Model:	SCID mice injected with KARPAS422 cells[1]
Dosage:	50 mg/kg, 100 mg/kg
Administration:	Oral gavage; daily; for 28 days
Result:	Showed highly efficacious and capable of achieving complete and long-lasting tumor regression in the KARPAS422 xenograft model in mice with oral administration.

[1]. Rohan Kalyan Rej, et al. EEDi-5285: An Exceptionally Potent, Efficacious, and Orally Active Small-Molecule Inhibitor of Embryonic Ectoderm Development. J Med Chem. 2020 Jul 9;63(13):7252-7267.

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