

Product Data Sheet

Product Name: Targefrin

Cat. No.: GC74367

Chemical Properties

Cas. No. 3031514-44-7

Formula $C_{85}H_{116}F_3N_{19}O_{15}$

M.Wt 1700.94

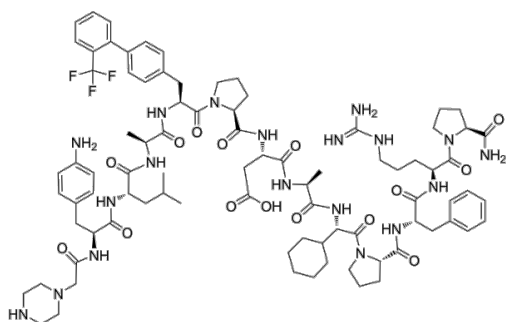
Solubility DMSO : 100 mg/mL (58.79 mM;
Need ultrasonic)

Storage Sealed storage, away from moisture

General For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the tips ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Evaluation sample solution : ship with blue ice All other available size: ship with RT , or Condition blue ice upon request.

Structure



Background

Targefrin is a potent EphA2-targeting agent, acts as an antagonist. Targefrin binds EphA2-LBD with 21 nM dissociation constant and an IC₅₀ value of 10.8 nM. Targefrin induces cellular receptor internalization and degradation in several pancreatic cancer cell lines.

Targefrin (0.025-10 μM; 20 min) effectively antagonizes EphA2 degradation in BxPC3 pancreatic cancer cells[1]. Targefrin (2-10 μM; 24 h) significantly inhibits pancreatic cancer cell BxPC3

Caution: Product has not been fully validated for medical applications. For research use only.

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migration[1].

Targefrin (50 mg/kg; i.v.; for 5 days) suppresses tumor growth when conjugated with Paclitaxel[1].

References:

[1]. Baggio C, Udompholkul P, Gambini L, Pellecchia M. Targefrin: A Potent Agent Targeting the Ligand Binding Domain of EphA2. J Med Chem. 2022 Nov 4.

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