For Research Use Only

RapaLink-1

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Catalog Number: CM05232

产品信息

Catalog Number:
CM05232

CAS号:
1887095-82-0

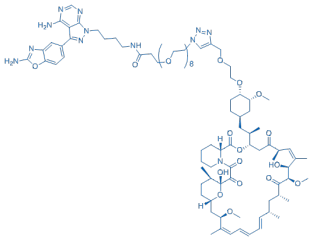
分子式:
$C_{91}H_{138}N_{12}O_{24}$

主要靶点:
mTOR

主要通路:
PI3K/Akt/mTOR

分子量:
1784.1355

MDL NO:
MFCD32633594



动物研究

剂量:
Mice: 1.5 mg/kg[1] (i.p.)

给药途径:
i.p.

描述

RapaLink-1 is the third-generation mTOR inhibitor which can overcome mTOR resistance mutations. It exploits the unique juxtaposition of two drug-binding pockets to create a bivalent interaction that allows inhibition of these resistant mutants, and reverses resistance due to mTOR FRB (resistant to Rapamycin) and kinase domain (resistant to AZD8055) mutations. RapaLink-1 is a potent mTOR inhibitor evidenced by suppression of downstream signaling, including p-AKT, p-p70S6K, p-S6 and p-4EBP1, in MCF-7 cells treated with RapaLink-1 at concentration>3nM post 4h. RapaLink-1 at low doses (3–10 nM) was the only drug regimen capable of inhibiting mTOR signalling in both F2108L mTOR- and M2327I mTOR-expressing cells. Administration of 1.5mg/kg RapaLink-1, i.p., weekly, significantly inhibited tumor growth in mice bearing RR1 or TKi-R xenograft tumors. RapaLink-1 crosses the blood-brain barrier. RapaLink-1 drove regression of intracranial brain cancers *in vivo*, improving survival compared with earlier-generation inhibitors, first-generation allosteric mTOR inhibitor rapamycin and second-generation TORKi.

储存

储存条件:

粉末	-20°C	3年
液体	-80°C	1年

运输条件:
Shipped in cold pack

参考文献

1 Rodrik-Outmezguine VS, Okaniwa M, et al. Overcoming mTOR resistance mutations with a new-generation mTOR inhibitor. Nature. 2016 Jun 9;534(7606):272-6.

2 Fan Q, Aksoy O, et al. A Kinase Inhibitor Targeted to mTORC1 Drives Regression in Glioblastoma. Cancer Cell. 2017 Mar 13;31(3):424-435.