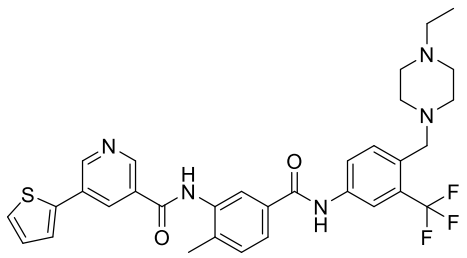
Product data sheet

MedKoo Cat#: 407852 Name: ALW-II-41-27 CAS#: 1186206-79-0 Chemical Formula: $C_{32}H_{32}F_3N_5O_2S$ Exact Mass: 607.2229 Molecular Weight: 607.69	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

ALW-II-41-27 is a Eph receptor tyrosine kinase inhibitor. pharmacologic inhibition of EPHA2 by the small-molecule inhibitor ALW-II-41-27 decreased both survival and proliferation of erlotinib-resistant tumor cells and inhibited tumor growth in vivo. ALW-II-41-27 was also effective in decreasing viability of cells with acquired resistance to the third-generation EGFR TKI AZD9291. Collectively, these data define a role for EPHA2 in the maintenance of cell survival of TKI-resistant, EGFR-mutant lung cancer and indicate that EPHA2 may serve as a useful therapeutic target in TKI-resistant tumors.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	10	16.46
DMSO:PBS (pH 7.2) (1:1)	0.5	0.82
DMF	10	16.46
Ethanol	0.5	0.82

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	1.65 mL	8.23 mL	16.46 mL
5 mM	0.33 mL	1.65 mL	3.29 mL
10 mM	0.16 mL	0.82 mL	1.65 mL
50 mM	0.03 mL	0.16 mL	0.33 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

Ishigaki H, Minami T, Morimura O, Kitai H, Horio D, Koda Y, Fujimoto E, Negi Y, Nakajima Y, Niki M, Kanemura S, Shibata E, Mikami K, Takahashi R, Yokoi T, Kuribayashi K, Kijima T. EphA2 inhibition suppresses proliferation of small-cell lung cancer cells through inducing cell cycle arrest. Biochem Biophys Res Commun. 2019 Nov 19;519(4):846-853. doi: 10.1016/j.bbrc.2019.09.076. Epub 2019 Sep 24. PMID: 31558317.

In vivo study

Zeng L, Li K, Wei H, Hu J, Jiao L, Yu S, Xiong Y. A Novel EphA2 Inhibitor Exerts Beneficial Effects in PI-IBS in Vivo and in Vitro Models via Nrf2 and NF-κB Signaling Pathways. Front Pharmacol. 2018 Mar 27;9:272. doi: 10.3389/fphar.2018.00272. PMID: 29662452; PMCID: PMC5890185.

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7. Bioactivity

Biological target:

Eph receptor tyrosine kinase inhibitor.

In vitro activity

The development of a novel therapeutic strategy for SCLC has become a pressing issue. It was found that expression of Eph receptor A2 (EphA2) is upregulated in three of 13 SCLC cell lines and five of 76 SCLC tumor samples. Genetic inhibition using siRNA of EphA2 significantly suppressed the cellular proliferation via induction of cell cycle arrest in SBC-5 cells. Furthermore, small molecule inhibitors of EphA2 (ALW-II-41-27 and dasatinib) also exclusively inhibited proliferation of EphA2-positive SCLC cells by the same mechanism. Collectively, EphA2 could be a promising candidate as a therapeutic target for SCLC.

Refernce: Ishigaki H, Minami T, Morimura O, Kitai H, Horio D, Koda Y, Fujimoto E, Negi Y, Nakajima Y, Niki M, Kanemura S, Shibata E, Mikami K, Takahashi R, Yokoi T, Kuribayashi K, Kijima T. EphA2 inhibition suppresses proliferation of small-cell lung cancer cells through inducing cell cycle arrest. Biochem Biophys Res Commun. 2019 Nov 19;519(4):846-853. doi: 10.1016/j.bbrc.2019.09.076. Epub 2019 Sep 24. PMID: 31558317.

In vivo activity

In the present study, it was shown that ALW-II-41-27 decreased gastrointestinal motility and abdominal withdrawal reflex (AWR) scores, markedly reduced the levels of oxidative stress markers [4-hydroxy-2-nonenal (4-HNE), protein carbonyl, and 8-hydroxy-2-de-axyguanine (8-OHdG)] and proinflammatory cytokines (TNF-α, IL-6, IL-17, and ICAM-1), and remarkably increased the level of anti-inflammatory cytokine (IL-10) in serum and colon of Trichinella spiralis-infected mice. Moreover, ALW-II-41-27 was effective in suppressing oxidative stress and inflammation in LPS-treated NCM460 colonic cells. Treatment of ALW-II-41-27 reversed the activation of NF-κB and inactivation of Nrf2 in LPS-treated NCM460 cells. Importantly, these protective effects of ALW-II-41-27 were partially inhibited by EphA2 KO and abolished by EphA2 overexpression. In conclusion, EphA2 may represent a promising therapeutic target for patients with PI-IBS and ALW-II-41-27 might function as a novel therapeutic agent for PI-IBS.

Reference: Zeng L, Li K, Wei H, Hu J, Jiao L, Yu S, Xiong Y. A Novel EphA2 Inhibitor Exerts Beneficial Effects in PI-IBS in Vivo and in Vitro Models via Nrf2 and NF-κB Signaling Pathways. Front Pharmacol. 2018 Mar 27;9:272. doi: 10.3389/fphar.2018.00272. PMID: 29662452; PMCID: PMC5890185.

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.