Taiclone®
Quality Guarantee

Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

GSK1838705A

Catalog No: tcsc0695

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1116235-97-2

Formula:
$C_{27}H_{29}FN_8O_3$

Pathway:
Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK

Target:
ALK; IGF-1R; Insulin Receptor

Form:
Light yellow to yellow (Solid)

Purity / Grade:
99.28%

Solubility:
DMSO : ≥ 100 mg/mL (187.77 mM)

Storage Instruction:
Powder：-20°C for 3 years; 4°C for 2 years
In solvent： -80°C for 6 months ; -20°C for 1 month

Alternative Names:
Benzamide, 2-[[2-[[1-[2-(dimethylamino)acetyl]-2,3-dihydro-5-methoxy-1H-indol-6-yl]amino]-7 H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]-6-fluoro-N-methyl

Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Observed Molecular Weight:
532.57

References

[1]. Sabbatini P, et al. GSK1838705A inhibits the insulin-like growth factor-1 receptor and anaplastic lymphoma kinase and shows antitumor activity in experimental models of human cancers.Mol Cancer Ther. 2009 Oct;8(10):2811-20

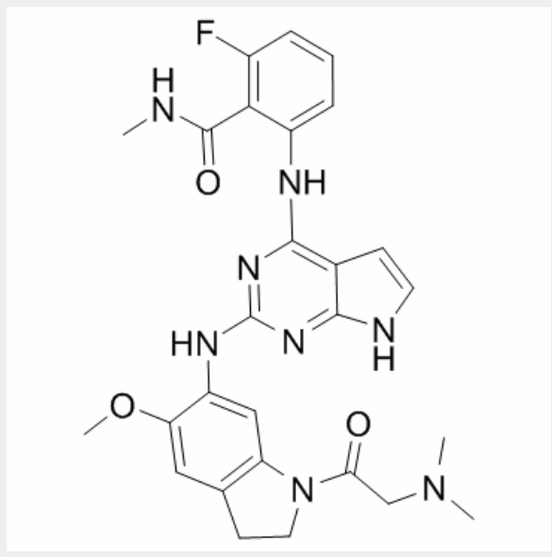
Product Description

GSK1838705A is a potent and reversible **IGF-IR** and the **insulin receptor** inhibitor with **IC_{50}**s of 2.0 and 1.6 nM, respectively. It also inhibits **ALK** with an **IC_{50}** of 0.5 nM.

IC50 & Target: IC50: 2.0 nM (IGF-IR), 1.6 nM (insulin receptor), 0.5 nM (ALK)[1]

In Vitro: In cellular phosphorylation assays, GSK1838705A potently inhibits IGF-IR and insulin receptor phosphorylation with IC_{50}s of 85 and 79 nM, respectively. $^{app}K_i$ values are 0.7 nM for IGF-IR and 1.1 nM for insulin receptor using the filter binding assay. GSK1838705A inhibits the proliferation in a panel of cell lines derived from solid and hematologic tumors. The EC_{50}s of GSK1838705A range from 20 nM to >8 μM, but are [1].

In Vivo: GSK18388705A shows robust antitumor activity in animal xenograft models. Tumor types likely to respond to GSK1838705A include multiple myeloma and Ewing\'s sarcoma, as well as ALK-driven tumors (e.g., ALCL, NSCLC, and neuroblastoma). A single oral dose of GSK1838705A at 0.1 and 0.3 mg/kg results in 35% and 65% inhibition of IGF-IR phosphorylation, respectively, whereas doses ≥1 mg/kg results in complete inhibition of ligand-induced IGF-IR phosphorylation[1].



In Vitro

DMSO : ≥ 100 mg/mL (187.77 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8777 mL	9.3884 mL	18.7769 mL
	5 mM	0.3755 mL	1.8777 mL	3.7554 mL
	10 mM	0.1878 mL	0.9388 mL	1.8777 mL

Please refer to the solubility information to select the appropriate solvent.

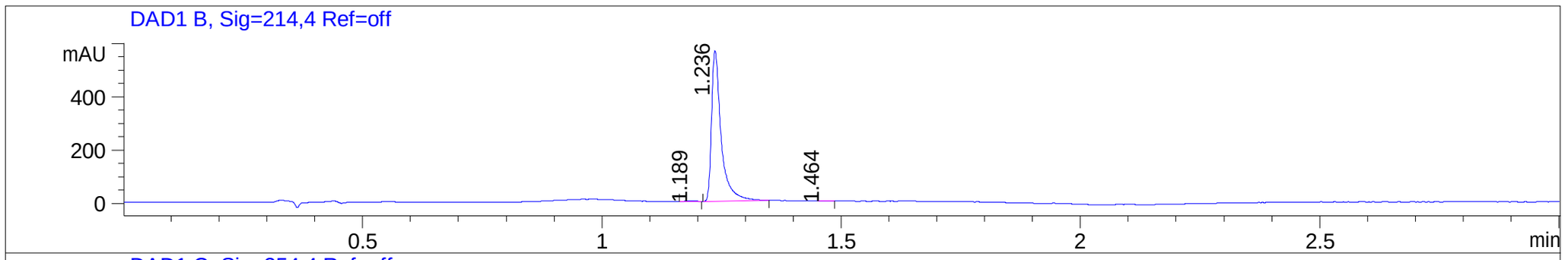
In Vivo

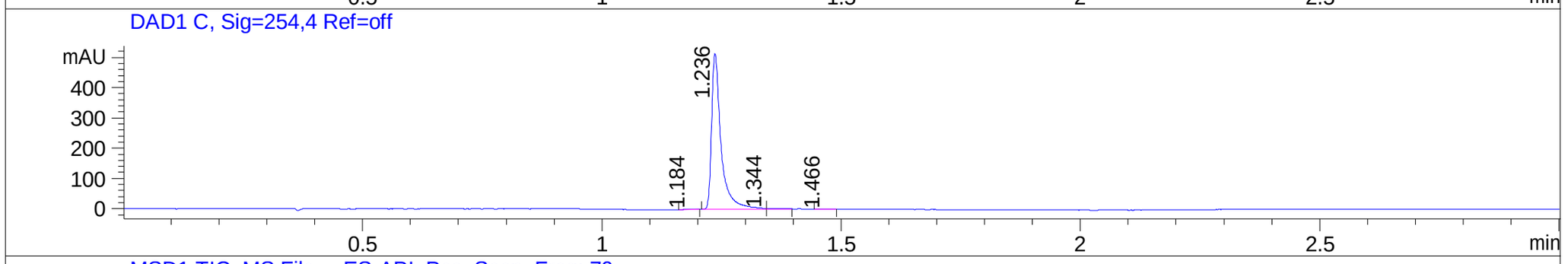
1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: 3 mg/mL (5.63 mM); Suspended solution; Need ultrasonic

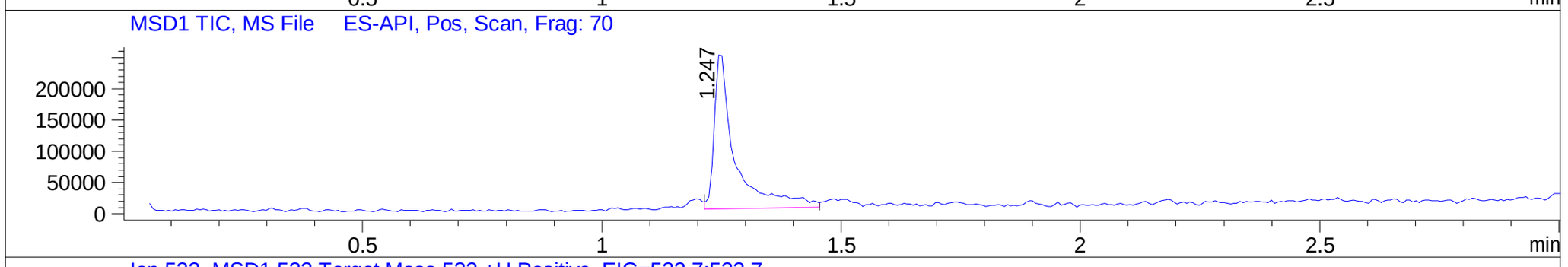
Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

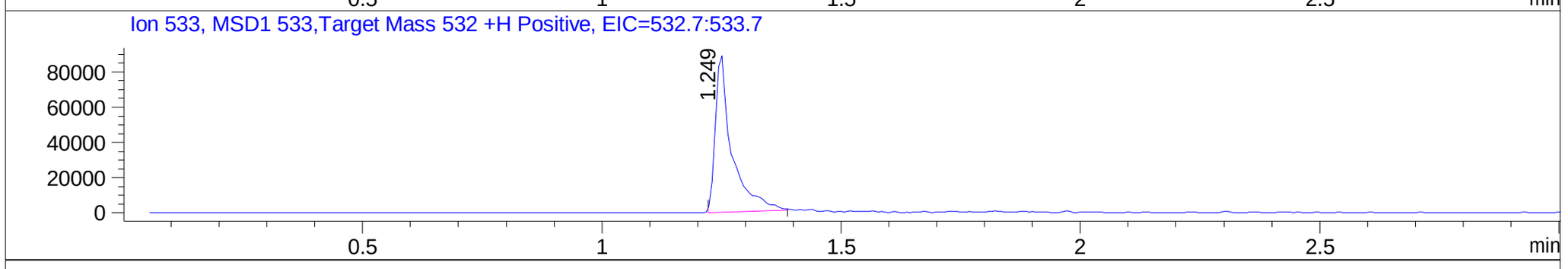
MS Report from Instrument: LCMS-02

```
File ..\DATA\2020\20200222\844\CPK2020-219-05975.D Tgt Mass (CHM):
Injection Date    : 22 Feb 20  11:53 am +0800          Seq. Line : 39
Sample Name       : CPK2020-219-05975              Location  : P1-B-02
Acq. Operator     : ZJ_1432                                  Inj : 1
Spec. Reported    : MS Integration                 Inj Volume : 1 ul
Acq. Method       : D:\Chem32\1\data\2020\20200222\844\1-POS-3MIN.M
Analysis Method   : D:\CHEM32\1\DATA\2020\20200222\844\1-POS-3MIN.M
Catalog No   : HY-13020 Batch# 05975
Method Info : Mobile Phase: A: water(0.01%TFA) B:ACN(0.01%TFA)
              Gradient: 5% to 95%B within 1.3 min
              Flow Rate :1.8ml/min
              Column :SunFire C18, 4.6*50mm,3.5um A-RP-433
              Oven Temperature : 45
```









Integration Results for DAD1 B, Sig=214,4 Ref=off

RetTim	Width	Area	Height	Area%	MS(+)
1.19	0.03	3.97	2.51	0.50	308
1.24	0.02	793.64	566.58	99.28	267
1.46	0.02	1.77	1.66	0.22	446

Integration Results for DAD1 C, Sig=254,4 Ref=off

RetTim	Width	Area	Height	Area%	MS(+)
1.18	0.02	1.64	1.42	0.23	308
1.24	0.02	708.47	515.25	98.87	267
1.34	0.03	4.24	2.52	0.59	533
1.47	0.03	2.20	1.46	0.31	446

Integration Results for MSD1 TIC, MS File

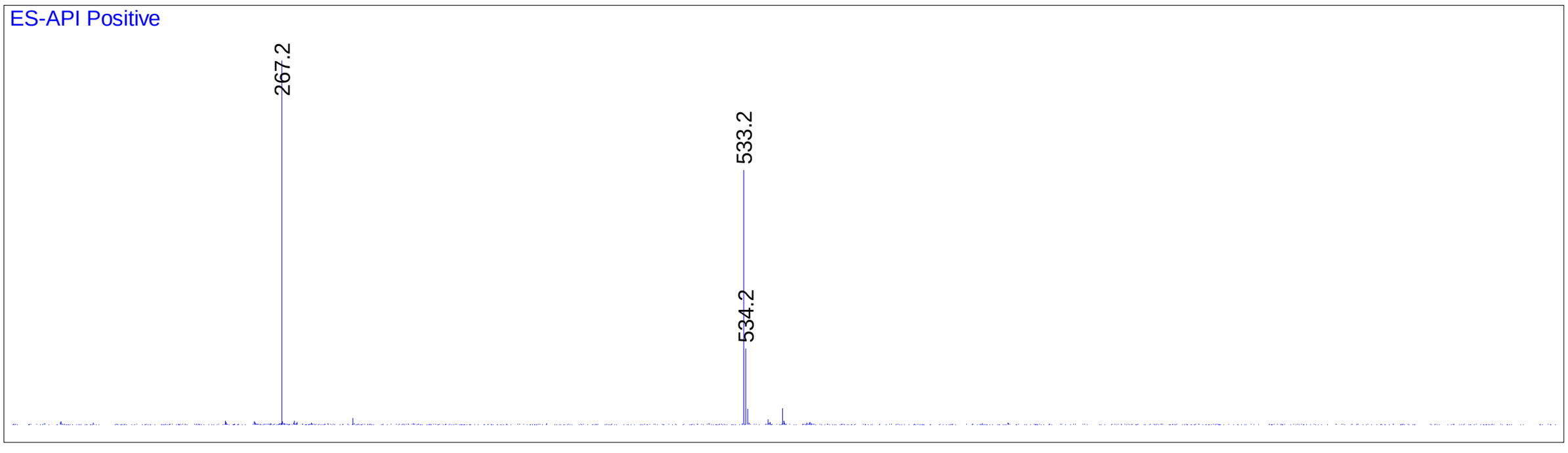
RetTim	Width	Area	Height	Area%	MS(+)
1.25	0.04	747078.06	254985.14	100.00	267

LCMS-02 2/24/2020 17:01:28 ZJ_1432

Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

MS Report from Instrument: LCMS-02

Ret. Time: 1.25 <<<< POSITIVE SPECTRA >>>>



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!