biotechne[®] TOCRIS

Certificate of Analysis

www.tocris.com

Product Name: CG 858

Catalog No.: 7427 Batch No.: 1

CAS Number: 2417296-82-1

IUPAC Name:

N-[[4-[3-[2,6-Difluoro-3-[(propylsulfonyl)amino]benzoyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]phenyl]methyl]-5-[[2-(2,6-dioxo-3-piperidinyl)-2,3-dihydro-1,3-dioxo-1*H*-isoindol-5-yl]amino]pentanamide

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: Batch Molecular Weight: Physical Appearance: Solubility: Storage: Batch Molecular Structure: C₄₂H₃₉F₂N₇O₈S.1½H₂O 866.89 Yellow solid DMSO to 100 mM Store at -20°C

~^NH

2. ANALYTICAL DATA

| HPLC: | Shows 99.3% purity |
|---------------------|------------------------------|
| ¹ H NMR: | Consistent with structure |
| Mass Spectrum: | Consistent with structure |
| Microanalysis: | Carbon Hydrogen Nitrogen |
| | Theoretical 58.19 4.88 11.31 |

Found

58.04

4.66

11.29

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

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Print Date: Mar 14th 2024

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CAS Number: 2417296-82-1 IUPAC Name: *N*-I[4-I3-I2.6-D

N-[[4-[3-[2,6-Difluoro-3-[(propylsulfonyl)amino]benzoyl]-1*H*-pyrrolo[2,3-*b*]pyridin-5-yl]phenyl]methyl]-5-[[2-(2,6-dioxo-3-piperidinyl)-2,3-dihydro-1,3-dioxo-1*H*-isoindol-5-yl]amino]pentanamide

Description:

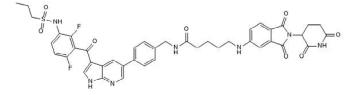
CG 858 is a selective BRAF-V600E protein Degrader (uSMITETM). CG 858 comprises the BRAF kinase inhibitor vemurafenib linked to the E3 ligase ligand Thalidomide (Cat. No. 0652). CG 858 dose-dependently degrades BRAF-V600E but not wild type BRAF, and reduces cell viability and colony formation in HT-29 and A375 cells (DC₅₀ values are 124 nM and 500 nM, respectively). Exhibits 88% degradation at 500 nM. CG 858 also impairs melanoma cell growth. CG 858 negative control (Cat. No. 7428) and B-Raf antibody validated for Simple WesternTM (automated Western) instruments and Western Blot also available: Catalog # AF3424. Please see product specific page on www.tocris.com for full description.

Physical and Chemical Properties:

Batch Molecular Formula: $C_{42}H_{39}F_2N_7O_8S.1\frac{1}{2}H_2O$ Batch Molecular Weight: 866.89 Physical Appearance: Yellow solid

Minimum Purity: ≥98%

Batch Molecular Structure:



Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 100 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. *Unless contradicted by product-specific protocols or instructions, our standard recommendations apply:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

Licensing Information:

Sold under license from Cullgen.

References:

Han et al (2020) Discovery of selective small molecule degraders of BRAF-V600E. J.Med.Chem. 63 4069. PMID: 32223235.

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bio-techne.comNorth AmericaChinaEurope Middle East AfricaRest of Worldinfo@bio-techne.comTel: (800) 343 7475info.cn@bio-techne.comTel: +44 (0) 1235 529449www.tocris.com/distributorstechsupport@bio-techne.comTel: +86 (21) 52380373Tel: +44 (0) 1235 529449www.tocris.com/distributors